

search of elected species

as set forth in paragraph 2 of the office
Action mailed 5/11/66

chain nodes :

9 10 11 12 17 19 22 23 24

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

7 8

chain bonds :

5-7 8-9 9-10 9-17 10-11 10-19 11-12 22-23 23-24

ring/chain bonds :

7-8

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

7-8 9-10 9-17 10-11 10-19 11-12

exact bonds :

5-7 8-9 22-23 23-24

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,H,O

G2:Cb, [*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 17:CLASS 19:CLASS 22:CLASS 23:CLASS 24:Atom

09/596,086

=> d his

(FILE 'HOME' ENTERED AT 13:48:49 ON 03 MAY 2006)

FILE 'REGISTRY' ENTERED AT 13:48:53 ON 03 MAY 2006

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 STRUCTURE UPLOADED
L4 17 S L3
L5 2885 S L3 SSS FUL
L6 1620 S L5 AND (5 OR 6 OR 7 OR 8 OR 9 OR 10)/SQL
L7 385 S L5 AND (11 OR 12 OR 13 OR 14)/SQL
L8 17 S L5 AND (15 OR 16 OR 17 OR 18 OR 19 OR 20)/SQL
L9 19 S L5 AND (21 OR 22 OR 23 OR 24)/SQL
L10 8 S L5 AND (25 OR 26 OR 27 OR 28 OR 29 OR 30)/SQL
L11 1 S L5 AND (31 OR 32 OR 33 OR 34)/SQL
L12 0 S L5 AND (35 OR 36 OR 37 OR 38 OR 39 OR 40)/SQL
L13 152 S L5 AND (1 OR 2 OR 3 OR 4)/SQL
L14 1935 S L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L15 950 S L5 NOT L14

FILE 'CAPLUS' ENTERED AT 13:57:35 ON 03 MAY 2006

L16 255 S L15
L17 ANALYZE L16 1- RN HIT : 859 TERMS

FILE 'REGISTRY' ENTERED AT 13:58:07 ON 03 MAY 2006

L18 1 S 167838-64-4/RN
L19 949 S L15 NOT L18

FILE 'CAPLUS' ENTERED AT 13:59:09 ON 03 MAY 2006

L20 204 S L19
L21 163 S L20 AND PATENT/DT
L22 41 S L20 NOT L21
L23 6 S L22 AND (2006 OR 2005 OR 2004)/SO
L24 6 S L22 AND (2003 OR 2002 OR 2001)/SO
L25 6 S L22 AND (2000 OR 1999)/SO
L26 186 S L20 NOT (L23 OR L24 OR L25)

=> d 118

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

ED Entered STN: 19 Sep 1995

OTHER CA INDEX NAMES:

OTHER NAMES:

CN FR 173657

MF C30 H27 C12 N5 O4

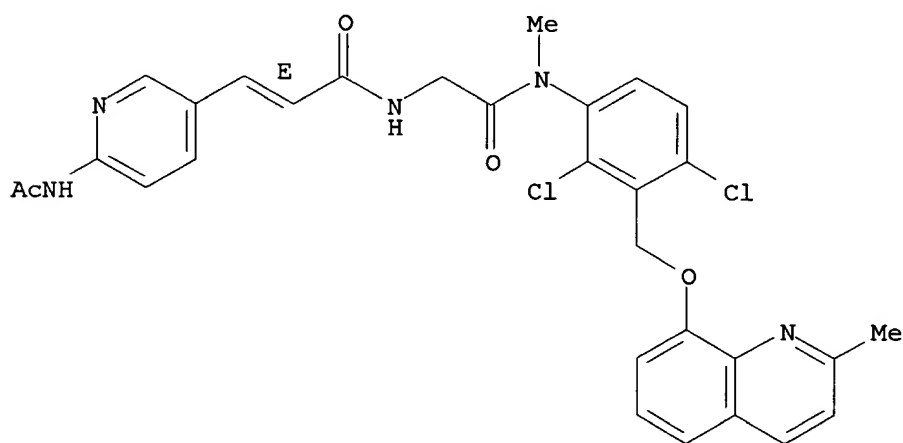
CI COM

SR	CA
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LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, EMBASE,
IMSDRUGNEWS, IMSRESEARCH, PHAR, PROUSDDR, RTECS*, SYNTHLINE, TOXCENTER,
USPATFULL

(*File contains numerically searchable property data)

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

59 REFERENCES IN FILE CA (1907 TO DATE)

59 REFERENCES IN FILE CAPLUS (1907 TO DATE)

09/596,086

=> d ibib abs hitstr total 126

26 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:194165 CAPLUS

DOCUMENT NUMBER: 144:254392

TITLE: Preparation of α -keto peptides as calpain inhibitors

INVENTOR(S): Weyermann, Philipp; Von Sprecher, Andreas; Henneboehle, Marco; Herzner, Holger; Lescop, Cyrille; Siendt, Herve

PATENT ASSIGNEE(S): Santhera Pharmaceuticals (Schweiz) GmbH, Switz.

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021413	A1	20060302	WO 2005-EP9068	20050822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

EP 2004-20190

A 20040825

AB The invention relates to novel α -keto carbonyl calpain inhibitors $\text{RCH}_2(\text{CH}_2)_n\text{CONHCHR}_4\text{CONHCHR}_3\text{CONHCHR}_2\text{COCO-X-R}_1$ [R is a ring comprising $\text{CH-Y-Z-CH}_2(\text{CH}_2)_m$; Y, Z are independently S, SO or CH_2 ; m, n are 1-6; R₁ is H, alkyl, cycloalkyl, aryl, sulfonyl groups, heterocyclyl, carboxy- or carbamoylmethyl or derivs., etc.; X is O or NH; R₂, R₃ are H, alkyl, cycloalkyl, etc.; R₄ is alkyl, cycloalkyl, aryl, etc.] or their pharmaceutically-acceptable salts for the treatment of neurodegenerative and neuromuscular diseases. Disuse atrophy, general muscle wasting, and diseases of the eye can also be treated. The compds. of the invention may also inhibit other thiol proteases such as cathepsin B, cathepsin H, cathepsin L and papain. Multicatalytic Protease also known as proteasome may also be inhibited and the compds. can therefore be used to treat cell proliferative diseases such as cancer, psoriasis, and restenosis. The compds. of the invention are also inhibitors of cell damage by oxidative stress through free radicals and can be used to treat mitochondrial disorders and neurodegenerative diseases, where elevated levels of oxidative stress are involved. They induce the expression of utrophin, which is beneficial for the treatment of Duchenne Muscular Dystrophy and Becker Muscular Dystrophy. Thus, 1,2-dithiolan-3-yl-(CH_2)₄CO-L-Phe-L-Val-L-p-ClPhe-CONHET was prepared by condensation of Boc-protected p-chlorophenylalaninal with Et isocyanide, followed by coupling/deprotection reactions, and Dess-Martin oxidation. The product showed IC₅₀ = 0.045 μM for inhibition of calpain I.

IT 877465-33-3P 877465-34-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

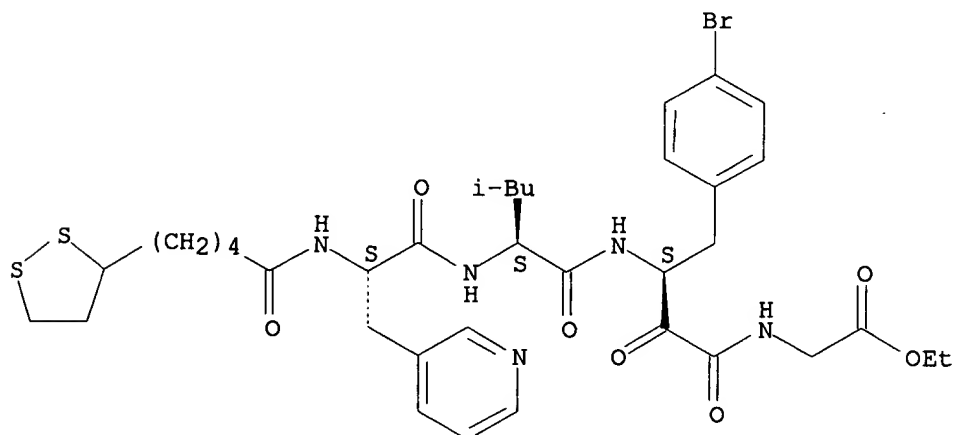
(Uses)

(preparation of α -keto peptides as calpain inhibitors)

RN 877465-33-3 CAPLUS

CN Glycine, N-[5-(1,2-dithiolan-3-yl)-1-oxopentyl]-3-(3-pyridinyl)-L-alanyl-L-leucyl-(β S)- β -amino-4-bromo- α -oxobenzenebutanoyl-, ethyl ester (9CI) (CA INDEX NAME)

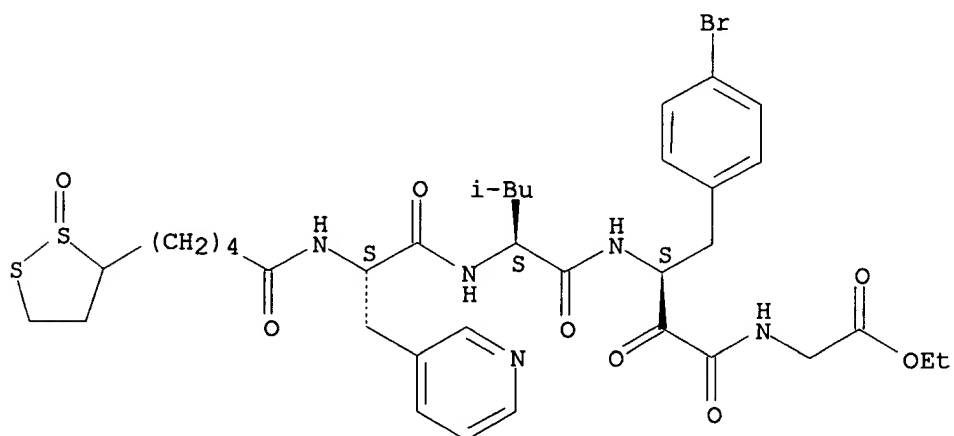
Absolute stereochemistry.



RN 877465-34-4 CAPLUS

CN Glycine, N-[5-(2-oxido-1,2-dithiolan-3-yl)-1-oxopentyl]-3-(3-pyridinyl)-L-alanyl-L-leucyl-(β S)- β -amino-4-bromo- α -oxobenzenebutanoyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 2 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:193583 CAPLUS

DOCUMENT NUMBER: 144:254390

TITLE: Preparation of α -keto peptides as calpain inhibitors

INVENTOR(S): Weyermann, Philipp; Von Sprecher, Andreas; Henneboehle, Marco; Herzner, Holger; Lescop, Cyrille; Siendt, Herve

PATENT ASSIGNEE(S): Santhera Pharmaceuticals (Schweiz) GmbH, Switz.

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021409	A1	20060302	WO 2005-EP9064	20050822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2004-20152 A 20040825

AB The invention relates to novel α -keto carbonyl calpain inhibitors 2-thienyl-CH₂(CH₂)₁₋₆CONHCHR₄CONHCHR₃CONHCHR₂COCO-X-R₁ [R₁ is H, alkyl, cycloalkyl, aryl, sulfonyl groups, heterocyclyl, carboxy- or carbamoylmethyl or derivs., etc.; X is O or NH; R₂, R₃ are H, alkyl, cycloalkyl, etc.; R₄ is alkyl, cycloalkyl, aryl, etc.] or their pharmaceutically-acceptable salts for the treatment of neurodegenerative and neuromuscular diseases. Disuse atrophy, general muscle wasting, and diseases of the eye can also be treated. The compds. of the invention may also inhibit other thiol proteases such as cathepsin B, cathepsin H, cathepsin L and papain. Multicatalytic Protease also known as proteasome may also be inhibited and the compds. can therefore be used to treat cell proliferative diseases such as cancer, psoriasis, and restenosis. The compds. of the invention are also inhibitors of cell damage by oxidative stress through free radicals and can be used to treat mitochondrial disorders and neurodegenerative diseases, where elevated levels of oxidative stress are involved. They induce the expression of utrophin, which is beneficial for the treatment of Duchenne Muscular Dystrophy and Becker Muscular Dystrophy. Thus, 2-thienyl-(CH₂)₄CO-L-Phe-L-Val-L-p-ClPhe-CONH₂ was prepared by condensation of Boc-protected p-chlorophenylalaninal with Et isocyanide, followed by coupling/deprotection reactions, and Dess-Martin oxidation. The product showed IC₅₀ = 0.045 μ M for inhibition of calpain I.

IT 877466-41-6P 877466-89-2P 877466-90-5P

877466-91-6P 877466-92-7P 877466-93-8P

877467-11-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

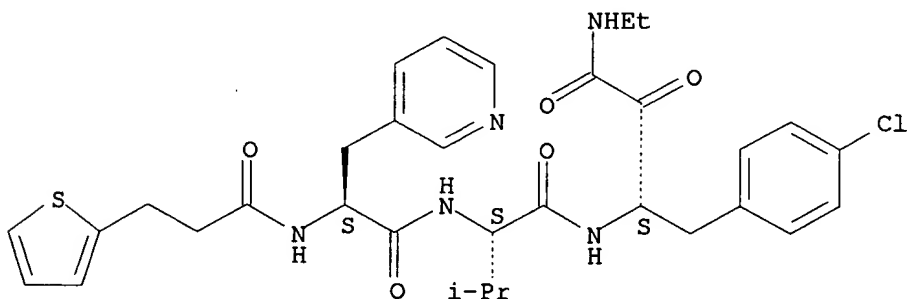
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of α -keto peptides as calpain inhibitors)

RN 877466-41-6 CAPLUS

CN L-Valinamide, N-[1-oxo-3-(2-thienyl)propyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S)-1-[(4-chlorophenyl)methyl]-3-(ethylamino)-2,3-dioxopropyl]- (9CI) (CA INDEX NAME)

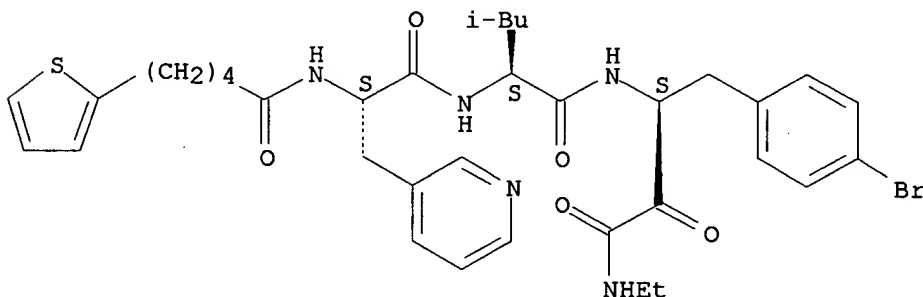
Absolute stereochemistry.



RN 877466-89-2 CAPLUS

CN L-Leucinamide, N-[1-oxo-5-(2-thienyl)pentyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S)-1-[(4-bromophenyl)methyl]-3-(ethylamino)-2,3-dioxopropyl]- (9CI) (CA INDEX NAME)

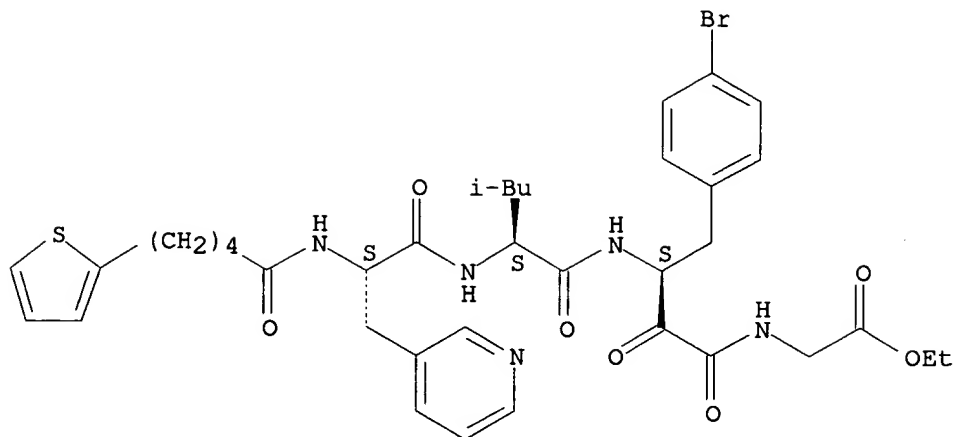
Absolute stereochemistry.



RN 877466-90-5 CAPLUS

CN Glycine, N-[1-oxo-5-(2-thienyl)pentyl]-3-(3-pyridinyl)-L-alanyl-L-leucyl-(β S)- β -amino-4-bromo- α -oxobenzenebutanoyl-, ethyl ester (9CI) (CA INDEX NAME)

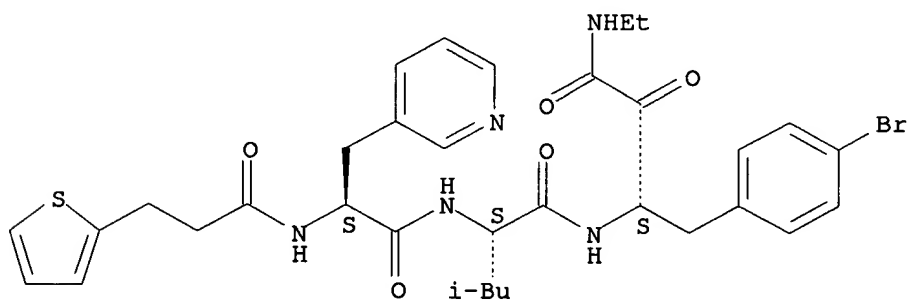
Absolute stereochemistry.



RN 877466-91-6 CAPLUS

CN L-Leucinamide, N-[1-oxo-3-(2-thienyl)propyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S)-1-[(4-bromophenyl)methyl]-3-(ethylamino)-2,3-dioxopropyl]- (9CI)
(CA INDEX NAME)

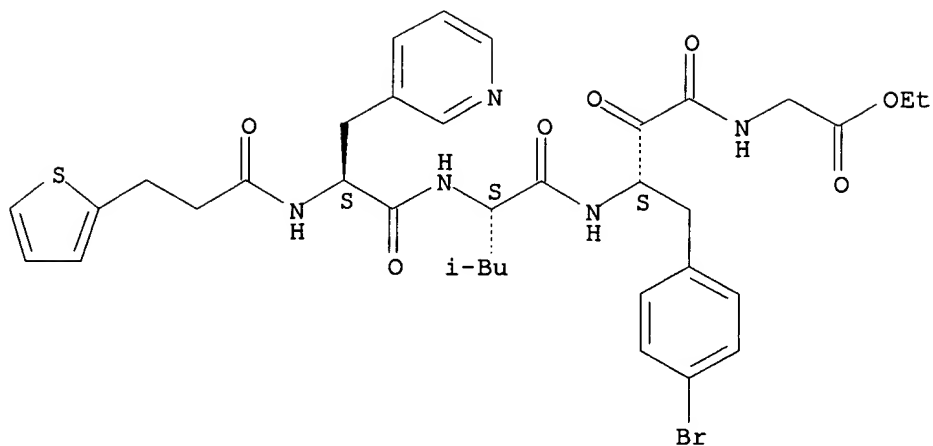
Absolute stereochemistry.



RN 877466-92-7 CAPLUS

CN Glycine, N-[1-oxo-3-(2-thienyl)propyl]-3-(3-pyridinyl)-L-alanyl-L-leucyl-(βS)-β-amino-4-bromo-α-oxobenzenebutanoyl-, ethyl ester
(9CI) (CA INDEX NAME)

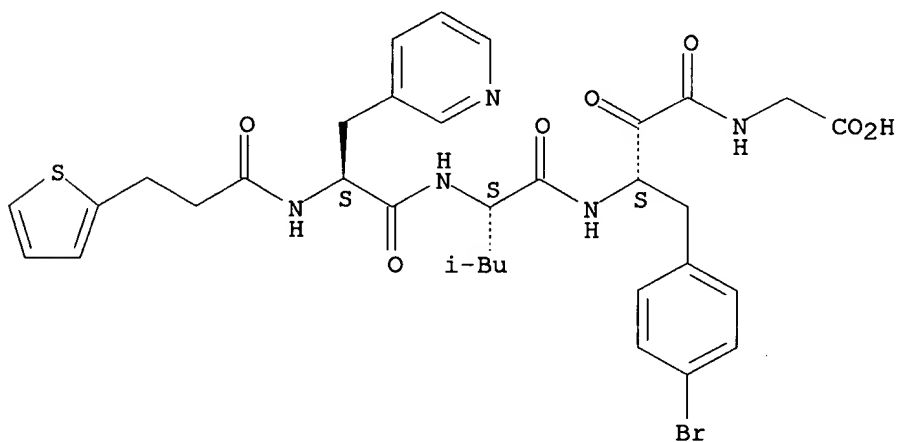
Absolute stereochemistry.



RN 877466-93-8 CAPLUS

CN Glycine, N-[1-oxo-3-(2-thienyl)propyl]-3-(3-pyridinyl)-L-alanyl-L-leucyl-
 (βS)-β-amino-4-bromo-α-oxobenzenebutanoyl- (9CI) (CA
 INDEX NAME)

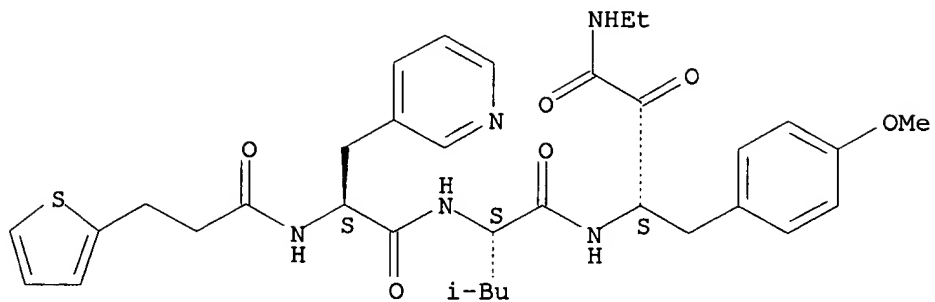
Absolute stereochemistry.



RN 877467-11-3 CAPLUS

CN L-Leucinamide, N-[1-oxo-3-(2-thienyl)propyl]-3-(3-pyridinyl)-L-alanyl-N-
 [(1S)-3-(ethylamino)-1-[(4-methoxyphenyl)methyl]-2,3-dioxopropyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 3 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:103473 CAPLUS

DOCUMENT NUMBER: 144:191975

TITLE: Preparation of aryl cyanoamidines as P2X7 antagonists for the treatment of pain, inflammation, and neurodegeneration.

INVENTOR(S): Carroll, William A.; Perez-Medrano, Arturo; Peddi, Sridhar; Florjancic, Alan S.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 30 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006025614	A1	20060202	US 2004-909502	20040802
WO 2006017406	A1	20060216	WO 2005-US27115	20050729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2004-909502 A 20040802

OTHER SOURCE(S): MARPAT 144:191975

AB Title compds. e.g. R2R1C(:NCN)NR6CHR3NR7COR4R5 [R1 = bond, alkylene, alkenylene, alkynylene; R2 = (substituted) aryl, heteroaryl; R3 = alkyl, haloalkyl; R4 = alkylene; R5 = halo, (substituted) aryl, heteroaryl; R6, R7 = H, alkyl], were prepared Thus, N-[1-(1H-1,2,3-benzotriazol-1-yl)-2,2-dimethylpropyl]-2-phenylacetamide (preparation given), N'-cyano-2-(2-methylphenyl)ethanimidamide (preparation given), and Cs2CO3 were stirred together for 10 h in MeCN to give N-[1-[[N-cyano-2-(2-methylphenyl)ethanimidoyl]amino]-2,2-dimethylpropyl]-2-phenylacetamide. Representative title compds. showed P2X7 antagonist activity with IC50 ≤10 μM.

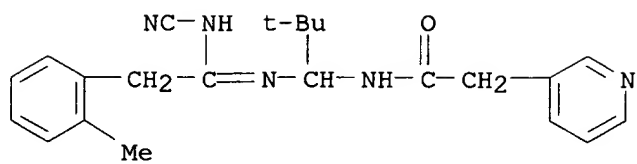
IT **874993-21-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of aryl cyanoamidines as P2X7 antagonists for the treatment of pain, inflammation, and neurodegeneration)

RN 874993-21-2 CAPLUS

CN 3-Pyridineacetamide, N-[1-[[1-(cyanoamino)-2-(2-methylphenyl)ethylidene]amino]-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)



09/596,086

186 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:99988 CAPLUS
DOCUMENT NUMBER: 144:192493
TITLE: Preparation of N-(benzoylphenyl)tyrosine derivatives
as PPAR γ modulators
INVENTOR(S): Serra Comas, Carmen; Fernandez Serrat, Anna; Balsa
Lopez, Dolores; Masip Masip, Isabel; Catena Ruiz, Juan
Lorenzo; Hidalgo Rodriguez, Jose; Lagunas Arnal,
Carmen; Salcedo Roca, Carolina; Fernandez Garcia,
Andres
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010775	A1	20060202	WO 2005-EP53728	20050729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

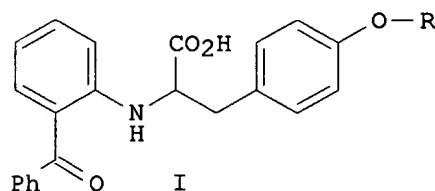
ES 2004-1966

A 20040730

OTHER SOURCE(S):

MARPAT 144:192493

GI



AB The invention relates to tyrosine derivs. I [R is (CH₂)₂-3N(X-R₁)-A-J-T, where X is null or CO; R₁ is alkyl, haloalkyl, alkoxyalkyl, alkenyl, alkynyl, alk(en)(yn)ylene-Y (Y is a ring); A is alk(en)(yn)ylene or alk(en)(yn)ylene-Z (Z is a ring); J is a bond, (CH₂)₁₋₄, O, S, SO₂, CO, etc.; T is H, alk(en)(yn)yl or Y], including stereoisomers and pharmaceutically-acceptable salts, which are PPAR γ modulators and therefore are useful for the treatment or prevention of a condition or disease mediated by these receptors. Thus, (S)-2-(2-benzoylphenylamino)-3-[4-[3-[benzyl(3-phenylpropynoyl)amino]ethoxy]phenyl]propionic acid was prepared and K_i < 500 nM in the PPAR γ affinity assay.

IT 875404-24-3P 875404-25-4P 875404-32-3P
 875404-33-4P 875404-40-3P 875404-41-4P
 875405-06-4P 875405-07-5P 875407-88-8P
 875407-89-9P

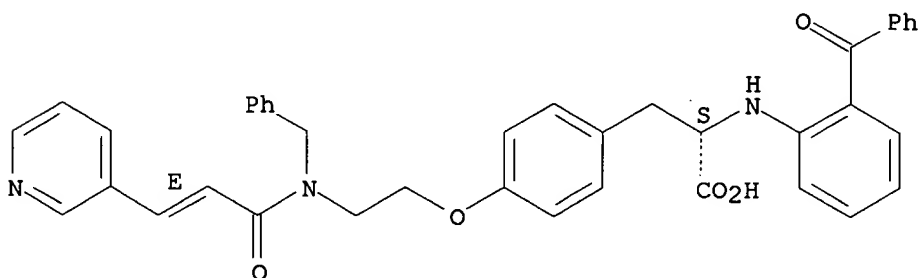
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N-(benzoylphenyl)tyrosine derivs. as PPAR γ modulators)

RN 875404-24-3 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl] (phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

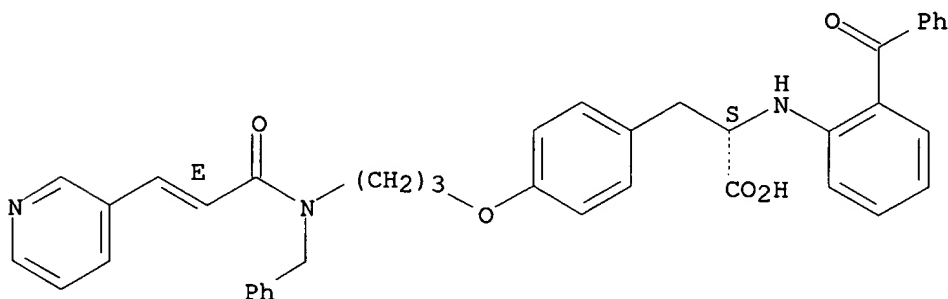
Absolute stereochemistry.
 Double bond geometry as shown.



RN 875404-25-4 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl] (phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

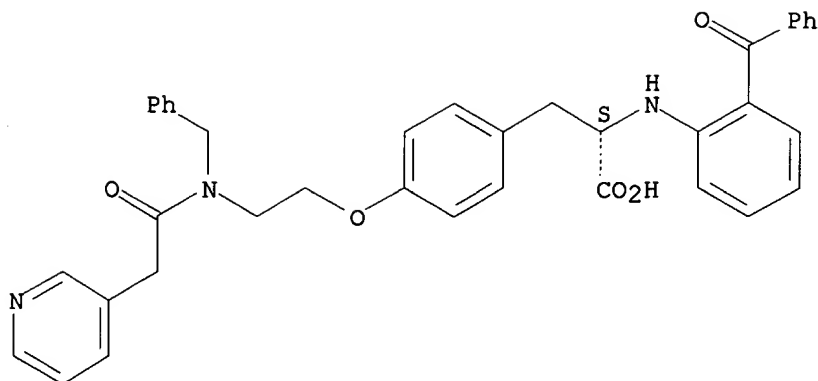
Absolute stereochemistry.
 Double bond geometry as shown.



RN 875404-32-3 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(phenylmethyl) (3-pyridinylacetyl)amino]ethyl]- (9CI) (CA INDEX NAME)

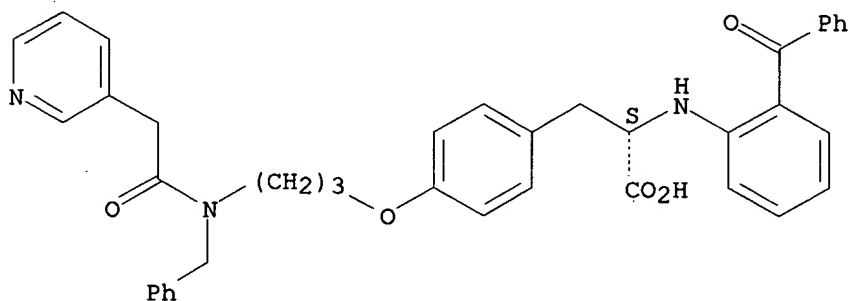
Absolute stereochemistry.



RN 875404-33-4 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[(phenylmethyl)(3-pyridinylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)

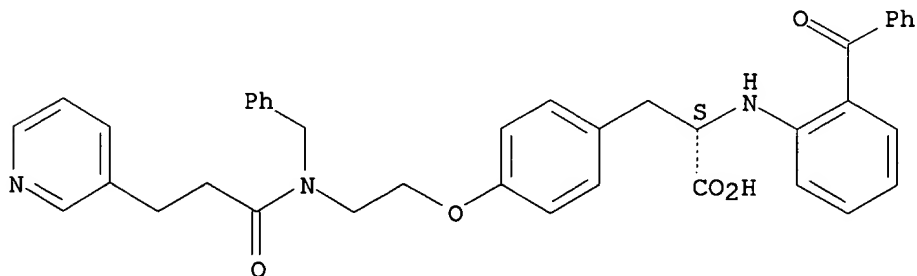
Absolute stereochemistry.



RN 875404-40-3 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[1-oxo-3-(3-pyridinyl)propyl](phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

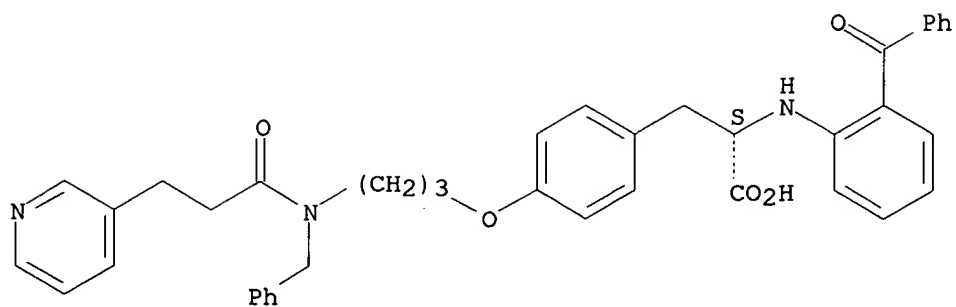
Absolute stereochemistry.



RN 875404-41-4 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[1-oxo-3-(3-pyridinyl)propyl](phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

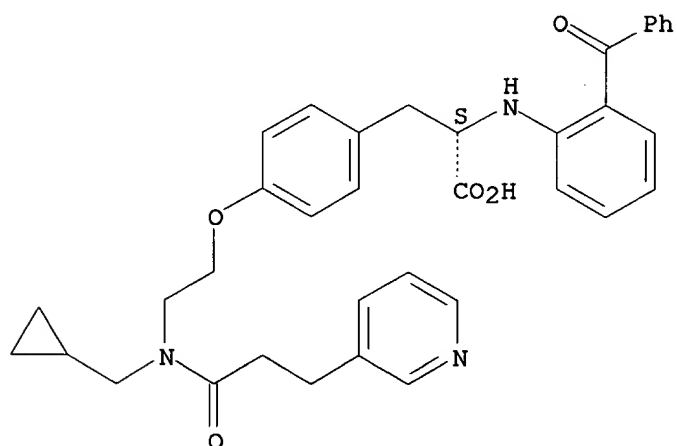
Absolute stereochemistry.



RN 875405-06-4 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(cyclopropylmethyl)[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]- (9CI) (CA INDEX NAME)

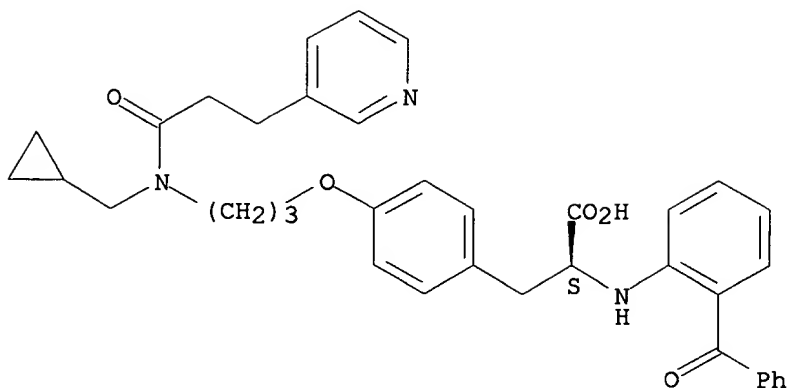
Absolute stereochemistry.



RN 875405-07-5 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[(cyclopropylmethyl)[1-oxo-3-(3-pyridinyl)propyl]amino]propyl]- (9CI) (CA INDEX NAME)

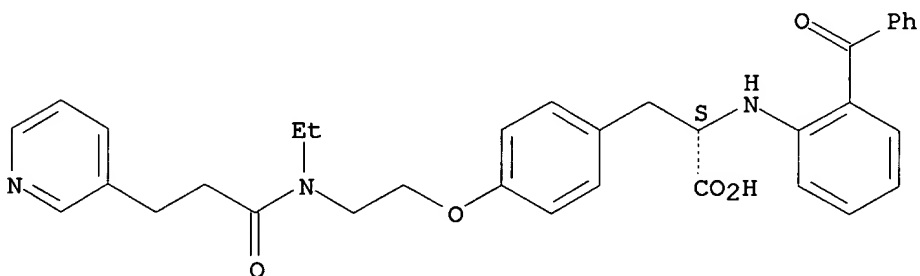
Absolute stereochemistry.



RN 875407-88-8 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[ethyl[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]- (9CI) (CA INDEX NAME)

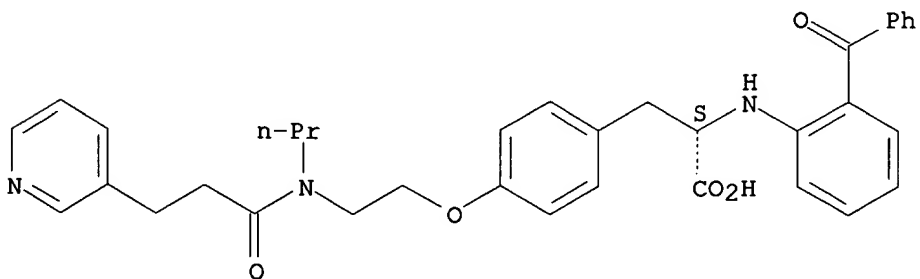
Absolute stereochemistry.



RN 875407-89-9 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[1-oxo-3-(3-pyridinyl)propyl]propylamino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 875411-02-2P 875411-03-3P 875411-10-2P

875411-11-3P 875411-18-0P 875411-19-1P

875411-83-9P 875411-84-0P 875413-93-7P

875413-94-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

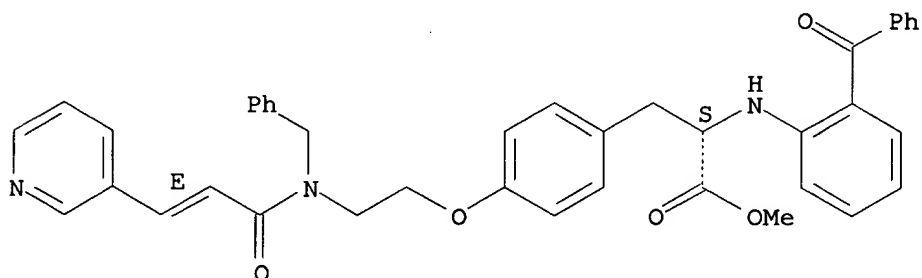
(preparation of N-(benzoylphenyl)tyrosine derivs. as PPAR γ modulators)

RN 875411-02-2 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

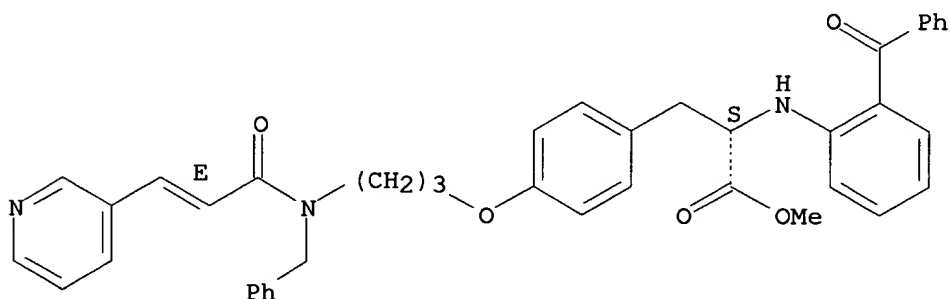


RN 875411-03-3 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

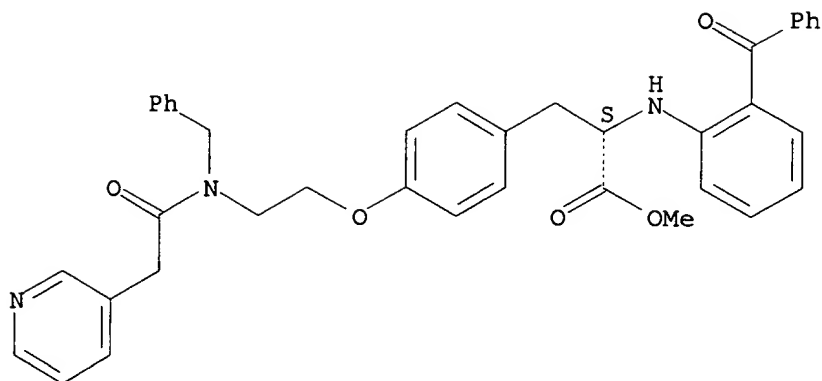
Double bond geometry as shown.



RN 875411-10-2 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(phenylmethyl)(3-pyridinylacetyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

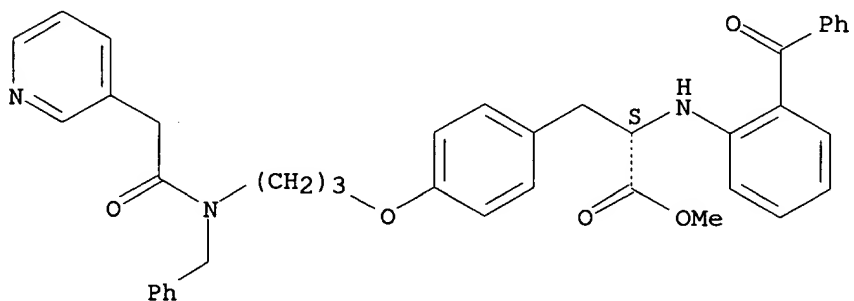
Absolute stereochemistry.



RN 875411-11-3 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[(phenylmethyl) (3-pyridinylacetyl)amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

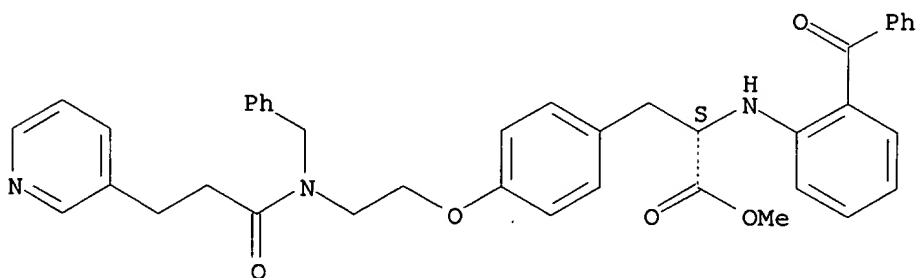
Absolute stereochemistry.



RN 875411-18-0 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[1-oxo-3-(3-pyridinyl)propyl] (phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

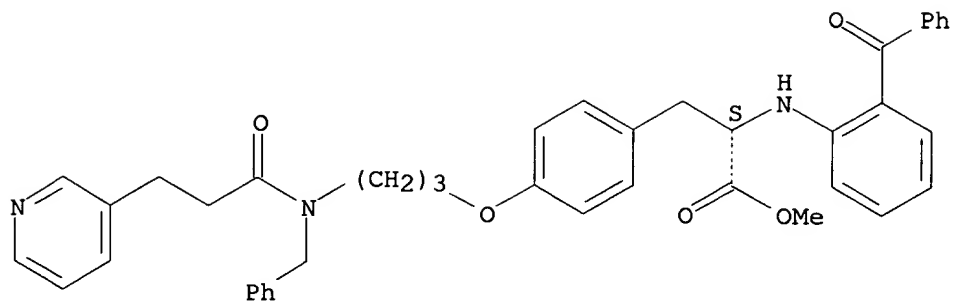
Absolute stereochemistry.



RN 875411-19-1 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[1-oxo-3-(3-pyridinyl)propyl] (phenylmethyl)amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

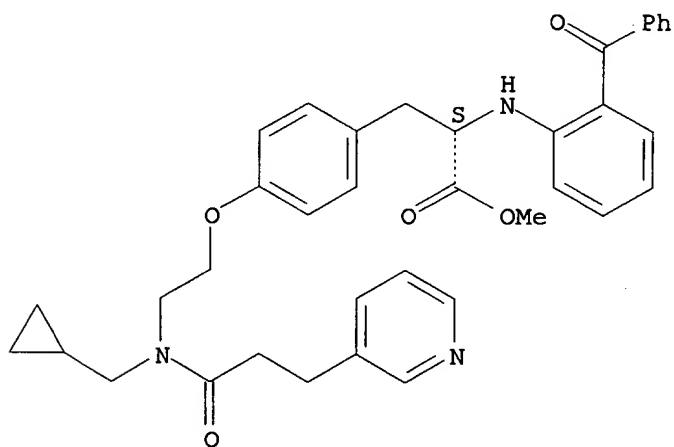
Absolute stereochemistry.



RN 875411-83-9 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(cyclopropylmethyl)[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

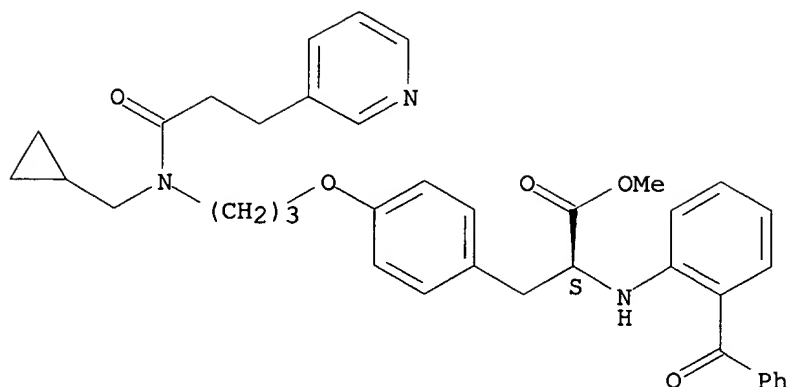
Absolute stereochemistry.



RN 875411-84-0 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[(cyclopropylmethyl)[1-oxo-3-(3-pyridinyl)propyl]amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

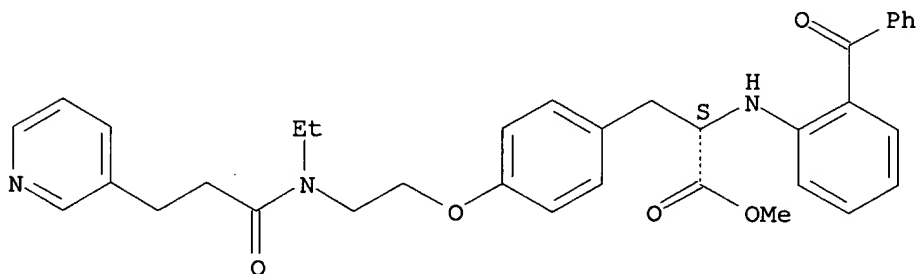
Absolute stereochemistry.



RN 875413-93-7 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[ethyl[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

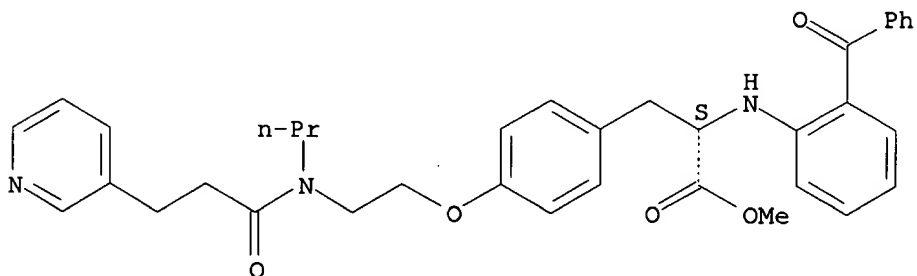
Absolute stereochemistry.



RN 875413-94-8 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[1-oxo-3-(3-pyridinyl)propyl]propylamino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



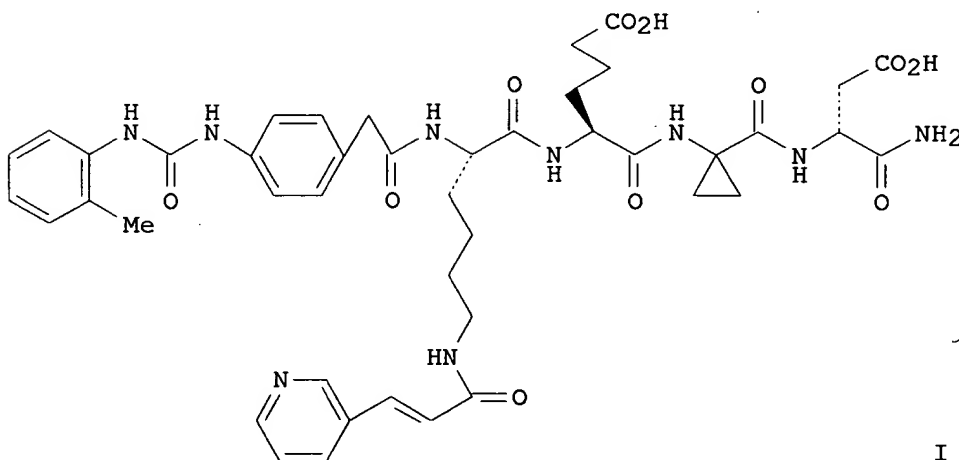
REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:79486 CAPLUS
 DOCUMENT NUMBER: 144:150651
 TITLE: Peptide library-based $\alpha 4 \beta 1$ integrin ligands
 for imaging and therapy
 INVENTOR(S): Lam, Kit S.; Liu, Ruiwu; Peng, Li
 PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: U.S. Pat. Appl. Publ., 92 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006019900	A1	20060126	US 2005-140548	20050526
PRIORITY APPLN. INFO.:			US 2004-575586P	P 20040527
OTHER SOURCE(S):	MARPAT 144:150651			
GI				



AB The invention provides $\alpha 4 \beta 1$ integrin ligands
 $o\text{-R1C6H4NHCONH-p-C6H4CHR2CO-X}$ (R1 is H, alkyl, alkoxy, haloalkyl or halo;
 R2 is H, alkyl or cycloalkyl group; X is a peptide having n independently
 selected amino acids, at least one of which is an unnatural amino acid or
 a D-amino acid; n is 3-20) that display high binding affinity,
 specificity, and stability. Methods are provided for administering the
 ligands for treating cancer, inflammatory and autoimmune diseases and for
 imaging a tumor, organ, or tissue in a subject. Examples describe the
 synthesis of combinatorial peptidomimetics libraries and of ligand I and
 its conjugates with biotin and DOTA. An in vitro binding assay shows
 specific targeting of ligand I to the $\alpha 4 \beta 1$ integrin receptor.

IT 874148-47-7P 874148-49-9P 874148-50-2P
 874148-52-4P 874148-62-6P 874148-63-7P
 874148-64-8P 874148-65-9P

RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

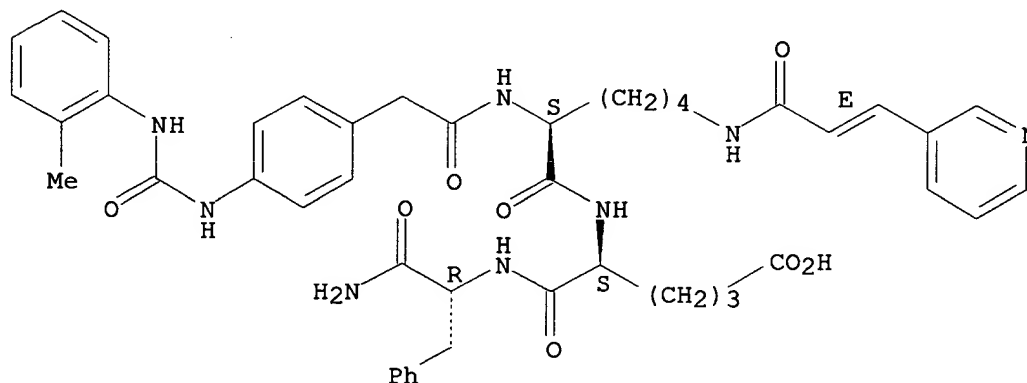
(peptide library-based $\alpha 4\beta 1$ integrin ligands for imaging and therapy)

RN 874148-47-7 CAPLUS

CN D-Phenylalaninamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

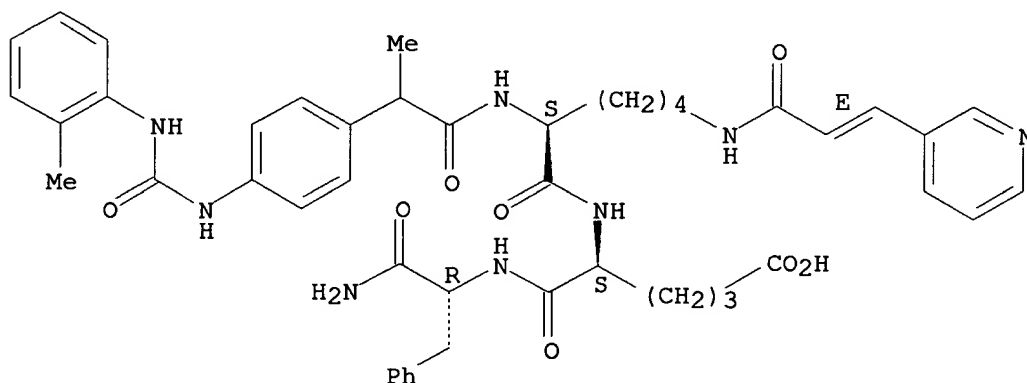


RN 874148-49-9 CAPLUS

CN D-Phenylalaninamide, N2-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-1-oxopropyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

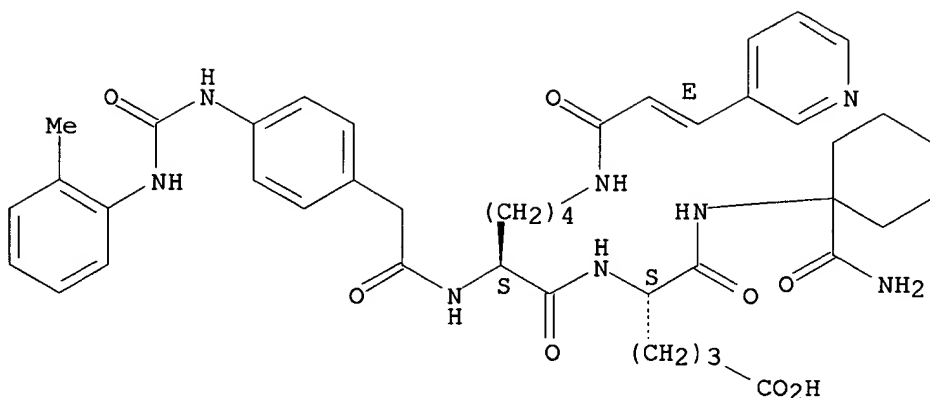


RN 874148-50-2 CAPLUS

CN Cyclohexanecarboxamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl-1-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

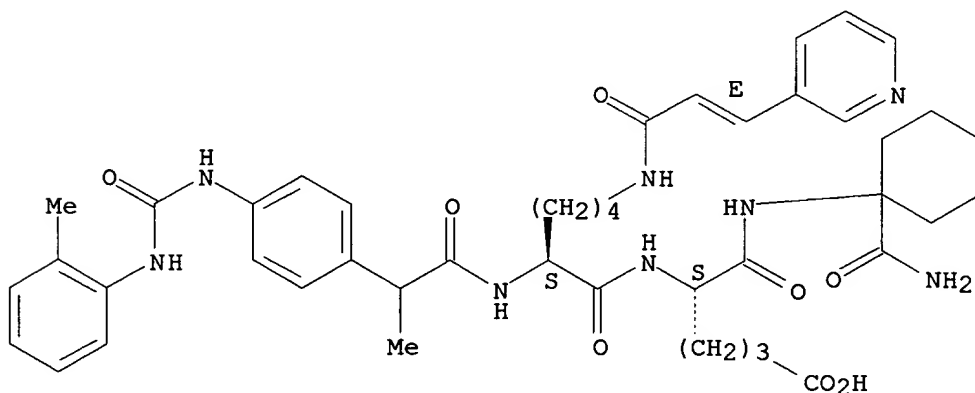
Double bond geometry as shown.



RN 874148-52-4 CAPLUS

CN Cyclohexanecarboxamide, N2-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-1-oxopropyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl-1-amino- (9CI) (CA INDEX NAME)

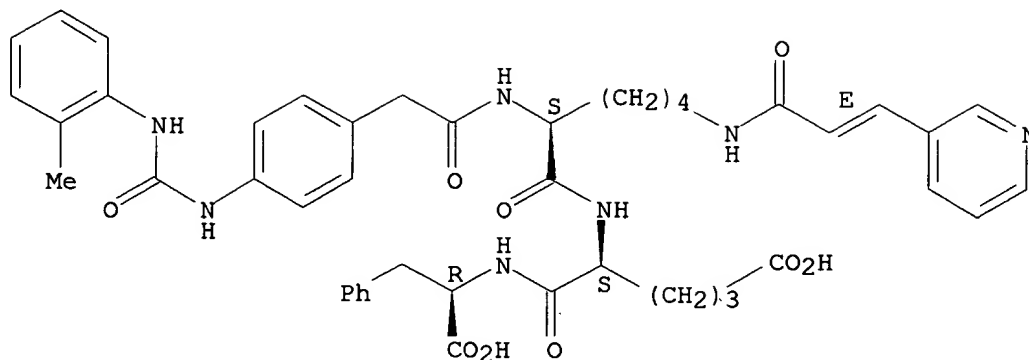
Absolute stereochemistry.
Double bond geometry as shown.



RN 874148-62-6 CAPLUS

CN D-Phenylalanine, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl- (9CI) (CA INDEX NAME)

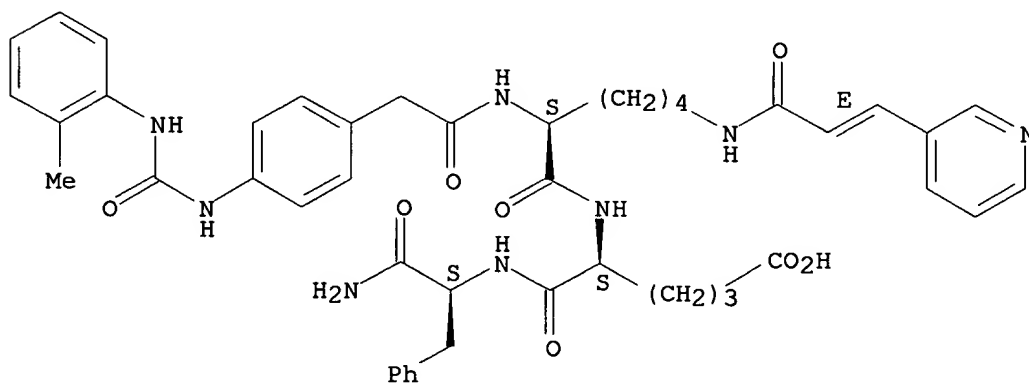
Absolute stereochemistry.
Double bond geometry as shown.



RN 874148-63-7 CAPLUS

CN L-Phenylalaninamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl- (9CI) (CA INDEX NAME)

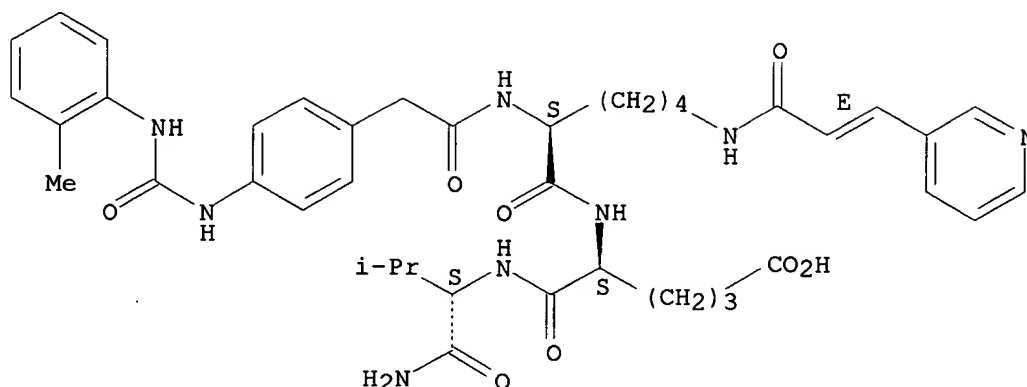
Absolute stereochemistry.
Double bond geometry as shown.



RN 874148-64-8 CAPLUS

CN L-Valinamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

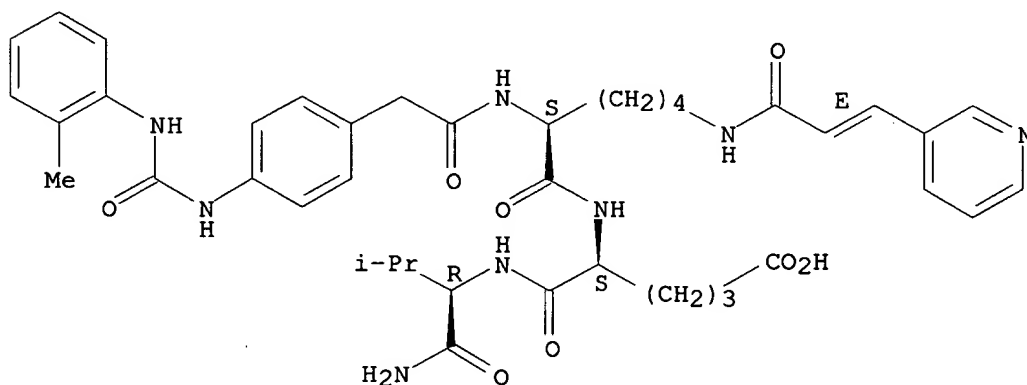


RN 874148-65-9 CAPLUS

CN D-Valinamide, N2-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-lysyl-5-carboxy-L-norvalyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 874149-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

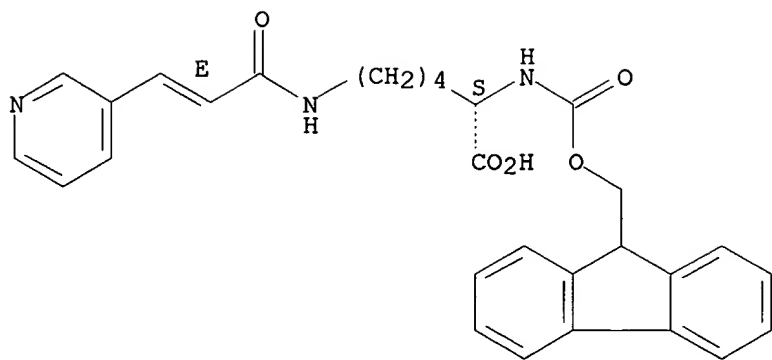
(peptide library-based $\alpha 4 \beta 1$ integrin ligands for imaging and therapy)

RN 874149-20-9 CAPLUS

CN L-Lysine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



126 ANSWER 6 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:31696 CAPLUS

DOCUMENT NUMBER: 144:128969

TITLE: Preparation of pyrazolopyridine derivatives as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α)

INVENTOR(S): Abe, Yoshito; Ohne, Kazuhiko; Sato, Kentaro; Inoue, Makoto; Okumura, Mitsuaki

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004188	A1	20060112	WO 2005-JP12618	20050701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

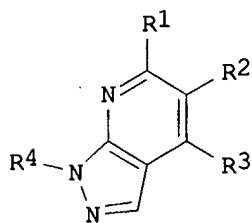
AU 2004-903691

A 20040705

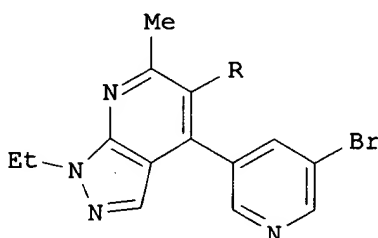
OTHER SOURCE(S):

MARPAT 144:128969

GI



I



II

AB Title compds. I [wherein R1 = (un)substituted alkyl, alkenyl, acyl, etc.; R2 = (un)protected OH, cyano, etc.; R3 = (un)substituted (hetero)aryl, heterocyclyl or (cyclo)alkyl; R4 = alkyl, and pharmaceutically acceptable salts or prodrugs thereof] were prepared as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α). Processes for the preparation of I are disclosed. For instance, oximation of aldehyde II (R = CHO) with hydroxylamine hydrochloride in the presence of pyridine in DMF followed by thermal

dehydration with acetic anhydride gave nitrile II (R = CN). This product showed strong inhibition for PDE-IV and on the production of TNF- α with IC50 values of < 1 μ M and 27.0 nM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of PDE-IV or TNF- α mediated diseases, such as asthma, COPD and hepatitis.

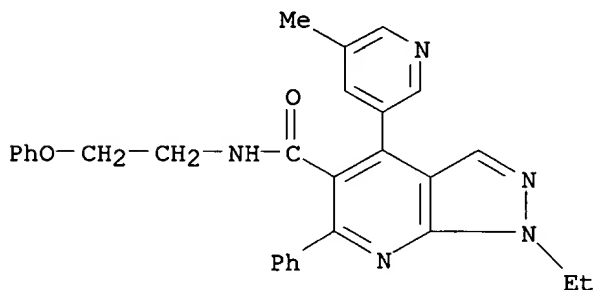
IT **872686-11-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolopyridine derivs. as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α))

RN 872686-11-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-4-(5-methyl-3-pyridinyl)-N-(2-phenoxyethyl)-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:11052 CAPLUS

DOCUMENT NUMBER: 144:108314

TITLE: Preparation of pyrazolopyridine derivatives as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α)

INVENTOR(S): Abe, Yoshito; Ohne, Kazuhiko; Sato, Kentaro; Inoue, Makoto; Okumura, Mitsuaki

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: U.S. Pat. Appl. Publ., 52 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

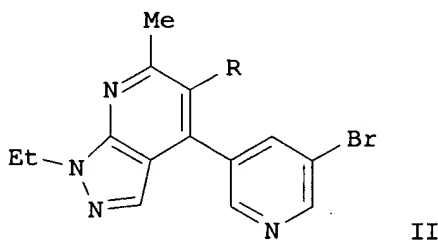
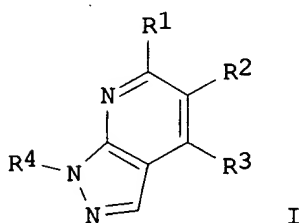
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006004003	A1	20060105	US 2005-171320	20050701
PRIORITY APPLN. INFO.:			EP 2004-903691	A 20040705
OTHER SOURCE(S):	MARPAT	144:108314		

GI



AB Title compds. I [wherein R1 = (un)substituted alkyl, alkenyl, acyl, etc.; R2 = (un)protected OH, cyano, etc.; R3 = (un)substituted (hetero)aryl, heterocyclyl or (cyclo)alkyl; R4 = alkyl, and pharmaceutically acceptable salts or prodrugs thereof] were prepared as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α). Processes for the preparation of I are disclosed. For instance, oximation of aldehyde II (R = CHO) with hydroxylamine hydrochloride in the presence of pyridine in DMF followed by thermal dehydration with acetic anhydride gave nitrile II (R = CN). This product showed strong inhibition for PDE-IV and on the production of TNF- α with IC50 values of < 1 μ M and 27.0 nM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of PDE-IV or TNF- α mediated diseases, such as asthma, COPD and hepatitis.

IT **872686-11-8P**

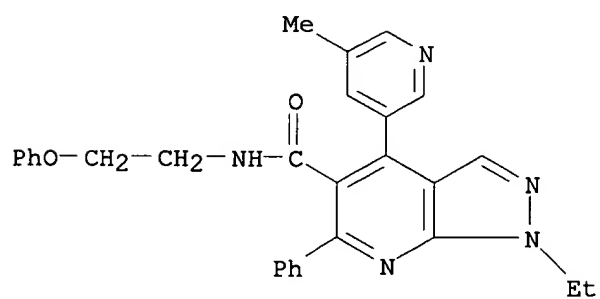
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolopyridine derivs. as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α))

RN 872686-11-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-4-(5-methyl-3-pyridinyl)-

N-(2-phenoxyethyl)-6-phenyl- (9CI) (CA INDEX NAME)



L26 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1354478 CAPLUS

DOCUMENT NUMBER: 144:88561

TITLE: Preparation of amino acid heterocyclic derivatives for treatment of hyperlipidemia and related diseases

INVENTOR(S): Sircar, Jagadish C.; Thomas, Richard J.; Khatuya, Haripada; Nikoulin, Igor

PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

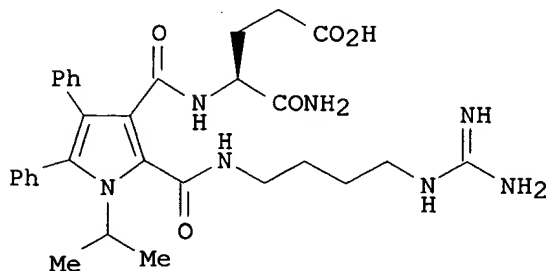
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123686	A1	20051229	WO 2005-US20660	20050609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006009487	A1	20060112	US 2005-149067	20050609
PRIORITY APPLN. INFO.: GI			US 2004-578227P	P 20040609



AB The invention provides compns. adapted to enhance reverse cholesterol transport in mammals and which are suitable for oral delivery and useful in the treatment and/or prevention of hypercholesterolemia, atherosclerosis and associated cardiovascular diseases. Mediators of reverse cholesterol transport comprise a structure having components A, B and C, where A comprises an acidic moiety having an acidic group or a bioisostere, B comprises an aromatic or lipophilic moiety having at least a portion of HMGCoA reductase inhibitor or an analog, and C comprises a

basic moiety having a basic group or bioisostere. An example describes the synthesis of lipophilic group-modified peptide sequence I.TFA based on atorvastatin.

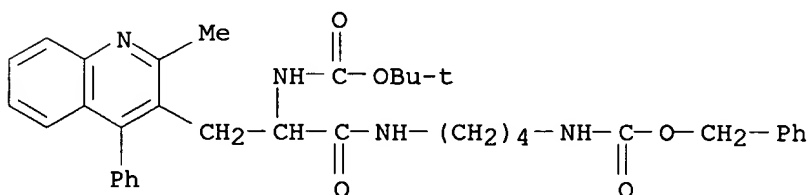
IT 872405-68-0P 872405-69-1P 872405-70-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid heterocyclic derivs. for treatment of hyperlipidemia and related diseases)

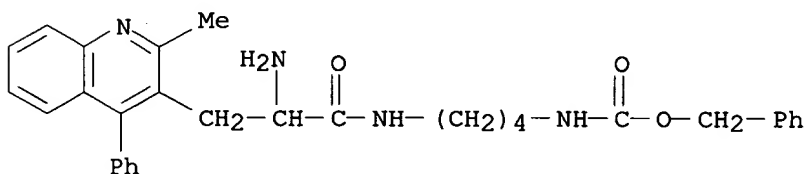
RN 872405-68-0 CAPLUS

CN 12-Oxa-2,7,10-triazatetradecanoic acid, 13,13-dimethyl-9-[(2-methyl-4-phenyl-3-quinolinyl)methyl]-8,11-dioxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



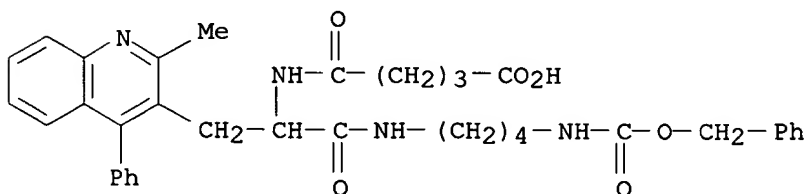
RN 872405-69-1 CAPLUS

CN Carbamic acid, [4-[[2-amino-3-(2-methyl-4-phenyl-3-quinolinyl)-1-oxopropyl]amino]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 872405-70-4 CAPLUS

CN 2-Oxa-4,9,12-triazaheptadecan-17-oic acid, 11-[(2-methyl-4-phenyl-3-quinolinyl)methyl]-3,10,13-trioxo-1-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

RESESSION NUMBER: 2005:1311372 CAPLUS
 DOCUMENT NUMBER: 144:51902
 TITLE: Preparation of traceable amino acid derivatives for
 determination of receptor ligands
 INVENTOR(S): Pattus, Franc Emile Jean; Guillier, Fabrice Yves;
 Hibert, Marcel; Haby, Christel Anne Epouse Franchet;
 Galzi, Jean Luc
 PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique Cnrs,
 Fr.; Universite Louis Pasteur De Strasbourg
 SOURCE: Fr. Demande, 70 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2871465	A1	20051216	FR 2004-6465	20040615
WO 2006003329	A1	20060112	WO 2005-FR1501	20050615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: FR 2004-6465 A 20040615

OTHER SOURCE(S): MARPAT 144:51902

AB The invention relates to amino acid derivs. R4NR1'CH2CH2NR1COCHR2NHCOCH(NH
 COR3)(CH2)1-6NH[CONH(CH2)1-12NH]0-1-A [R1, R1' are independently H, alkyl,
 (un)substituted aryl; R2 is an amino acid side chain; R3 is a group
 derived from a carboxylic acid which has a basic entity; R4 is alkyl or
 alkylphenyl; A is H, a protecting or tracer group, especially a fluorophore, a
 colorant, or a "quencher"] for use in the determination of receptor ligands or
 ligands used for specific affinity binding. Thus, R5CH2CO-Lys(lissamine)-
 Phe-R6 (lissamine represents lissamine rhodamine B sulfonyl, R5 is
 N-methyl-3-pyridineacetyl, R6 is 4-methyl-1-piperazinyl) was prepared as the
 trifluoroacetate salt by the solid-phase method. A fluorimetric method
 for measuring expression of the receptor M1 fused to the protein EGFP is
 described.

IT 871247-98-2P 871248-00-9P 871248-04-3P

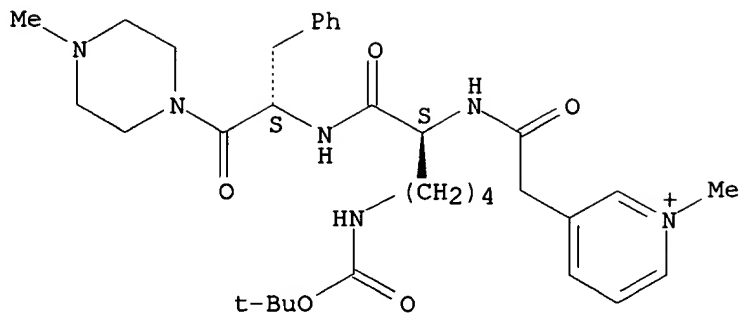
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation); USES (Uses)

(preparation of traceable amino acid derivs. for determination of receptor ligands)

RN 871247-98-2 CAPLUS

CN Piperazine, 1-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(1-methylpyridinium-3-
 yl)acetyl]-L-lysyl-L-phenylalanyl]-4-methyl-, iodide (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

● I⁻

RN 871248-00-9 CAPLUS
 CN Piperazine, 1-[N6-[[4-[3,6-bis(diethylamino)xanthylum-9-yl]-3-sulfophenyl]sulfonyl]-N2-[(1-methylpyridinium-3-yl)acetyl]-L-lysyl-L-phenylalanyl]-4-methyl-, salt with trifluoroacetic acid (1:2) (9CI) (CA INDEX NAME)

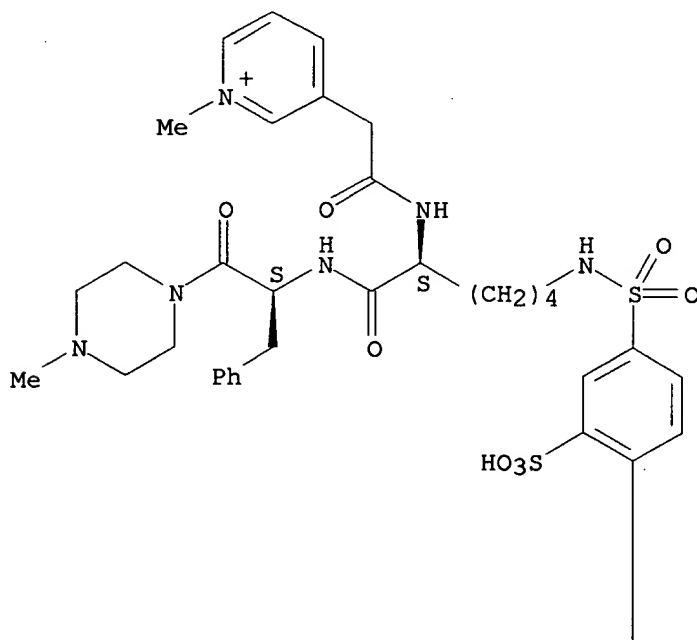
CM 1

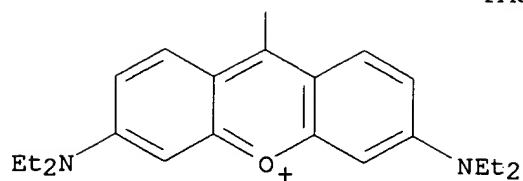
CRN 871247-99-3

CMF C55 H70 N8 O9 S2

Absolute stereochemistry.

PAGE 1-A

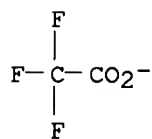




CM 2

CRN 14477-72-6

CMF C2 F3 O2



RN 871248-04-3 CAPLUS

CN Pyridinium, 3-[2-[[[(1S)-5-[[[4-[3,6-bis(diethylamino)xanthylum-9-yl]-3-sulfophenyl]sulfonyl]amino]-1-[[4-[[ethyl[2-[ethyl(phenylmethyl)amino]ethyl]amino]carbonyl]-1-piperidinyl]carbonyl]pentyl]amino]-2-oxoethyl]-1-(phenylmethyl)-, salt with trifluoroacetic acid (1:2) (9CI) (CA INDEX NAME)

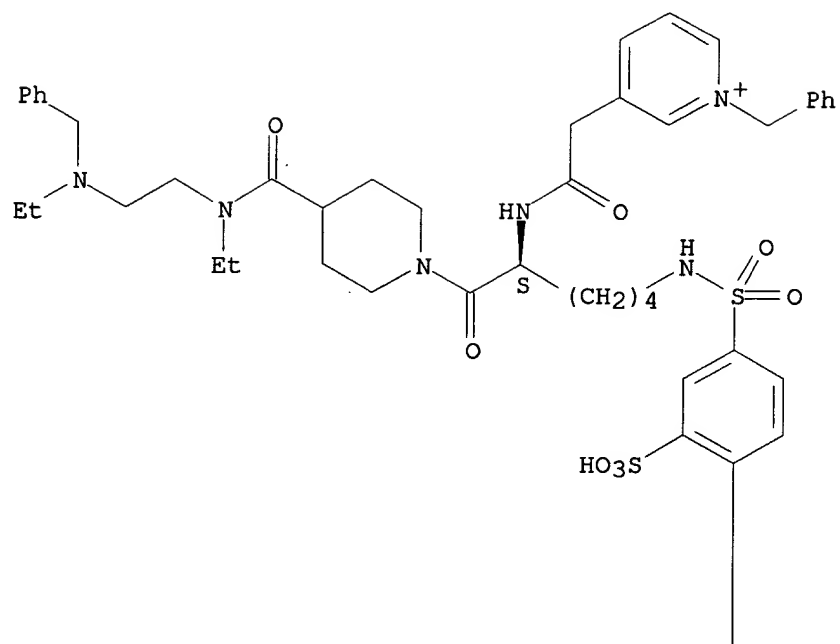
CM 1

CRN 871248-03-2

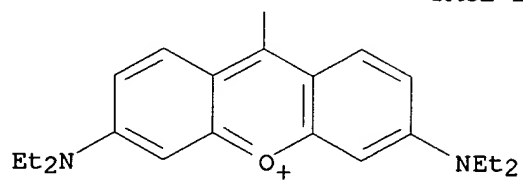
CMF C66 H84 N8 O9 S2

Absolute stereochemistry.

PAGE 1-A



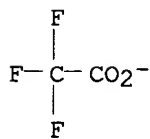
PAGE 2-A



CM 2

CRN 14477-72-6

CMF C2 F3 O2



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1103734 CAPLUS

DOCUMENT NUMBER: 143:386764

TITLE: Preparation of aniline derivatives as kininogenase inhibitors

INVENTOR(S): Tokumasu, Munetaka; Sugiki, Masayuki; Hirashima, Haruko; Matsumoto, Hideki; Yoshimura, Toshihiko; Nogii, Yasuko; Takahashi, Mitsuo; Kitazawa, Manabu; Oonuki, Akiko; Fukuchi, Naoyuki; Shima, Yoichiro

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan; et al.

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095327	A1	20051013	WO 2005-JP6834	20050331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

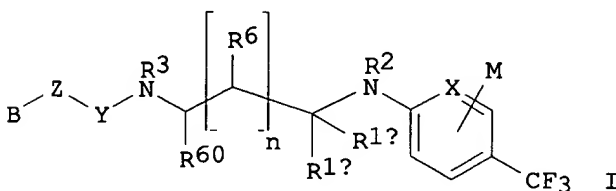
PRIORITY APPLN. INFO.:

JP 2004-107368

A 20040331

OTHER SOURCE(S): MARPAT 143:386764

GI



AB The title compds., e.g. I [X = C, N ; M = H, halo, (un)substituted alkyl, etc.; Z = single bond, CH:CH, CO, etc.; B = H, (un)substituted alkyl, etc.; R3 = H, (un)substituted alkyl, (un)substituted aryl; further detail on R3 is given; Y = CO, SO2; R1a, R1b = H, (un)substituted alkyl, (un)substituted aryl; further detail on R1a and R1b is given; R2 = H, alkyl; further detail related to R1a, R1b and R2 is given; n = 0 or 1; R6 and R60 = H, (un)substituted alkyl, amino, etc.], are prepared Thus, N-((2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]-amino]butyl)-2-phenylacetamide CF3CO2H salt was prepared in 3 steps from 4-trifluoromethyliodobenzene and D-valine. In an in vitro test for tissue kallikrein inhibiting activity, compds. of this invention showed pIC50 values of 6.51 to 7.70. In a test for analgesic activity using mice,

compds. of this invention at 30 mg/kg orally showed activity equal to that of indomethacin at 10 mg/kg orally.

IT 866827-43-2P 866829-59-6P 866829-95-0P

866830-65-1P 866830-70-8P 866830-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aniline derivs. as kininogenase inhibitors)

RN 866827-43-2 CAPLUS

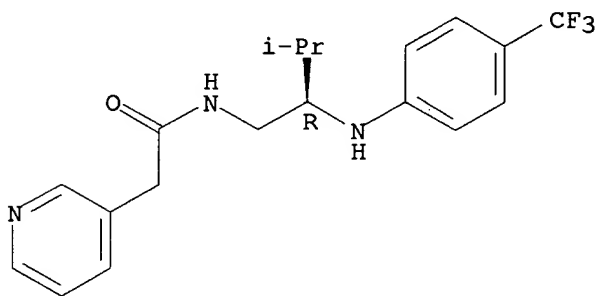
CN 3-Pyridineacetamide, N-[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 866827-42-1

CMF C19 H22 F3 N3 O

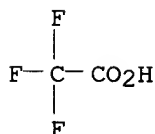
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

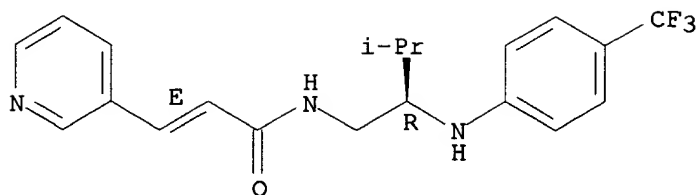


RN 866829-59-6 CAPLUS

CN 2-Propenamide, N-[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

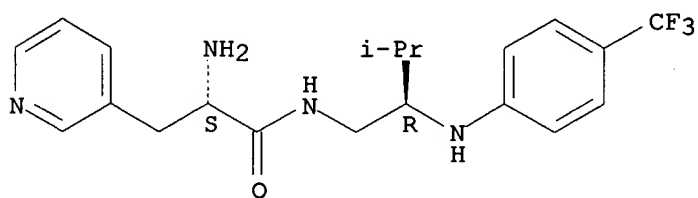
Double bond geometry as shown.



RN 866829-95-0 CAPLUS

CN 3-Pyridinepropanamide, α-amino-N-[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]-, (αS)- (9CI) (CA INDEX NAME)

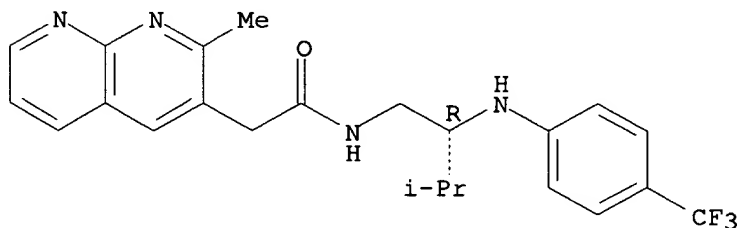
Absolute stereochemistry.



RN 866830-65-1 CAPLUS

CN 1,8-Naphthyridine-3-acetamide, 2-methyl-N-[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]- (9CI) (CA INDEX NAME)

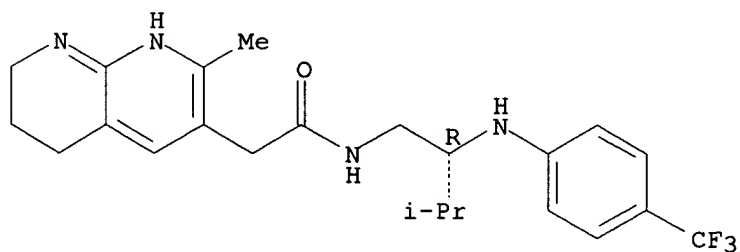
Absolute stereochemistry.



RN 866830-70-8 CAPLUS

CN 1,8-Naphthyridine-3-acetamide, 1,5,6,7-tetrahydro-2-methyl-N-[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

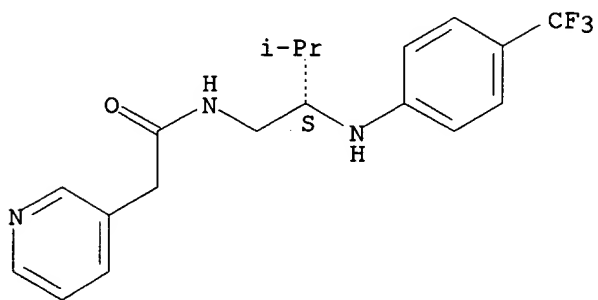


09/596,086

RN 866830-74-2 CAPLUS

CN 3-Pyridineacetamide, N-[(2S)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

L26 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1021606 CAPLUS

DOCUMENT NUMBER: 143:326096

TITLE: Preparation of substituted urea and carbamate, phenacyl-2-hydroxy-3-diaminoalkane, and benzamide-2-hydroxy-3-diaminoalkane aspartyl protease and β -secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease

INVENTOR(S): John, Varghese; Maillard, Michel; Tucker, John; Aquino, Jose; Hom, Roy; Tung, Jay; Dressen, Darren; Shah, Neerav; Neitz, R. Jeffrey

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 532 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087215	A1	20050922	WO 2005-US7775	20050309
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005261273	A1	20051124	US 2005-75292	20050309
PRIORITY APPLN. INFO.:			US 2004-551192P	P 20040309
			US 2004-575829P	P 20040602
			US 2004-591857P	P 20040729
			US 2004-622589P	P 20041028

OTHER SOURCE(S): MARPAT 143:326096

AB The invention is related to compds. of formula $R_2NHCH(R_1)CH(OH)CH_2NHR_c$ (I) [R₁ = (un)substituted benzyl, thien-2-ylmethyl, etc.; R₂ = NH₂ and derivs., SO₂-aryl, hetero/aryl-U, etc.; U = CO, CS, CONH and derivs., etc.; R_c = carbocyclyl or heterocyclyl; with addnl. details given in the claims] particularly acetyl 2-hydroxy-1,3-diaminospirocyclohexanes and derivs., that are useful in treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A- β protein. For example, alkylation of (2R,3S)-3-amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol•2HCl with 4-iodobenzamide gave the corresponding amide. Selected I displayed IC₅₀ values < 5 μ M in a cell free inhibition assay utilizing a synthetic APP substrate that can be cleaved by β -secretase. The selectivity of I for β -secretase vs. cathepsin D for 6 examples of I are tabulated. Brain uptake, total polar surface area and/or lipophilicity for 32 examples of I are tabulated.

IT 865375-16-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

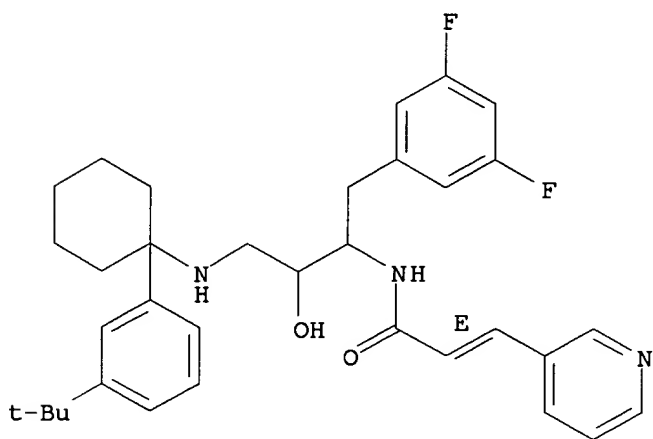
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of as aspartyl protease and β -secretase inhibitors)

RN 865375-16-2 CAPLUS

CN 2-Propenamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-dimethylethyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1004350 CAPLUS

DOCUMENT NUMBER: 143:306176

TITLE: Preparation of heterocyclic compounds as EP2 selective receptor agonists for treating pulmonary hypertension and other conditions

INVENTOR(S): Constan, Alexander A.; Keshary, Prakash; MacLean, David B.; Paralkar, Vishwas M.; Roman, Doina; Thompson, David D.; Wright, Timothy M.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 82 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

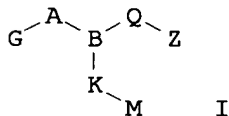
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005203086	A1	20050915	US 2004-793530	20040304
PRIORITY APPLN. INFO.:			US 2004-793530	20040304
OTHER SOURCE(S):	MARPAT	143:306176		

GI



AB The present invention relates to methods of treating pulmonary hypertension, facilitating joint fusion, facilitating tendon and ligament repair, reducing the occurrence of secondary fracture, treating avascular necrosis, facilitating cartilage repair, facilitating bone healing after limb transplantation, facilitating liver regeneration, facilitating wound healing, reducing the occurrence of gastric ulceration, treating hypertension, facilitating the growth of tooth enamel or finger or toe nails, treating glaucoma, treating ocular hypertension, and repairing damage caused by metastatic bone disease using the compds. I [A = SO₂, CO; G = Ar, Ar(alkylene), ArCONH(alkylene), etc.; B = N, CH; Q = alkylene, X(alkylene), X(alkylene), etc.; Z = carboxy, alkoxycarbonyl, tetrazolyl, etc.; K = a bond, alkylene, thioalkylene, etc.; M = Ar₃, Ar₄SAr₅, Ar₄OAr₅, etc.; Ar, Ar₃-Ar₅ = partially saturated or fully unsatd. 5-8 membered ring having 1-4 heteroatoms selected from O, S, N, or a bicyclic ring, tricycling ring, etc.; X = X = 5-6 membered aromatic ring optionally having 1-2 heteroatoms selected from O, N and S], an EP2 selective receptor agonists. Syntheses of representative compds. I and their intermediates are described in several examples. E.g., a 3-step synthesis of 7-[(4-butylbenzyl)-(pyridine-3-sulfonyl)amino]heptanoic acid, starting from Me 7-aminoheptanoate (preparation given) and 4-butylbenzaldehyde, was given. The compds. I were tested for binding to prostaglandin E₂ receptors (data given for exemplified compds. I).

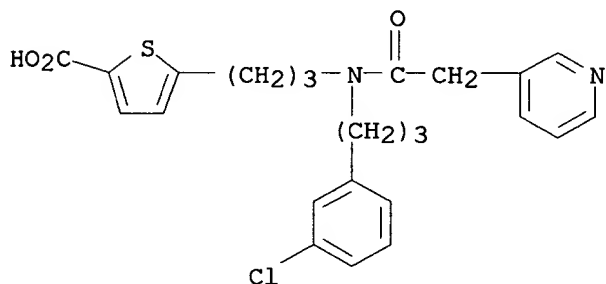
IT 223489-08-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of EP2 selective receptor agonists for treatment of pulmonary hypertension and other conditions)

RN 223489-08-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[[3-(3-chlorophenyl)propyl] (3-pyridinylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)



B26 ANSWER 13 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:962226 CAPLUS

DOCUMENT NUMBER: 143:267242

TITLE: Preparation of peptidyl propenoylhydrazides as protease inhibitors

INVENTOR(S): Powers, James C.; Asgain, Juliana; Ekici, Ozlem Dogan; Gotz, Marion Gabriele; James, Karen Ellis; Li, Zhao Zhao; Rukamp, Brian

PATENT ASSIGNEE(S): Georgia Tech Research Corporation, USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

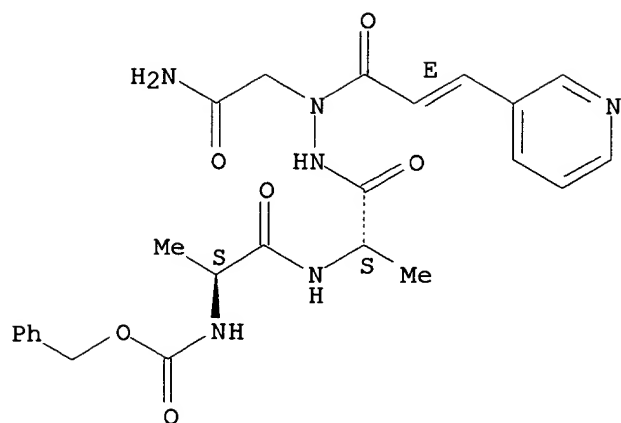
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080353	A1	20050901	WO 2005-US5457	20050218
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
US 2005256058	A1	20051117	US 2005-62017	20050218
PRIORITY APPLN. INFO.:			US 2004-545354P	P 20040218
OTHER SOURCE(S): MARPAT 143:267242				
<p>AB The disclosure provides peptidyl propenoylhydrazides R1NHNR2COC(W):CR3R4 [W is halo, cyano or H; R1 is M1-AA1, M1-AA2-AA1 or M1-AA3-AA2-AA1, where M1 is H, (un)substituted H, (thio)carbamoyl, sulfamoyl, (thio)acyl, sulfonyl or biotinyl and AA1, AA2 and AA3 are (un)blocked amino acid residues; R2 is (un)substituted alkyl, Ph, 2- or 3-furyl or -thienyl, etc.; R3 is 4-morpholine-, 1-piperidine-, 2-isoindoline-, 4-phenyl-1,2,5,6-tetrahydro-1-pyridinyl, 2-isoquinoline-, 1-quinoline- or 1-indolinecarbonyl; R4 is any group given for R3 or H, halo, CN, benzoyl, Ph, CO2H, etc.], including their synthesis and use as protease inhibitors. Thus, Cbz-Ala-Ala-AAsn-CH:CHCO-indoline [AAsn = NHN(CH2CONH2)CO, Cbz = PhCH2O2C] was prepared using the HOBt/EDC coupling method and showed IC50 = 70 nM for inhibition of S. mansoni legumain.</p>				
<p>IT 863648-10-6P</p> <p>RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(preparation of peptidyl propenoylhydrazides as protease inhibitors)</p>				
<p>RN 863648-10-6 CAPLUS</p>				
<p>CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-, 2-(2-amino-2-oxoethyl)-2-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]hydrazide (9CI) (CA INDEX NAME)</p>				

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 14 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:729532 CAPLUS

DOCUMENT NUMBER: 143:194025

TITLE: Preparation of diarylureas as Chk1 kinase inhibitors for treating cancer

INVENTOR(S): Boyle, Robert G.; Imogal, Hassan Julien; Cherry, Michael; Khan, Nawaz Mohammed

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

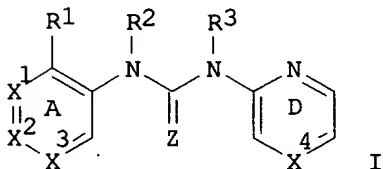
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

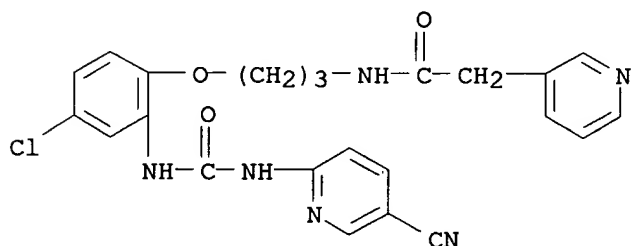
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072733	A1	20050811	WO 2005-US635	20050107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005176733	A1	20050811	US 2005-31544	20050107
PRIORITY APPLN. INFO.:			US 2004-537523P	P 20040120
OTHER SOURCE(S):	MARPAT 143:194025			

GI



AB Title compds. I [X1-3 = CH, N provided X1-3 are not all N; X4 = CH, N; Z = O, S, :N, etc.; A = (un)substituted at any carbon; D = (un)substituted by (halo)aliphatic, alkoxy, thioalkoxy, etc.; R1 = TW, etc.; T = (un)substituted alkylidene; W = carboxamido, aminoacyl, etc.; R2-3 = H, alkyl, etc.; R4 = halo, (thio)alkoxy, CN, etc.] are prepared For instance, 2-Amino-N-[3-[4-chloro-2-[N'-(5-cyanopyridin-2-yl)ureido]phenoxy]propyl]-3-(4-chlorophenyl)propionamide is prepared in several steps from (3-hydroxypropyl)carbamic acid tert-Bu ester, 4-chloro-1-fluoro-2-nitrobenzene, 2-Amino-5-cyanopyridine and Boc-Phe(4-Cl)-OH. Selected examples have provide >50% inhibition of Chk1 kinase at 1.0 μ M; they are useful for the treatment of cancer. Also, I potentiate the action of DNA-damaging agents such as chemotherapy and radiation therapy.

IT **862011-56-1P**, N-[3-[4-Chloro-2-[N'-(5-cyanopyridin-2-yl)ureido]phenoxy]propyl]-2-(pyridin-3-yl)acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of diarylureas as Chk1 kinase inhibitors for treating cancer)
 RN 862011-56-1 CAPLUS
 CN 3-Pyridineacetamide, N-[3-[4-chloro-2-[[[(5-cyano-2-pyridinyl)amino]carbonyl]amino]phenoxy]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

D26 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:696868 CAPLUS

DOCUMENT NUMBER: 143:193798

TITLE: Preparation of diamino alcohols as renin inhibitors

INVENTOR(S): Herold, Peter; Stutz, Stefan; Stojanovic, Aleksandar;
Tschinke, Vincenzo; Marti, Christiane; Quirmbach,
Michael

PATENT ASSIGNEE(S): Speedel Experimenta A.-G., Switz.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070877	A1	20050804	WO 2005-EP50272	20050121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

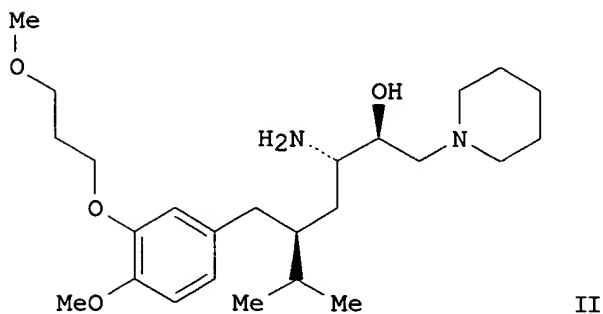
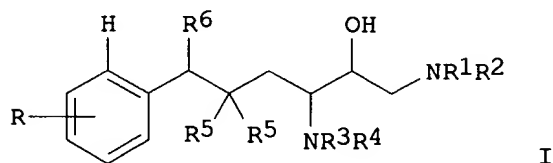
PRIORITY APPLN. INFO.:

CH 2004-94

A 20040123

OTHER SOURCE(S): MARPAT 143:193798

GI



AB Title compds. I [R1 = H, OH, NH₂, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkylsulphonyl, etc. or R1 and R2 together can form with the nitrogen atom that they are attached to a (un)saturated, (un)substituted 4-8 membered heterocycle containing an addnl. N, O or S, etc.; R3 = H, alkoxy-carbonyl, alkanoyl, etc.; R4 = H, alkyl, alkoxy-carbonyl, etc.; R5 independently = H, alkyl or together cycloalkylidene; R6 = H or OH; R = H, halo, alkoxyalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as renin inhibitors. Thus, e.g., II was prepared by coupling of tert-butyl{3(S)-[4-methoxy-3-(3-methoxypropoxy)benzyl]-4-methyl-1(S)-(R)-oxiranylpentyl}-carbamate (preparation given) with piperidine and subsequent deprotection. The activity of I was evaluated in vitro monitoring the reduction of the formation of angiotensin I in different systems (no data). I as renin inhibitor should prove useful in the treatment of hypertension, heart failure and glaucoma. Pharmaceutical compns. comprising I are disclosed.

IT **861900-86-9P**

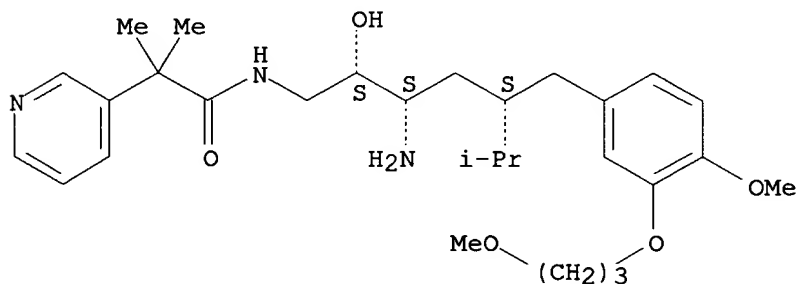
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino alcs. as renin inhibitors)

RN 861900-86-9 CAPLUS

CN 3-Pyridineacetamide, N-[(2S,3S,5S)-3-amino-2-hydroxy-5-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-6-methylheptyl]- α,α -dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:588927 CAPLUS

DOCUMENT NUMBER: 143:115798

TITLE: Preparation of ornithine derivatives as prostaglandin E2 agonists or antagonists

INVENTOR(S): Hattori, Kouji; Fujii, Naoaki; Tanaka, Akira; Washizuka, Kenichi; Sakurai, Minoru; Kuroda, Satoru; Toda, Susumu; Nakajima, Yutaka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061475	A2	20050707	WO 2004-JP19454	20041217
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: AU 2003-907110 A 20031222

OTHER SOURCE(S): MARPAT 143:115798

AB The invention relates to ornithine derivs. R3R6NCH(Y)-X-NR2R5 [X is CO or (CH2)1-3; Y is alkyl or Z-(CH2)1-6, where Z is aryl or acylamino; R2 is (un)substituted alkyl, arylalkyl, alkylthioalkyl or aryl; R3 is an acyl, sulfonyl or alkyl which may be substituted; R5, R6 are independently H or alkyl; or R6 and Y may be linked to form (CH2)2-5] or their pharmaceutically-acceptable salts which are prostaglandin E2 (PGE2) agonists or antagonists for use as medicaments. Thus, sodium 6-[(2S)-2-[(1-benzofuran-2-ylcarbonyl)amino]-5-(benzyloxycarbonylamino)pentanoylamino]hexanoate was prepared by the solid-phase method and showed ≥ 80 % inhibition of PGE2.

IT 857645-23-9P 857645-24-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

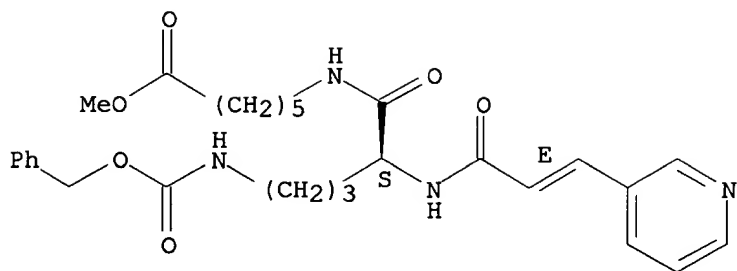
(preparation of ornithine derivs. as prostaglandin E2 agonists or antagonists)

RN 857645-23-9 CAPLUS

CN Hexanoic acid, 6-[[[(2S)-1-oxo-2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-5-[[[phenylmethoxy]carbonyl]amino]pentyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

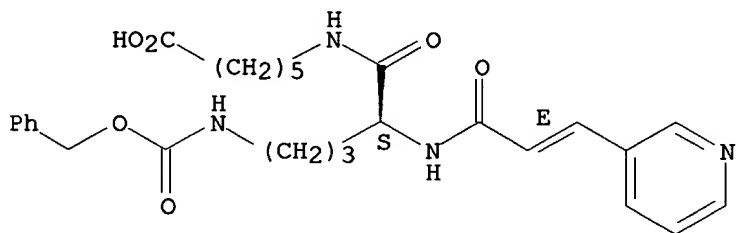


RN 857645-24-0 CAPLUS

CN Hexanoic acid, 6-[[[(2S)-1-oxo-2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-5-[[(phenylmethoxy) carbonyl]amino]pentyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



● Na

~~L26~~ ANSWER 17 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:395446 CAPLUS

DOCUMENT NUMBER: 142:406543

TITLE: TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators

INVENTOR(S): Xu, Wei; Zheng, Wentao; Baly, Deborah Lynn; Galan, Adam Antoni; Ibrahim, Mohamed Abdulkader; Jaeger, Christopher; Kearney, Patrick; Leahy, James William; Lewis, Gary Lee; McMillan, Kirk; Noguchi, Robin Tammie; Nuss, John M.; Parks, Jason Jevious; Schnepf, Kevin Luke; Shi, Xian; Williams, Matthew Alan

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040355	A2	20050506	WO 2004-US35469	20041022
WO 2005040355	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-514377P P 20031024

OTHER SOURCE(S): MARPAT 142:406543

AB The invention provides compds. and methods for inhibition of kinases, such as those of the TAO family, more specifically KIAA1361, TAO, and JIK kinases. The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. Thus, N-(2,3-dihydro-1,4-benzodioxin-2-ylmethyl)-11-oxo-10,11-dihydro-5H-dibenzo[b,d][1,4]diazepine-3-carboxamide was synthesized. This compound exhibited an IC50 with JIK kinase of <50 nM and an IC50 with TAO kinase of between 50 and 500 nM.

IT **850467-83-3**

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators)

RN 850467-83-3 CAPLUS

CN Glycinamide, N-(cyclopentylphenylacetyl)-2-(3-pyridinyl)glycyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

176 ANSWER 18 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:346989 CAPLUS

DOCUMENT NUMBER: 142:411370

TITLE: Preparation of pyridine/pyrimidine derivatives as mGluR1 and mGluR5 ligands useful for treating pain

INVENTOR(S): Gharagozloo, Parviz

PATENT ASSIGNEE(S): Euro-Celtique S.A., Luxembourg

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

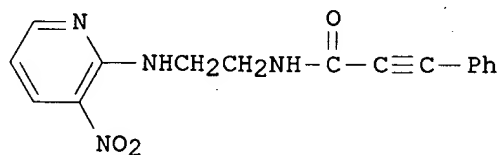
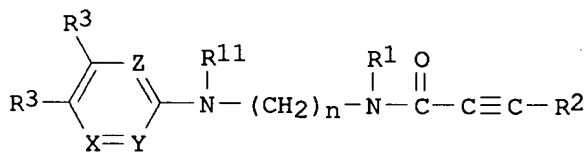
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035500	A2	20050421	WO 2004-US33621	20041011
WO 2005035500	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

US 2003-510810P P 20031009

OTHER SOURCE(S): MARPAT 142:411370

GI



AB Title compds. I [$n = 0-3$; $R1-1' = H$, alkyl, etc.; $R2 = H$, alkyl, alkenyl, etc.; $X = C(H)$, N ; $Y = N$, $C(H)$, $C(NO_2)$, etc.; $Z = C(H)$, N] are prepared. For instance, II is prepared in 3 steps from 2-chloro-3-nitropyridine, N -(tert-butoxycarbonyl)ethylenediamine and phenylpropionic acid. A derivative of II has $IC_{50} = 17 \pm 7.70$ nM for the mGluR5 receptor. I are useful in the treatment of pain.

IT 850257-11-3P

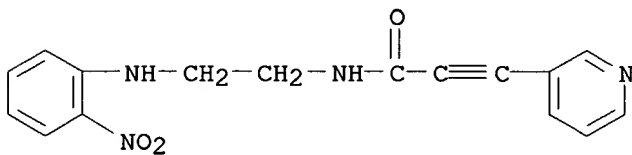
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of pyridine/pyrimidine derivs. as mGluR1 and mGluR5 ligands
useful for treating pain)

RN 850257-11-3 CAPLUS

CN 2-Propynamide, N-[2-[(2-nitrophenyl)amino]ethyl]-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)



~~L26~~ ANSWER 19 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:260091 CAPLUS

DOCUMENT NUMBER: 142:317080

TITLE: Synthesis and use of base-substituted benzylamine analogs for use as coagulation factor Xa inhibitors in the treatment and prophylaxis of cardiovascular diseases and thromboembolic events

INVENTOR(S): Sturzebecher, Jorg; Steinmetzer, Torsten; Schweinitz, Andrea; Sturzebecher, Anne; Donneck, Daniel

PATENT ASSIGNEE(S): Curacyte Chemistry GmbH, Germany

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

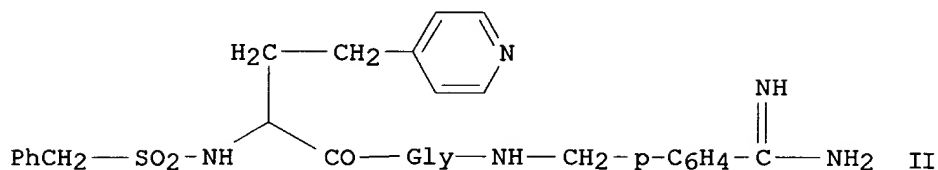
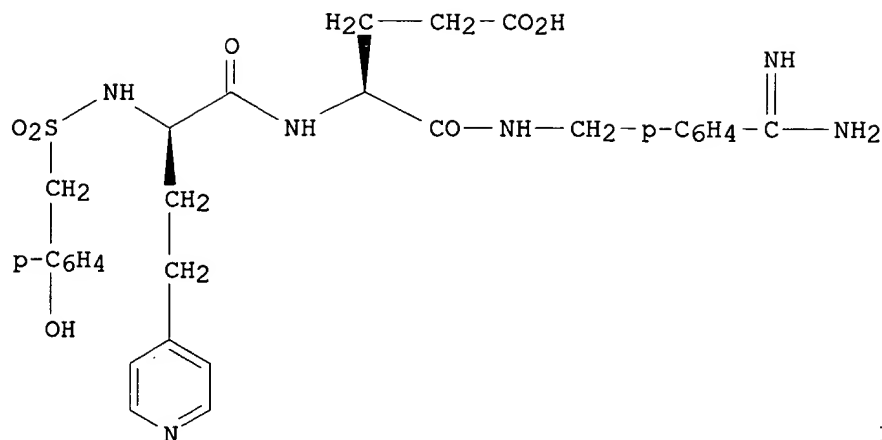
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026198	A1	20050324	WO 2004-EP10225	20040913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10342108	A1	20050414	DE 2003-10342108	20030911
AU 2004272272	A1	20050324	AU 2004-272272	20040913
PRIORITY APPLN. INFO.:			DE 2003-10342108	A 20030911
			WO 2004-EP10225	W 20040913
OTHER SOURCE(S):	MARPAT	142:317080		
GI				



AB The invention relates to novel base-substituted benzylamine compds., e.g. (I), and their use as coagulation factor Xa inhibitors. The invention also relates to the production and use of said analogs in the therapy and prophylaxis of cardiovascular diseases and thromboembolic events. Thus, Boc-Gly-4-(acetyloxamidino)benzylamide was Boc-deprotected, coupled with Boc-DL-homoAla(4-Pyr)-OH, the coupled product BOC-deprotected, coupled with phenylmethylsulfonyl chloride, and the final intermediate N-deoxy-acetylated to give (II). In tests for selectivity of activity against Factor Xa vs. activity against thrombin, I had K_i Factor Xa of 0.0036 μM , against thrombin 100 μM , for a selectivity of 27778.

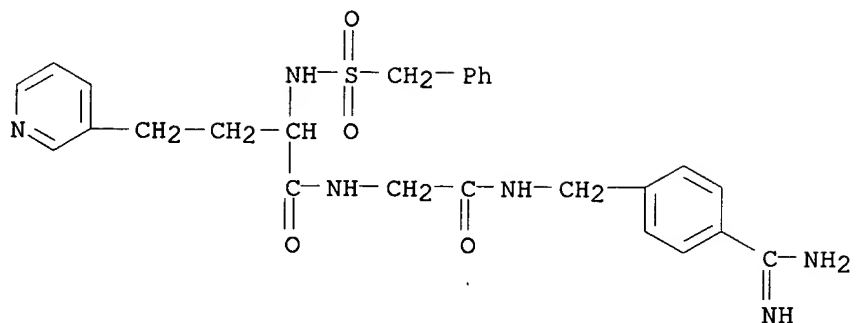
IT **848311-82-0P**

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of base-substituted benzylamine analogs for use as coagulation factor Xa inhibitors in the treatment and prophylaxis of cardiovascular diseases and thromboembolic events)

RN 848311-82-0 CAPLUS

CN 3-Pyridinebutanamide, N-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]- α -[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160840 CAPLUS

DOCUMENT NUMBER: 142:261527

TITLE: Preparation of thienopyridines and furopyridines as protein kinase inhibitors

INVENTOR(S): Betschmann, Patrick; Burchat, Andrew F.; Calderwood, David J.; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin C.; Hrnciar, Peter; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Wada, Carol K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 181 pp.

CODEN: USXXCO

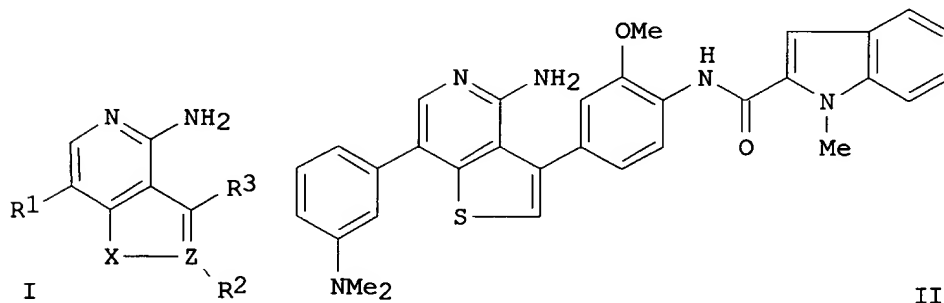
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043347	A1	20050224	US 2004-899168	20040726
PRIORITY APPLN. INFO.:			US 2003-489734P	P 20030724
			US 2004-567703P	P 20040503
OTHER SOURCE(S):	MARPAT 142:261527			
GI				



AB Title compds. I [wherein X = O, S; Z = C or N; R₁ = H, alkenyl, alkoxyalkynyl, aryl, etc.; R₂ = absence, H or alkyl; R₃ = halo, (un)substituted (hetero)aryl or heterocyclyl, and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, urea II was synthesized via Pd-catalyzed coupling reaction of the corresponding 7-iodo-thienopyridine with [3-(dimethylamino)phenyl]boronic acid. Representative compds. I inhibited KDR and Lck at IC₅₀ values of 0.002 μM to 50 μM and 0.03 μM to 50 μM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases.

IT 832699-22-6P 832699-49-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

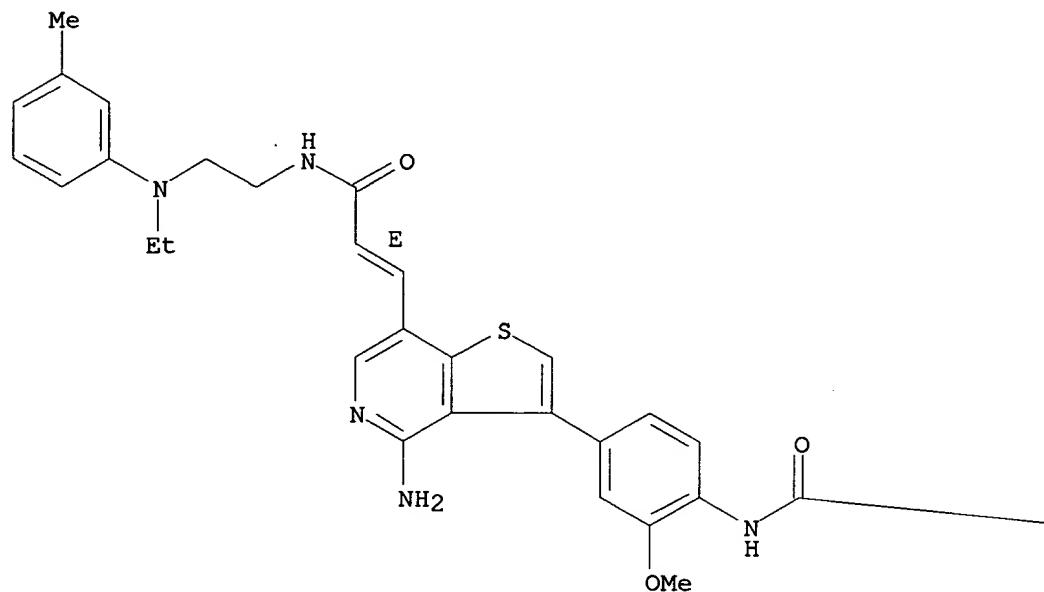
(inhibitor; preparation of thienopyridines and furopyridines as protein kinase inhibitors)

RN 832699-22-6 CAPLUS

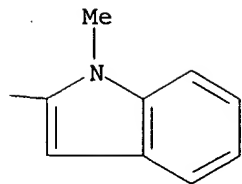
CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-[ethyl(3-methylphenyl)amino]ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

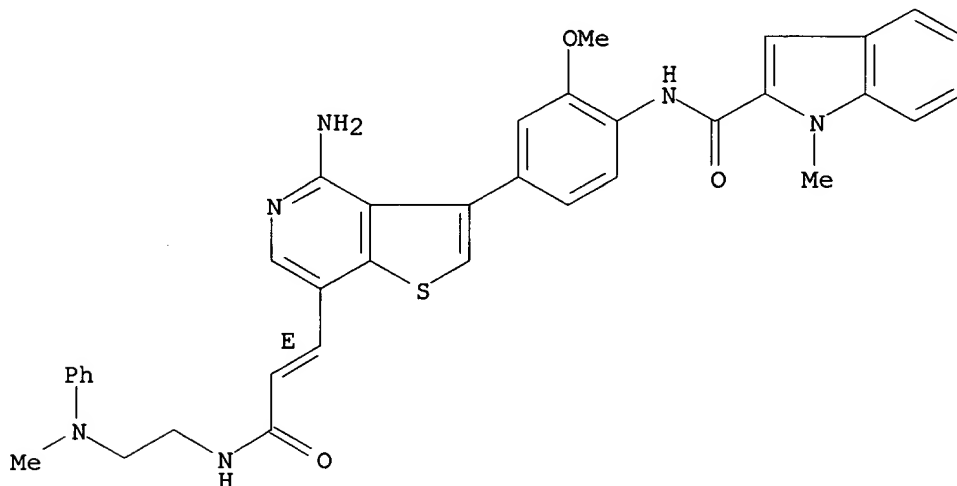


09/596,086

RN 832699-49-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-(methylphenylamino)ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



09/596,086

L26 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:140666 CAPLUS

DOCUMENT NUMBER: 142:210949

TITLE: Artificial receptors, building blocks, and methods

INVENTOR(S): Carlson, Robert E.

PATENT ASSIGNEE(S): Receptors Llc, USA

SOURCE: U.S. Pat. Appl. Publ., 72 pp., Cont.-in-part of Appl.
No. PCT/US03/05328.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005037381	A1	20050217	US 2004-813568	20040329
US 2003203405	A1	20031030	US 2002-244727	20020916
WO 2003074990	A2	20030912	WO 2003-US5328	20030219
WO 2003074990	C2	20040122		
WO 2003074990	A3	20040729		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004137481	A1	20040715	US 2003-703660	20031107
US 2004235051	A1	20041125	US 2003-727059	20031202
US 2005106630	A1	20050519	US 2004-934865	20040903
US 2005118617	A1	20050602	US 2004-934977	20040903
US 2005170385	A1	20050804	US 2004-4593	20041202
US 2006057625	A1	20060316	US 2005-217384	20050901
US 2006051802	A1	20060309	US 2005-223463	20050909
PRIORITY APPLN. INFO.:				US 2002-244727 A2 20020916
				WO 2003-US5328 A2 20030219
				US 2003-459062P P 20030328
				US 2003-499776P P 20030903
				US 2003-499975P P 20030903
				US 2003-500081P P 20030903
				US 2003-526511P P 20031202
				US 2002-360980P P 20020301
				US 2002-362600P P 20020308
				US 2002-375655P P 20020426
				US 2002-400605P P 20020802
				WO 2003-US305328 A2 20030219
				WO 2003-WO305328 A 20030219
				US 2003-499752P P 20030903
				US 2003-499867P P 20030903
				US 2003-499965P P 20030903
				US 2003-526699P P 20031202
				US 2003-526703P P 20031202
				US 2003-526708P P 20031202
				US 2003-527190P P 20031202

US 2004-812850	A2 20040329
US 2004-813568	A2 20040329
US 2004-813612	A2 20040329
WO 2004-US9649	A2 20040329
WO 2004-WO9649	A 20040329
US 2004-607438P	P 20040903
US 2004-607457P	P 20040903
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US 2004-934193	A2 20040903
US 2004-934865	A2 20040903
US 2004-934879	A2 20040903
US 2004-934977	A2 20040903
WO 2004-WO29050	A 20040903
WO 2004-WO29122	A 20040903
US 2004-608557P	P 20040910
US 2004-608654P	P 20040910
US 2004-609160P	P 20040911
US 2004-612666P	P 20040923
US 2004-622086P	P 20041025
US 2004-626770P	P 20041110
US 2004-4593	A2 20041202
US 2005-645582P	P 20050119
US 2005-649729P	P 20050203

AB The present invention relates to artificial receptors and arrays or microarrays of artificial receptors or candidate artificial receptors. Each member of the array includes a plurality of building block compds., which can be immobilized in a spot on a support. The present invention also includes the building blocks, combinations of building blocks, arrays of building blocks, and receptors constructed of these building blocks together with a support. The present invention also includes methods of making and using these arrays and receptors.

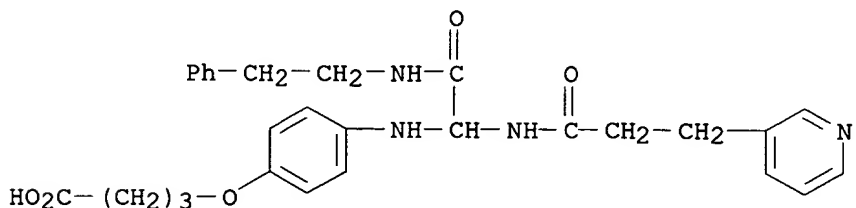
IT **596118-69-3P 596118-78-4P**

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(methods for combinatorial synthesis and use of artificial receptors and building blocks)

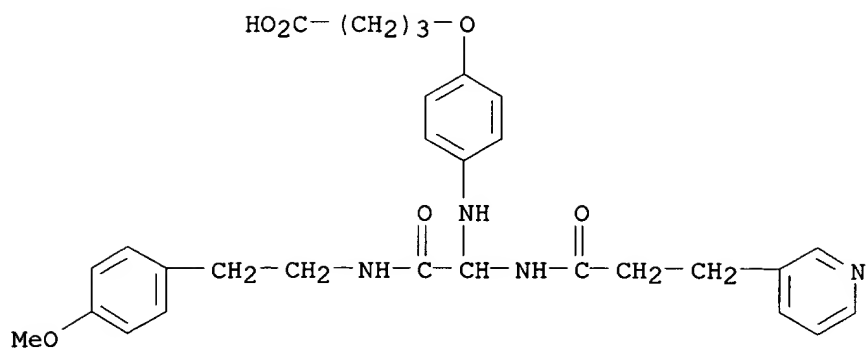
RN 596118-69-3 CAPLUS

CN Butanoic acid, 4-[4-[[2-oxo-1-[[1-oxo-3-(3-pyridinyl)propyl]amino]-2-[(2-phenylethyl)amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 596118-78-4 CAPLUS

CN Butanoic acid, 4-[4-[[2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



09/596,086

~~L26~~ ANSWER 22 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:99165 CAPLUS

DOCUMENT NUMBER: 142:198046

TITLE: Preparation of thienopyridines as protein kinase inhibitors

INVENTOR(S): Betschmann, Patrick; Burchat, Andrew F.; Calderwood, David J.; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin C.; Hrnaiar, Peter; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Wada, Carol K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S. Ser. No. 626,092.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

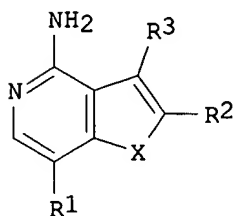
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

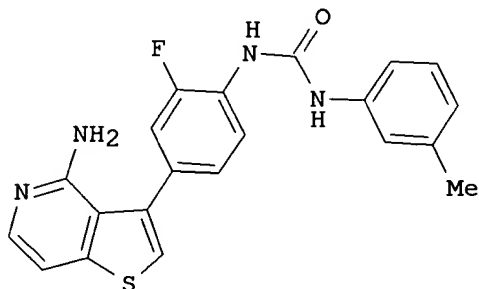
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026944	A1	20050203	US 2004-838132	20040503
US 2005020619	A1	20050127	US 2003-626092	20030724
AU 2004259765	A1	20050203	AU 2004-259765	20040726
CA 2532982	AA	20050203	CA 2004-2532982	20040726
WO 2005010009	A1	20050203	WO 2004-US24003	20040726
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1648905	A1	20060426	EP 2004-779180	20040726
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
PRIORITY APPLN. INFO.:			US 2003-626092	A2 20030724
			US 2004-838132	A 20040503
			WO 2004-US24003	W 20040726

OTHER SOURCE(S): MARPAT 142:198046

GI



I



II

AB Title compds. I [wherein X = O, S; R1 = H, alkenyl, alkoxyalkynyl, aryl, etc.; R2 = H or alkyl; R3 = halo, (un)substituted (hetero)aryl or heterocyclyl, or therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, urea II was synthesized via addition reaction of the corresponding amine (preparation given) with 1-isocyanato-3-methylbenzene. Representative compds. I inhibited KDR and Lck at IC50 values of 0.002 μ M to 50 μ M and 0.06 μ M to 50 μ M, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases.

IT **832699-22-6P 832699-49-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

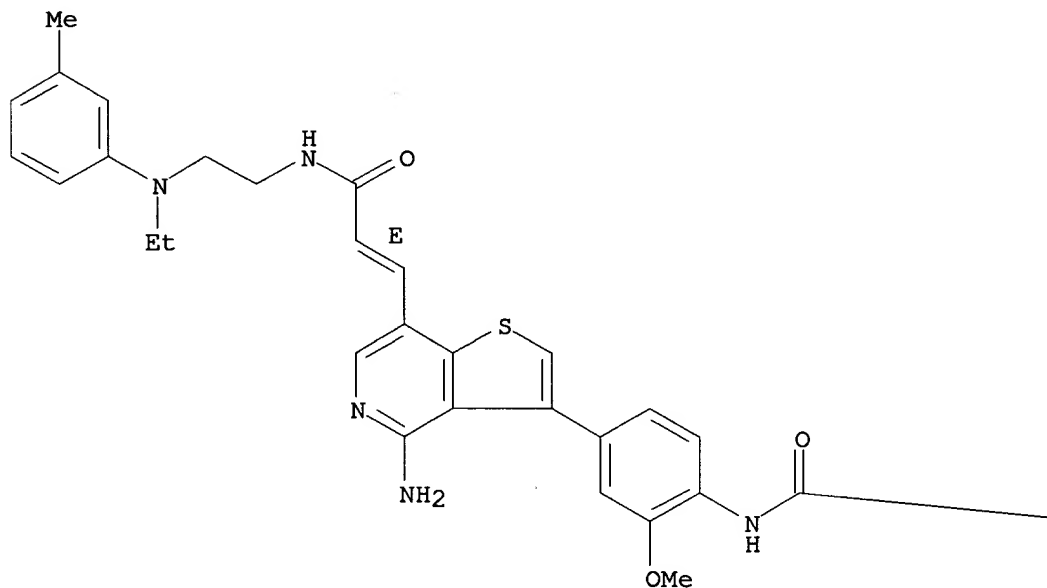
(kinase inhibitor; preparation of thienopyridines as protein kinase inhibitors)

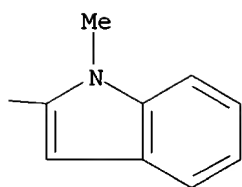
RN 832699-22-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-[ethyl(3-methylphenyl)amino]ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

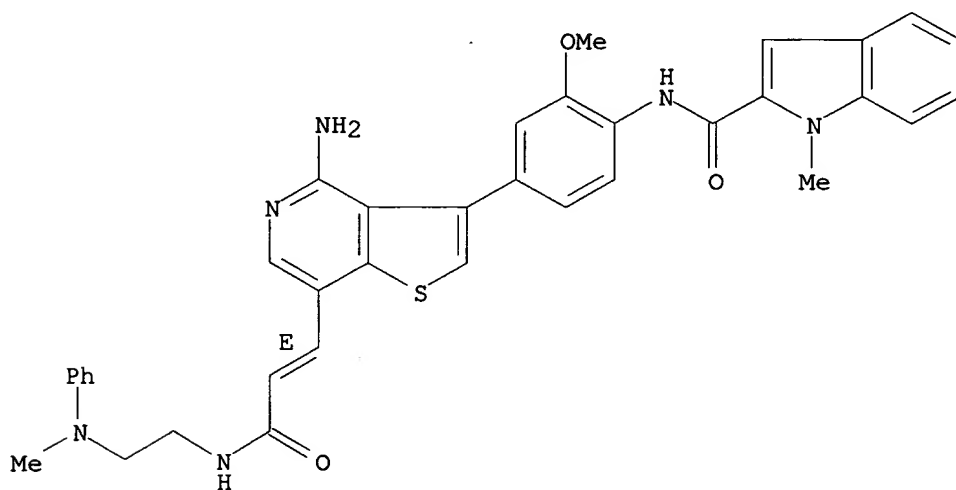




RN 832699-49-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-(methylphenylamino)ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L28 ANSWER 23 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:78240 CAPLUS

DOCUMENT NUMBER: 142:176820

TITLE: Preparation of thienopyridines as protein kinase inhibitors

INVENTOR(S): Betschmann, Patrick; Burchat, Andrew; Calderwood, David; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin; Hrniciar, Peter; Michaelides, Michael; Rafferty, Paul

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

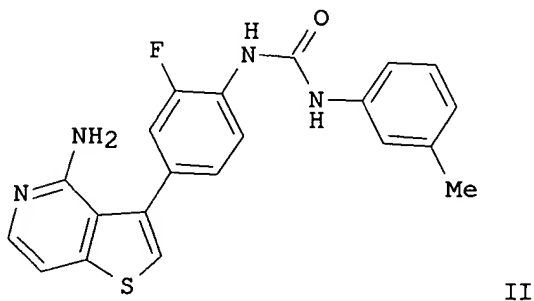
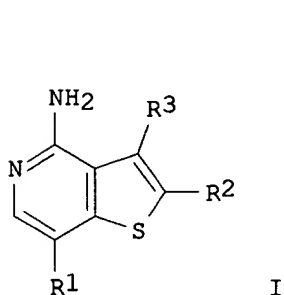
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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US 2005020619	A1	20050127	US 2003-626092	20030724
US 2005026944	A1	20050203	US 2004-838132	20040503
AU 2004259765	A1	20050203	AU 2004-259765	20040726
CA 2532982	AA	20050203	CA 2004-2532982	20040726
WO 2005010009	A1	20050203	WO 2004-US24003	20040726
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EP 1648905	A1	20060426	EP 2004-779180	20040726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-626092	A2 20030724
			US 2004-838132	A 20040503
			WO 2004-US24003	W 20040726

OTHER SOURCE(S): MARPAT 142:176820

GI



AB Title compds. I [wherein R1 = H, nitro, (un)substituted alk(en/yn)yl or amino; R2 = H or alkyl; R3 = halo, (un)substituted (hetero)aryl or heterocyclyl, or therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, urea II was synthesized via addition reaction of the corresponding amine (preparation given) with 1-isocyanato-3-methylbenzene. Exemplified compds. I inhibited KDR and Lck with IC50 values of from 0.004 FM to 50 μ M and from 0.06 μ M to 50 μ M, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases.

IT **832699-22-6P 832699-49-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

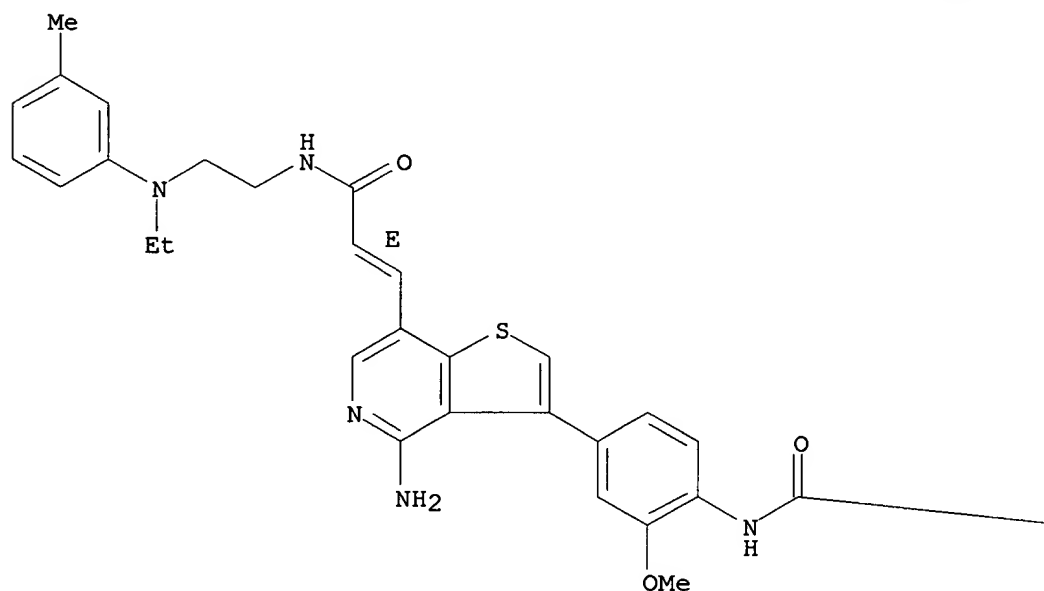
(kinase inhibitor; preparation of thienopyridines as protein kinase inhibitors)

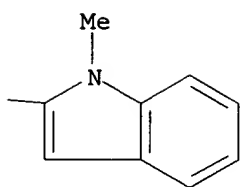
RN 832699-22-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-[ethyl(3-methylphenyl)amino]ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

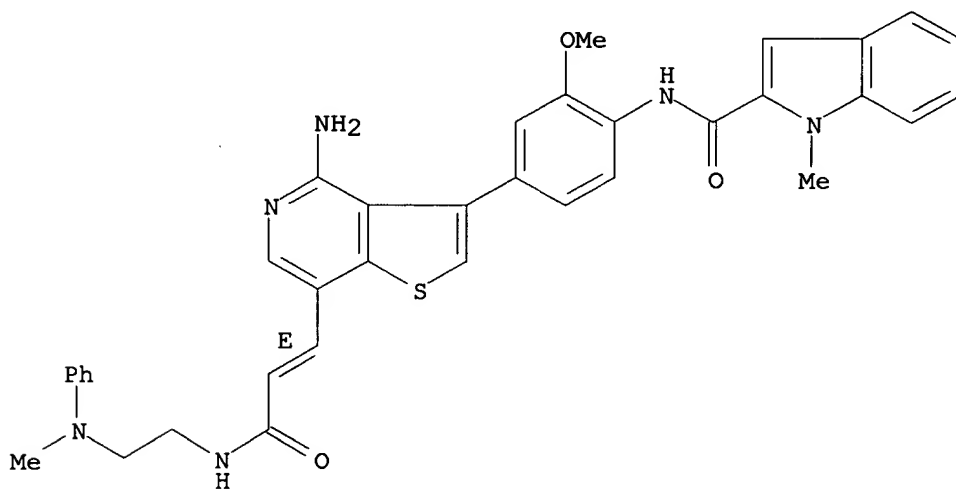




RN 832699-49-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[(1E)-3-[[2-(methylphenylamino)ethyl]amino]-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~L76~~ ANSWER 24 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1059317 CAPLUS

DOCUMENT NUMBER: 142:23305

TITLE: Preparation of trisubstituted heteroaromatic compounds as calcium sensing receptor modulators

INVENTOR(S): Yang, Wu; Dickson, John K.; Cooper, Christopher B.; Dodd, Dharmpal S.; Ruan, Zheming; Schnur, Dora M.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

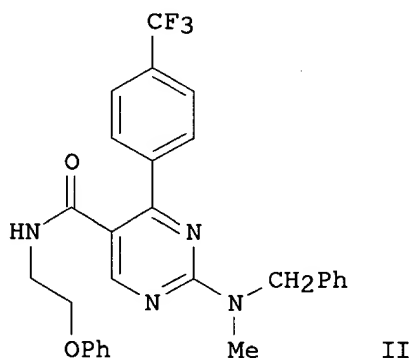
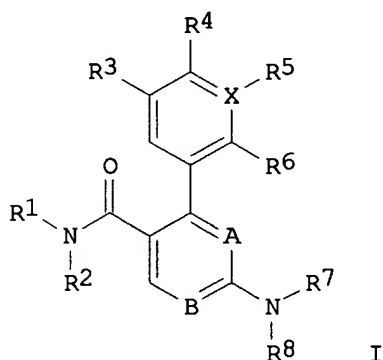
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106296	A2	20041209	WO 2004-US16713	20040527
WO 2004106296	A3	20051222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005004151	A1	20050106	US 2004-854484	20040526
PRIORITY APPLN. INFO.:			US 2003-473904P	P 20030528
OTHER SOURCE(S):	MARPAT 142:23305			
GI				



AB Title compds. I [X = C, N; A, B = CH, N and A and B cannot both be CH; R1 = ArL; R2 = H, alkyl or R1 and R2 can be joined to form a cycloheteroalkyl ring; Ar = (hetero)aryl; L = linking group; R3, R4, R6 = H, alkyl, cycloalkyl, etc.; R4 = alkyl, cycloalkyl, alkenyl, alkynyl, etc.; R7 =

alkyl, cycloalkyl, etc.; R8 = H, alkyl or R7 and R8 can be joined together to form a 4-7 membered cycloheteroalkyl ring] are prepared For instance, II is prepared in 5 steps from pyrazole-1-carboxyimidine and benzylmethylamine. I are calcium-sensing receptor modulators; they are useful for the treatment of diseases associated with abnormal bone or mineral homeostasis.

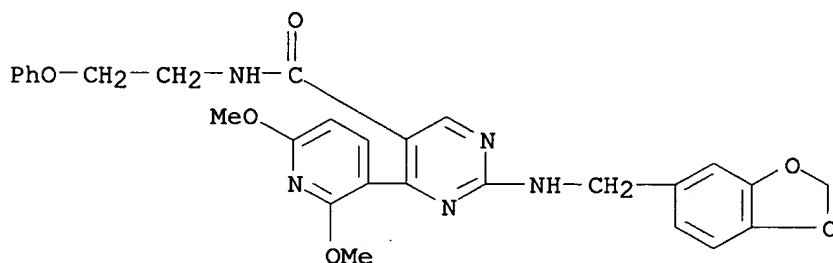
IT 802916-08-1P 802916-09-2P 802916-11-6P
802916-12-7P 802916-14-9P 802916-17-2P
802916-18-3P 802916-19-4P 802916-20-7P
802916-21-8P 802916-22-9P 802916-23-0P
802916-24-1P 802916-26-3P 802916-32-1P
802916-33-2P 802916-34-3P 802916-35-4P
802916-41-2P 802916-43-4P 802916-46-7P
802916-48-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of trisubstituted heteroarom. compds. as calcium sensing receptor modulators)

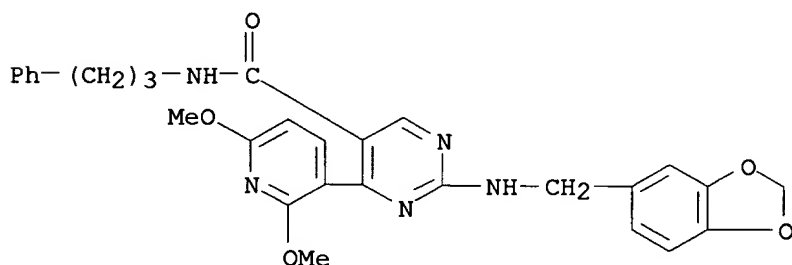
RN 802916-08-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



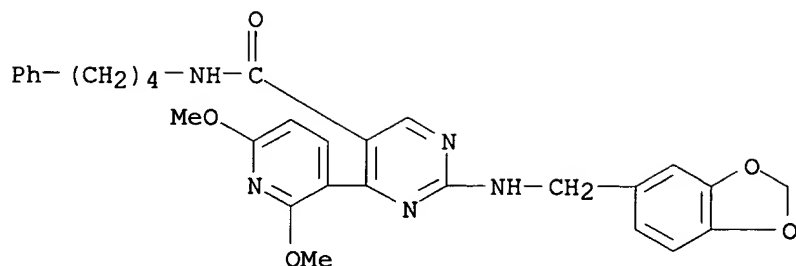
RN 802916-09-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



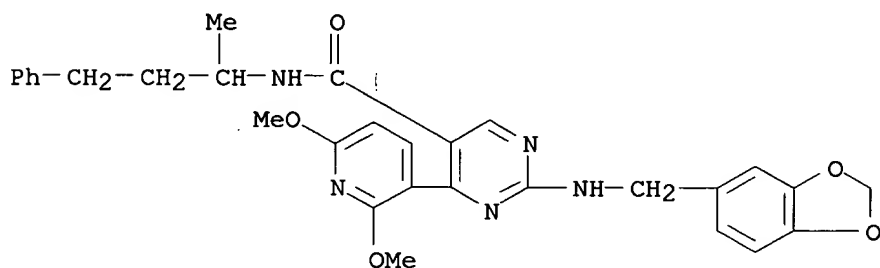
RN 802916-11-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



RN 802916-12-7 CAPLUS

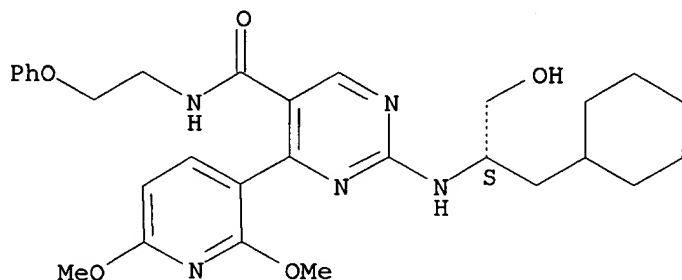
CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(1-methyl-3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 802916-14-9 CAPLUS

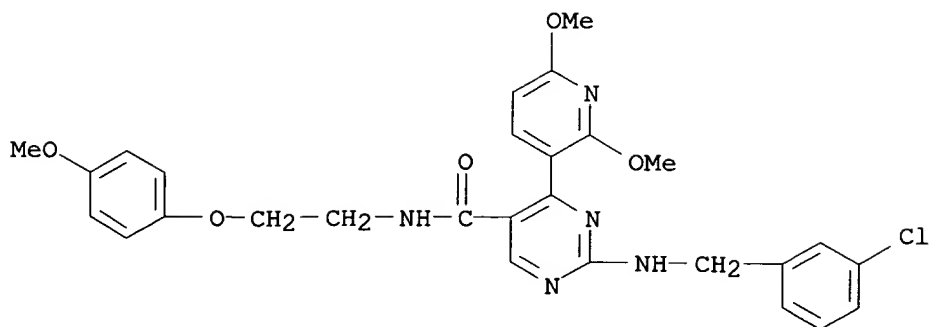
CN 5-Pyrimidinecarboxamide, 2-[[[(1S)-2-cyclohexyl-1-(hydroxymethyl)ethyl]amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



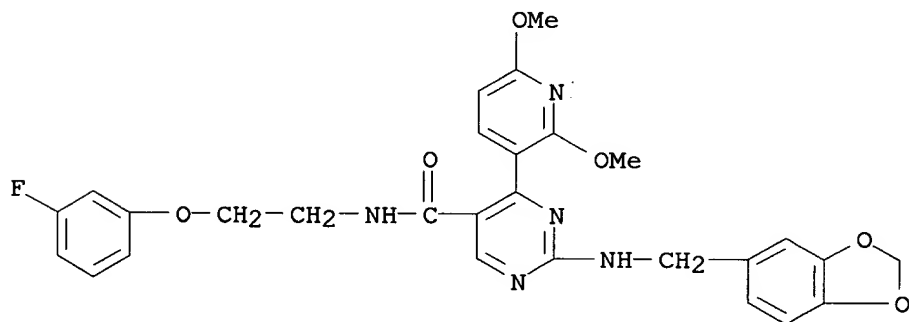
RN 802916-17-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[[(3-chlorophenyl)methyl]amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-[2-(4-methoxyphenoxy)ethyl]- (9CI) (CA INDEX NAME)



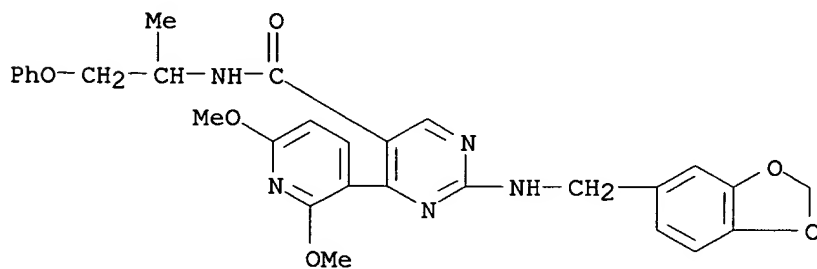
RN 802916-18-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-[2-(3-fluorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



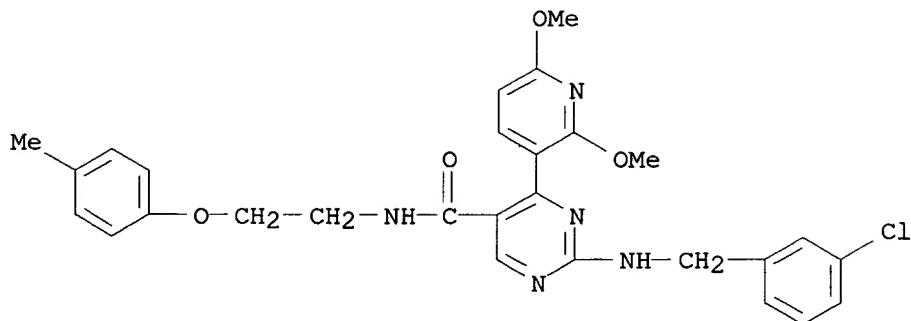
RN 802916-19-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(1-methyl-2-phenoxyethyl)- (9CI) (CA INDEX NAME)



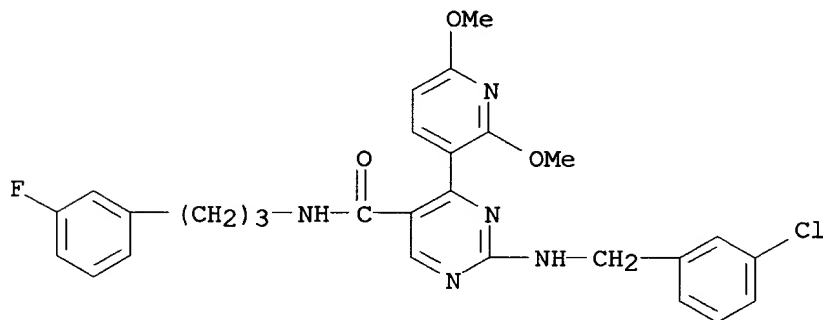
RN 802916-20-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[[(3-chlorophenyl)methyl]amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-[2-(4-methylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 802916-21-8 CAPLUS

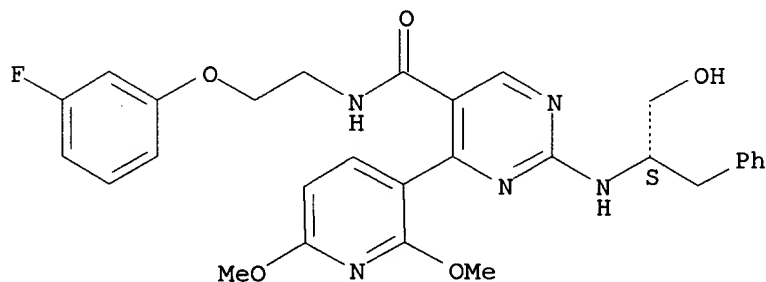
CN 5-Pyrimidinecarboxamide, 2-[[[(3-chlorophenyl)methyl]amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-[3-(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)



RN 802916-22-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,6-dimethoxy-3-pyridinyl)-N-[2-(3-fluorophenoxy)ethyl]-2-[[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]- (9CI) (CA INDEX NAME)

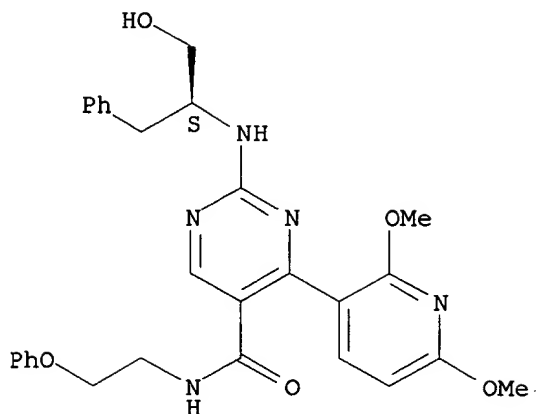
Absolute stereochemistry.



RN 802916-23-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,6-dimethoxy-3-pyridinyl)-2-[[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

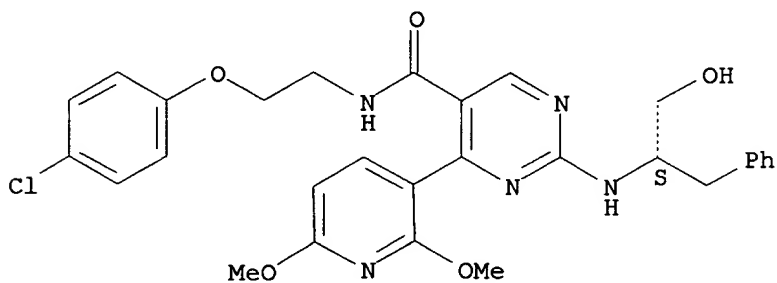
Absolute stereochemistry.



RN 802916-24-1 CAPLUS

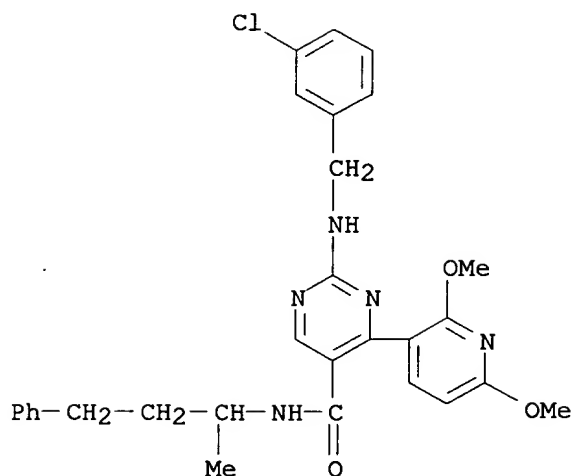
CN 5-Pyrimidinecarboxamide, N-[2-(4-chlorophenoxy)ethyl]-4-(2,6-dimethoxy-3-pyridinyl)-2-[[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



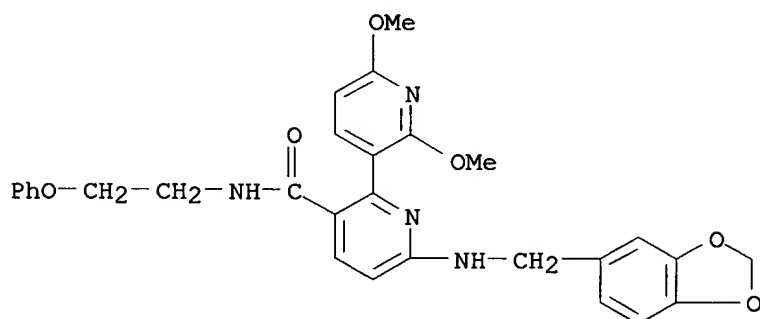
RN 802916-26-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[[(3-chlorophenyl)methyl]amino]-4-(2,6-dimethoxy-3-pyridinyl)-N-(1-methyl-3-phenylpropyl)- (9CI) (CA INDEX NAME)



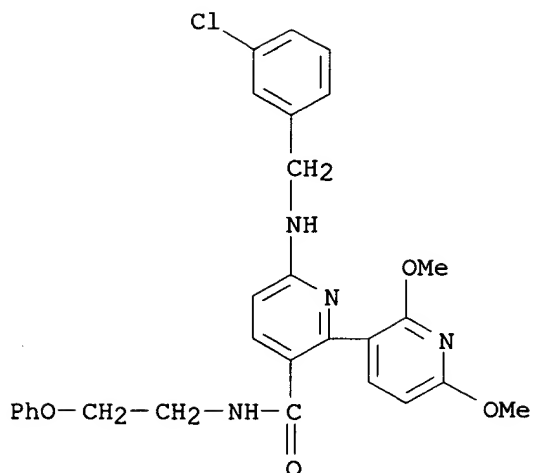
RN 802916-32-1 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-[(1,3-benzodioxol-5-ylmethyl)amino]-2',6'-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



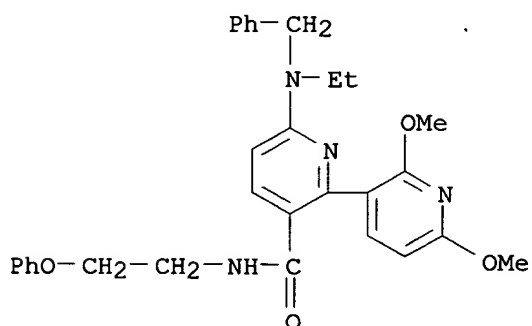
RN 802916-33-2 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-[[3-chlorophenyl)methyl]amino]-2',6'-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



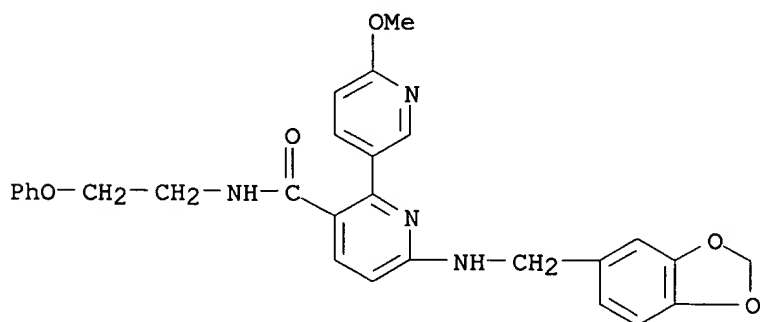
RN 802916-34-3 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-[ethyl(phenylmethyl)amino]-2',6'-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



RN 802916-35-4 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-[(1,3-benzodioxol-5-ylmethyl)amino]-6'-methoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

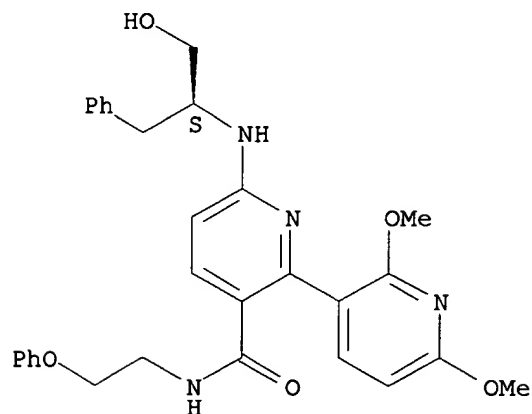


RN 802916-41-2 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-[[[(1S)-1-(hydroxymethyl)-2-(hydroxymethyl)ethyl]amino]-2'-methoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

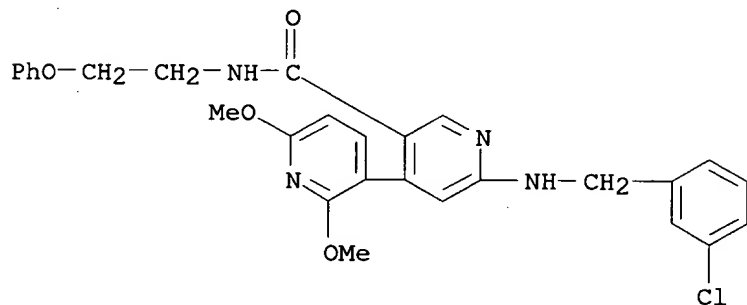
phenylethyl]amino]-2',6'-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



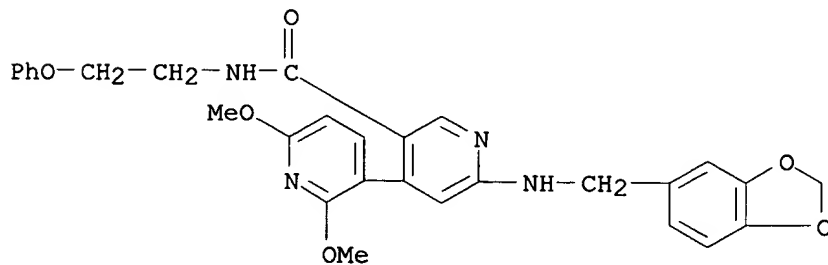
RN 802916-43-4 CAPLUS

CN [3,4'-Bipyridine]-3'-carboxamide, 6'--[[(3-chlorophenyl)methyl]amino]-2,6-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



RN 802916-46-7 CAPLUS

CN [3,4'-Bipyridine]-3'-carboxamide, 6'--[(1,3-benzodioxol-5-ylmethyl)amino]-2,6-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

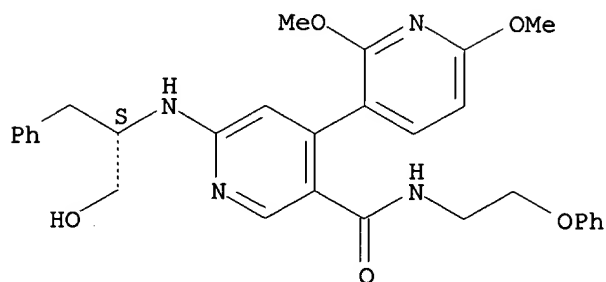


RN 802916-48-9 CAPLUS

CN [3,4'-Bipyridine]-3'-carboxamide, 6'--[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-2,6-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

NAME)

Absolute stereochemistry.



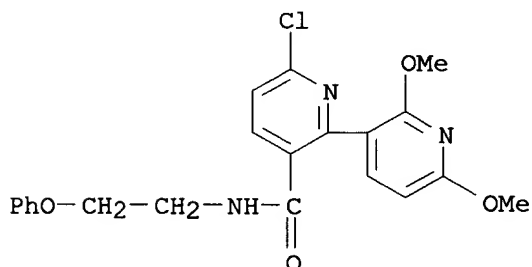
IT 802916-69-4P 802916-75-2P 802916-76-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of trisubstituted heteroarom. compds. as calcium sensing receptor modulators)

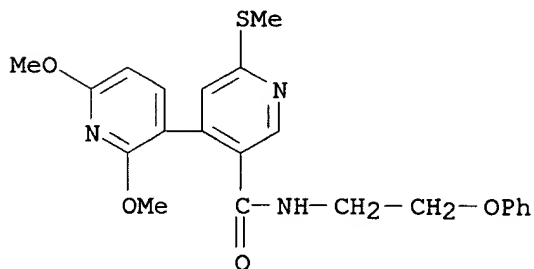
RN 802916-69-4 CAPLUS

CN [2,3'-Bipyridine]-3-carboxamide, 6-chloro-2',6'-dimethoxy-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



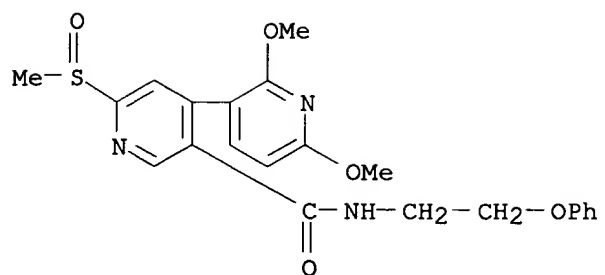
RN 802916-75-2 CAPLUS

CN [3,4'-Bipyridine]-3'-carboxamide, 2,6-dimethoxy-6'-(methylthio)-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



RN 802916-76-3 CAPLUS

CN [3,4'-Bipyridine]-3'-carboxamide, 2,6-dimethoxy-6'-(methylsulfinyl)-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



126 ANSWER 25 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1033547 CAPLUS

DOCUMENT NUMBER: 142:19250

TITLE: Crystal structure of coagulation factor XIa-inhibitor complexes yield a pharmacophore structure useful for the design of compounds for treatment of thrombosis

INVENTOR(S): Abdel-Meguid, Sherin S.; Babine, Robert E.; Deng, Hongfeng; Jin, Lei; Lin, Jian; Magee, Scott R.; Meyers, Harold V.; Pandey, Pramod; Rynkiewicz, Michael J.; Weaver, David T.

PATENT ASSIGNEE(S): Suntory Pharmaceutical Research Laboratories LLC, USA

SOURCE: PCT Int. Appl., 925 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103270	A2	20041202	WO 2004-US10349	20040402
WO 2004103270	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005143317 A1 20050630 US 2004-817248 20040402

PRIORITY APPLN. INFO.: US 2003-459910P P 20030402

OTHER SOURCE(S): MARPAT 142:19250

AB The present invention provides compds. that inhibit blood coagulation factor XIa and methods of preventing or treating undesired thrombosis by administering a compound of the invention to a mammal. To facilitate the identification and/or design of high affinity inhibitors for factor XIa, several three-dimensional structures of the human factor XIa catalytic domain (XIcat) bound to a ligand were determined by x-ray diffraction crystallog. A series of amino acid substitution mutants that alter the ability of recombinant human factor XI to be glycosylated in the host and to improve crystallization are also provided. These structures are used to homol.

model the structure of other candidate inhibitors with XIcat. In addition, the methods described for the crystallization and structural determination of complexes of

XIcat with a ligand are used to exptl. determine the structure of other ligands bound to XIcat. This structural information is used to identify functional groups within a ligand that can be modified to increase the affinity and selectivity of the ligand for factor XIa or to identify functional groups within the ligand that can be modified to increase the bioavailability of the ligand without adversely affecting its affinity for factor XIa. In addition to providing compds. designed based on the structure of XIcat, the present invention includes a class of peptidomimetics and non-peptides that inhibit the activity of factor XIa, and thus useful for

treating or preventing diseases for which inhibition of factor XIa is desirable.

IT **776305-69-2P 776305-70-5P**

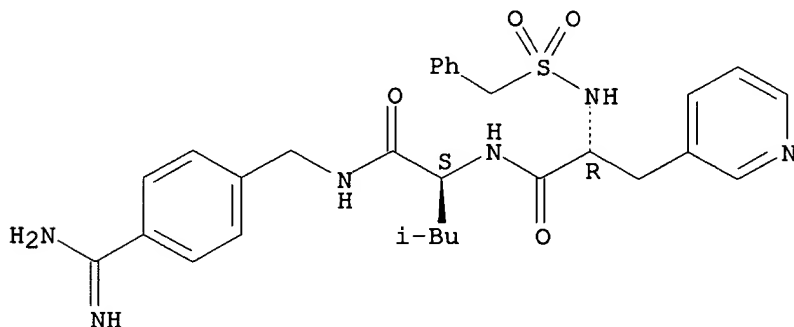
RL: SPN (Synthetic preparation); PREP (Preparation)

(crystal structure of coagulation factor XIa-inhibitor complexes yield a pharmacophore structure useful for the design of compds. for treatment of thrombosis)

RN 776305-69-2 CAPLUS

CN L-Leucinamide, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-D-alanyl-N-[[4-(aminoiminomethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

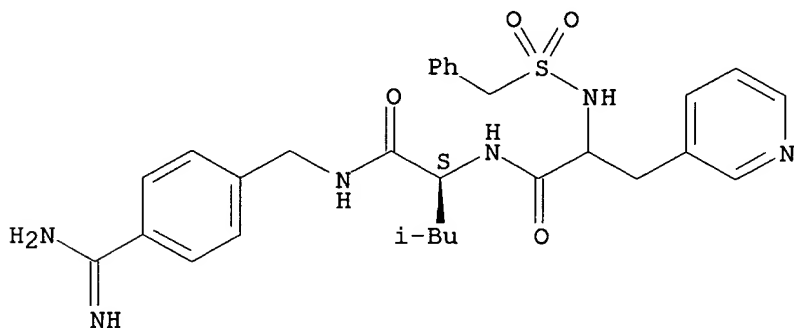
Absolute stereochemistry.



RN 776305-70-5 CAPLUS

CN L-Leucinamide, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)alanyl-N-[[4-(aminoiminomethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 26 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

RECESSION NUMBER: 2004:927201 CAPLUS

DOCUMENT NUMBER: 141:395188

TITLE: Preparation of phenacyl-substituted
2-hydroxy-3-diaminoalkanes as inhibitors of
 β -secretase

INVENTOR(S): Aquino, Jose; John, Varghese; Tucker, John A.; Hom,
Roy; Pulley, Shon; Tenbrink, Ruth

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
Company

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

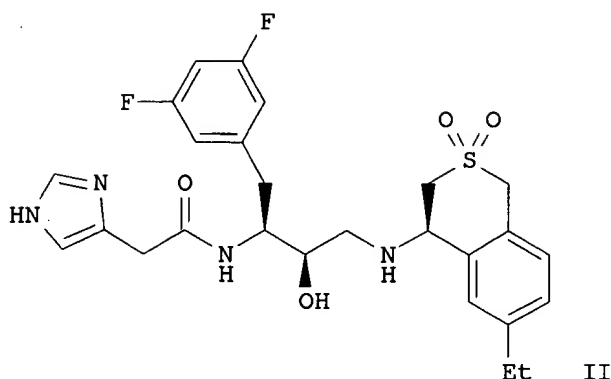
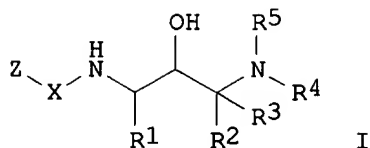
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094413	A1	20041104	WO 2004-US12384	20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2522805	AA	20041104	CA 2004-2522805	20040421
US 2005054690	A1	20050310	US 2004-828582	20040421
EP 1615915	A1	20060118	EP 2004-760106	20040421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-464676P	P 20030421
			WO 2004-US12384	W 20040421
OTHER SOURCE(S):	MARPAT 141:395188			
GI				



AB Title compds. I [Z = divalent (un)substituted alkyl; X = CO, SO₂; R₁ = alkyl; R₂₋₃ = H, F, alkyl, etc.; R₄ = alkyl, cycloalkyl, etc.; R₅ = H, alkyl, alkoxy, etc.] are prepared For instance, the preparation of II from (R)-7-bromo-1,2,3,4-tetrahydro-1-naphthylamine•HCl (preparation given) is described in general procedures. I are inhibitors of β-secretase and useful for the treatment of Alzheimer's disease and other similar diseases and other diseases characterized by deposition of Aβ peptide.

IT **785829-57-4P**

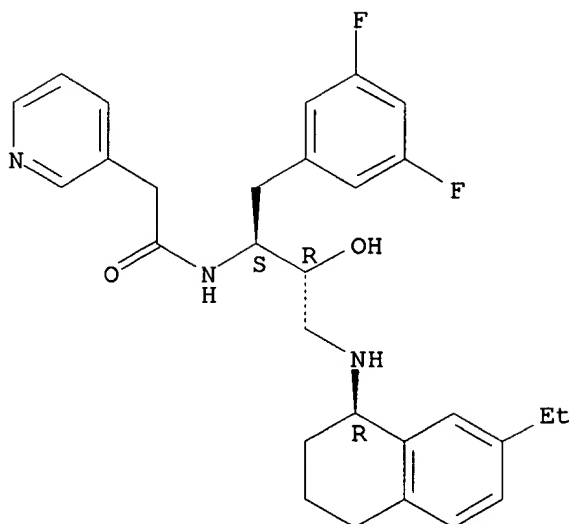
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of β-secretase)

RN 785829-57-4 CAPLUS

CN 3-Pyridineacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(1R)-7-ethyl-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-hydroxypropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

L26 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:902333 CAPLUS

DOCUMENT NUMBER: 141:379916

TITLE: Novel hydroxamates as histone deacetylase inhibitors, process for their preparations, pharmaceutical compositions and uses in the treatment of cancer and hepatitis C

INVENTOR(S): Verner, Eric J.; Sendzik, Martin; Baskaran, Chitra; Buggy, Joseph J.; Robinson, James

PATENT ASSIGNEE(S): Axys Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

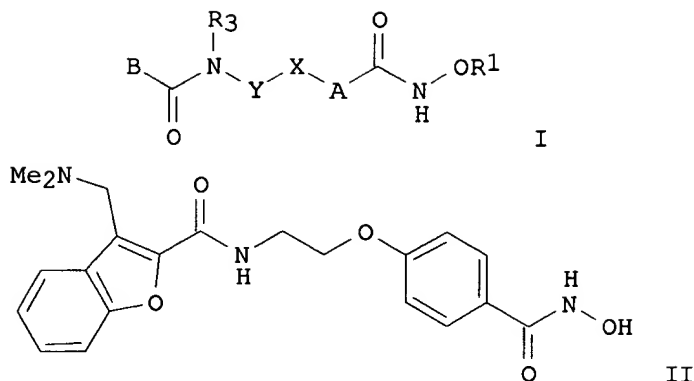
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092115	A2	20041028	WO 2004-US10549	20040406
WO 2004092115	A3	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004230889	A1	20041028	AU 2004-230889	20040406
CA 2521647	AA	20041028	CA 2004-2521647	20040406
US 2005187261	A1	20050825	US 2004-818755	20040406
EP 1611088	A2	20060104	EP 2004-749791	20040406
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004009227	A	20060328	BR 2004-9227	20040406
PRIORITY APPLN. INFO.:			US 2003-461286P	P 20030407
			US 2003-464448P	P 20030421
			WO 2004-US10549	W 20040406
OTHER SOURCE(S):	MARPAT 141:379916			
GI				



AB Title compds. I [wherein X = O, NR₂ or S(O)_n; n = 0-2; R₁, R₂ = H or alkyl; Y = alkyl(thio/sulfinyl/sulfonyl), OH, (un)substituted alkylene, Ph, phenylalkyl(thio/sulfonyl) or phenoxy; A = (un)substituted phenylene or heteroarylene; R₃ = H, (hydroxy)alkyl or (un)substituted phenyl; B = (hetero)(aryl/aralkyl), (hetero)aralkenyl, (hetero)cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared. Pharmaceutical compns. comprising I and processes for the preps. of I and their intermediates are disclosed. Compds. I are inhibitors of histone deacetylase (HDAC) and therefore are useful in the treatment of diseases associated with HDAC activity, such as cancer. They are also useful in the treatment of hepatitis C. In the biol. tests, I were found to inhibit the growth of HCT116 tumor cells, and most of them had K_i values of <40 nM against HDAC. Thus, hydroxamate II was synthesized in six steps starting from 3-methyl-benzofuran-2-carboxylic acid, via esterification with methanol, NBS bromination, substitution of the bromide with dimethylamine, ester hydrolysis, coupling with Me 4-(2-aminoethoxy)benzoate and condensation with hydroxylamine.

IT **783353-35-5P**

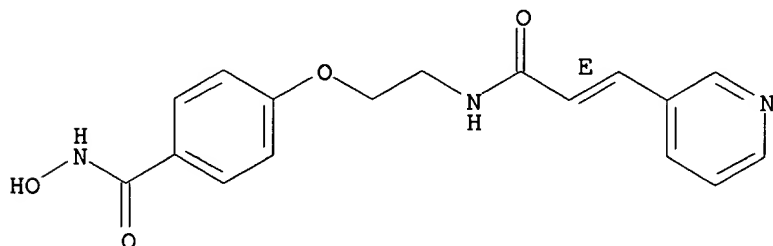
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of novel hydroxamates as histone deacetylase inhibitors for the treatment of cancer and hepatitis C)

RN 783353-35-5 CAPLUS

CN Benzamide, N-hydroxy-4-[2-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:878270 CAPLUS

DOCUMENT NUMBER: 141:360682

TITLE: Blood coagulation factor XI inhibitors and methods for treatment of thrombosis

INVENTOR(S): Abdel-Meguid, Sherin S.; Babine, Robert E.; Deng, Hongfeng; Jin, Lei; Lin, Jian; Magee, Scott R.; Meyers, Harold V.; Pandey, Pramod; Rynkiewicz, Michael J.; Weaver, David T.

PATENT ASSIGNEE(S): Suntory Pharmaceutical Research Laboratories, LLC, USA
SOURCE: PCT Int. Appl., 251 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

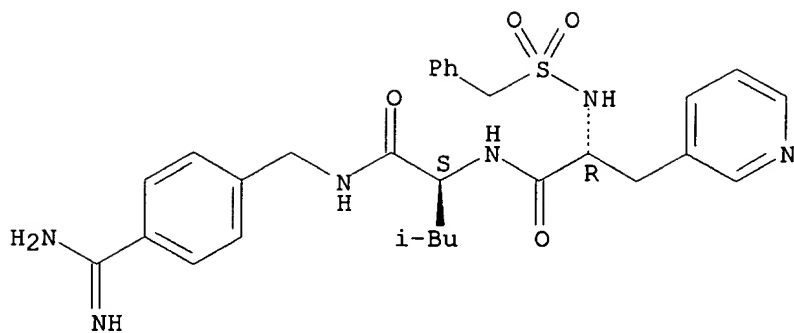
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089297	A2	20041021	WO 2004-US10300	20040402
WO 2004089297	A3	20060330		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143317	A1	20050630	US 2004-817248	20040402
PRIORITY APPLN. INFO.:			US 2003-459910P	P 20030402
OTHER SOURCE(S): MARPAT 141:360682				
AB The present invention provides compds. AX(R3)CH(R2)CONHCH(R1)[(C:O)]mR0 [R1 = alkyl- ω -NH ₂ , (substituted)one- or two-ring heterocycle, etc.; R0,R2,R3 = (substituted)C1-6-alkyl, etc.; X = C, N; A = α -amino-substituted AA ₂ ; AA ₂ = peptide chain of 1-5 α -amino acids; m = 0, 1] which inhibit Factor XIa and methods of preventing or treating undesired thrombosis by administering a compound of the invention to a mammal. The invention also provides three-dimensional structures of Factor XIa and methods for designing or selecting addnl. Factor XIa inhibitors using these structures.				
IT 776305-69-2P 776305-70-5P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (blood coagulation factor XI inhibitors and methods for treatment of thrombosis)				
RN 776305-69-2 CAPLUS CN L-Leucinamide, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-D-alanyl-N-[[4-(aminoiminomethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)				

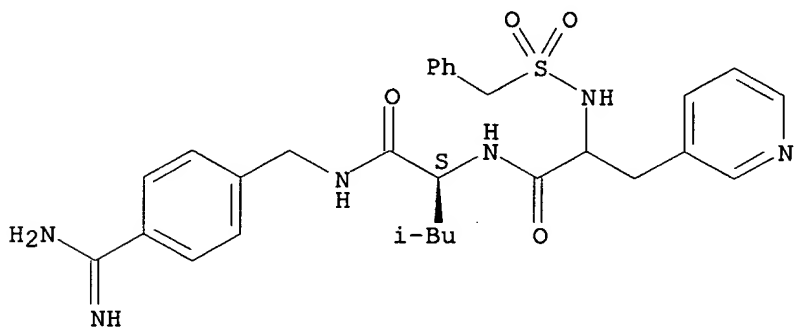
Absolute stereochemistry.



RN 776305-70-5 CAPLUS

CN L-Leucinamide, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)alanyl-N-[[4-(aminoiminomethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

L26 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:817666 CAPLUS

DOCUMENT NUMBER: 141:309638

TITLE: Inhibitors of cathepsin S for use in disease treatment

INVENTOR(S): Liu, Hong; Tully, David; Epple, Robert; Bursulaya, Badry; Williams, Jennifer; Chatterjee, Arnab; Harris, Jennifer Leslie; Li, Jun

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084842	A2	20041007	WO 2004-US9218	20040324
WO 2004084842	A3	20041125		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004198780	A1	20041007	US 2004-807612	20040323
PRIORITY APPLN. INFO.:			US 2003-457595P	P 20030324
			US 2004-807612	A 20040323

OTHER SOURCE(S): MARPAT 141:309638

AB The present invention provides WC(:O)NHCH₂CH₂NHAr [W = R₁X(C:O)NHCHR₂; R₁ = (substituted)phenyl, pyridyl, or pyridinium N-oxide; X = furan, NHCH₂, OCH₂, phenylene, etc.; R₂ = (substituted)phenyl, etc.; Ar = (substituted phenyl)] compds. and methods for the selective inhibition of cathepsin S. In a preferred aspect, cathepsin S is selectively inhibited in the presence of at least one other cathepsin isoenzyme (e.g., cathepsin K). The present invention also provides methods for treating a disease state in a subject by selectively inhibiting cathepsin S.

IT 768366-14-9P

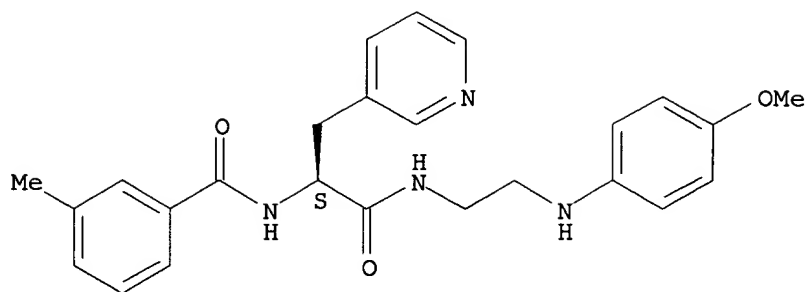
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitors of cathepsin S for use in disease treatment)

RN 768366-14-9 CAPLUS

CN 3-Pyridinepropanamide, N-[2-[(4-methoxyphenyl)amino]ethyl]- α -[(3-methylbenzoyl)amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 30 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:754428 CAPLUS

DOCUMENT NUMBER: 141:254616

TITLE: Use of EP2 selective receptor agonists in medical treatment of pulmonary hypertension and other conditions

INVENTOR(S): Constan, Alexander Angelo; Keshary, Prakash Raj; MacLean, David Burton; Paralkar, Vishwas Madhav; Roman, Doina Cosma; Thompson, David Duane; Wright, Timothy Michael

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078169	A1	20040916	WO 2004-IB553	20040223
WO 2004078169	C1	20050421		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004216898	A1	20040916	AU 2004-216898	20040223
CA 2518193	AA	20040916	CA 2004-2518193	20040223
EP 1601351	A1	20051207	EP 2004-713611	20040223
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2004008061	A	20060214	BR 2004-8061	20040223
PRIORITY APPLN. INFO.:			US 2003-451889P	P 20030304
			WO 2004-IB553	A 20040223

OTHER SOURCE(S): MARPAT 141:254616

AB The invention discloses methods for treating pulmonary hypertension, facilitating joint fusion, facilitating tendon and ligament repair, reducing the occurrence of secondary fracture, treating avascular necrosis, facilitating cartilage repair, facilitating bone healing after limb transplantation, facilitating liver regeneration, facilitating wound healing, reducing the occurrence of gastric ulceration, treating hypertension, facilitating the growth of tooth enamel or finger or toe nails, treating glaucoma, treating ocular hypertension, and repairing damage caused by metastatic bone disease using an EP2 selective receptor agonist. Preparation of compds., e.g. 7-[(4-butylbenzyl)-(pyridine-3-sulfonyl)amino]heptanoic acid, is described.

IT 223489-08-5P

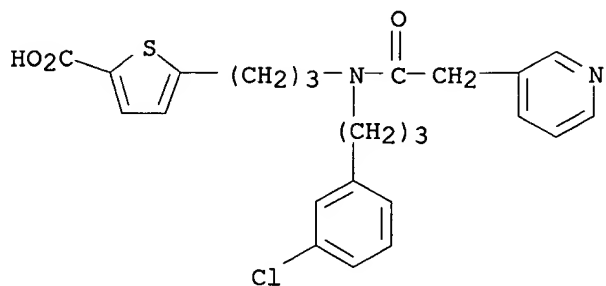
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(EP2 selective receptor agonists for treatment of pulmonary hypertension and other conditions)

RN 223489-08-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[[3-(3-chlorophenyl)propyl](3-

pyridinylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515638 CAPLUS

DOCUMENT NUMBER: 141:49385

TITLE: Mitochondrial membrane mitoNEET proteins binding thiazolidindiones and their use in the development of novel antidiabetic agents

INVENTOR(S): Colca, Jerry R.; McDonald, William G.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

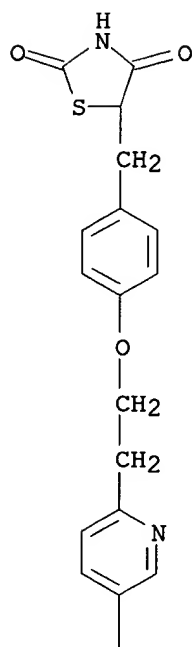
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

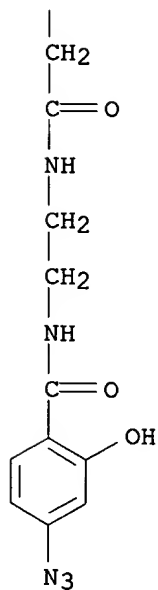
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004053059	A2	20040624	WO 2003-US37476	20031125
WO 2004053059	A3	20050519		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508346	AA	20040624	CA 2003-2508346	20031125
AU 2003295843	A1	20040630	AU 2003-295843	20031125
BR 2003016923	A	20051018	BR 2003-16923	20031125
EP 1585391	A2	20051019	EP 2003-787055	20031125
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005043361	A1	20050224	US 2003-728679	20031205
PRIORITY APPLN. INFO.:			US 2002-431520P	P 20021206
			WO 2003-US37476	W 20031125
AB				
A family of mitochondrial membrane proteins, which bind insulin sensitizing, antidiabetic thiazolidinediones, are identified and cDNAs encoding them are cloned. The proteins may be useful as drug targets and methods of identifying ligands for these proteins are identified. The invention further relates to methods useful for treating or modulating metabolic disorders in mammals in need of such biol. effect.				
IT				
706779-05-7DP, I125-labeled				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(as affinity probe; mitochondrial membrane mitoNEET proteins binding thiazolidindiones and their use in development of novel antidiabetic agents)				
RN				
706779-05-7 CAPLUS				
CN				
3-Pyridineacetamide, N-[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]-6-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)				

PAGE 1-A



PAGE 2-A



IT 706779-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

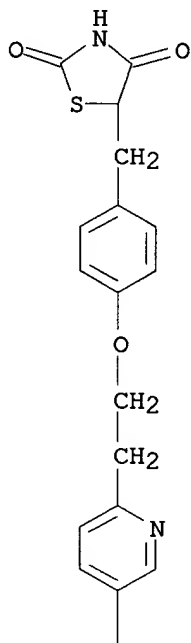
(preparation and radioiodination of, in preparation affinity probe;
mitochondrial

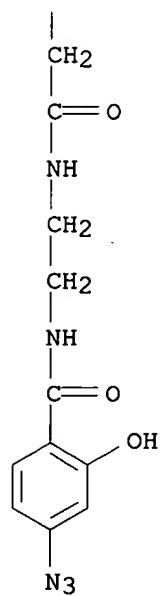
membrane mitoNEET proteins binding thiazolidindiones and their use in development of novel antidiabetic agents)

RN 706779-05-7 CAPLUS

CN 3-Pyridineacetamide, N-[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]-6-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





09/596,086

~~LA6~~ ANSWER 32 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:462860 CAPLUS

DOCUMENT NUMBER: 141:33797

TITLE: Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators

INVENTOR(S): McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 444,327, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 6747127	B1	20040608	US 2000-565715	20000505
TR 200102502	T2	20020521	TR 2001-200102502	19991119
US 2004063903	A1	20040401	US 2003-606422	20030626
PRIORITY APPLN. INFO.:			US 1998-112313P	P 19981214
			US 1999-444327	B2 19991119
			US 2000-565715	A3 20000505

OTHER SOURCE(S): MARPAT 141:33797

AB Substituted heterocyclic acyl-tripeptides, useful as thrombin receptor modulators, are disclosed, as is their use in wound healing and preventing platelet aggregation. Pharmaceutical compns. comprising the substituted heterocyclic acyl-tripeptides of the invention, as well as methods of treating conditions mediated by the thrombin receptor, are also disclosed.

IT **231608-78-9**

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

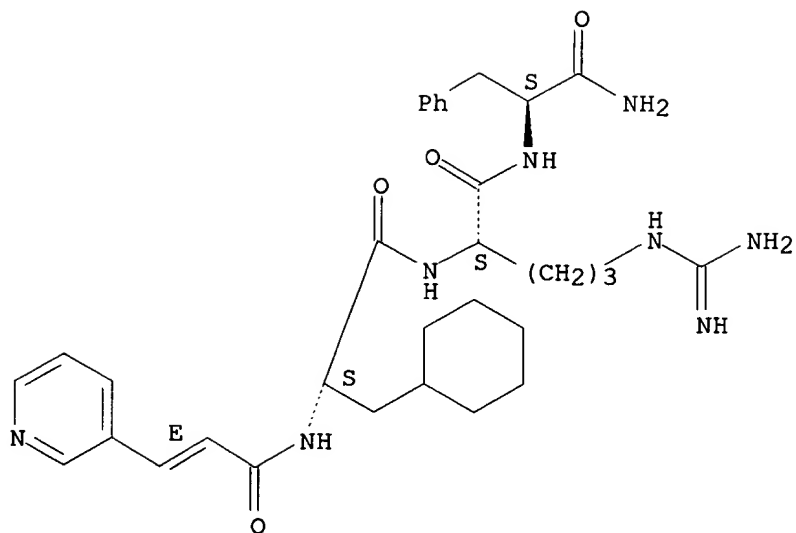
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)

RN 231608-78-9 CAPLUS

CN L-Phenylalaninamide, 3-cyclohexyl-N-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 33 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:450751 CAPLUS
 DOCUMENT NUMBER: 141:1280
 TITLE: Arginine derivatives as ligands for MC4 receptors
 INVENTOR(S): Nakazato, Atsuo; Okubo, Taketoshi; Umemiya, Hiroki
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004155695	A2	20040603	JP 2002-322351	20021106
PRIORITY APPLN. INFO.:			JP 2002-322351	20021106

OTHER SOURCE(S): MARPAT 141:1280

AB Ar1Y1QNHCH(CONHY2Ar2)(CH2)3NHC(NH2):NH [I; Ar1, Ar2 = (un)substituted Ph, (un)substituted naphthyl, aromatic heterocyclyl; Y1 = (un)substituted C1-5 alkylene, C2-5 alkenylene, single bond; Q = CO, SO2; Y2 = (un)substituted C1-5 alkylene] or their salts are claimed as ligands for MC4 receptors. Optically active ZN:C(NHZ)NH(CH2)3CH(NH2)CONHCH(CONH2)CH2C10H7 (Z = PhCH2O2C, C10H7 = 2-naphthyl) (preparation given) was amidated by optically active C10H7CH2CH(CO2H)NHBoc (C10H7 = 2-naphthyl, Boc = t-BuCO), N-deprotected by F3CCO2H, N-acetylated, and debenzyloxycarbonylated to give optically active I (Ar1 = Ar2 = 2-naphthyl, Y1 = CH2CHNHAc, Y2 = CH2CHCONH2, Q = CO) (II) HCl salt. II in vitro inhibited binding of Nle4-D-Phe7- α -MSH to human MC4 receptor with IC50 of 690 nM.

IT 475498-96-5P 475498-97-6P 475498-98-7P
 475498-99-8P 475499-00-4P 475499-01-5P
 475499-02-6P 475499-03-7P 475499-08-2P
 475499-09-3P 475499-10-6P 475499-11-7P
 475499-12-8P 475499-13-9P 475499-14-0P
 475499-15-1P 475499-92-4P 475499-93-5P
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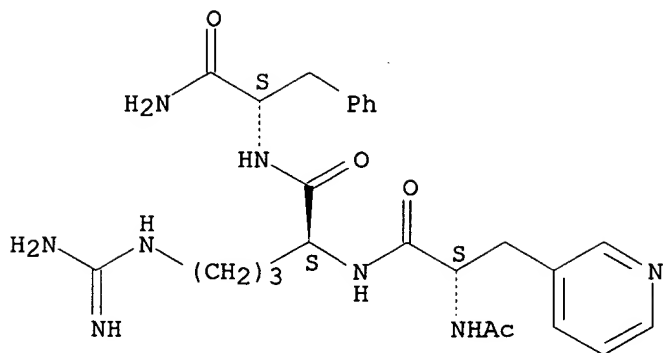
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arginine derivs. as ligands for MC4 receptors)

RN 475498-96-5 CAPLUS

CN L-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- (9CI)
 (CA INDEX NAME)

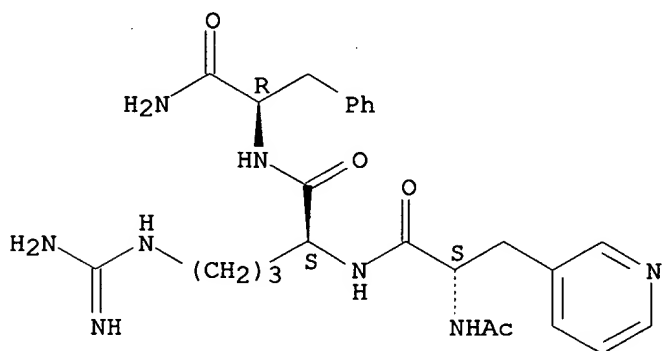
Absolute stereochemistry.



RN 475498-97-6 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

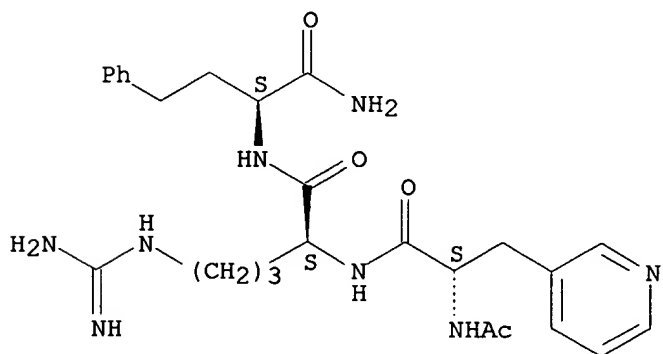
Absolute stereochemistry.



RN 475498-98-7 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- α -amino-, (α S)- (9CI) (CA INDEX NAME)

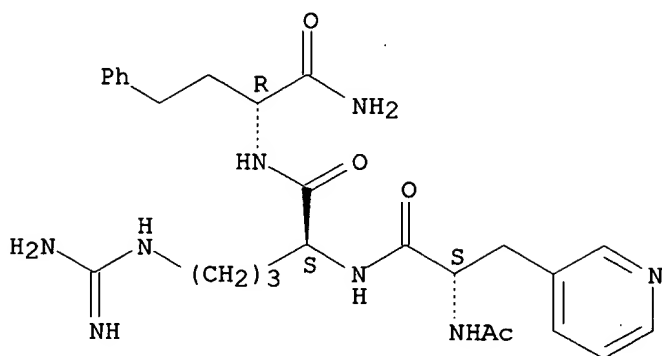
Absolute stereochemistry.



RN 475498-99-8 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- α -amino-, (α R)- (9CI) (CA INDEX NAME)

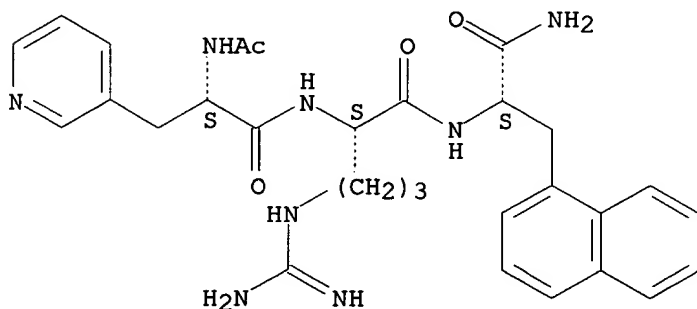
Absolute stereochemistry.



RN 475499-00-4 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

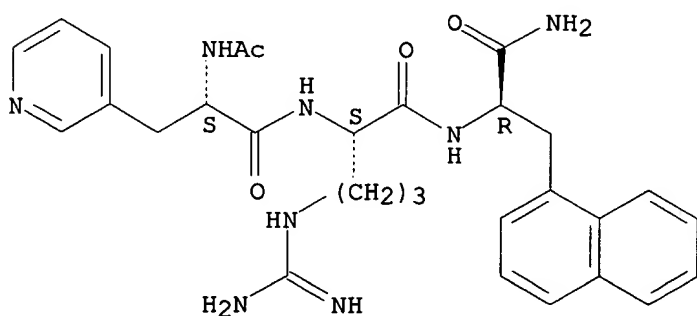
Absolute stereochemistry.



RN 475499-01-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

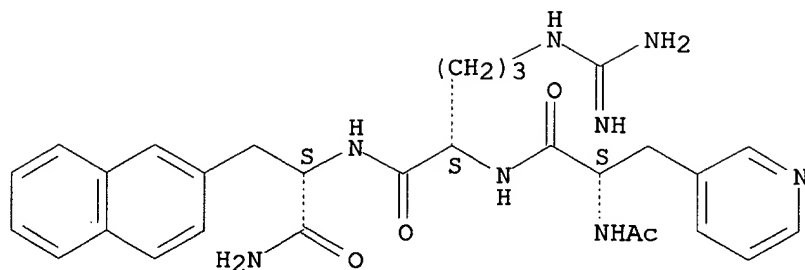
Absolute stereochemistry.



RN 475499-02-6 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

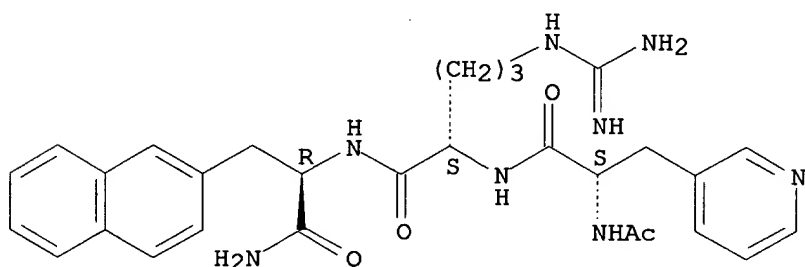
Absolute stereochemistry.



RN 475499-03-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

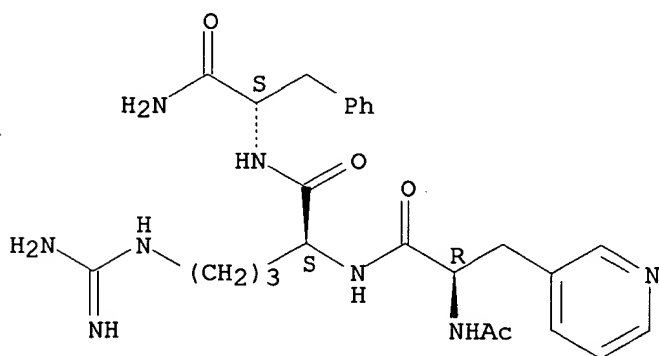
Absolute stereochemistry.



RN 475499-08-2 CAPLUS

CN L-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

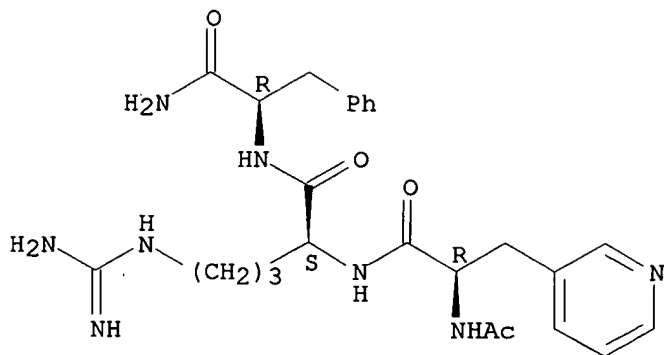
Absolute stereochemistry.



RN 475499-09-3 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

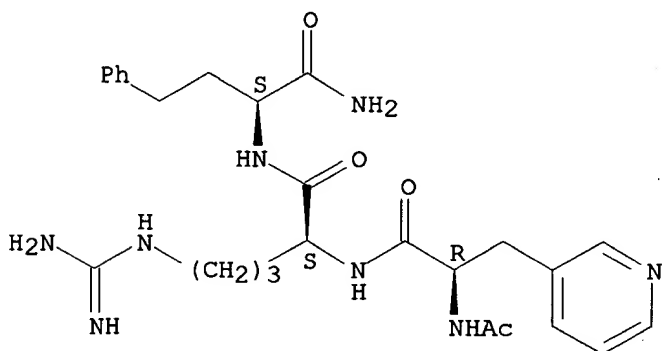
Absolute stereochemistry.



RN 475499-10-6 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- α -amino-, (α S)- (9CI) (CA INDEX NAME)

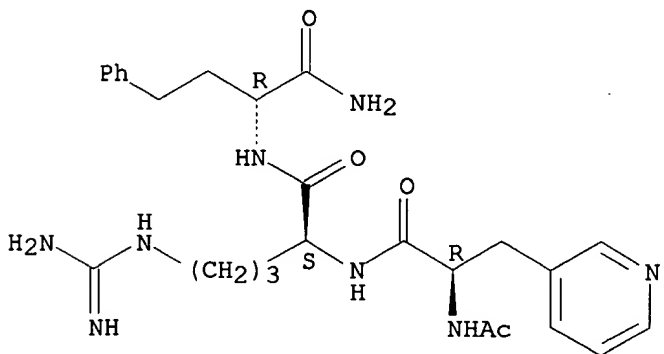
Absolute stereochemistry.



RN 475499-11-7 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- α -amino-, (α R)- (9CI) (CA INDEX NAME)

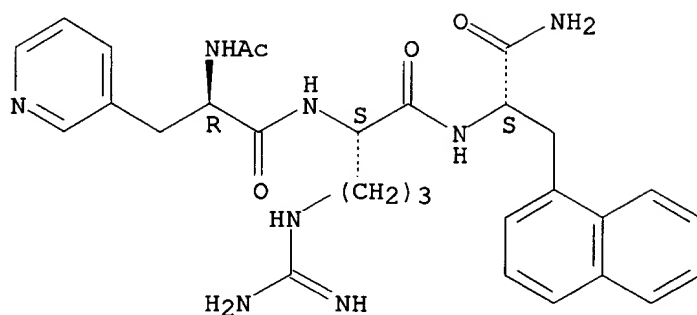
Absolute stereochemistry.



RN 475499-12-8 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

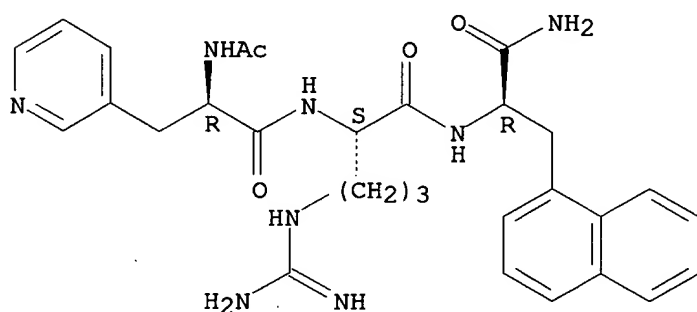
Absolute stereochemistry.



RN 475499-13-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

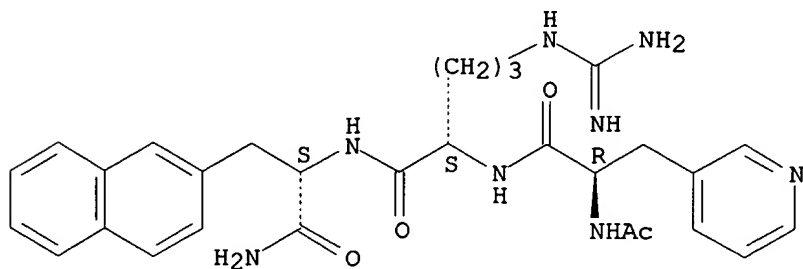
Absolute stereochemistry.



RN 475499-14-0 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

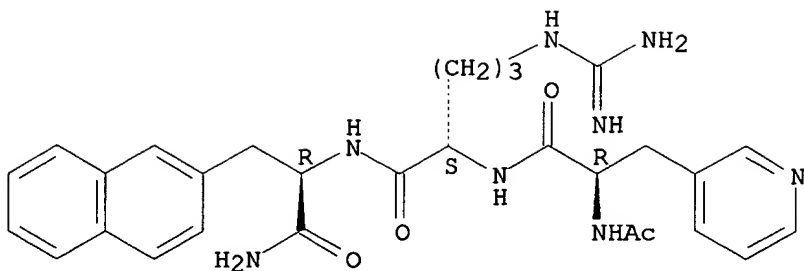
Absolute stereochemistry.



RN 475499-15-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

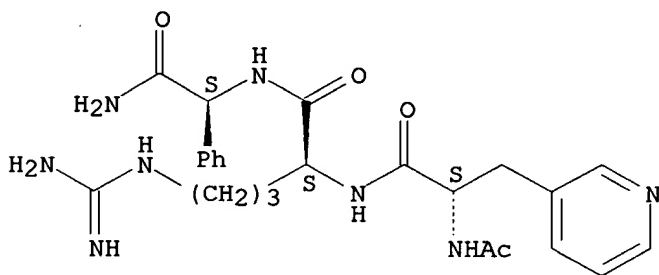
Absolute stereochemistry.



RN 475499-92-4 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-2-phenyl-, (2S)-
(9CI) (CA INDEX NAME)

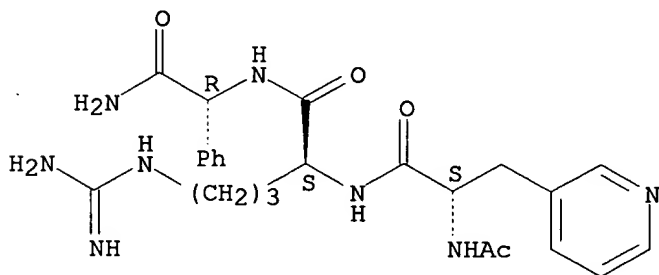
Absolute stereochemistry.



RN 475499-93-5 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-2-phenyl-, (2R)-
(9CI) (CA INDEX NAME)

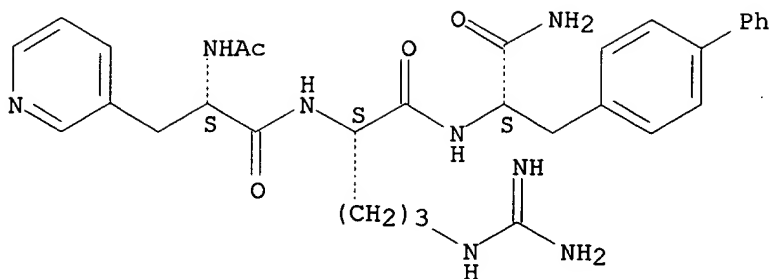
Absolute stereochemistry.



RN 475499-98-0 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-[1,1'-
biphenyl]-4-yl- (9CI) (CA INDEX NAME)

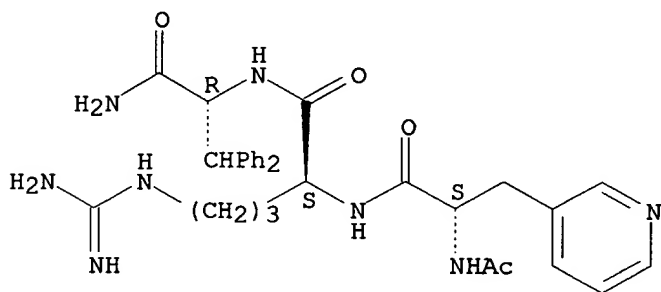
Absolute stereochemistry.



RN 475499-99-1 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- β -phenyl- (9CI) (CA INDEX NAME)

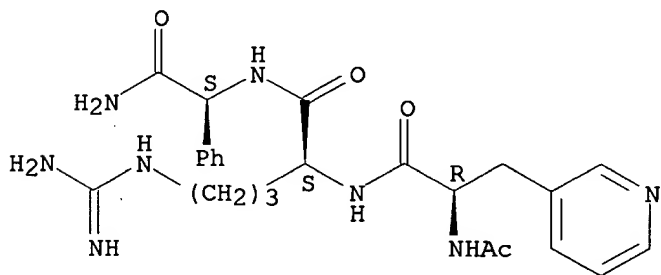
Absolute stereochemistry.



RN 475500-00-6 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

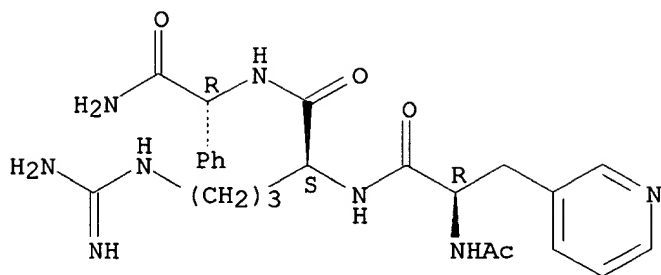
Absolute stereochemistry.



RN 475500-01-7 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

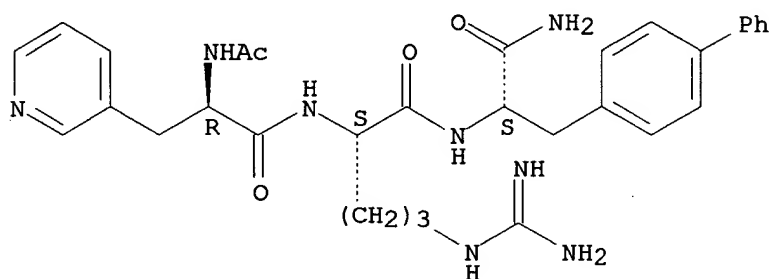
Absolute stereochemistry.



RN 475500-06-2 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)

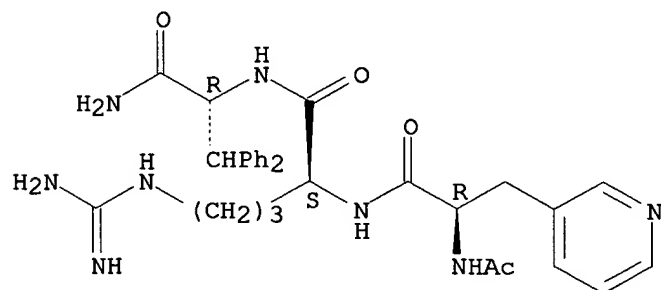
Absolute stereochemistry.



RN 475500-07-3 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-beta-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 34 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162467 CAPLUS

DOCUMENT NUMBER: 140:217390

TITLE: Preparation of hydroxypropyl benzamides as β -secretase inhibitors for the treatment of Alzheimer's disease

INVENTOR(S): Tucker, John A.; Sherer, Brian A.; Xu, Ying Zi; Brogley, Louis; Pulley, Shon R.; Jacobs, Jon S.; Beck, James P.; John, Varghese

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 66 pp.

CODEN: USXXCO

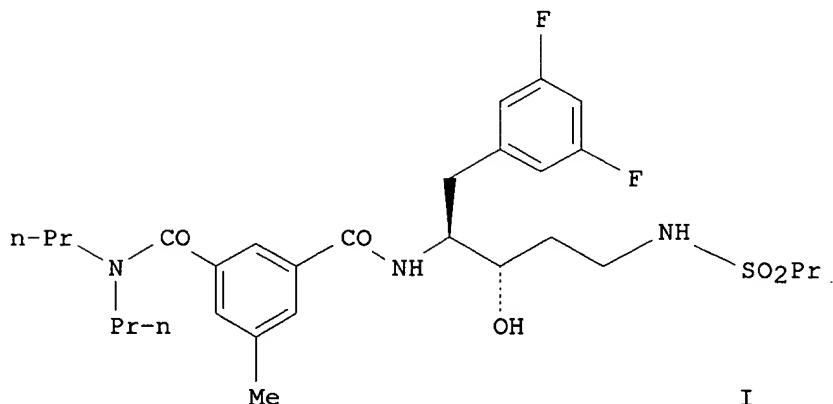
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004039034	A1	20040226	US 2003-427106	20030430
WO 2004058686	A1	20040715	WO 2003-US13462	20030430
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
AU 2003303141	A1	20040722	AU 2003-303141	20030430
PRIORITY APPLN. INFO.:			US 2002-376895P	P 20020430
			WO 2003-US13462	W 20030430
OTHER SOURCE(S):			MARPAT 140:217390	
GI				



AB The present invention relates to hydroxypropyl benzamides,

R2C(O)N(R3)CH(YR1)CH(OH)CHR4CHR5N(R3')XR6 (I; variables defined below; e.g. II), useful in treating Alzheimer's disease and similar diseases. These compds. include inhibitors of the beta-secretase enzyme (no data) that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal. The compds. of the invention are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation. An unspecified method of preparation is claimed and 8 example preps. and characterization data for another 107 examples of I are included. For example, II was prepared in 8 steps starting with mesylation of tert-Bu [(1S,2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate followed by cyclization to an oxazolidinone, ring opening to an oxirane with N protection, conversion to a nitrile, deprotection of N, amide formation with 5-(dipropylcarbamoyl)-3-methylbenzoic acid, hydrogenation of the cyano functionality and sulfonation of the just-formed amino functionality. Y is absent or is -(CH2)n-, where n = 1, 2, or 3 and where up to 3 hydrogens of -(CH2)n- are optionally replaced with 1-3 substituents. R1 is H, -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10alkyl (un)substituted, C2-C6 alkenyl, C2-C6 alkynyl, -C1-C6 alkyl-(C3-C7)cycloalkyl, aryl, heteroaryl, heterocyclyl, -C1-C6 alkylaryl, -C1-C6 alkylheteroaryl, -C1-C6 alkylheterocyclyl, or C3-C7 cycloalkyl; R2 is H, R'100, -(CRR')1-6R'100, -(CRR')0-6R100, -(CRR')1-6-O-R'100, -(CRR')1-6-S-R'100, -(CRR')1-6-C(:O)-R100, -(CRR')1-6-SO2-R100 or -(CRR')1-6-NR100-R'100. R3 and R3' = H, C1-C6 alkyl, -CO2-C1-C6 alkyl, or -CO-O-(CH2)n-Ph where n is 0-2 and Ph is (un)substituted with C1-C6 alkyl; R4 and R5 = H or C1-C6 alkyl (un)substituted with 1-3 substituents = C1-C3 alkyl, halogen, -OH, -SH, -C.tplbond.N, -CF3, C1-C3 alkoxy, and -NRR' where R and R' = -H or C1-C10alkyl; X is absent, -C(O)-, -C(O)NR7-, -C(O)O-, -C(:NZ)NR7-, -SO2-, -C(:NZ)-, or -SO2NR7-; R6 = -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; addnl. details are given in the claims.

IT 664373-41-5P

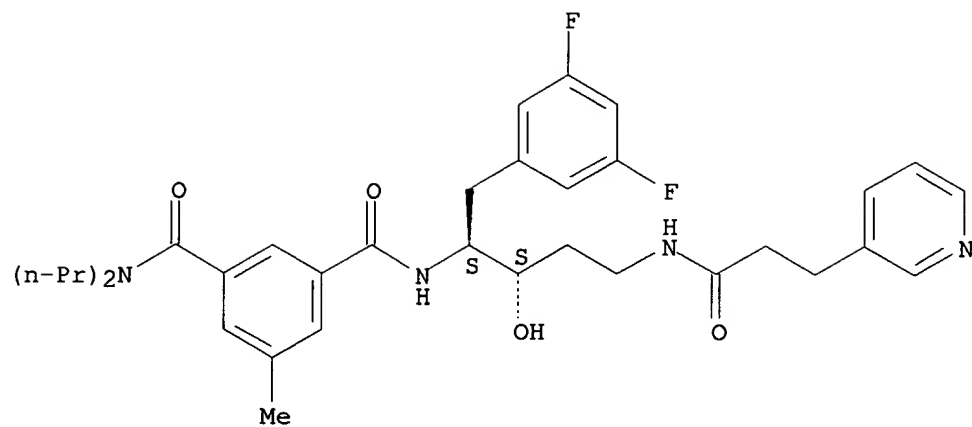
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of hydroxypropyl benzamides as β -secretase inhibitors for treatment of Alzheimer's disease)

RN 664373-41-5 CAPLUS

CN L-threo-Pentitol, 1,2,4,5-tetradeoxy-1-(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-5-[[1-oxo-3-(3-pyridinyl)propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 35 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:60125 CAPLUS

DOCUMENT NUMBER: 140:128159

TITLE: Preparation of phenethylaminocarbonylaminoalkoxynaphthalenes and related compounds as Src protein tyrosine kinase inhibitors useful for treating osteoporosis

INVENTOR(S): Dharanipragada, Ramalinga; Al-Obeidi, Fahad; Flynn, Gary; Cabel, Dasha

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 103 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

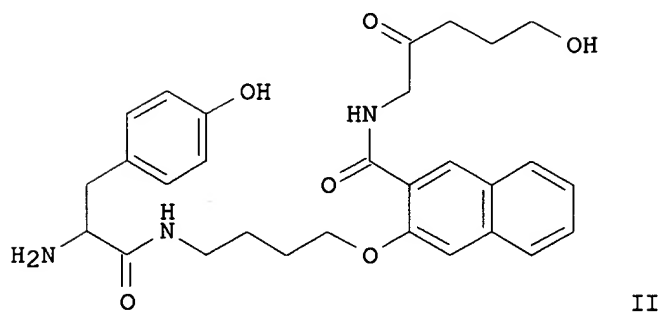
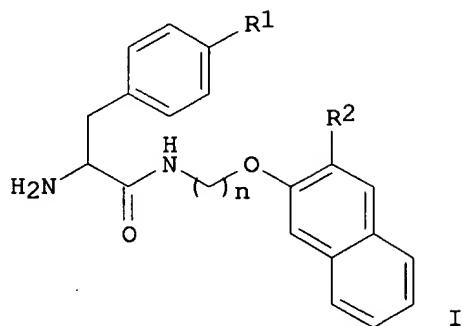
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004014676	A1	20040122	US 2002-191171	20020709
PRIORITY APPLN. INFO.:			US 2001-303853P	P 20010709
OTHER SOURCE(S):	MARPAT	140:128159		

GI



AB Title compds. e.g. [I; R1 = H, OH; R2 = CONHCH2CONH2, CONH2, CONHCH2CONH(CH2)3OH, CONHCH(CONH2)(CH2)3NH2, CONHCHMeCONH2, CONHCH(CONH2)CH2Ph, CONHCH2CONH2, etc.; n = 3, 4], were prepared Thus, title compound (II) inhibited Src protein tyrosine kinase with IC50 = 0.49 μ M.

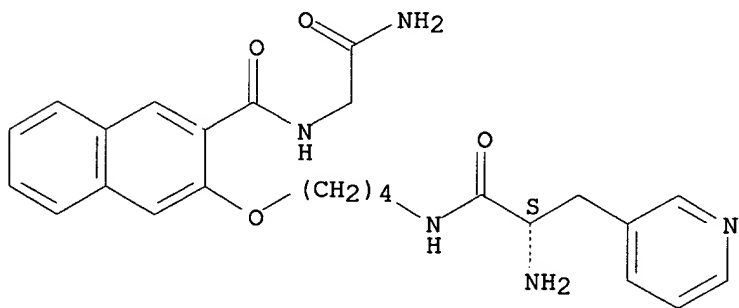
IT 649713-60-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(preparation of phenethylaminocarbonylaminoalkoxynaphthalenes and related
comps. as Src protein tyrosine kinase inhibitors useful for treating
osteoporosis)

RN 649713-60-0 CAPLUS

CN 3-Pyridinepropanamide, α -amino-N-[4-[[3-[(2-amino-2-
oxoethyl)amino]carbonyl]-2-naphthalenyl]oxy]butyl]-, (α S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 36 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991479 CAPLUS

DOCUMENT NUMBER: 140:28051

TITLE: Synthesis and bioactivity of peptidomimetics as agonists for bombesin receptor subtype 3

INVENTOR(S): Weber, Dirk; Kessler, Horst; Berger, Claudia; Antel, Jochen; Heinrich, Timo

PATENT ASSIGNEE(S): Solvay Pharmaceuticals G.m.b.H., Germany

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104196	A1	20031218	WO 2003-EP5678	20030530
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10224844	A1	20040108	DE 2002-10224844	20020605
CA 2497609	AA	20031218	CA 2003-2497609	20030530
AU 2003232842	A1	20031222	AU 2003-232842	20030530
EP 1513811	A1	20050316	EP 2003-757007	20030530
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003011590	A	20050510	BR 2003-11590	20030530
CN 1649840	A	20050803	CN 2003-810076	20030530
JP 2005535610	T2	20051124	JP 2004-511266	20030530
US 2005171146	A1	20050804	US 2004-2131	20041203
PRIORITY APPLN. INFO.:			DE 2002-10224844	A 20020605
			WO 2003-EP5678	W 20030530
OTHER SOURCE(S):	MARPAT 140:28051			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel compds. having a selective BRS-3 (bombesin receptor subtype 3) agonistic action of general formula

Ar(CH₂)_mA(R)AlN(R₁)A₂C(O)NHCH(CH₂Ar₁)C(O)NH(CH₂)_nCH(R₂)Ar₂ [A = CH, bond, or N (when A₂ = N); Al = bond, alkylene, or C(O) (when A = CH and R₁ = H); A₂ = (substituted)CH, bond, or NH (when R₁ = H or when R = bond); R = H or, when Al = C(O), NH; R₁ = H; R, R₁ = alkylene, or when Al = bond, R, R₁ = bond; R₂ = H, Me; Ar = (mono- or disubstituted)phenyl, bicyclic, or heterocyclic; Ar₁ = furyl, benzofuranyl, thienyl, benzothiophenyl, pyrrolyl, or indolyl; Ar₂ = (mono- or dihalogenated)phenyl, pyridyl; m, n = 0-1] and their physiol. acceptable salts, pharmaceuticals containing said

comps. and to methods for producing them. Title comps. were synthesized using both solid-phase and solution chemical Activities of title comps.

(e.g.,

I) were evaluated using calcium mobilization measurements in a fluorometric imaging plate reader, and ranged from EC50 of 0.19 (for compound I)-57 nM. In a solid-phase synthesis, Fmoc-D-Trp(Boc)-NH(CH₂)₂Ph-resin (prepared from Fmoc-D-Trp(Boc)-OH and H₂N(CH₂)₂Ph and FMPE-resin) was reacted with Fmoc-L-Ala-OH, N-deprotected, reacted with PhCH₂CO₂H, and cleaved from the support resin; resulting title compound had EC50 of 2.1 nM in the in vitro test. In a solution-chemical synthesis, 4-chlorobenzaldehyde was reacted with Boc-NH-NH₂ to give Cl-4-C₆H₄-CH:N-NH-C(O)OC(CH₃)₃, which was hydrogenated, reacted with Fmoc-Cl, reacted with H-D-Trp(Boc)-NH(CH₂)₂Ph, and deprotected to give (II). II had EC50 6.0 nM in in vitro calcium mobilization tests.

IT **540483-29-2P 540483-41-8P**

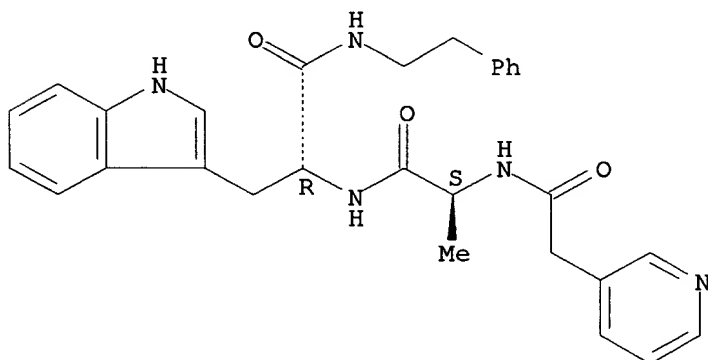
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and bioactivity of peptidomimetics as agonists for bombesin receptor subtype 3)

RN 540483-29-2 CAPLUS

CN D-Tryptophanamide, N-(3-pyridinylacetyl)-L-alanyl-N-(2-phenylethyl)- (9CI)
(CA INDEX NAME)

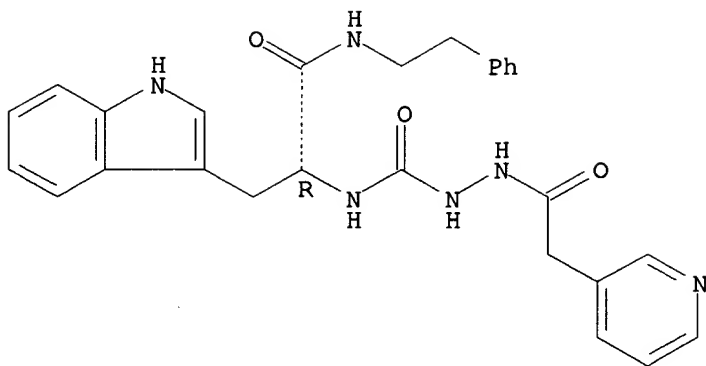
Absolute stereochemistry.



RN 540483-41-8 CAPLUS

CN 3-Pyridineacetic acid, 2-[[[(1R)-1-(1H-indol-3-ylmethyl)-2-oxo-2-[(2-phenylethyl)amino]ethyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **634163-32-9DP**, resin-bound **634163-32-9P**

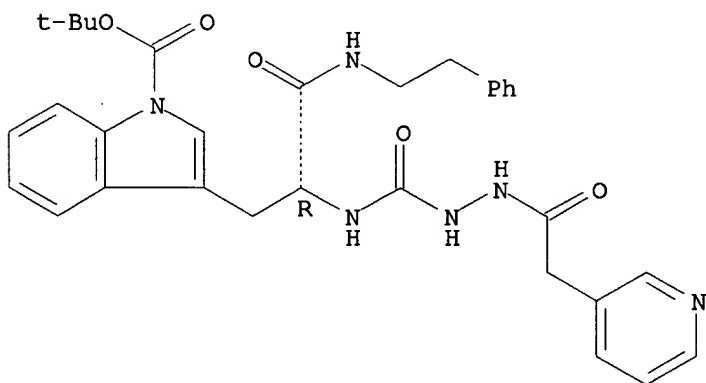
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bioactivity of peptidomimetics as agonists for bombesin receptor subtype 3)

RN 634163-32-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(2R)-3-oxo-3-[(2-phenylethyl)amino]-2-[[[2-(3-pyridinylacetyl)hydrazino]carbonyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

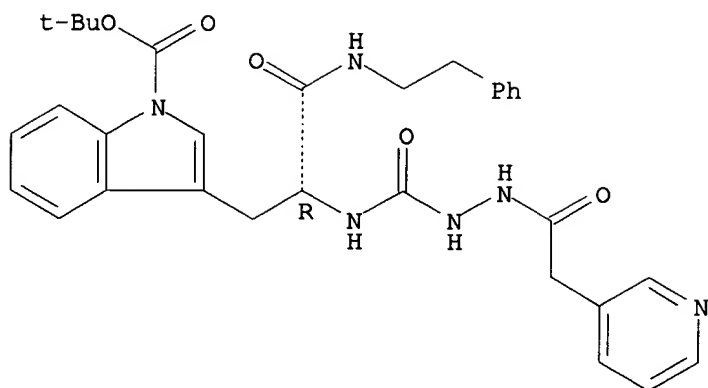
Absolute stereochemistry.



RN 634163-32-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(2R)-3-oxo-3-[(2-phenylethyl)amino]-2-[[[2-(3-pyridinylacetyl)hydrazino]carbonyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 37 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:836850 CAPLUS

DOCUMENT NUMBER: 140:59516

TITLE: Preparation of pyridylacrylamides as phosphodiesterase IV inhibitors

INVENTOR(S): Hattori, Tomohisa; Sasaki, Toshinobu; Hasegawa, Yoshihiro; Obata, Tatsuhiko

PATENT ASSIGNEE(S): Tsumura & Co., Japan

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

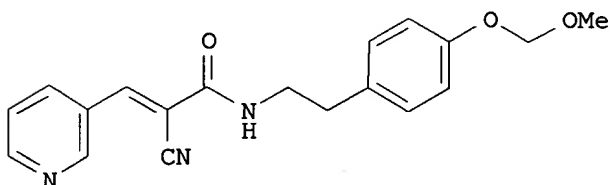
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086396	A1	20031023	WO 2003-JP4227	20030402
WO 2003086396	C2	20031224		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2481178	AA	20031023	CA 2003-2481178	20030402
AU 2003236340	A1	20031027	AU 2003-236340	20030402
BR 2003008935	A	20050104	BR 2003-8935	20030402
EP 1495757	A1	20050112	EP 2003-746165	20030402
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2005187264	A1	20050825	US 2003-510053	20030402
PRIORITY APPLN. INFO.:			JP 2002-99491	A 20020402
			WO 2003-JP4227	W 20030402

OTHER SOURCE(S): MARPAT 140:59516

GI



AB The title compds. with general formula of Ar1-C(R1)=C(R2)-C(=X)-N(R3)-(CH2)n-1-C(A)(B)-Ar2 [wherein Ar1 = (un)substituted Py; Ar2 = substituted Ph; R1 = H, alkyl, or aryl; R2 = H, alkyl, CN, or alkoxy-carbonyl; R3 = H or (un)substituted alkyl; X = O or S; A and B = independently H, OH, alkoxy, or alkylthio; or A and B together form oxo, thioxo, or (un)substituted imino, etc.; n = 1-3] or pharmaceutically acceptable salts

thereof are prepared as phosphodiesterase IV inhibitors. For example, 4-(methoxymethoxy)phenethylamine was reacted with cyanoacetic acid in DMF in the presence of diethylphosphoryl cyanide and Et₃N to give 2-cyano-N-(4-methoxymethoxyphenethyl)acetamide (45%). The acetamide obtained was treated with 3-pyridinecarboxaldehyde in ethanol in the presence of a little amount of piperidine to afford I (64%). The title compds. showed inhibitory activity of 43 to 86 μ M against human phosphodiesterase IV.

IT **637773-46-7P**

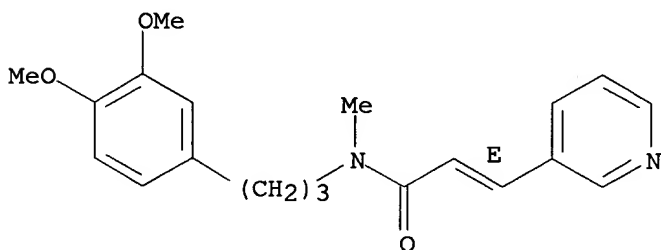
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridylacrylamides as phosphodiesterase IV inhibitors)

RN 637773-46-7 CAPLUS

CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 38 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:810108 CAPLUS

DOCUMENT NUMBER: 139:308010

TITLE: Preparation of amino acid benzenesulfonamide derivatives as HIV aspartyl protease inhibitors
INVENTOR(S): Stranix, Brent Richard; Lavallee, Jean-francois; Leberre, Nicolas; Perron, Valerie

PATENT ASSIGNEE(S): Pharmacor Inc., Can.

SOURCE: U.S., 43 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6632816	B1	20031014	US 2002-326488	20021223
CA 2435908	AA	20040623	CA 2003-2435908	20030724
WO 2004056764	A1	20040708	WO 2003-CA1113	20030724
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257284	A1	20040714	AU 2003-257284	20030724
EP 1575914	A1	20050921	EP 2003-813505	20030724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511557	T2	20060406	JP 2004-560936	20030724
PRIORITY APPLN. INFO.:			US 2002-326488	A 20021223
			WO 2003-CA1113	W 20030724

OTHER SOURCE(S): MARPAT 139:308010

AB The invention provides HIV aspartyl protease inhibitors of formula $\text{RSO}_2\text{NR}_1\text{CH}(\text{CH}_2\text{OH})(\text{CH}_2)\text{NHC(=O)CHR}_3\text{NHR}_2$ [$n = 3$ or 4 ; R is Ph which may be substituted by alkyl, cycloalkyl, halo, CF_3 , amino groups, alkylenedioxy, etc.; R_1 = alkyl, cycloalkyl, cycloalkylalkyl; R_2 = acyl, carboxy ester, carbamoyl groups, etc.; R_3 = diphenylmethyl, naphthylmethyl, biphenylmethyl, or 9-anthrylmethyl groups] or pharmaceutically-acceptable ammonium salts (when an amino group is present). Thus, (1S,4S)-[1-[4-[(4-aminobenzenesulfonyl)isobutylamino]-5-hydroxypentylcarbamoyl]-2-naphthalen-2-ylethyl]carbamic acid Me ester, prepared by a multistep sequence starting from Nε-(benzyloxycarbonyl)-L-ornithine, showed $\text{K}_i = 7.3$ nM for inhibition of HIV aspartyl protease.

IT **612547-76-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

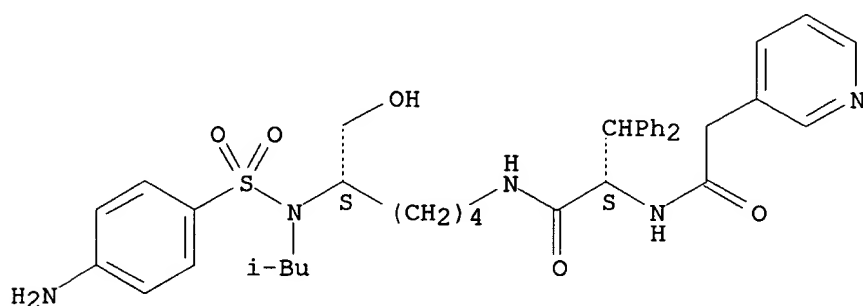
(preparation of amino acid benzenesulfonamide derivs. as HIV aspartyl protease inhibitors)

RN 612547-76-9 CAPLUS

CN 3-Pyridineacetamide, N-[(1S)-1-[[[(5S)-5-[[[4-aminophenyl)sulfonyl](2-

methylpropyl)amino]-6-hydroxyhexyl]amino]carbonyl]-2,2-diphenylethyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 39 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:796415 CAPLUS

DOCUMENT NUMBER: 139:307605

TITLE: Preparation of spirocyclic carboxamides as cannabinoid receptor modulators

INVENTOR(S): Hagemann, William K.; Lin, Linus S.; Shah, Shrenik K.; Goulet, Mark T.; Jewell, James P.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

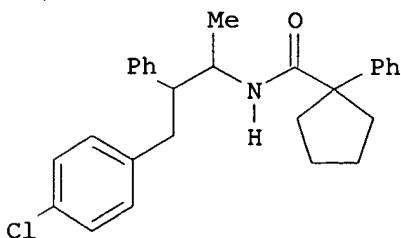
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082190	A2	20031009	WO 2003-US8722	20030321
WO 2003082190	A3	20040219		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2479618	AA	20031009	CA 2003-2479618	20030321
EP 1490043	A2	20041229	EP 2003-711667	20030321
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005528366	T2	20050922	JP 2003-579733	20030321
US 2005239828	A1	20051027	US 2004-507864	20040916
PRIORITY APPLN. INFO.:			US 2002-367655P	P 20020326
			WO 2003-US8722	W 20030321

OTHER SOURCE(S): MARPAT 139:307605

GI



AB R1CH2CR2R3CHR4NHCOA [R1 = (un)substituted alkyl, cycloalkyl, heterocyclic, aryl; R2 = (un)substituted cycloalkyl, heterocyclic, aryl, OH, NH2, CO2H; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, OH, NH2, halogen, CN; R4 = H, (un)substituted alkyl; A = (un)substituted 3-8-membered carbocyclic

ring] were prepared and are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor, useful as psychotropic drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as, the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Thus, PhCH₂CO₂Me was treated with 4-ClC₆H₄CH₂Br to give 4-ClC₆H₄CH₂CHPhCO₂Me which was hydrolyzed to the acid, converted to 4-ClC₆H₄CH₂CHPhCONMeOMe, and treated with MeMgBr to give 4-ClC₆H₄CH₂CHPhCOMe. This ketone was reduced to the alc., converted to the mesylate and then to the azide which was reduced to 4-ClC₆H₄CH₂CHPhCHMeNH₂.HCl. Treatment of this amine with phenylcyclopentanecarboxylic acid gave the amide I.

IT 610790-11-9P 610790-12-0P

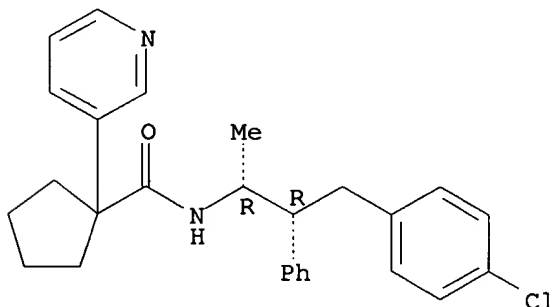
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of spirocyclic carboxamides as cannabinoid receptor modulators)

RN 610790-11-9 CAPLUS

CN Cyclopentanecarboxamide, N-[(1R,2R)-3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

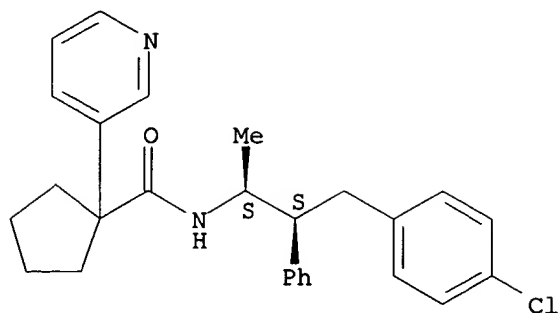
Absolute stereochemistry.



RN 610790-12-0 CAPLUS

CN Cyclopentanecarboxamide, N-[(1S,2S)-3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 610789-96-3P 610790-05-1P 610790-10-8P
 610790-13-1P 610790-15-3P 610790-27-7P
 610790-36-8P 610790-44-8P 610790-55-1P
 610790-56-2P 610790-91-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spirocyclic carboxamides as cannabinoid receptor modulators)

RN 610789-96-3 CAPLUS

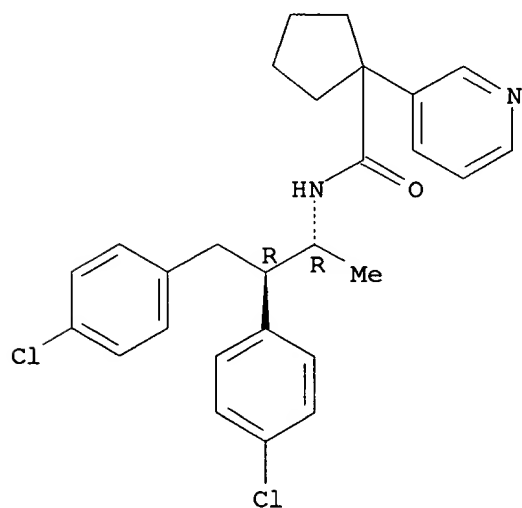
CN Cyclopentanecarboxamide, N-[(1R,2R)-2,3-bis(4-chlorophenyl)-1-methylpropyl]-1-(3-pyridinyl)-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610789-95-2

CMF C27 H28 Cl2 N2 O

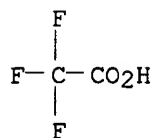
Relative stereochemistry.



CM 2

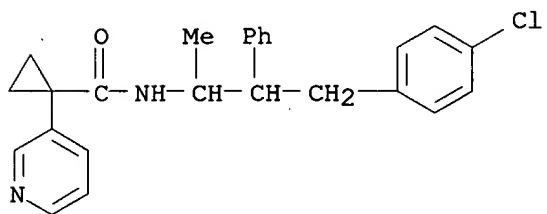
CRN 76-05-1

CMF C2 H F3 O2



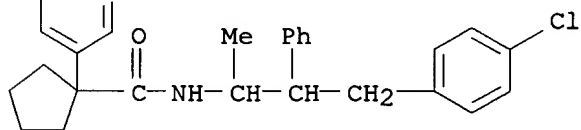
RN 610790-05-1 CAPLUS

CN Cyclopropanecarboxamide, N-[3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



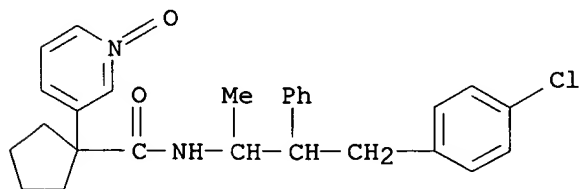
RN 610790-10-8 CAPLUS

CN Cyclopentanecarboxamide, N-[3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



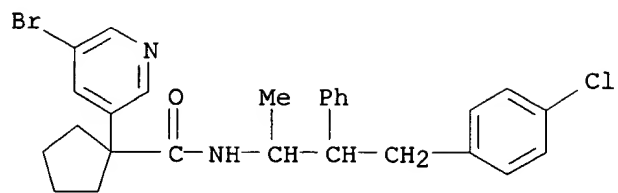
RN 610790-13-1 CAPLUS

CN Cyclopentanecarboxamide, N-[3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]-1-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)



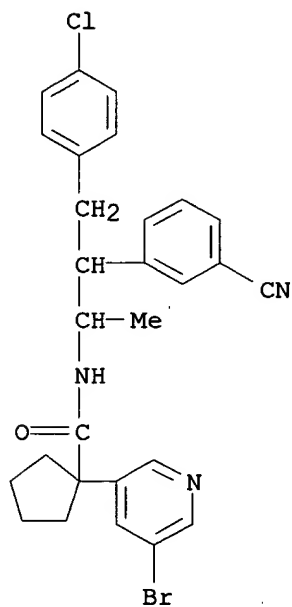
RN 610790-15-3 CAPLUS

CN Cyclopentanecarboxamide, 1-(5-bromo-3-pyridinyl)-N-[3-(4-chlorophenyl)-1-methyl-2-phenylpropyl]- (9CI) (CA INDEX NAME)



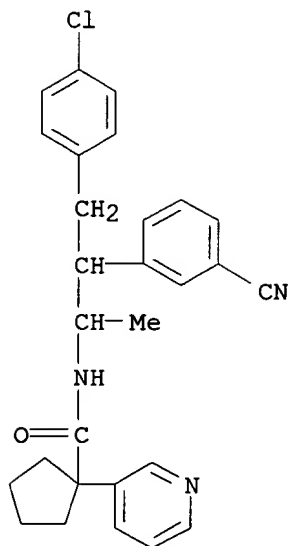
RN 610790-27-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(5-bromo-3-pyridinyl)-N-[3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]- (9CI) (CA INDEX NAME)



RN 610790-36-8 CAPLUS

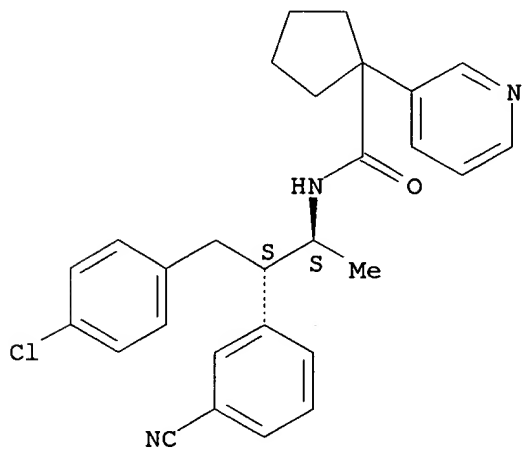
CN Cyclopentanecarboxamide, N-[3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 610790-44-8 CAPLUS

CN Cyclopentanecarboxamide, N-[(1S,2S)-3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

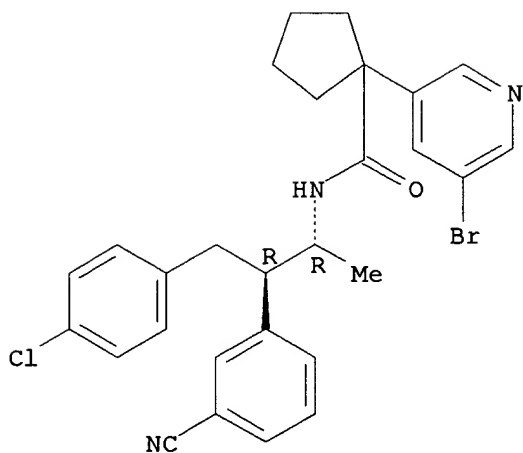
Absolute stereochemistry.



RN 610790-55-1 CAPLUS

CN Cyclopentanecarboxamide, 1-(5-bromo-3-pyridinyl)-N-[(1R,2R)-3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]- (9CI) (CA INDEX NAME)

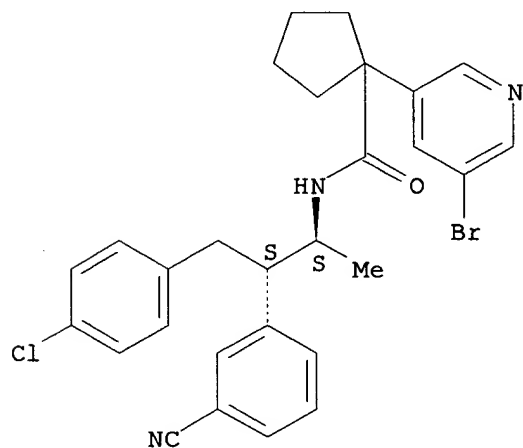
Absolute stereochemistry.



RN 610790-56-2 CAPLUS

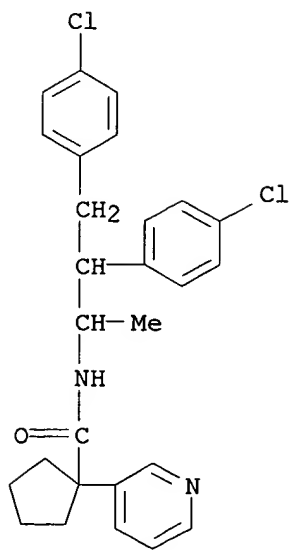
CN Cyclopentanecarboxamide, 1-(5-bromo-3-pyridinyl)-N-[(1S,2S)-3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610790-91-5 CAPLUS

CN Cyclopentanecarboxamide, N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



~~126~~ ANSWER 40 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:757469 CAPLUS

DOCUMENT NUMBER: 139:276471

TITLE: Preparation of substituted amides as antagonists and/or inverse agonists of the cannabinoid-1 receptor for therapy

INVENTOR(S): Hagmann, William K.; Lin, Linus S.; Shah, Shrenik K.; Guthikonda, Ravindra N.; Qi, Hongbo; Chang, Linda L.; Liu, Ping; Armstrong, Helen M.; Jewell, James P.; Lanza, Thomas J., Jr.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; et al.

SOURCE: PCT Int. Appl., 381 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

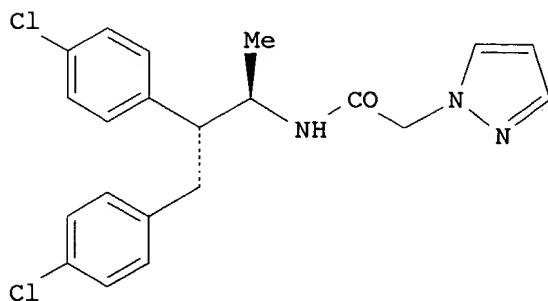
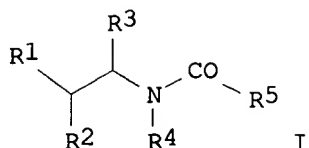
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077847	A2	20030925	WO 2003-US7320	20030307
WO 2003077847	A3	20041104		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2478183	AA	20030925	CA 2003-2478183	20030307
EP 1496838	A2	20050119	EP 2003-714051	20030307
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005519958	T2	20050707	JP 2003-575901	20030307
US 2004058820	A1	20040325	US 2003-387265	20030312
US 6972295	B2	20051206		
US 2005234061	A1	20051020	US 2005-109076	20050419
PRIORITY APPLN. INFO.:			US 2002-363597P	P 20020312
			US 2002-428351P	P 20021122
			WO 2003-US7320	W 20030307
			US 2003-387265	A3 20030312

OTHER SOURCE(S): MARPAT 139:276471

GI



AB Novel compds. of the structural formula I (e.g. N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-(pyrazol-1-yl)acetamide trifluoroacetate (base shown as II with relative stereochem.); variables defined below) are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of preparation are not claimed, more than 120 example preps. of intermediates and >480 example preps./characterization data for a library of I are included. For I: R1 = C1-10-alkyl, C3-10cycloalkyl, C3-10-cycloalkyl-C1-4-alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4-alkyl, heteroaryl, heteroaryl-C1-4-alkyl, -ORd, -NRcRd, -NRcC(O)Rd, -CO2Rd, and -C(O)NRcRd. R2 = C1-10alkyl, C3-10cycloalkyl-C1-4alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4alkyl, aryloxy, arylthio, heteroaryl, and heteroaryl-C1-4alkyl; R3 = H, and C1-4alkyl; R4 = H, and C1-4alkyl; R5 = C1-10alkyl, C2-10alkenyl, C3-10-cycloalkyl-C1-4alkyl, cycloheteroalkyl-C1-4-alkyl, aryl-C1-4-alkyl, diaryl-C1-4alkyl, aryl-C1-4alkenyl, heteroaryl-C1-4alkyl, -ORd, and -NRcRd; addnl. details including provisos are given in the claims.

IT **605676-61-7P**, N-[(1R*,2R*)-2,3-Bis(4-chlorophenyl)-1-methylpropyl]-2-(morpholin-4-yl)-2-(3-pyridyl)acetamide trifluoroacetate
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of substituted amides as antagonists and/or inverse agonists of cannabinoid-1 receptor for therapy)

RN 605676-61-7 CAPLUS

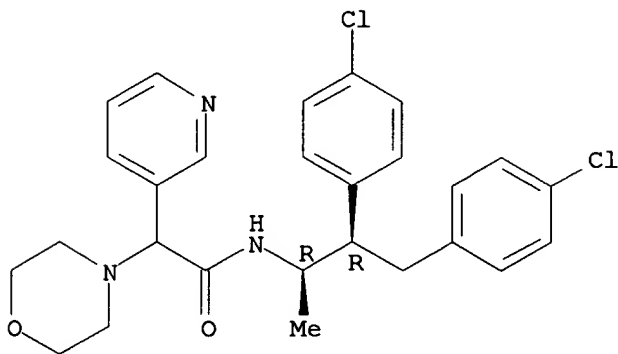
CN 4-Morpholineacetamide, N-[(1R,2R)-2,3-bis(4-chlorophenyl)-1-methylpropyl]- α -3-pyridinyl-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 605676-60-6

CMF C27 H29 Cl2 N3 O2

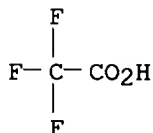
Relative stereochemistry.



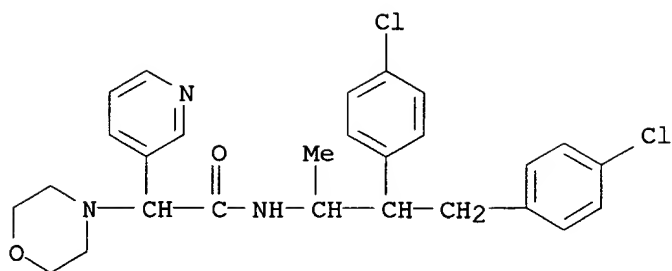
CM 2

CRN 76-05-1

CMF C2 H F3 O2



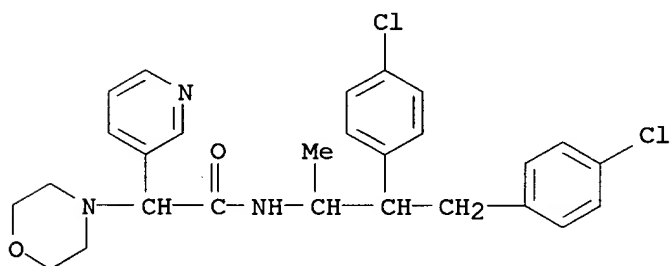
IT **605682-51-7P**, N-[2,3-Bis(4-chlorophenyl)-1-methylpropyl]-2-(morpholin-4-yl)-2-(3-pyridyl)acetamide **605682-52-8P**, N-[2,3-Bis(4-chlorophenyl)-1-methylpropyl]-2-(morpholin-4-yl)-2-(3-pyridyl)acetamide trifluoroacetate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of substituted amides as antagonists and/or inverse agonists of cannabinoid-1 receptor for therapy)
 RN 605682-51-7 CAPLUS
 CN 4-Morpholineacetamide, N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]- α -3-pyridinyl- (9CI) (CA INDEX NAME)



RN 605682-52-8 CAPLUS
 CN 4-Morpholineacetamide, N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-α-3-pyridinyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

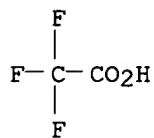
CM 1

CRN 605682-51-7
 CMF C27 H29 Cl2 N3 O2



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



126 ANSWER 41 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

XACCESSION NUMBER: 2003:719694 CAPLUS
 DOCUMENT NUMBER: 139:254455
 TITLE: Artificial receptors, building blocks, and methods
 INVENTOR(S): Carlson, Robert E.
 PATENT ASSIGNEE(S): Receptors LLC, USA
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074990	A2	20030912	WO 2003-US5328	20030219
WO 2003074990	C2	20040122		
WO 2003074990	A3	20040729		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003203405	A1	20031030	US 2002-244727	20020916
CA 2477749	AA	20030912	CA 2003-2477749	20030219
EP 1483578	A2	20041208	EP 2003-709250	20030219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005519124	T2	20050630	JP 2003-573401	20030219
CN 1650163	A	20050803	CN 2003-809930	20030219
US 2004096908	A1	20040520	US 2003-703876	20031107
US 2004101446	A1	20040527	US 2003-703779	20031107
US 2004137481	A1	20040715	US 2003-703660	20031107
US 2004096976	A1	20040520	US 2003-706573	20031111
US 2004110303	A1	20040610	US 2003-706505	20031111
US 2004235051	A1	20041125	US 2003-727059	20031202
US 2005037428	A1	20050217	US 2004-812850	20040329
US 2005037381	A1	20050217	US 2004-813568	20040329
US 2005106630	A1	20050519	US 2004-934865	20040903
US 2006057625	A1	20060316	US 2005-217384	20050901
PRIORITY APPLN. INFO.:			US 2002-360980P	P 20020301
			US 2002-362600P	P 20020308
			US 2002-375655P	P 20020426
			US 2002-400605P	P 20020802
			US 2002-244727	A1 20020916
			WO 2003-US305328	A2 20030219
			WO 2003-US5328	W 20030219
			US 2003-459062P	P 20030328
			US 2003-499752P	P 20030903
			US 2003-499776P	P 20030903
			US 2003-499867P	P 20030903
			US 2003-499965P	P 20030903
			US 2003-499975P	P 20030903

US 2003-500081P	P	20030903
US 2003-526511P	P	20031202
US 2003-526699P	P	20031202
US 2003-526703P	P	20031202
US 2003-526708P	P	20031202
US 2003-527190P	P	20031202
US 2004-812850	A2	20040329
US 2004-813568	A2	20040329
US 2004-813612	A2	20040329
WO 2004-US9649	A2	20040329
US 2004-607438P	P	20040903
US 2004-607457P	P	20040903
US 2004-607458P	P	20040903
US 2004-608557P	P	20040910
US 2004-608654P	P	20040910
US 2004-609160P	P	20040911
US 2004-612666P	P	20040923
US 2004-626770P	P	20041110
US 2005-645582P	P	20050119
US 2005-649729P	P	20050203

OTHER SOURCE(S): MARPAT 139:254455

AB The present invention relates to artificial receptors and arrays or microarrays of artificial receptors or candidate artificial receptors. Each member of the array includes a plurality of building block compds., typically immobilized in a spot on a support. The present invention also includes the building blocks, combinations of building blocks, arrays of building blocks, and receptors constructed of these building blocks together with a support. The present invention also includes methods of making and using these arrays and receptors.

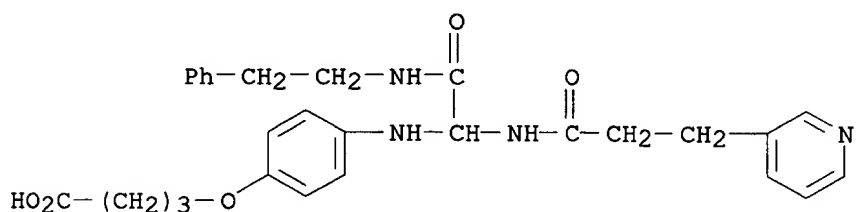
IT **596118-69-3P 596118-78-4P**

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(methods for combinatorial synthesis and use of artificial receptors and building blocks)

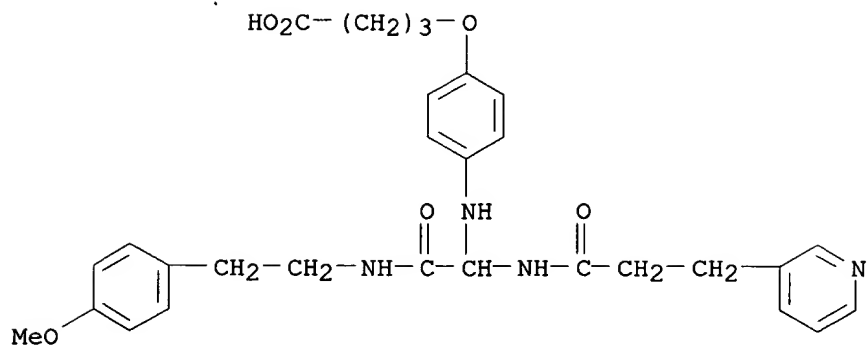
RN 596118-69-3 CAPLUS

CN Butanoic acid, 4-[4-[[2-oxo-1-[[1-oxo-3-(3-pyridinyl)propyl]amino]-2-[(2-phenylethyl)amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 596118-78-4 CAPLUS

CN Butanoic acid, 4-[4-[[2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



~~L26~~ ANSWER 42 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:434357 CAPLUS

DOCUMENT NUMBER: 139:22499

TITLE: Preparation of amino acid derivatives useful for the treatment of Alzheimer's disease

INVENTOR(S): John, Varghese

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045378	A1	20030605	WO 2002-US37360	20021121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2477585	AA	20030605	CA 2002-2477585	20021121
AU 2002356991	A1	20030610	AU 2002-356991	20021121
EP 1458378	A1	20040922	EP 2002-804013	20021121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002014359	A	20041013	BR 2002-14359	20021121
JP 2005514370	T2	20050519	JP 2003-546880	20021121
US 2006079550	A1	20060413	US 2005-496242	20050913
PRIORITY APPLN. INFO.:			US 2001-334692P	P 20011121
			WO 2002-US37360	W 20021121

OTHER SOURCE(S): MARPAT 139:22499

AB Amino acid derivs. R1R2NCH(Cx)-W-NR3R4 [W is (CH2)1-5 or CH2-XX-CH2CH2, where XX is O, NH, alkylimino, S, SO, or SO2; Cx is CO2H or an ester, amide, or alkali metal or alkaline earth metal salt, CH2OH, Fmoc-lysyl-NHCO (Fmoc = 9-fluorenylmethoxycarbonyl), benzyloxycarbonyl, or tetrazolyl; R1, R3 are H, tert-butoxycarbonyl (Boc), alkyl, cycloalkylalkyl, or heterocyclalkyl; R2, R4 are H, CHO, CF3, Ac, benzoyl, Fmoc, Boc, other acyl groups, sulfonyl groups, etc.] as well as isomers and ammonium salts were prepared for treating Alzheimer's and other diseases. Thus, N α -isobutyl-N α -tosyl-N ϵ -(9-fluorenylmethoxycarbonyl)-DL-lysine, prepared via sulfonylation reaction, showed inhibition constant Ki = 5.0 nM.

IT 359781-38-7P 359781-66-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. useful for treatment of Alzheimer's disease)

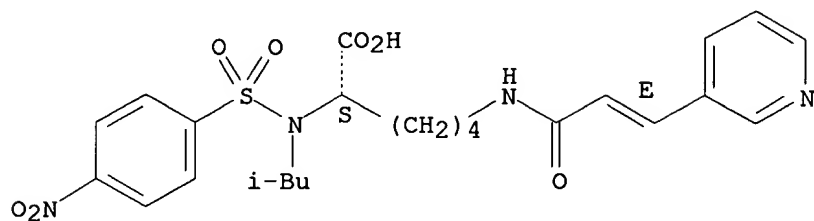
RN 359781-38-7 CAPLUS

CN L-Lysine, N2-(2-methylpropyl)-N2-[(4-nitrophenyl)sulfonyl]-N6-[(2E)-1-oxo-

3-(3-pyridinyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

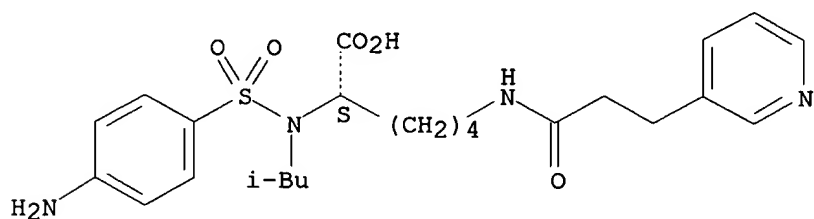
Double bond geometry as shown.



RN 359781-66-1 CAPLUS

CN L-Lysine, N2-[(4-aminophenyl)sulfonyl]-N2-(2-methylpropyl)-N6-[1-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

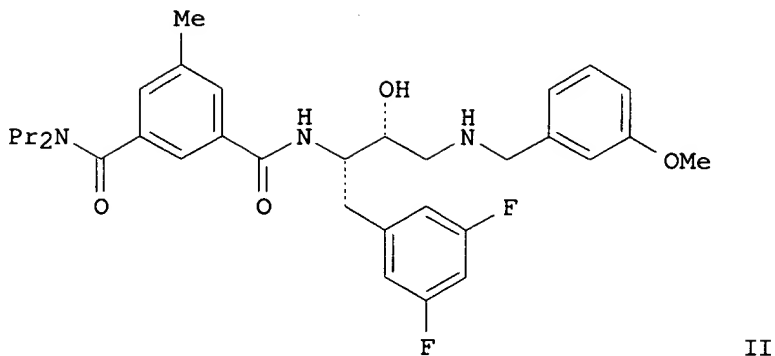
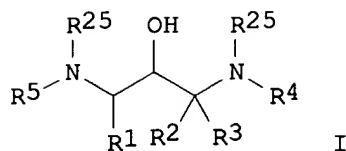
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 43 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376819 CAPLUS
 DOCUMENT NUMBER: 138:385173
 TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
 INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SOURCE: PCT Int. Appl., 1243 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2466284	AA	20030515	CA 2002-2466284	20021108
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004171881	A1	20040902	US 2002-291318	20021108
EP 1453789	A2	20040908	EP 2002-793909	20021108
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002014035	A	20050426	BR 2002-14035	20021108
JP 2005520791	T2	20050714	JP 2003-542142	20021108
CN 1759095	A	20060412	CN 2002-826786	20021108
ZA 2004003578	A	20051010	ZA 2004-3578	20040511
NO 2004002359	A	20040806	NO 2004-2359	20040607
PRIORITY APPLN. INFO.:			US 2001-337122P	P 20011108
			US 2001-344086P	P 20011228
			US 2002-345635P	P 20020103
			WO 2002-US36072	W 20021108
OTHER SOURCE(S):	MARPAT 138:385173			

GI



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO₂, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO₂, (un)substituted CH₂; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC₅₀ of < 20 μ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527714-62-1P 527715-11-3P 527715-72-6P**

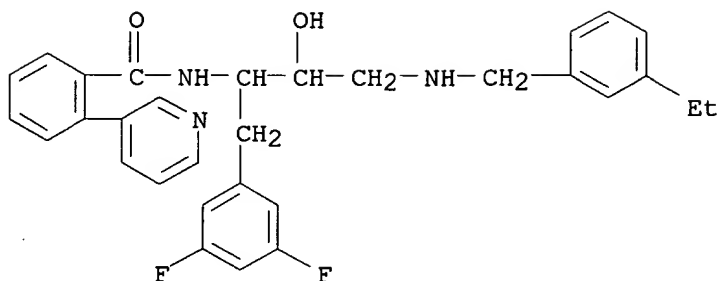
527732-88-3P 527735-23-5P 527735-71-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527714-62-1 CAPLUS

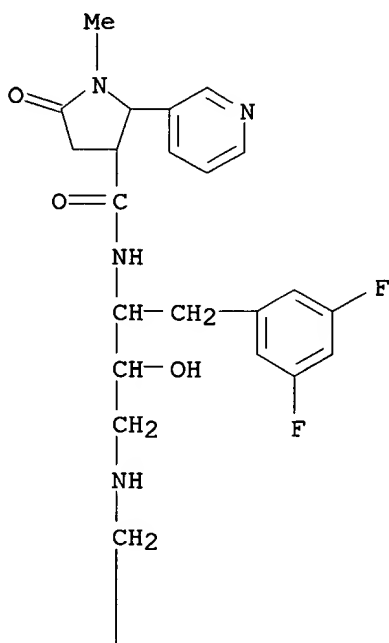
CN Benzamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



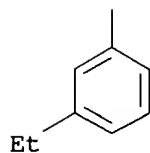
RN 527715-11-3 CAPLUS

CN 3-Pyrrolidinecarboxamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-1-methyl-5-oxo-2-(3-pyridinyl)-9CI] (CA INDEX NAME)

PAGE 1-A



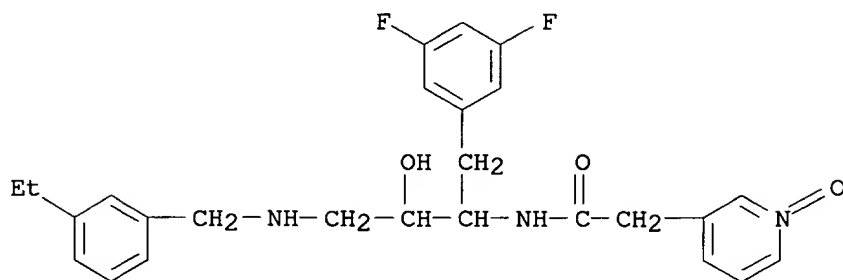
PAGE 2-A



RN 527715-72-6 CAPLUS

CN 3-Pyridineacetamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-1-methyl-5-oxo-2-(3-pyridinyl)-9CI] (CA INDEX NAME)

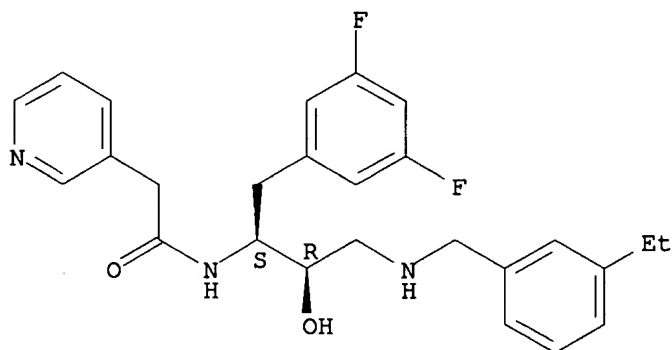
ethylphenyl)methyl]amino]-2-hydroxypropyl]-, 1-oxide (9CI) (CA INDEX NAME)



RN 527732-88-3 CAPLUS

CN 3-Pyridineacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

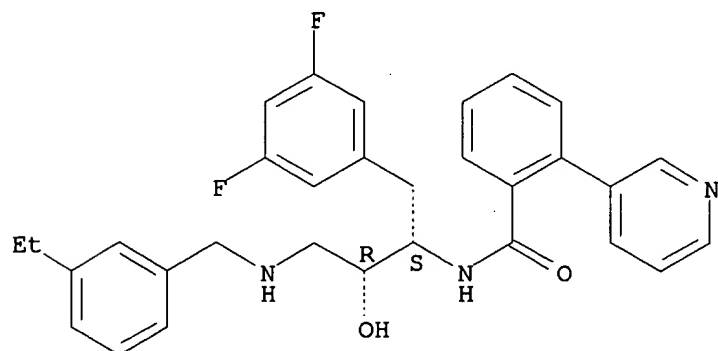
Absolute stereochemistry.



RN 527735-23-5 CAPLUS

CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

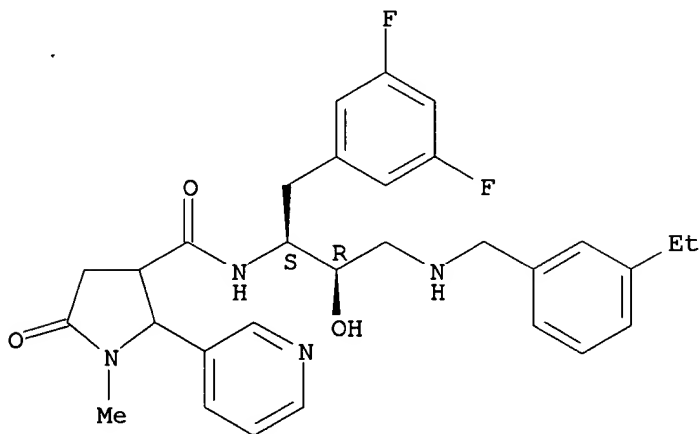
Absolute stereochemistry.



RN 527735-71-3 CAPLUS

CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-1-methyl-5-oxo-2-(3-pyridinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 44 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:133033 CAPLUS

DOCUMENT NUMBER: 138:187773

TITLE: Preparation of aminoisoxazoles as protein kinase inhibitors for treatment of cancer and other proliferative diseases

INVENTOR(S): Cavicchioli, Marcello; Pevarello, Paolo; Salom, Barbara; Vulpetti, Anna

PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy

SOURCE: PCT Int. Appl., 253 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

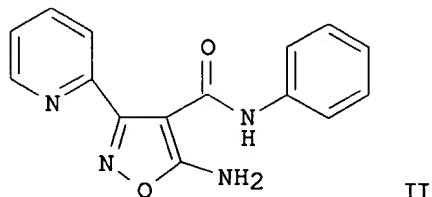
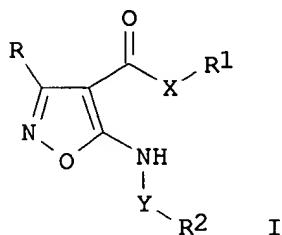
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013517	A1	20030220	WO 2002-EP8634	20020729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2455631	AA	20030220	CA 2002-2455631	20020729
EP 1435948	A1	20040714	EP 2002-779257	20020729
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002011742	A	20040824	BR 2002-11742	20020729
CN 1549714	A	20041124	CN 2002-816939	20020729
JP 2005501073	T2	20050113	JP 2003-518526	20020729
NZ 530782	A	20051125	NZ 2002-530782	20020729
ZA 2004000347	A	20050117	ZA 2004-347	20040116
NO 2004000511	A	20040323	NO 2004-511	20040203
US 2005059657	A1	20050317	US 2004-485871	20041013
PRIORITY APPLN. INFO.:			US 2001-921751	A 20010806
			WO 2002-EP8634	W 20020729

OTHER SOURCE(S): MARPAT 138:187773

GI



AB Title compds. I [wherein R = (un)substituted heteroaryl group optionally

condensed with a carbocycle or heterocycle; X = N(R3); or O; Y = CH(R3), CO, CONH, or SO2; or Y may be a single bond when R2 = H or cycloalkyl; R1 = H or (un)substituted (cyclo)alkyl, aryl(alkyl), or heterocycl(yl)alkyl optionally condensed with a carbocycle or heterocycle; R2 and R3 = independently as defined for R1 or (un)substituted alkenyl or alkynyl; or pharmaceutically acceptable salts thereof] together with pharmaceutical compns. comprising them, as well as methods for their preparation, are disclosed. An addnl. aspect of the present invention relates to the solid phase synthesis of combinatorial libraries of the isoxazolamines. For example, 4-(4-formyl-3-methoxyphenoxy)butyryl AM resin was swollen in CH2Cl2 and treated with aniline, AcOH, and NaBH(OAc)3 to give the 4-[3-methoxy-4-(phenylaminomethyl)phenoxy]butyryl AM resin (no data), which was amidated with cyanoacetic acid. Treatment with (2-pyridyl)hydroxyaminomethyl chloride and LiHMDS in THF to give the isoxazole, followed by removal of the amide from the resin using a solution of THF 20% in anhydrous CH2Cl2 afforded II. I or compns. containing them are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases, and neurodegenerative disorders (no data).

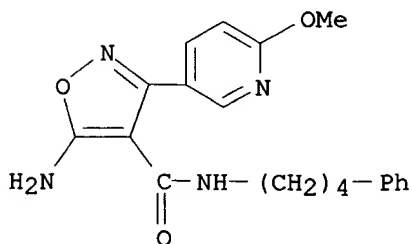
IT **498048-40-1P**, 5-Amino-3-(6-methoxypyridin-3-yl)-N-(4-phenylbutyl)isoxazole-4-carboxamide **498048-56-9P**, 5-Amino-3-(6-methoxypyridin-3-yl)-N-(3-phenylpropyl)isoxazole-4-carboxamide **498049-18-6P**, 5-Amino-N-(3,3-diphenylpropyl)-3-(6-methoxypyridin-3-yl)isoxazole-4-carboxamide **498049-83-5P**, 5-Amino-3-(6-methoxypyridin-3-yl)-N-(1-methyl-3-phenylpropyl)isoxazole-4-carboxamide **498051-11-9P**, 5-Amino-N-(4-phenylbutyl)-3-(pyridin-3-yl)isoxazole-4-carboxamide **498051-37-9P**, 5-Amino-N-(3-phenylpropyl)-3-(pyridin-3-yl)isoxazole-4-carboxamide **498051-99-3P**, 5-Amino-N-(3,3-diphenylpropyl)-3-(pyridin-3-yl)isoxazole-4-carboxamide **498052-43-0P**, 5-Amino-N-(1-methyl-3-phenylpropyl)-3-(pyridin-3-yl)isoxazole-4-carboxamide

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(kinase inhibitor; solid phase preparation of aminoisoxazole protein kinase inhibitors from cyanoacetic acids or amides and hydroxylamines as anticancer and antiproliferative agents)

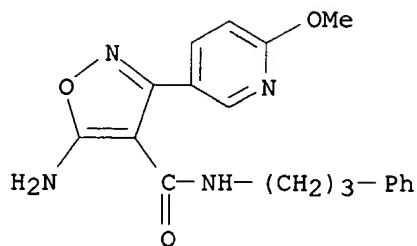
RN 498048-40-1 CAPLUS

CN 4-Isioxazolecarboxamide, 5-amino-3-(6-methoxy-3-pyridinyl)-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



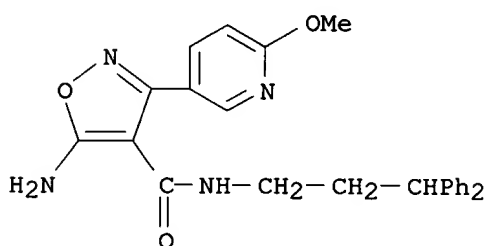
RN 498048-56-9 CAPLUS

CN 4-Isioxazolecarboxamide, 5-amino-3-(6-methoxy-3-pyridinyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



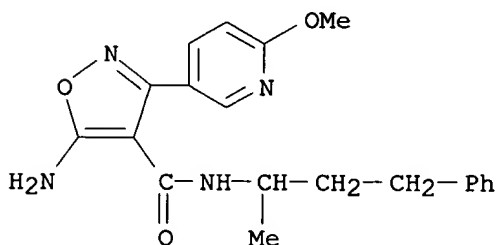
RN 498049-18-6 CAPLUS

CN 4-Isioxazolecarboxamide, 5-amino-N-(3,3-diphenylpropyl)-3-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



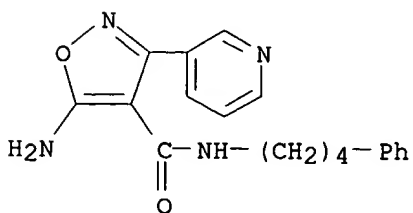
RN 498049-83-5 CAPLUS

CN 4-Isioxazolecarboxamide, 5-amino-3-(6-methoxy-3-pyridinyl)-N-(1-methyl-3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 498051-11-9 CAPLUS

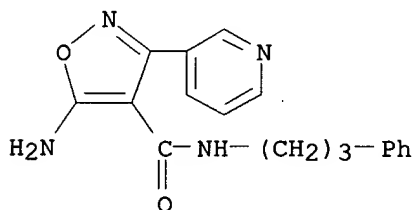
CN 4-Isioxazolecarboxamide, 5-amino-N-(4-phenylbutyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



09/596,086

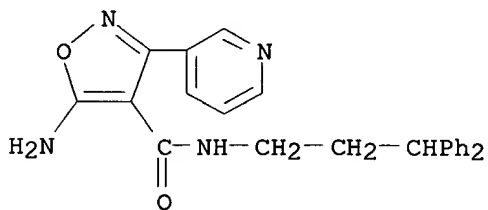
RN 498051-37-9 CAPLUS

CN 4-Isoxazolecarboxamide, 5-amino-N-(3-phenylpropyl)-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)



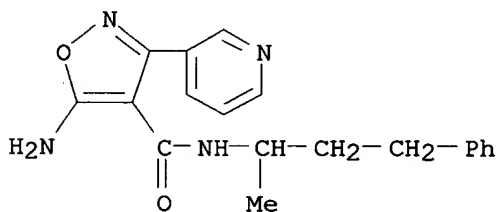
RN 498051-99-3 CAPLUS

CN 4-Isoxazolecarboxamide, 5-amino-N-(3,3-diphenylpropyl)-3-(3-pyridinyl)-
(9CI) (CA INDEX NAME)



RN 498052-43-0 CAPLUS

CN 4-Isoxazolecarboxamide, 5-amino-N-(1-methyl-3-phenylpropyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 45 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:58051 CAPLUS

DOCUMENT NUMBER: 138:136938

TITLE: Preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease

INVENTOR(S): Gailunas, Andrea; Hom, Roy; John, Varghese; Maillard, Michel; Chrusciel, Robert Alan; Fisher, Jed; Jacobs, Jon; Freskos, John N.; Brown, David L.; Fobian, Yvette M.

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

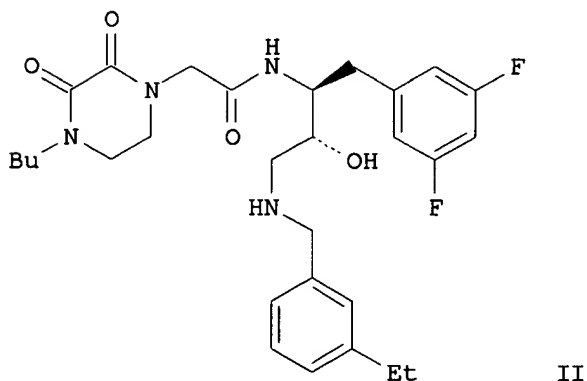
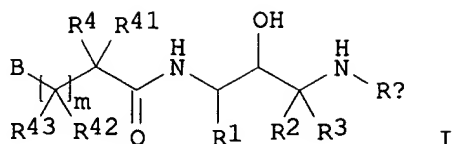
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006423	A1	20030123	WO 2002-US22255	20020711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2453503	AA	20030123	CA 2002-2453503	20020711
US 2003109559	A1	20030612	US 2002-193044	20020711
EP 1409450	A1	20040421	EP 2002-750011	20020711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, IS, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504737	T2	20050217	JP 2003-512195	20020711
NO 2004000139	A	20040226	NO 2004-139	20040112
ZA 2004000243	A	20041027	ZA 2004-243	20040113
PRIORITY APPLN. INFO.:			US 2001-304525P	P 20010711
			US 2001-308756P	P 20010730
			US 2001-341341P	P 20011217
			US 2001-341416P	P 20011217
			US 2001-344872P	P 20011221
			US 2001-380574P	P 20011221
			WO 2002-US22255	W 20020711
OTHER SOURCE(S):	MARPAT 138:136938			
GI				



AB The title compds. [I; m = 0-5; B = (un)substituted (hetero)aryl, (hetero)cycloalkyl; R4, R41 = H, CN, OCF₃, etc.; R4 and R41 together = O; R42, R43 = H, CN, OCF₃, etc.; R42 and R43 together = O; R1 = (CH₂)₁₋₂ S(O)₀₋₂alkyl, substituted alkyl, aryl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkenyl, alkynyl, etc.; R2 and R3 taken together with the carbon atom to which they are attached form 3-7 membered carbocycle where one atom is optionally a heteroatom; R_c = H, alkyl, alkenyl, etc.], useful in treating Alzheimer's disease and other similar diseases characterized by deposition of A beta peptide in a mammal, were prepared E.g., a multi-step synthesis of (1S,2R)-II.HCl, starting from N-butylethylenediamine and di-Et oxalate, was given. The compds. I showed IC₅₀ of < 50 μM against β-secretase. The compds. I are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation.

IT **488845-66-5P**

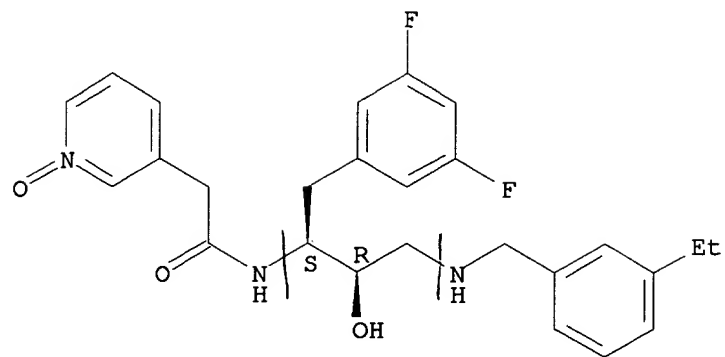
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

RN 488845-66-5 CAPLUS

CN 3-Pyridineacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 46 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:33795 CAPLUS

DOCUMENT NUMBER: 138:89585

TITLE: Preparation of N-phenoxybutylamides or N-thienyloxybutylamides and their use as agrochemicals

INVENTOR(S): Koiso, Akihiro; Ono, Akira; Otaguro, Tsuneyuki

PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003012625	A2	20030115	JP 2001-196463	20010628
PRIORITY APPLN. INFO.:			JP 2001-196463	20010628

OTHER SOURCE(S): MARPAT 138:89585

AB The compds. Q1OCH₂CH₂NHCOQ₂ [I; Q₁ = (un)substituted Ph, substituted thien-2-yl; Q₂ = C₁-5 alkyl, haloalkyl, (un)substituted Ph, (un)substituted aralkyl, naphthyl, etc.] are prepared I (Q₁ = m-CF₃C₆H₄, tetrahydrothien-2-ylmethyl) (0.18 g) was treated with 3-chloroperbenzoic acid in CH₂Cl₂ at room temperature for 4 h to give 0.16 g I (Q₁ = m-CF₃C₆H₄, Q₂ = 1,1-Dioxo-tetrahydrothiophen-2-ylmethyl) showing good herbicidal activity on rice paddy.

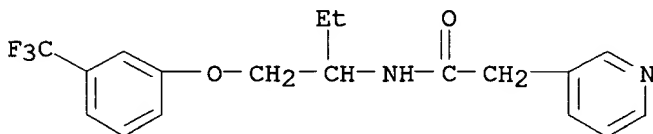
IT **484048-48-8P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxybutylamides or thienyloxybutylamides for agrochems.)

RN 484048-48-8 CAPLUS

CN 3-Pyridineacetamide, N-[1-[[3-(trifluoromethyl)phenoxy]methyl]propyl]-(9CI) (CA INDEX NAME)



L25 ANSWER 47 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:964196 CAPLUS

DOCUMENT NUMBER: 138:39543

TITLE: Preparation of peptide-related hydrazine derivatives for treating Alzheimer's disease

INVENTOR(S): Schostarez, Heinrich; Chrusciel, Robert Alan

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 458 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100410	A1	20021219	WO 2002-US18262	20020607
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2449948	AA	20021219	CA 2002-2449948	20020607
EP 1392315	A1	20040303	EP 2002-732057	20020607
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2005501015	T2	20050113	JP 2003-503231	20020607
US 2005130941	A1	20050616	US 2003-480967	20020607
PRIORITY APPLN. INFO.:			US 2001-296941P	P 20010608
			WO 2002-US18262	W 20020607

OTHER SOURCE(S): MARPAT 138:39543

AB Hydrazine derivs. R1R2NCR3R4CR5R6CH2NR7NR8R9 [R1, R2, R8, R9 = H, acyl, (un)substituted alkyl, alkenyl, or alkynyl, heterocyclyl, sulfo, a sulfonyl, sulfamoyl, or phosphoryl group (R1 ≠ R9 = H) or R1R2N or R8R9N is a heterocyclyl ring; R3, R4, R7 = H, (un)substituted (cyclo)alkyl or alkenyl, aryl, heterocyclyl or R3R4 = (un)substituted alkylene, alkylidene, or benzo-fused alkylene; CR5R6 = CHOH or CO] were prepared for treating Alzheimer's and other diseases, inhibiting β -secretase enzyme, and/or inhibiting deposition of A β peptide in a mammal. Thus, 1-[2(S)-[(2-pyridylcarbonyl)oxy]-3(S)-[[N-quinoline-2-carbonyl)-L-asparaginy]amino]-4-phenylbutyl]-1-(phenylmethyl)-2-[N-(methoxycarbonyl)-L-valyl]hydrazine was prepared by acylation of 1-[2(S)-hydroxy-3(S)-[[N-quinoline-2-carbonyl)-L-asparaginy]amino]-4-phenylbutyl]-1-(phenylmethyl)hydrazine with N-(methoxycarbonyl)-L-valine and 2-picolinoyl chloride.

IT 149266-98-8P 149267-03-8P 149267-25-4P

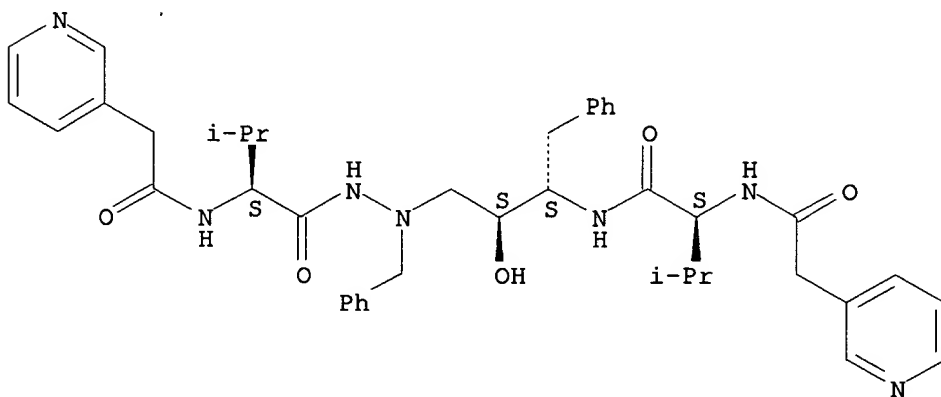
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide-related hydrazine derivs. for treating Alzheimer's disease)

RN 149266-98-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

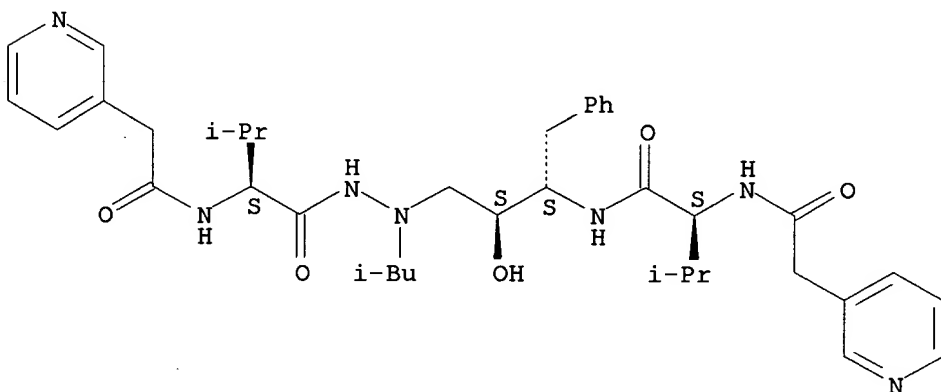
Absolute stereochemistry.



RN 149267-03-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(2-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

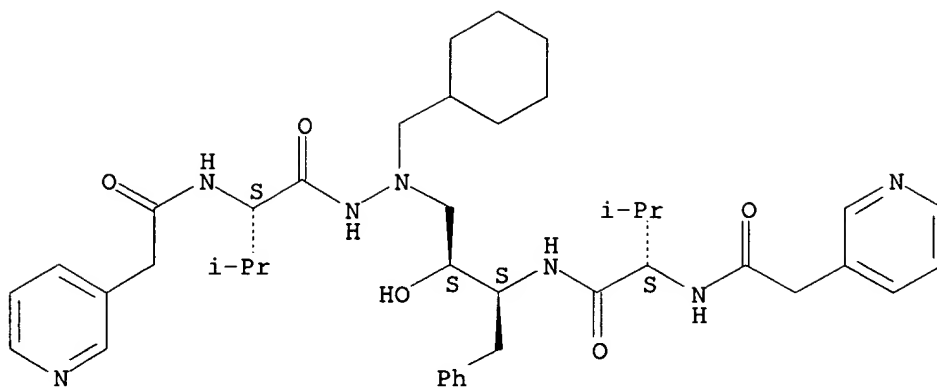
Absolute stereochemistry.



RN 149267-25-4 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-(cyclohexylmethyl)-2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 48 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:888709 CAPLUS

DOCUMENT NUMBER: 137:370364

TITLE: Preparation of peptide amide derivatives containing arginine having affinity and specificity for melanocortin MC4 receptor.

INVENTOR(S): Nakazato, Atsuro; Okubo, Taketoshi; Umemiya, Hiroki

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

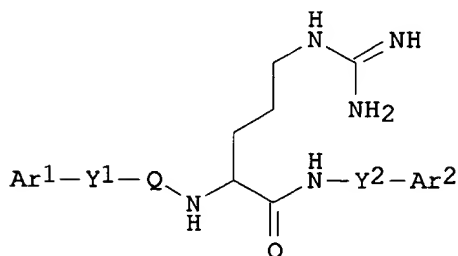
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092566	A1	20021121	WO 2002-JP4666	20020514
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2447314	AA	20021121	CA 2002-2447314	20020514
EP 1388537	A1	20040211	EP 2002-724787	20020514
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
CN 1535264	A	20041006	CN 2002-810094	20020514
US 2004147567	A1	20040729	US 2003-477736	20031114
PRIORITY APPLN. INFO.:			JP 2001-144659	A 20010515
			WO 2002-JP4666	W 20020514

OTHER SOURCE(S): MARPAT 137:370364

GI



AB Arginine derivs. represented by the following general formula (I) or medicinally acceptable salts thereof: [wherein Ar1 and Ar2 are each independently Ph, substituted Ph, naphthyl, substituted naphthyl, or an aromatic heterocyclic group containing one or more atoms selected from among nitrogen, oxygen and sulfur; Y1 is C1-5 alkylene, C2-5 alkenylene, or a single bond, with the proviso that the C1-5 alkylene may contain a carbon

atom substituted with Ph, substituted Ph, naphthyl, substituted naphthyl, or C1-10 acylamino; Q is carbonyl or sulfonyl; and Y2 is C1-5 alkylene which may contain a carbon atom substituted with Ph, substituted Ph, naphthyl, substituted naphthyl, hydroxyl, carbamoyl, mono(C1-5 alkyl)amido, or di(C1-5 alkyl)amido] are prepared. Peptidic ligands are provided, which have affinity and specificity for MC4 receptor. Thus, Boc-Arg(Z2)-OH was condensed with 3-(2-naphthyl)-D-alaninamide using 1-hydroxybenzotriazole monohydrate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and N-methylmorpholine in DMF at room temperature for 3 days to give Boc-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 which was treated with CF3CO2H in CH2Cl2 at room temperature for 2 h to give H-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 which was similarly condensed with Boc-3-(1-naphthyl)-D-Ala-OH to give Boc-3-(1-naphthyl)-D-Ala-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 (II). Similar deprotection of II with CF3CO2H in CH2Cl2 followed by acetylation with Ac2O in pyridine and hydrogenolysis over 20% Pd(OH)2/C in MeOH for 2 days gave Ac-3-(1-naphthyl)-D-Ala-Arg-3-(2-naphthyl)-D-Ala-NH2 (III). III showed IC50 of 690 nM for inhibiting the binding of [125I]Nle4-D-Phe7- α -MSH to a membrane preparation from HEK-293 cell expressing human MC4 receptor. A total of 559 I di- and tripeptide amide derivs. were prepared

IT 475498-96-5P 475498-97-6P 475498-98-7P
 475498-99-8P 475499-00-4P 475499-01-5P
 475499-02-6P 475499-03-7P 475499-08-2P
 475499-09-3P 475499-10-6P 475499-11-7P
 475499-12-8P 475499-13-9P 475499-14-0P
 475499-15-1P 475499-92-4P 475499-93-5P
 475499-98-0P 475499-99-1P 475500-00-6P
 475500-01-7P 475500-06-2P 475500-07-3P

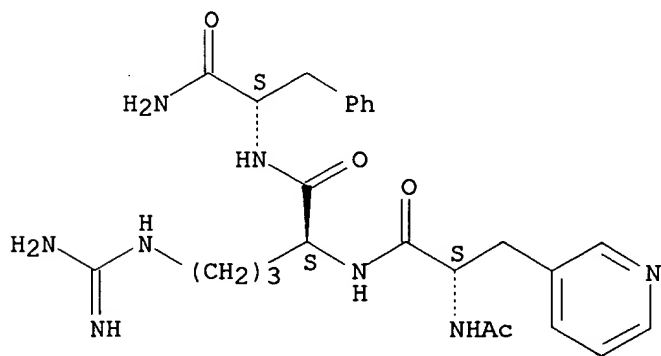
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tripeptide amide derivs. containing arginine as ligands having specific affinity for melanocortin MC4 receptor.)

RN 475498-96-5 CAPLUS

CN L-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- (9CI)
 (CA INDEX NAME)

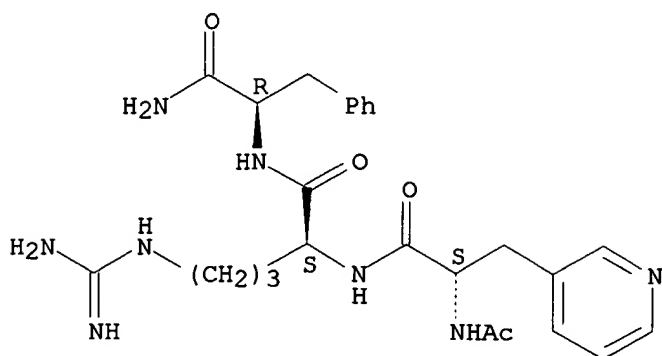
Absolute stereochemistry.



RN 475498-97-6 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- (9CI)
 (CA INDEX NAME)

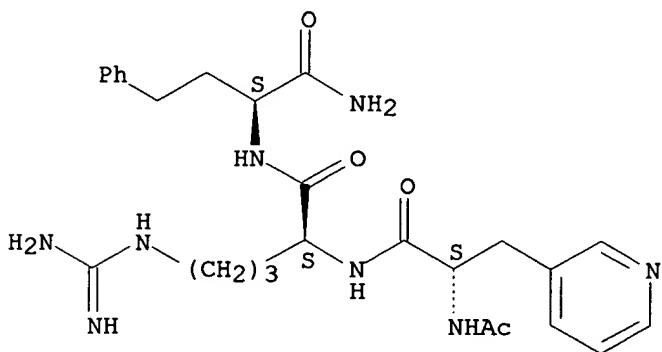
Absolute stereochemistry.



RN 475498-98-7 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- α -amino-, (α S)- (9CI) (CA INDEX NAME)

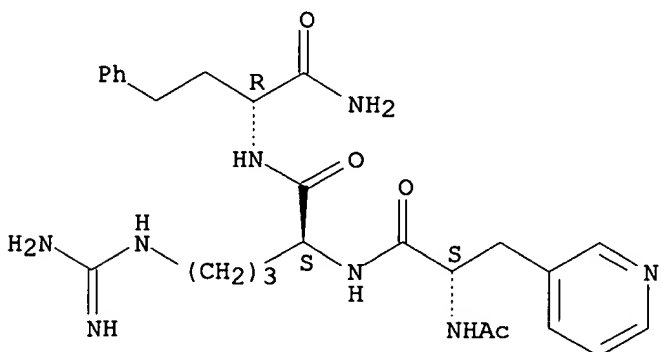
Absolute stereochemistry.



RN 475498-99-8 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl- α -amino-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

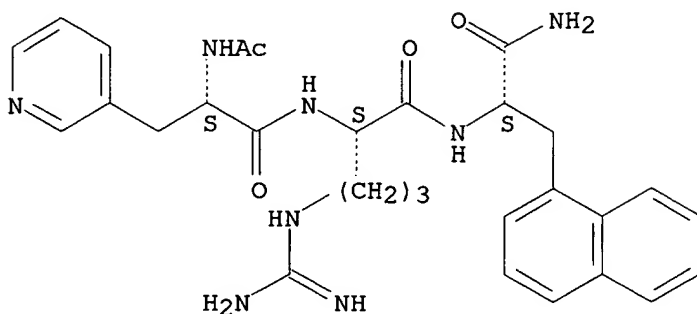


RN 475499-00-4 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(1-

naphthalenyl)-(9CI) (CA INDEX NAME)

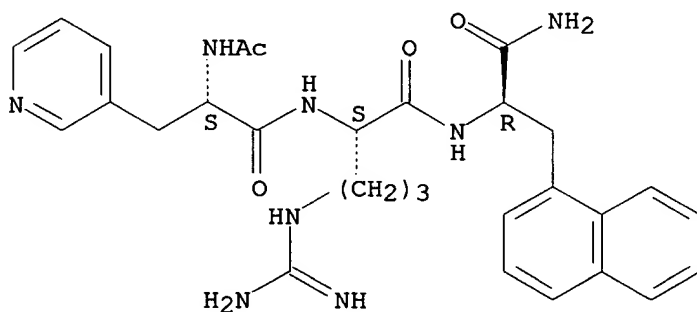
Absolute stereochemistry.



RN 475499-01-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(1-naphthalenyl)-(9CI) (CA INDEX NAME)

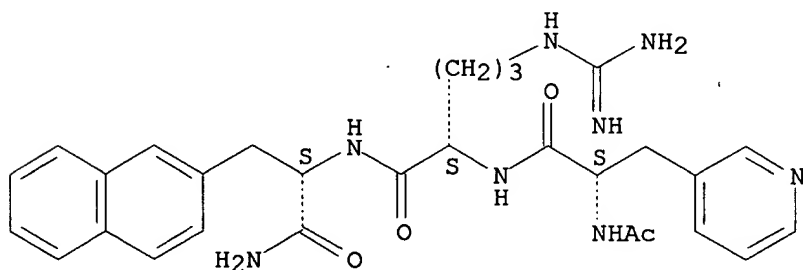
Absolute stereochemistry.



RN 475499-02-6 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(2-naphthalenyl)-(9CI) (CA INDEX NAME)

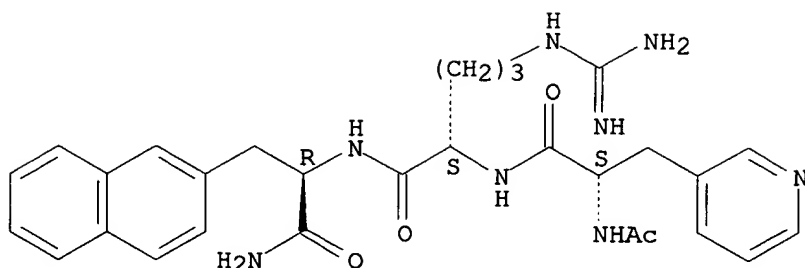
Absolute stereochemistry.



RN 475499-03-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-(2-naphthalenyl)-(9CI) (CA INDEX NAME)

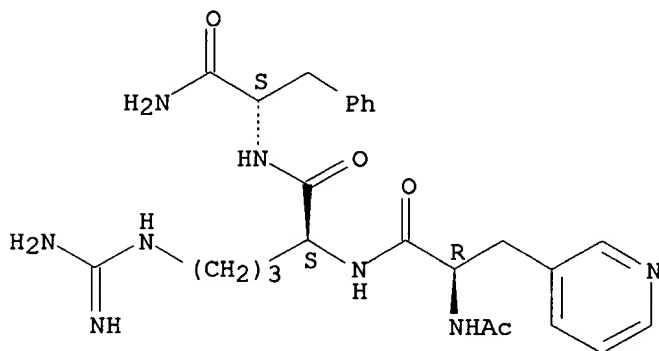
Absolute stereochemistry.



RN 475499-08-2 CAPLUS

CN L-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

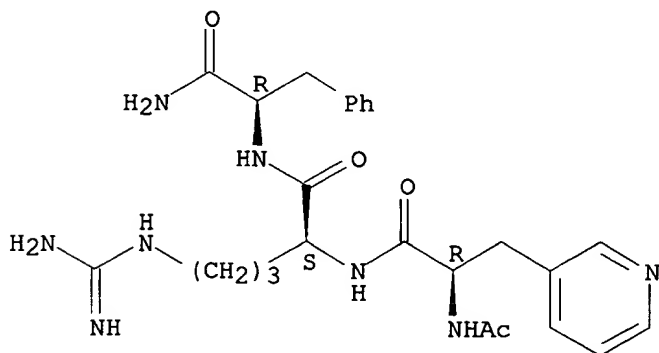
Absolute stereochemistry.



RN 475499-09-3 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

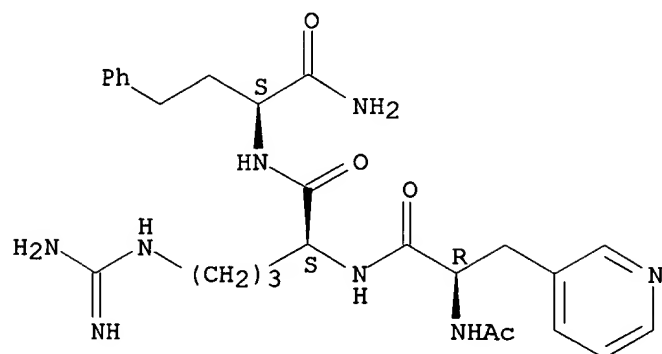
Absolute stereochemistry.



RN 475499-10-6 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-α-amino-, (αS)- (9CI) (CA INDEX NAME)

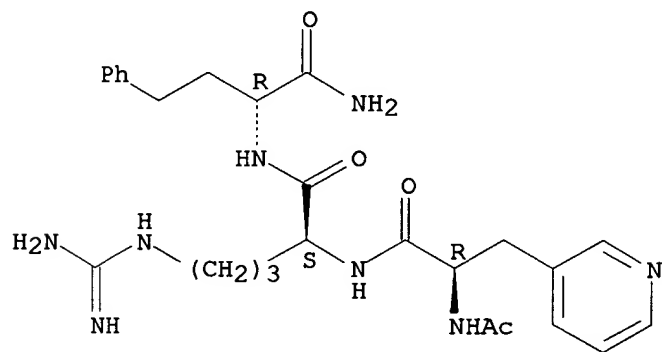
Absolute stereochemistry.



RN 475499-11-7 CAPLUS

CN Benzenebutanamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-α-amino-, (αR)- (9CI) (CA INDEX NAME)

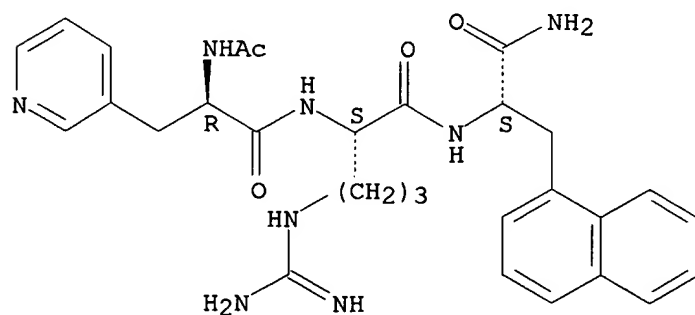
Absolute stereochemistry.



RN 475499-12-8 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

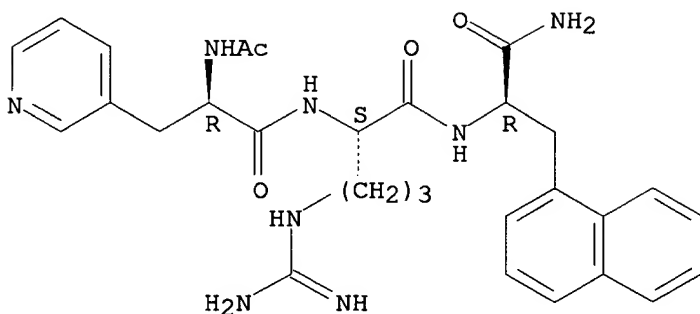
Absolute stereochemistry.



RN 475499-13-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

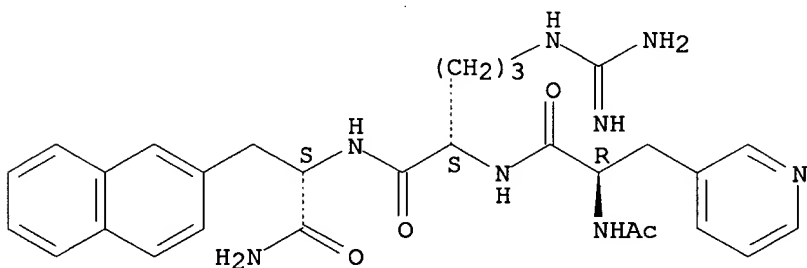
Absolute stereochemistry.



RN 475499-14-0 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

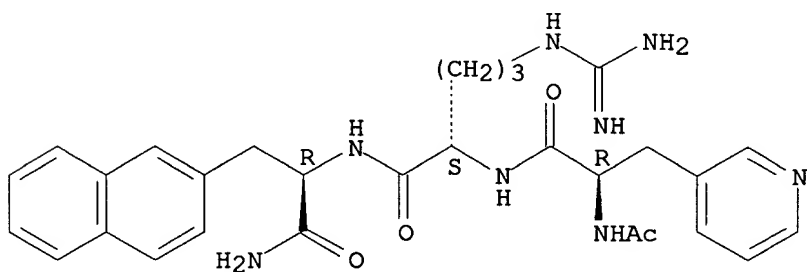
Absolute stereochemistry.



RN 475499-15-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

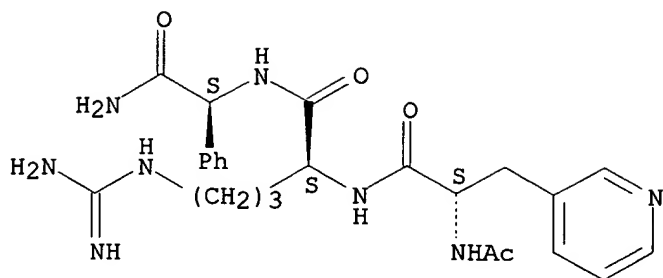
Absolute stereochemistry.



RN 475499-92-4 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

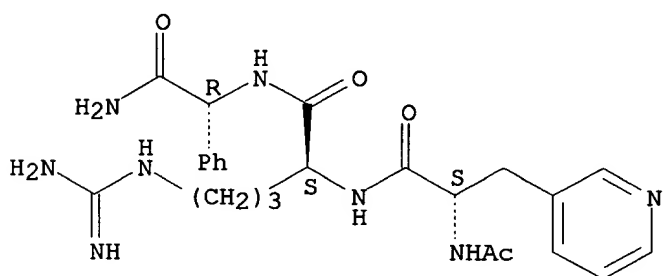
Absolute stereochemistry.



RN 475499-93-5 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

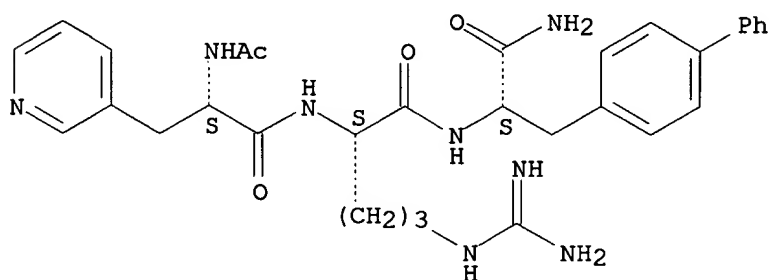
Absolute stereochemistry.



RN 475499-98-0 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-3-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)

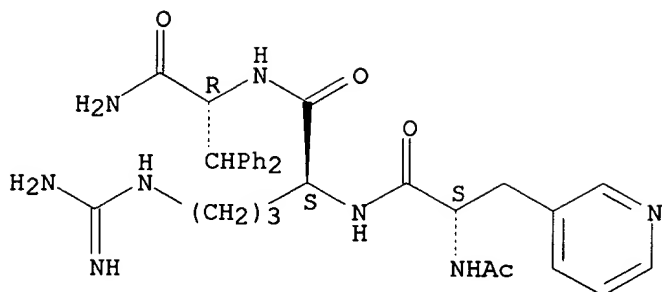
Absolute stereochemistry.



RN 475499-99-1 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-L-alanyl-L-arginyl-beta-phenyl- (9CI) (CA INDEX NAME)

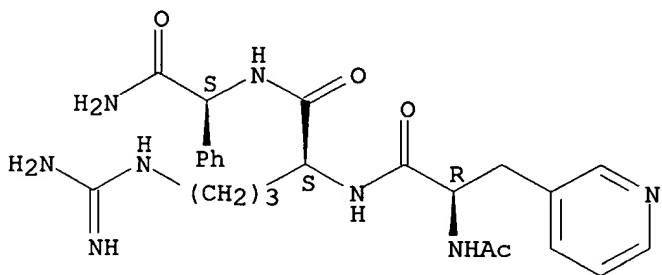
Absolute stereochemistry.



RN 475500-00-6 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-2-phenyl-, (2S)-
(9CI) (CA INDEX NAME)

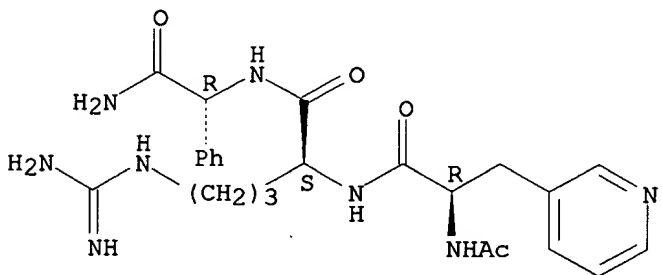
Absolute stereochemistry.



RN 475500-01-7 CAPLUS

CN Glycinamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-2-phenyl-, (2R)-
(9CI) (CA INDEX NAME)

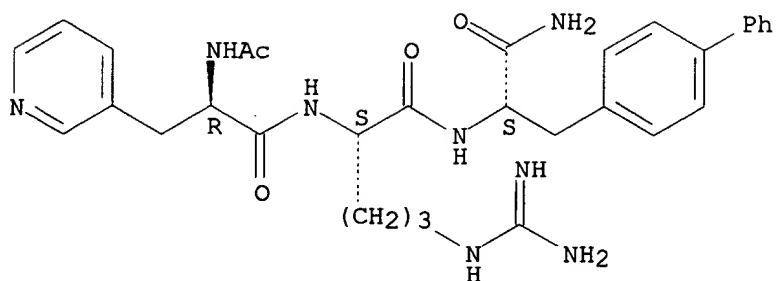
Absolute stereochemistry.



RN 475500-06-2 CAPLUS

CN L-Alaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-3-[1,1'-
biphenyl]-4-yl- (9CI) (CA INDEX NAME)

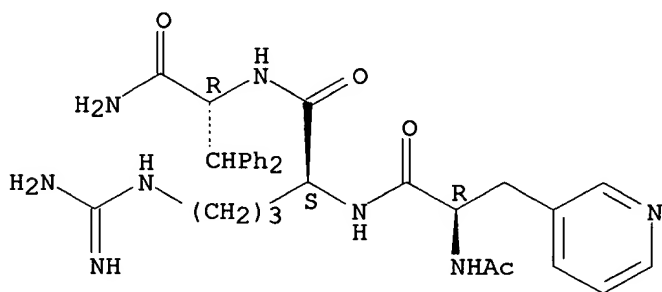
Absolute stereochemistry.



RN 475500-07-3 CAPLUS

CN D-Phenylalaninamide, N-acetyl-3-(3-pyridinyl)-D-alanyl-L-arginyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



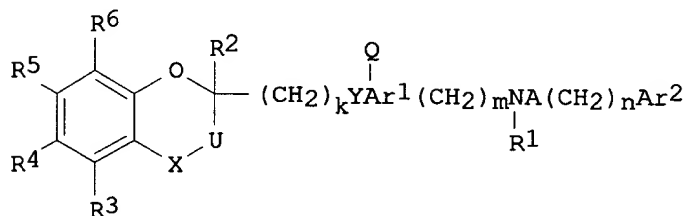
REFERENCE COUNT:

47

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

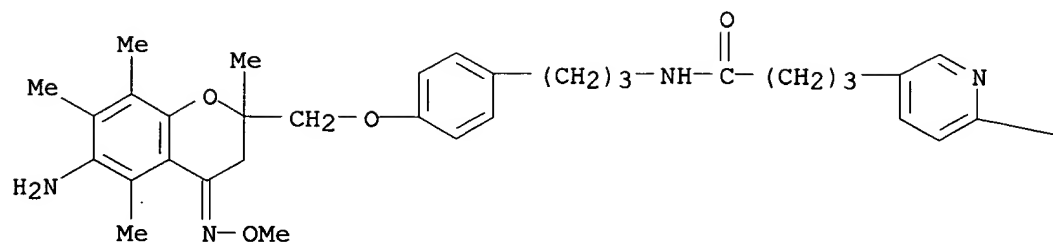
126 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:728847 CAPLUS
 DOCUMENT NUMBER: 137:257628
 TITLE: Antitumor agents containing novel chroman derivatives
 INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;
 Kurakata, Shinichi
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 101 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275064	A2	20020925	JP 2002-5560	20020115
PRIORITY APPLN. INFO.:			JP 2001-6574	A 20010115
OTHER SOURCE(S):	MARPAT 137:257628			
GI				



AB The invention provides chroman derivs. I (R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, etc.; R3, R4, R5, R6 = H, C1-6 alkyl, etc.; X = single bond, CO, C:NOR7, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, etc.; A = CO, SO2; U = CH2, etc.; Y = O, S; Q = H, nitro, OH, etc.; k = 1-6; m, n = 0-8; Ar1 = benzene ring, etc.; Ar2 = benzene ring, etc.) as antitumor agents. The antitumor effect of N-[2-[4-(6-acetoxy-4-oxo-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]ethyl]-nicotinamide in SK-N-MC and D283-Med cells was examined Also, a capsule containing
 N-[4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-nicotinamide 100 mg was prepared
 IT **461657-79-4**
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (chroman derivs. as antitumor agents)
 RN 461657-79-4 CAPLUS
 CN 3-Pyridinebutanamide, 6-amino-N-[3-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—NH₂

~~186~~ ANSWER 50 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:695975 CAPLUS

DOCUMENT NUMBER: 137:232913

TITLE: Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors

INVENTOR(S): Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

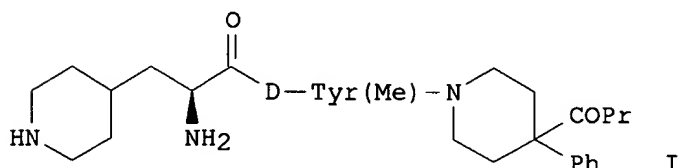
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070511	A1	20020912	WO 2002-US6479	20020302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437594	AA	20020912	CA 2002-2437594	20020302
EP 1363898	A1	20031126	EP 2002-723310	20020302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005511475	T2	20050428	JP 2002-569831	20020302
US 2003092732	A1	20030515	US 2002-90582	20020304
US 6979691	B2	20051227		
US 2003096827	A1	20030522	US 2002-90288	20020304
US 6713487	B2	20040330		
US 2004229882	A1	20041118	US 2003-696761	20031029
US 2006025403	A1	20060202	US 2005-199464	20050808
PRIORITY APPLN. INFO.:			US 2001-273206P	P 20010302
			US 2001-273291P	P 20010302
			WO 2002-US6479	W 20020302
			US 2002-90288	A3 20020304
			US 2002-90582	A3 20020304

OTHER SOURCE(S): MARPAT 137:232913

GI



AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH;

R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

IT 457902-70-4P 457903-25-2P

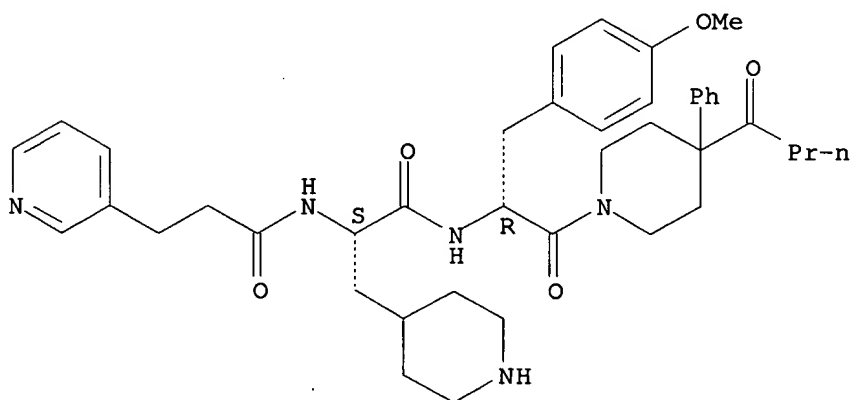
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 457902-70-4 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S)-2-[[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxo-1-(4-piperidinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

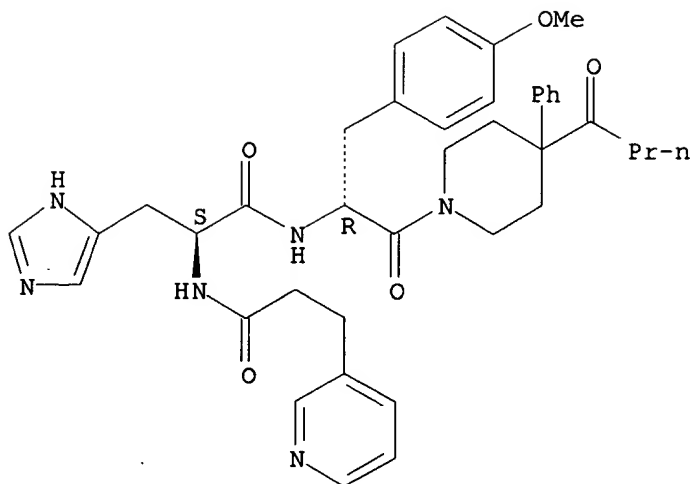
Absolute stereochemistry.



RN 457903-25-2 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S)-1-(1H-imidazol-4-ylmethyl)-2-[[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 51 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637642 CAPLUS

DOCUMENT NUMBER: 137:185836

TITLE: Preparation of HIV protease inhibitors based on amino acid derivatives

INVENTOR(S): Stranix, Brent Richard; Sauve, Gilles; Bouzide, Abderrahim; Cote, Alexandre; Berube, Gervais; Soucy, Patrick; Zhao, Yongsen; Yelle, Jocelyn

PATENT ASSIGNEE(S): Pharmacor Inc., Can.

SOURCE: PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064551	A1	20020822	WO 2002-CA190	20020212
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002151546	A1	20021017	US 2001-781219	20010213
US 6506786	B2	20030114		
CA 2440931	AA	20020822	CA 2002-2440931	20020212
EP 1377542	A1	20040107	EP 2002-704504	20020212
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003119803	A1	20030626	US 2002-244383	20020917
US 6656965	B2	20031202		
US 2003144265	A1	20030731	US 2002-244644	20020917
US 6610689	B2	20030826		
US 6608100	B1	20030819	US 2002-244622	20020917
US 2003195159	A1	20031016	US 2002-244672	20021024
US 6677367	B2	20040113		
PRIORITY APPLN. INFO.:			US 2001-781219	A 20010213
			WO 2002-CA190	W 20020212

OTHER SOURCE(S): MARPAT 137:185836

AB Compds. R1R2NCH(Cx)(CH2)nNHC(:Y)CHR5NR3R4 [n = 3 or 4; Y = O, S, or NCN; Cx = CO2M, CO2R6, CHO, CH2OR7, CH2OCOR8, CONHR9, or CONR10R11 (M is an alkali metal or alkaline-earth metal; R6 = H, alkyl, glycol; R7, R10, R11 = H, alkyl; R8 = alkyl, cycloalkyl, cycloalkylalkyl; R9 = H, alkyl, OH, NH2, CH2CH2OH); R1 = alkyl, cycloalkylalkyl; R2 = (un)substituted phenylsulfonyl or 2-thienylsulfonyl; R3 = H, alkyl, Ph, or benzyl; R4 is a sulfonyl group (including those defined for R2, hexylsulfonyl, 2-piperidinoethylsulfonyl, and 3-quinolylsulfonyl), alkanoyl, or cycloalkylalkanoyl; R5 = H, alkyl, HOCH2, PhCH2OCH2, HO2CCH2, etc.; or R3R5 = CH2-X-CH2, where X = CH2, CHOH, o-phenylene, or 1,3-indolediyl] or their pharmaceutically-acceptable salts were prepared as HIV protease inhibitors. Thus, Na-isobutyl-Na-tosyl-Na-(Na-tosyl-L-phenylalanyl)-L-lysine was prepared by peptide coupling in solution and showed Ki = 1.1 nM for inhibition of HIV protease.

IT 449805-66-7P 449805-95-2P

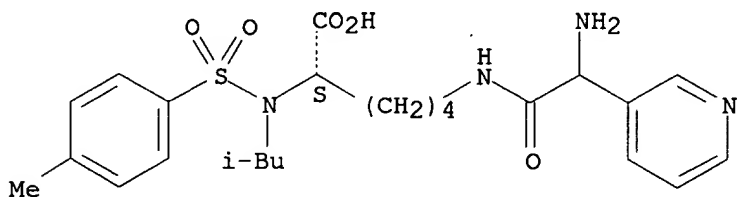
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of HIV protease inhibitors based on amino acid derivs.)

RN 449805-66-7 CAPLUS

CN L-Lysine, N2-[(4-methylphenyl)sulfonyl]-N2-(2-methylpropyl)-N6-[2-(3-pyridinyl)glycyl]- (9CI) (CA INDEX NAME)

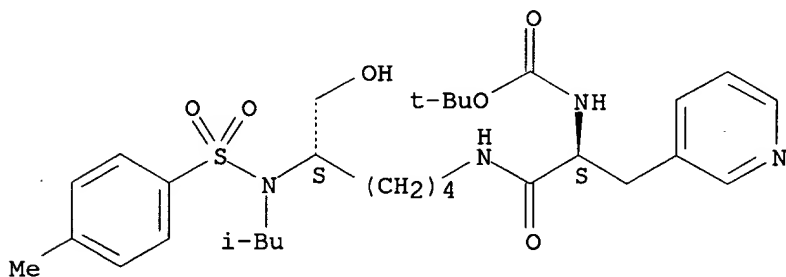
Absolute stereochemistry.



RN 449805-95-2 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(5S)-6-hydroxy-5-[[[(4-methylphenyl)sulfonyl](2-methylpropyl)amino]hexyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 52 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:575744 CAPLUS

DOCUMENT NUMBER: 137:135069

TITLE: Method for reducing or preventing the establishment, growth or metastasis of cancer by administering indole peptidomimetics PAR-1 antagonist and optionally PAR-2 antagonists

INVENTOR(S): D'Andrea, Michael; Derian, Claudia; Woodrow, Hal Brent USA

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 603,231.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103138	A1	20020801	US 2001-865824	20010525
US 6858577	B1	20050222	US 2000-603231	20000626
US 2003224999	A1	20031204	US 2003-403542	20030331
PRIORITY APPLN. INFO.:			US 1999-141550P	P 19990629
			US 2000-603231	A2 20000626

OTHER SOURCE(S): MARPAT 137:135069

AB The authors have discovered a method of modifying the tumor cell microenvironment to reduce or prevent the establishment, growth or metastasis of malignant cells comprising administering to a patient having malignant cells a pharmaceutically effective amount of a PAR-1 (proteinase-activated receptor 1) inhibitor and optionally a PAR-2 (proteinase-activated receptor 2) inhibitor to prevent or reduce activation of normal cells within the tumor microenvironment. This method also has the effect in some patients of modulating the immune system to facilitate a more efficient immune response to malignant cells and maybe coupled with cytokine therapy and T-cell therapy to enhance the patient's immune response to the malignant cells.

IT **316150-05-7P**, L-Argininamide, N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-(phenylmethyl)- **316152-00-8P**, 3-Pyridinepropanamide, N-[(1S)-3-amino-1-[[(phenylmethyl)amino]carbonyl]propyl]-α-[[[1-[(2,6-dichlorophenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]amino]-, (αS)-

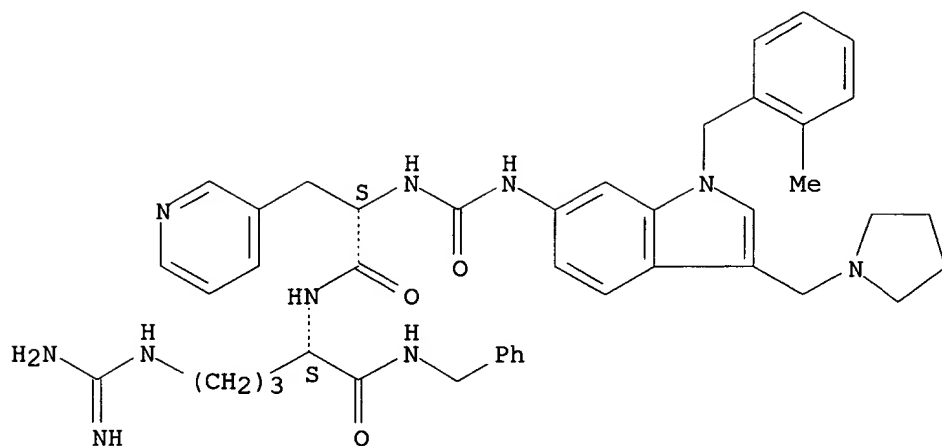
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibition of growth or metastasis of cancer by administering indole peptidomimetics PAR-1 antagonists and combined with PAR-2 antagonists and other agents in relation to immunostimulant activity)

RN 316150-05-7 CAPLUS

CN L-Argininamide, N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

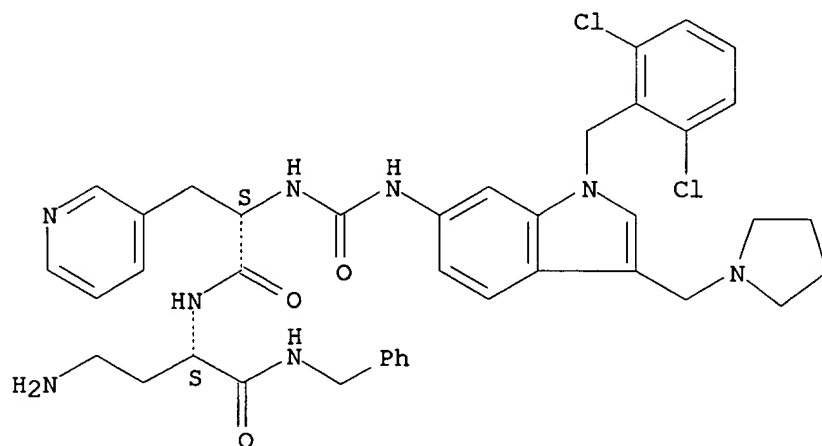
Absolute stereochemistry.



RN 316152-00-8 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S)-3-amino-1-[[(phenylmethyl) amino] carbonyl]propyl]- α -[[[1-[(2,6-dichlorophenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~L26~~ ANSWER 53 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:552324 CAPLUS

DOCUMENT NUMBER: 137:109488

TITLE: Preparation of peptidyl calcium channel blockers

INVENTOR(S): Booth, Richard John; Brogley, Louis; Cody, Wayne
Livingston; Connor, David Thomas; Hamilton, Harriet
Wall; He, John Xiaoqiang; Hu, Lain-Yen; Lescosky,
Leonard Joseph; Malone, Thomas Charles; Nadasdi,
Laszlo; Rafferty, Michael Francis; Roth, Bruce David;
Silva, Diego F.; Song, Yuntao; Szoke, Balazs G.; Urge,
Laszlo

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Neurex Corporation

SOURCE: U.S., 86 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6423689	B1	20020723	US 1998-212785	19981216
PRIORITY APPLN. INFO.:			US 1997-68485P	P 19971222

OTHER SOURCE(S): MARPAT 137:109488

AB Peptides R5CONHCR1R7CONHCR2(CH2-p-C6H4-Y-R4)COR3 [R1 = alkyl, benzyl, H, indolylmethyl, Q-(CH2)n (Q = alkylthio, substituted Ph, cycloalkyl, heteroaryl; n = 0-5); R2 = H, alkyl; R3 = alkoxy, Ph(CH2)nO, NH2, alkylamino, cycloalkyl, etc.; R4 = Q(CH2)n, where Q = (un)substituted Ph, NH2, dialkylamino, pyridyl, etc.; R5 = N(CH2)m (m = 2-7); R7 = H, alkyl; Y = O, NR4, NH, absent, CH:CH, C.tplbond.C] or their pharmaceutically acceptable salts, esters, amides, and prodrugs were prepared as calcium channel blockers. Pharmaceutical compns. containing these compds. can be used to treat stroke, cerebral ischemia, head trauma, or epilepsy. Thus, [S-(R*,R*)]-2-[2-[(azepane-1-carbonyl)amino]-4-methylpentanoylamino]-3-(4-benzyloxy-phenyl)propionic acid tert-Bu ester was prepared via amidation reaction and showed IC50 = 0.35 μ M for inhibition of calcium flux in IMR-32 cells and protected 5/5 mice from tonic convulsions at 30 mg/kg at 15 min posttreatment time. The syntheses of 271 compds. of the invention are described in the examples and > 200 addnl. compds. are given in the claims.

IT **443691-08-5P**

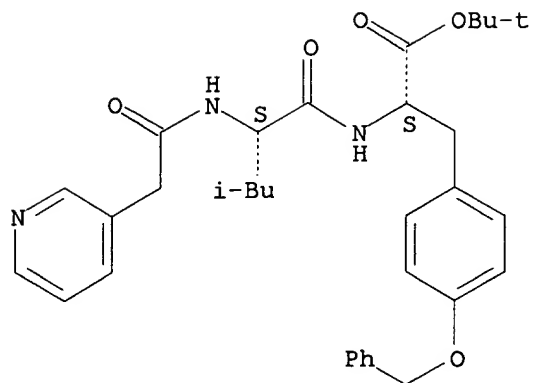
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl calcium channel blockers)

RN 443691-08-5 CAPLUS

CN L-Tyrosine, N-(3-pyridinylacetyl)-L-leucyl-O-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

L26 ANSWER 54 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:408652 CAPLUS

DOCUMENT NUMBER: 136:402024

TITLE: Preparation of decahydroisoquinoline-3-carboxamide
amino acid derivatives as HIV protease inhibitors
INVENTOR(S): Martin, Joseph Armstrong; Redshaw, Sally; Swallow,
Steven; Thomas, Gareth John

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

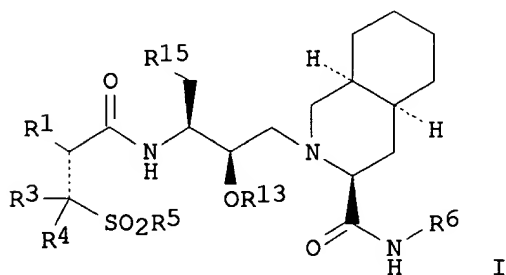
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042277	A1	20020530	WO 2001-EP13068	20011112
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2428459	AA	20020530	CA 2001-2428459	20011112
AU 2002029546	A5	20020603	AU 2002-29546	20011112
EP 1339692	A1	20030903	EP 2001-990405	20011112
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001015566	A	20030930	BR 2001-15566	20011112
JP 2004520283	T2	20040708	JP 2002-544412	20011112
NZ 525550	A	20041126	NZ 2001-525550	20011112
RU 2265016	C2	20051127	RU 2003-117468	20011112
US 6472404	B1	20021029	US 2001-999082	20011120
NO 2003002290	A	20030521	NO 2003-2290	20030521
BG 107839	A	20040630	BG 2003-107839	20030522
PRIORITY APPLN. INFO.:			GB 2000-28483	A 20001122
			WO 2001-EP13068	W 20011112

OTHER SOURCE(S): MARPAT 136:402024

GI



AB Isoquinolinecarboxamide compds. I [R1 = H, OH or NHR2, where R2 = H, alkyl, alkenyl, alkynyl, arylalkyl, heterocyclalkyl, cycloalkyl, alkyl- or arylcarbonyl or -sulfonyl, carbamoyl, etc.; R3, R4 = alkyl or R3R4C is a carbocycle; R5 = alkyl, arylalkyl, heterocyclalkyl or R4 and R5 taken together with the carbon and sulfur atom to which they are attached form a heterocycle; R6 = alkyl, arylalkyl, heterocyclalkyl, alkyloxyalkyl, hydroxyalkyl, aminoalkyl, fluoroalkyl; R13 = H or the residue of an inorg. or an organic ester; R15 = aryl; with the proviso that if R3, R4 and R5 are Me, R6 is tert-Bu, R13 is H and R15 is Ph, R2 is not benzyloxycarbonyl or 2-quinolinecarbonyl] were prepared as HIV protease inhibitors. Thus, I (R1 = 3-pyridyloxyacetamido; R3, R4 = Me; R6 = tert-Bu; R13 = H; R15 = Ph) was prepared by acylation of N-tert-butyl-1,2,3,4,4a(S),5,5,6,7,8,8a(S)-decahydro-2-[2(R)-hydroxy-3(S)-[[3-(methanesulfonyl)-L-valyl]amino]-4-phenylbutyl]-3(S)-isoquinolinecarboxamide (preparation given) with (3-pyridyloxy)acetic acid trifluoroacetate. The product showed IC50 = 0.6 and 17 nM in the HIV protease inhibition and antiviral assays, resp.

IT **431896-65-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

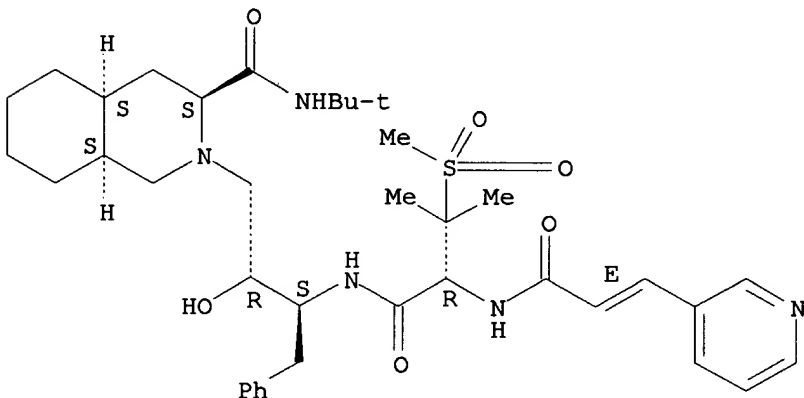
(preparation of decahydroisoquinolinecarboxamide amino acid derivs. as HIV protease inhibitors)

RN 431896-65-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(1,1-dimethylethyl)decahydro-2-[(2R,3S)-2-hydroxy-3-[[[(2R)-3-methyl-3-(methylsulfonyl)-1-oxo-2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]amino]-4-phenylbutyl]-, (3S,4aS,8aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 55 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:314915 CAPLUS

DOCUMENT NUMBER: 136:340700

TITLE: Preparation of 4-[3-heteroaryloxy-2-hydroxypropyl]-1-piperazineacetamides as P-glycoprotein and/or MRP1 inhibitors for treating multidrug resistance

INVENTOR(S): Degenhardt, Charles Raymond; Eickhoff, David Joseph

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

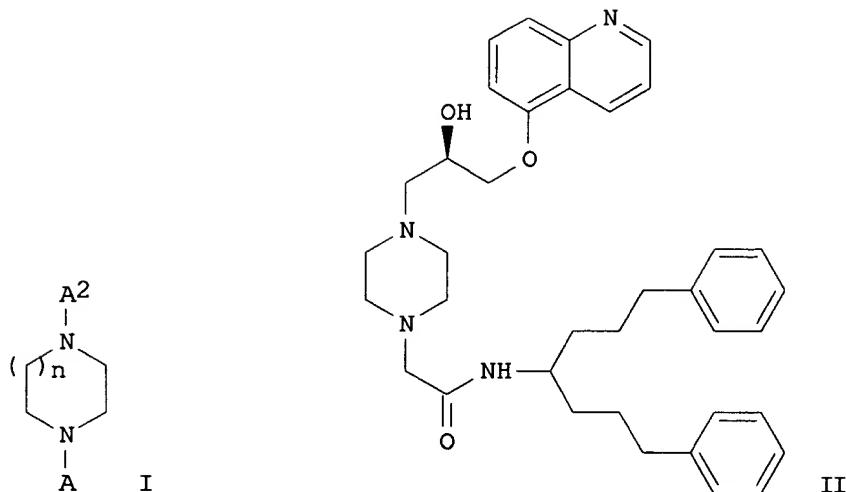
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032874	A2	20020425	WO 2001-US32422	20011016
WO 2002032874	C1	20031113		
WO 2002032874	A3	20020725		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002123498	A1	20020905	US 2000-740391	20001219
US 6693099	B2	20040217		
CA 2420996	AA	20020425	CA 2001-2420996	20011016
AU 2002013336	A5	20020429	AU 2002-13336	20011016
EP 1326840	A2	20030716	EP 2001-981711	20011016
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004513097	T2	20040430	JP 2002-536058	20011016
US 2004132722	A1	20040708	US 2003-741270	20031219
PRIORITY APPLN. INFO.:			US 2000-241127P	P 20001017
			US 2000-740391	A 20001219
			WO 2001-US32422	W 20011016

OTHER SOURCE(S): MARPAT 136:340700

GI



AB Title compds. I [wherein n = 1-3; A = A1 or A3; A1 = (CR1R1)xD1OyD2zR2; R1 = independently H, OH, (un)substituted hydrocarbon, heterogeneous group, carboxylic group, heterocyclic, or (hetero)aromatic; x = 0-10; R2 = (un)substituted hydrocarbon, heterogeneous group, carboxylic group, heterocyclic, or (hetero)aromatic; D1 and D2 = independently CO or NR3; R3 = H or R2; or R2R3 may form a heterocycle; y = 0-1 and z = 0-1 with provisos; A2 = (CR1R1)uD3(CR1R1)pOvR5; u = 0-10; p = 0-10; v = 0-1; D3 = SO2, CO, or CR1OH with provisos; R5 = substituted hydrocarbon or heterogeneous group; A3 = D4(CR1R1)tD5; t = 1-6; D4 = CO or CHR1; D5 = NR6R7, OrR6, or COR6; r = 0-1; R6 = (un)substituted hydrocarbon, heterogeneous group, carboxylic group, heterocyclic, or (hetero)aromatic; R7 = H or R6 with provisos; or optical isomers, diastereomers, enantiomers, pharmaceutically acceptable salts, or biohydrolyzable amides, esters, or imides thereof] were prepared as P-glycoprotein and/or MRP1 inhibitors for treating multidrug resistance. For example, lithium 4-(tert-butoxycarbonyl)-1-(carboxymethyl)piperazine (preparation given) was amidated with 1,7-diphenyl-4-heptylamine•HCl in the presence of 1-hydroxybenzotriazole, N,N-diisopropylethylamine, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide in DMF. Deprotection and addition of (R)-5-oxiranylmethoxyquinoline afforded II. The latter exhibited an accumulation index (ratio of fluorescence in the presence of modulator to fluorescence in the absence of modulator) of 10 in NIH-MDR1-G185 cells. I are useful as cancer therapeutic agents, antibacterial agents, antiviral agents, and antifungal agents (no data). Compns. of the substituted heterocyclic compds. are also disclosed.

IT **416857-33-5P**, N-[1-[2-(R)-Hydroxy-3-(quinolin-5-yloxy)propyl]piperazine-4-acetyl]-3-(3-pyridyl)alanine [4-phenyl-1-(3-phenylpropyl)butyl]amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

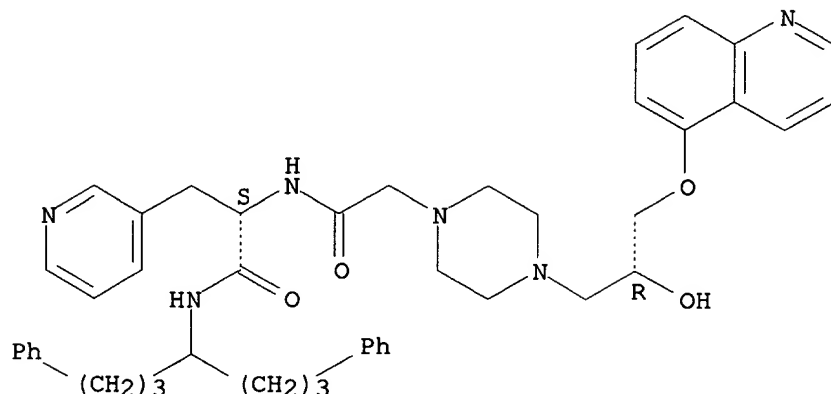
(Pgp and/or MRP1 inhibitor; preparation of heteroaryloxyhydroxypropyl piperazineacetamides as P-glycoprotein or MRP1 inhibitors for treating multidrug resistance)

RN 416857-33-5 CAPLUS

CN 1-Piperazineacetamide, 4-[(2R)-2-hydroxy-3-(5-quinolinylloxy)propyl]-N-[(1S)-2-oxo-2-[[4-phenyl-1-(3-phenylpropyl)butyl]amino]-1-(3-

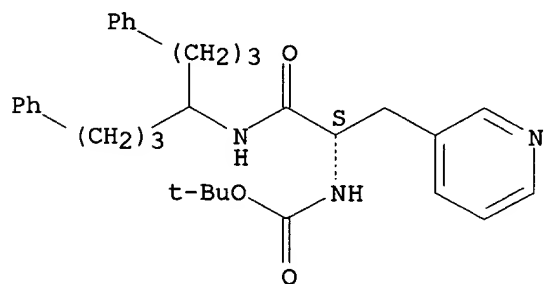
pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



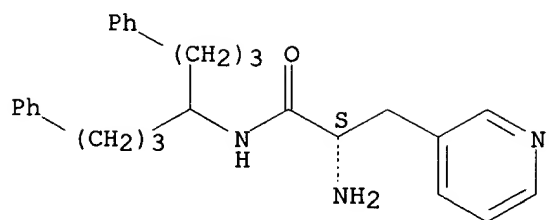
IT **414867-38-2P**, N-tert-Butoxycarbonyl-3-(3-pyridyl)alanine
 [4-phenyl-1-(3-phenylpropyl)butyl]amide **414867-39-3P**,
 3-(3-Pyridyl)alanine [4-phenyl-1-(3-phenylpropyl)butyl]amide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of heteroaryloxyhydroxypropyl
 piperazineacetamides as P-glycoprotein or MRP1 inhibitors for treating
 multidrug resistance)
 RN 414867-38-2 CAPLUS
 CN Carbamic acid, [(1S)-2-oxo-2-[[4-phenyl-1-(3-phenylpropyl)butyl]amino]-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 414867-39-3 CAPLUS
 CN 3-Pyridinepropanamide, α -amino-N-[4-phenyl-1-(3-phenylpropyl)butyl]-
 , (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 56 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:312019 CAPLUS

DOCUMENT NUMBER: 136:325828

TITLE: Preparation of dipeptide derivatives as cell adhesion inhibitors

INVENTOR(S): Adams, Steven P.; Lin, Ko-Chung; Lee, Wen-Cherng; Castro, Alfredo C.; Zimmerman, Craig N.; Hammond, Charles E.; Liao, Yu-Sheng; Cuervo, Julio Hernan; Singh, Juswinder

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: U.S., 50 pp., Cont.-in-part of U.S. 6,306,840.

CODEN: USXXAM

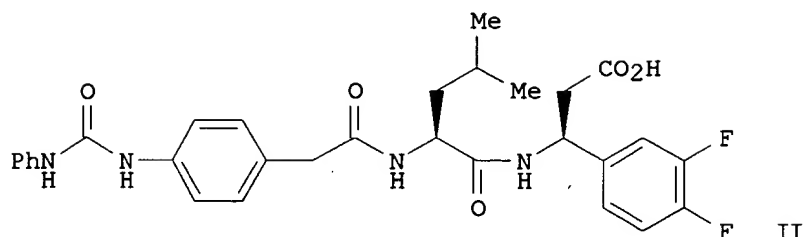
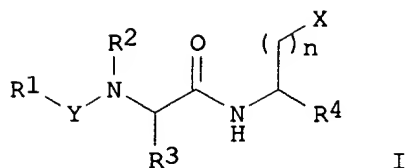
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376538	B1	20020423	US 1997-875321	19970919
US 6306840	B1	20011023	US 1995-376372	19950123
WO 9622966	A1	19960801	WO 1996-US1349	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
EP 1142867	A2	20011010	EP 2001-107877	19960118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
AU 766538	B2	20031016	AU 2000-62432	20001002
US 2003018016	A1	20030123	US 2001-2341	20011023
US 6630512	B2	20031007		
US 7001921	B1	20060221	US 2003-625626	20030724
PRIORITY APPLN. INFO.:			US 1995-376372	A2 19950123
			WO 1996-US1349	W 19960118
			AU 1996-49115	A3 19960118
			EP 1996-905316	A3 19960118
			US 1997-875321	A3 19970919
			US 2001-935461	A1 20010822
OTHER SOURCE(S):		MARPAT 136:325828		
GI				



AB Novel dipeptide analogs I [X = CO₂H, PO₃H⁻, SO₂R₅, SO₃H, OPO₃H⁻, CO₂R₄; Y = CO, SO₂, PO₂; n = 0-2; R₁ = optionally substituted alkyl, alkenyl, alkynyl, aryl-fused cycloalkyl, cycloalkenyl; aryl, aralkyl, aralkenyl, aralkynyl, alkoxy, alkenyloxy, aralkoxy, alkylamino, alkenylamino, alkynylamino, aryloxy, arylamino, N-alkylurea-substituted alkyl, heterocyclyl; R₂ = H, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aralkyl; R₂NCR₃ = heterocyclic ring; R₃ = natural, unnatural, modified, or substituted amino acid side chain; R₄ = optionally substituted aryl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aralkyl, H, heterocyclyl, heterocyclylcarbonyl, aminocarbonyl, amido, alkylaminocarbonyl, arylaminocarbonyl, acylaminocarbonyl, acyl; R₅ = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, aralkenyl, aralkynyl] are prepared as compds. useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical compns. of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many inflammatory and autoimmune diseases. Thus, β-amino acid-containing dipeptide II, prepared by standard methods, displayed an IC₅₀ of <50 nM in a cell adhesion inhibition assay.

IT 181519-80-2P

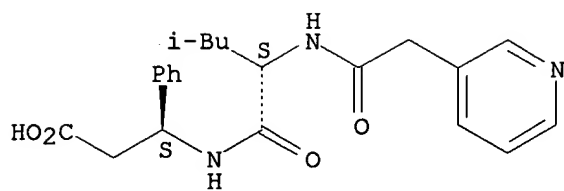
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β-amino acid dipeptide derivs. as cell adhesion inhibitors)

RN 181519-80-2 CAPLUS

CN Benzenepropanoic acid, β-[[[(2S)-4-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]pentyl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

39

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 57 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:312015 CAPLUS

DOCUMENT NUMBER: 136:325426

TITLE: Preparation of piperidine derivatives useful for treating multidrug resistance and compositions thereof

INVENTOR(S): Degenhardt, Charles Raymond; Eickhoff, David Joseph

PATENT ASSIGNEE(S): The Procter & Gamble Co., USA

SOURCE: U.S., 50 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

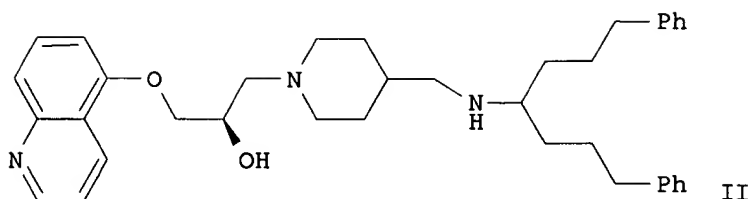
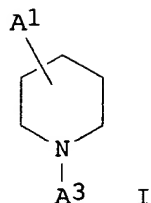
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376514	B1	20020423	US 2000-740643	20001219
US 2002082262	A1	20020627	US 2000-740642	20001219
US 2002091120	A1	20020711	US 2000-740279	20001219
US 2002115659	A1	20020822	US 2000-740644	20001219
US 2002128269	A1	20020912	US 2000-740387	20001219
CA 2421008	AA	20020425	CA 2001-2421008	20011016
WO 2002032869	A2	20020425	WO 2001-US42781	20011016
WO 2002032869	A3	20020822		
WO 2002032869	C1	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014657	A5	20020429	AU 2002-14657	20011016
EP 1326833	A2	20030716	EP 2001-983211	20011016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004511546	T2	20040415	JP 2002-536053	20011016
US 2002099215	A1	20020725	US 2001-996657	20011129
PRIORITY APPLN. INFO.:			US 2000-241127P	P 20001017
			US 2000-740643	A 20001219
			WO 2001-US42781	W 20011016

OTHER SOURCE(S): MARPAT 136:325426

GI



AB Title compds. I [A1 = [C(R1)2]x-D1-D2-R2; R1 = H, OH, alkyl, carbocyclic, aromatic group; x = 0-10; R2 = alkyl, carbocyclic, aromatic group; D1-2 = CO, NR3, with the proviso that wherein when D1 = NR3 then D2 = CO and when D2 = NR3, D1 = CO; R3 = H, R2; A3 = D4-[C(R1)2]t-D5; t = 0-6; D4 = CO, CHR1; D5 = NHR6, OR6; R6 = quinolyl] were prepared. For instance, (R)-5-oxiranylmethoxyquinoline was prepared from (R)-glycidyl tosylate and 5-hydroxyquinoline (DMF, NaH), and used to alkylate piperidine-4-carboxylic acid [4-phenyl-1-(3-phenylpropyl)butyl]amide (preparation given; i-PrOH, 70°C, 18 h) to give II. The half-maximum inhibition of MDR1-ATPase, K_i (stimulated by 30-40 μM verapamil) for II = 0.3 μM . I are useful for treating multidrug resistance and can be formulated optionally with a therapeutic agent, e.g., Taxol.

IT **414867-38-2P 414867-39-3P 414867-40-6P**
414867-41-7P 414867-42-8P

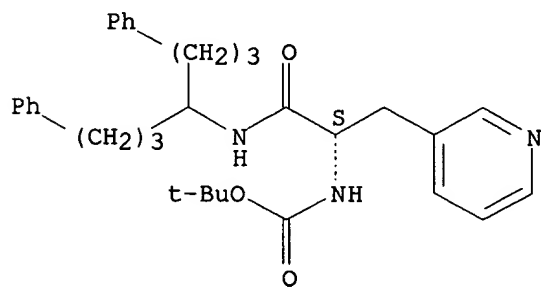
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of piperidine derivs. useful for treating multidrug resistance and compns. thereof)

RN 414867-38-2 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[4-phenyl-1-(3-phenylpropyl)butyl]amino]-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

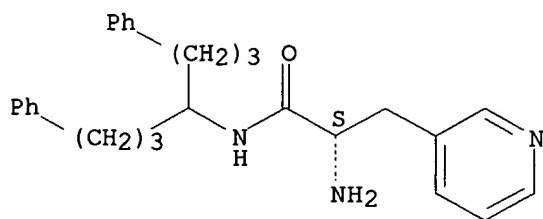
Absolute stereochemistry.



RN 414867-39-3 CAPLUS

CN 3-Pyridinepropanamide, α -amino-N-[4-phenyl-1-(3-phenylpropyl)butyl]-, (α S)- (9CI) (CA INDEX NAME)

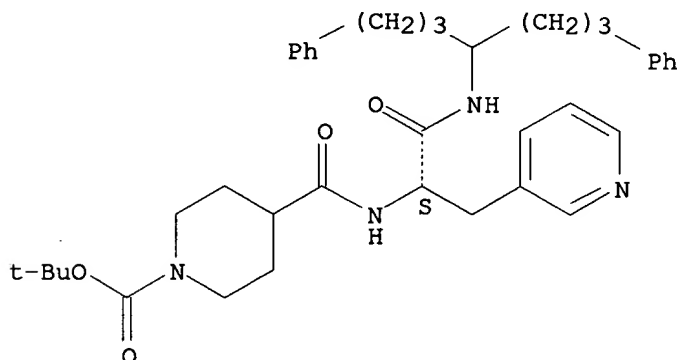
Absolute stereochemistry.



RN 414867-40-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(1S)-2-oxo-2-[[4-phenyl-1-(3-phenylpropyl)butyl]amino]-1-(3-pyridinylmethyl)ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

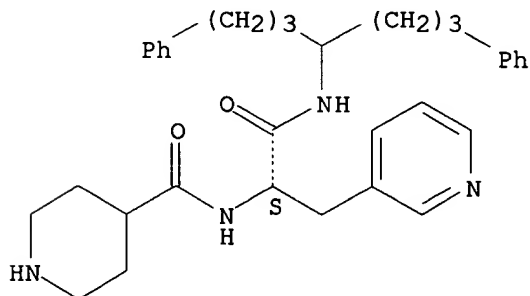
Absolute stereochemistry.



RN 414867-41-7 CAPLUS

CN 3-Pyridinepropanamide, N-[4-phenyl-1-(3-phenylpropyl)butyl]- α -[(4-piperidinylcarbonyl)amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

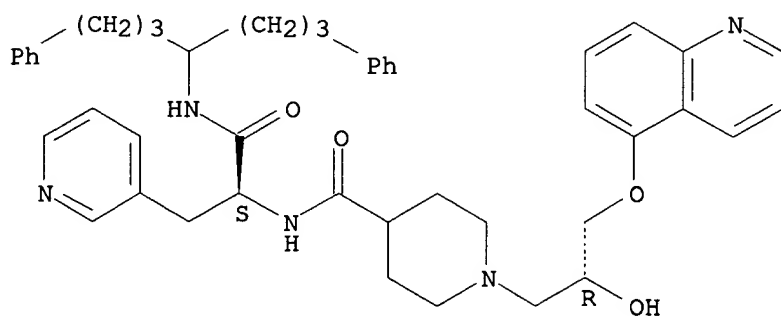


RN 414867-42-8 CAPLUS

CN 3-Pyridinepropanamide, α -[[[1-[(2R)-2-hydroxy-3-(5-

quinolinylloxy)propyl]-4-piperidinyl]carbonyl]amino]-N-[4-phenyl-1-(3-phenylpropyl)butyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



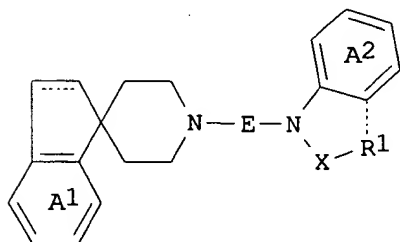
REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 58 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:256237 CAPLUS
 DOCUMENT NUMBER: 136:294733
 TITLE: Preparation of spiro compounds as nociceptin receptor binders
 INVENTOR(S): Arai, Toshimitsu; Nishikimi, Yuji; Imamura, Shinichi; Kamiyama, Keiji; Kobayashi, Makoto
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026714	A1	20020404	WO 2001-JP8281	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088110	A5	20020408	AU 2001-88110	20010925
JP 2002173485	A2	20020621	JP 2001-291794	20010925
PRIORITY APPLN. INFO.:			JP 2000-293876	A 20000927
			WO 2001-JP8281	W 20010925
OTHER SOURCE(S):		MARPAT 136:294733		
GI				



I

AB The title compds. I [A1 and A2 are each an optionally substituted benzene ring; E is a divalent chain hydrocarbon group which may be substituted; X is CO or the like; R1 is an optionally substituted hydrocarbon group or the like, or alternatively R1 may be bonded to a ring-constituting carbon atom of A2 to form a fused ring; and the dotted line represents a single or double bond; a proviso is given] are prepared Processes for preparing I are claimed. In an in vitro test for affinity for the nociceptin receptor, N-[3-(1H-indene-1-spiro-4'-piperidin-1'-yl)propyl]-1-methyl-5-oxo-N-phenyl-3-pyrrolidinecarboxamide fumarate at 1 μ M gave 95% binding inhibition.

Formulations are given.

IT **407633-37-8P**

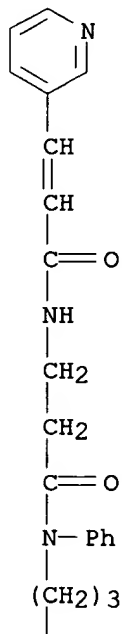
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spiro compds. as nociceptin receptor binders)

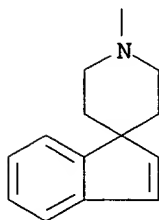
RN 407633-37-8 CAPLUS

CN 2-Propenamide, N-[3-oxo-3-[phenyl (3-spiro[1H-indene-1,4'-piperidin]-1'-ylpropyl)aminopropyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 59 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:220565 CAPLUS

DOCUMENT NUMBER: 136:247604

TITLE: Preparation of pyrimidine and pyridine derivatives as N-type calcium channel inhibitors and analgesics

INVENTOR(S): Ohno, Seiji; Otani, Kayo; Niwa, Seiji; Iwayama, Satoshi; Takahara, Akira; Koganei, Hajime; Ono, Yukitsugu; Fujita, Shinichi; Takeda, Tomoko; Hagiwara, Masako; Okajima, Akiko

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

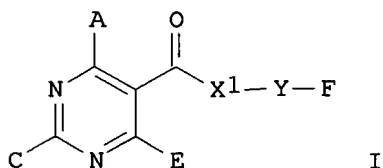
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022588	A1	20020321	WO 2001-JP7841	20010910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084504	A5	20020326	AU 2001-84504	20010910
EP 1318147	A1	20030611	EP 2001-963562	20010910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004009991	A1	20040115	US 2003-387543	20030314
PRIORITY APPLN. INFO.:			JP 2000-280438	A 20000914
			JP 2001-126832	A 20010425
			WO 2001-JP7841	W 20010910

OTHER SOURCE(S): MARPAT 136:247604

GI



AB The title compds., e.g. I [A = 1-naphthyl, pyridin-3-yl, etc.; C = alkyl, etc.; E = alkyl, etc.; F = thiophen-3-yl, etc.; X1 = NR₁₄, etc.; R₁₄ = H, etc.; Y = (un)saturated hydrocarbon moiety, etc.], are prepared I are useful as therapeutic agents for pain and for various diseases in which N-type calcium channels participate. In rats dosed orally with 4-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)-5-pyrimidinecarboxamide at 3 mg/kg, the flinching behavior frequency was

39±11 times/60 min vs. 116±8 times/60 min in controls.

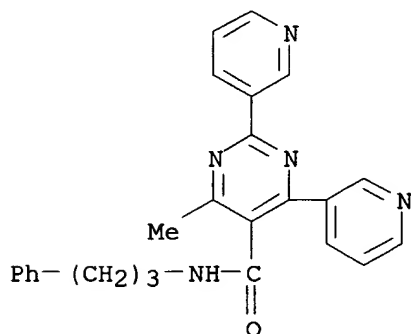
IT **404568-57-6P 404568-58-7P 404568-60-1P**
404568-61-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

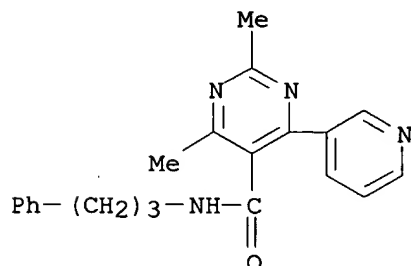
RN 404568-57-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-N-(3-phenylpropyl)-2,6-di-3-pyridinyl- (9CI) (CA INDEX NAME)



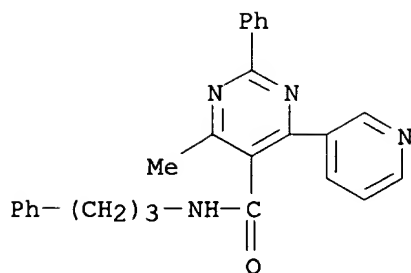
RN 404568-58-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-N-(3-phenylpropyl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



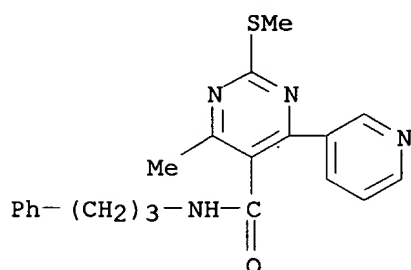
RN 404568-60-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-phenyl-N-(3-phenylpropyl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 404568-61-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-(methylthio)-N-(3-phenylpropyl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



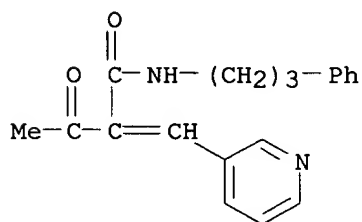
IT 404570-12-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

RN 404570-12-3 CAPLUS

CN Butanamide, 3-oxo-N-(3-phenylpropyl)-2-(3-pyridinylmethylene)- (9CI) (CA INDEX NAME)



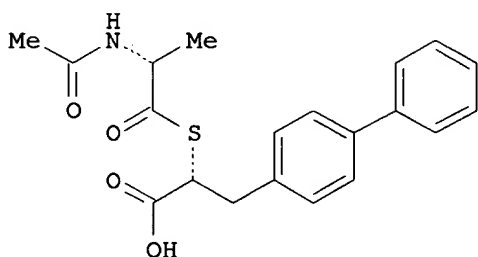
REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 60 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:123620 CAPLUS
 DOCUMENT NUMBER: 136:167695
 TITLE: Preparation of S-arylalkyl esters of L-thioalanine as metallo- β -lactamase inhibitors
 INVENTOR(S): Balkovec, James M.; Greenlee, Mark L.; Hammond, Milton L.; Heck, James V.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 44 pp., Division of U.S. Ser. No. 589,470, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002019543	A1	20020214	US 2000-741644	20001220
PRIORITY APPLN. INFO.:			US 2000-589470	B3 20000607
OTHER SOURCE(S):	MARPAT 136:167695			
GI				



I

AB Thiol amino acid derivs. R₂SCH(R₁)CO₂H [wherein R₁ = (un)substituted alkyl, (CH₂)_nAr; R₂ = H or R₃CO; R₃ = H, (un)substituted alkyl, or (CH₂)_nAr; Ar = (un)substituted Ph, furanyl, thienyl, pyridyl, naphthyl, biphenyl, dibenzofuranyl, dibenzothienyl, fluorenyl, or fluorenonyl; n = 0-3] were prepared as metallo- β -lactamase inhibitors for treatment of bacterial infections. For example, I was prepared in a multi-step synthesis using traditional and solid phase techniques, beginning with the amidation of 3-(4-biphenyl)propionic acid with (4S)-benzyl-2-oxazolidinone. The latter produced a 4-fold increase in E. coli sensitivity to (1S,5R,6S)-1-methyl-2-[7-[4-(aminocarbonylmethyl)-1,4-diazoniabicyclo[2.2.2]octan-1-yl]methylfluoren-9-on-3-yl]-6-(1R-hydroxyethyl)carbapen-2-em-3-carboxylate chloride at a concentration of 6.3 μ M.

IT **250265-98-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

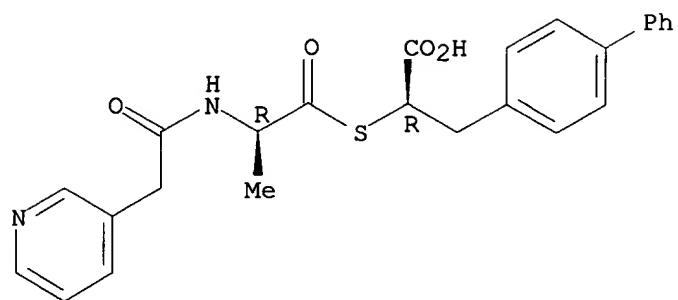
(preparation of thioalanine S-arylalkyl esters as metallo- β -lactamase inhibitors for treatment of bacterial infections)

RN 250265-98-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α -[[(2R)-1-oxo-2-[(3-pyridinylacetyl)amino]propyl]thio]-, (α R)- (9CI) (CA INDEX NAME)

09/596,086

Absolute stereochemistry.



126 ANSWER 61 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:90009 CAPLUS

DOCUMENT NUMBER: 136:134497

TITLE: Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases

INVENTOR(S): Reid, Robert C.; Clark, Christopher I.; Hansford, Karl; Stoermer, Martin J.; McGearry, Ross P.; Fairlie, David P.

PATENT ASSIGNEE(S): The University of Queensland, Australia

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

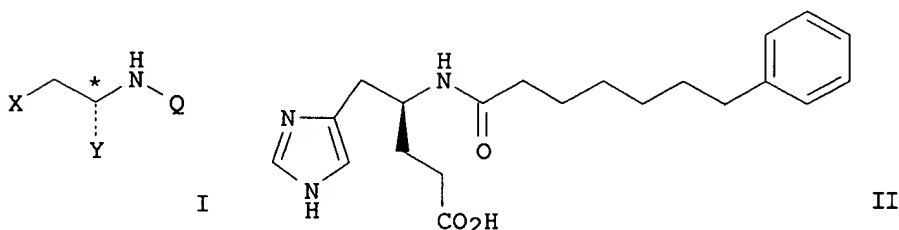
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008189	A1	20020131	WO 2001-AU898	20010724
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2417127	AA	20020131	CA 2001-2417127	20010724
EP 1309552	A1	20030514	EP 2001-951251	20010724
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004503604	T2	20040205	JP 2002-514096	20010724
US 2004033995	A1	20040219	US 2003-333871	20030825
PRIORITY APPLN. INFO.:			AU 2000-8965	A 20000724
			AU 2000-1669	A 20001124
			WO 2001-AU898	W 20010724

OTHER SOURCE(S): MARPAT 136:134497

GI



AB Title compds. I [X = CRR'CO₂H, CRR'-tetrazolyl, CRR'SO₃H, CRR'P(O)(OH)₂, CRR'P(O)(OH)(OR''), CHRCH₂CO₂H, CHRCH₂-tetrazolyl, CHRCH₂SO₃H, CHRCH₂P(O)(OH)₂, CHRCH₂P(O)(OH)(OR''), OP(O)(OH)R', NRSO₃H, NRP(O)(OH)₂, NRP(O)(OH)(OR'')]; R, R', R'' = H, (un)substituted alk(en/yn)yl, acyl,

arylalkyl, cycloalkylalkyl, heterocyclalkyl, except that R'' is not hydrogen; Q = acyl, carboxamido, sulfonyl, sulfinyl, phosphinyl, etc.] were prepared. For example, II was synthesized from N-Boc-D-histidine in 11 steps. II had IC₅₀ = 2.5 μ M for human non-pancreatic secretory phospholipase A₂ (sPLA₂). Homochiral and enantiomeric mixts. of I are useful for treatment of (e.g.) inflammatory diseases.

IT **393569-89-6P**, (R)-6-(4-Phenoxyphenyl)-4-((7-(pyridin-3-yl)heptanoyl)amino)hexanoic acid

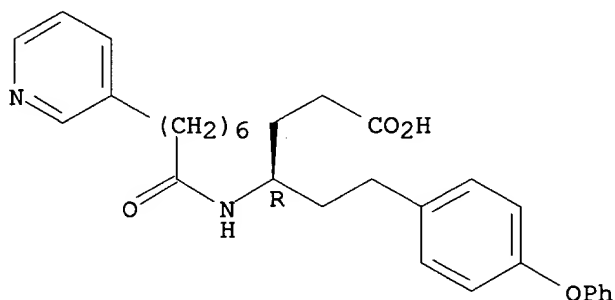
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases)

RN 393569-89-6 CAPLUS

CN Benzenehexanoic acid, γ -[[1-oxo-7-(3-pyridinyl)heptyl]amino]-4-phenoxy-, (γ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~136~~ ANSWER 62 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886110 CAPLUS

DOCUMENT NUMBER: 136:20030

TITLE: Method for replacing organic solvents contained in clathrate crystals

INVENTOR(S): Kubota, Ariyoshi; Yasuda, Hironobu; Zanka, Atsuhiko; Goto, Shunsuke; Hirabayashi, Satoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092254	A1	20011206	WO 2001-JP4467	20010528
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

PRIORITY APPLN. INFO.: JP 2000-160590 A 20000530

OTHER SOURCE(S): CASREACT 136:20030

AB The problem of how to replace an organic solvent contained in clathrate crystals with water without causing transition of the crystals is solved by using a fluidizing means under a humidity-conditioned atmospheric, the clathrate crystals being especially A-type crystals of 8-[3-[N-[N-(E)-3-(6-acetamidopyridin-3-yl)acryloyl]glycyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylquinoline (FR173657) (I). I is a bradykinin antagonist and its A-type crystal is crystallized from aqueous acetone which is known to be stable but contain toxic acetone as a guest in tunnels of clathrate structure. This process efficiently replaces organic solvents such as acetone with water in a short period of time. Thus, 7.32 kg iso-Pr chlorocarbonate was added to a mixture of 12.9 kg (E)-3-(6-acetamidopyridin-3-yl)acrylic acid and 97.9 kg DMF at 0° over a period of .apprx.20 min and stirred at 0° for 30 min, followed by adding 23.0 kg 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylquinoline in five portions at an interval of every 15 min and washing with 7.32 kg DMF. The resulting mixture was stirred at 0° for 1.5 h, treated with 130 L MeOH and left to stand overnight, heated to .apprx.65° and stirred at the same temperature for .apprx.30 min, and then cooled to ≤10° and allowed to be crystallized at 0-10°. The precipitated crystals were separated

by a centrifuge apparatus and washed with 46 L MeOH, suspended in 805 L MeOH, heated to .apprx.65°, cooled, and stirred at 10-20° for ≥1 h, and then filtered. The obtained crystals were washed with 46 L MeOH and vacuum-dried at 40° to give 24.6 kg of crude anhydrous crystals of I containing .apprx.5% MeOH. To a mixture of the latter crystals (100 g) and 500 mL purified water was added 28.1 mL concentrated HCl with stirring at ≤10° for dissolving the crystals. The resulting solution was treated with 5 g carbon powder, and stirred for 1.5 h, followed by removing the carbon powder by filtration and washing it with 200 mL purified water and 1.4 mL concentrated HCl. The filtrate was added to a mixture

of 700 mL acetone and 35.87 g Et₃N at 55°, stirred at the same temperature for 5 min and refluxed for 10 min, and then cooled to 40°. The precipitated crystals were removed by filtration, washed with 50% aqueous acetone, and vacuum-dried to give 88.7 g I hydrate (A-type clathrate

crystal) containing 8.33% acetone. Air was passed to this crystals (12.3 kg) at 45° under 60% relative humidity for 98 h in a vibration fluidized bed apparatus to give I crystals containing 0.37% acetone and 6.69% water.

IT **264879-68-7P**

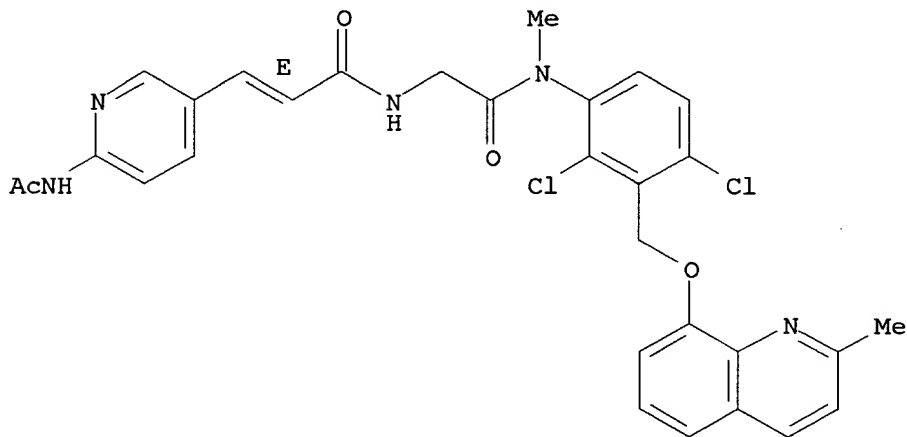
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(method for replacing organic solvents contained in dichlorobenzoyloxymethylquinoline derivative (FR173657) clathrate crystals with water under humid conditions in fluidized bed apparatus)

RN 264879-68-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, hydrate, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● x H₂O

IT **264879-67-6P 377780-51-3P**

RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(method for replacing organic solvents contained in dichlorobenzoyloxymethylquinoline derivative (FR173657) clathrate crystals with water under humid conditions in fluidized bed apparatus)

RN 264879-67-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)-, compd. with methanol (9CI) (CA INDEX NAME)

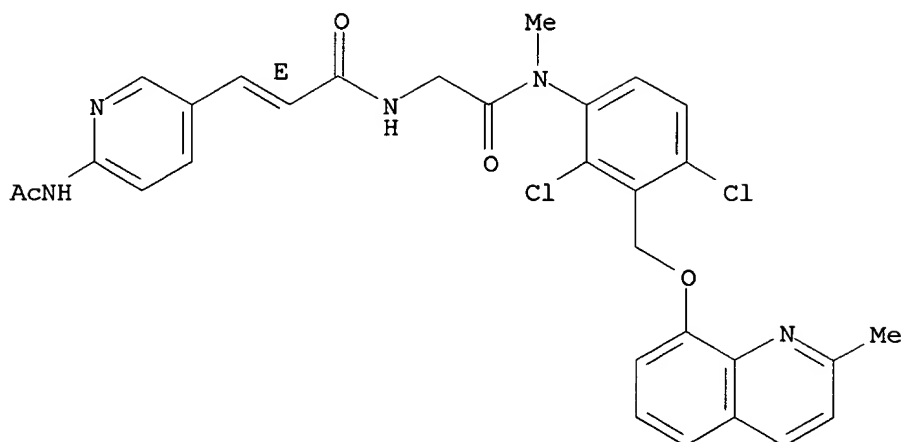
CM 1

CRN 167838-64-4

CMF C30 H27 Cl2 N5 O4

09/596,086

Double bond geometry as shown.



CM 2

CRN 67-56-1

CMF C H4 O

H₃C-OH

RN 377780-51-3 CAPLUS

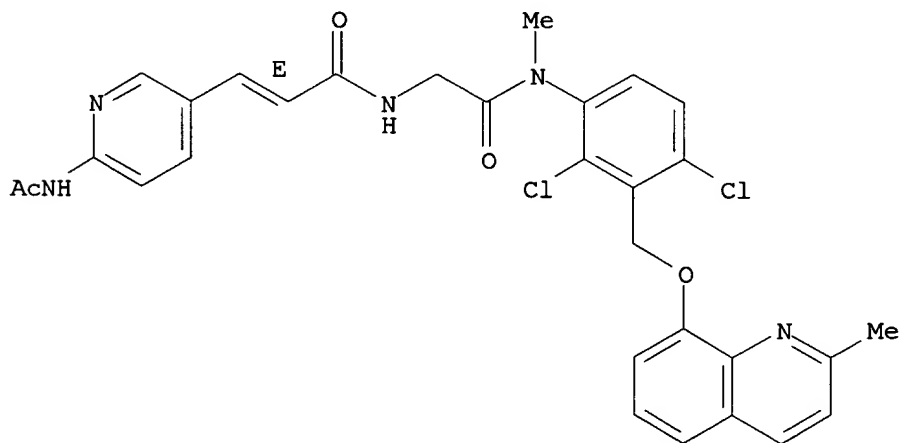
CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)-, compd. with 2-propanone, hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 167838-64-4

CMF C30 H27 Cl2 N5 O4

Double bond geometry as shown.

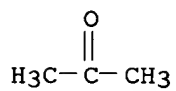


09/596,086

CM 2

CRN 67-64-1

CMF C3 H6 O



REFERENCE COUNT:

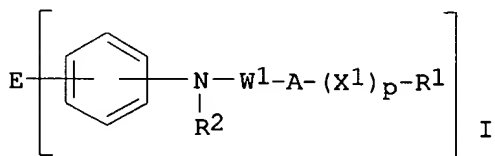
32

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 63 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886044 CAPLUS
 DOCUMENT NUMBER: 136:20249
 TITLE: Preparation of tris(N-phenylamino acid and peptide amide) derivatives exhibiting thrombopoietin-like activities
 INVENTOR(S): Fujiwara, Shinya; Ozaki, Tomokazu; Kozono, Toshiro; Hattori, Kunihiro; Esaki, Toru
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
 SOURCE: PCT Int. Appl., 218 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092211	A1	20011206	WO 2001-JP4561	20010530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001060661	A5	20011211	AU 2001-60661	20010530
EP 1291341	A1	20030312	EP 2001-934428	20010530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003162724	A1	20030828	US 2002-296638	20021127
US 6887890	B2	20050503		
PRIORITY APPLN. INFO.:			JP 2000-161036	A 20000530
			WO 2001-JP4561	W 20010530
OTHER SOURCE(S):		MARPAT 136:20249		
GI				



AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof (wherein E is methylidyne or nitrilo; R¹ is optionally substituted aryl or optionally substituted heteroaryl; R² is hydrogen or alkyl; W¹ is an amino acid residue; A is carbonyl or sulfonyl; X¹ is optionally substituted alkylene or optionally substituted alkenylene; and p is 0 or 1) are prepared. These compds. exhibit an activity for increasing blood platelets with sufficiently low antigenic activity and are useful as low mol. and low-cost therapeutic agents for diseases which reduce blood platelets. Thus, a DMF solution of O-(7-azatriazol-1-yl)-1,1,3,3-tetramethyluronium

hexafluorophosphate was added to a DMF solution of tris[4-(N- ϵ -tert-butoxycarbonyl-L-lysyl)aminophenyl]methane and 6-hydroxynaphthalene-1-carboxylic acid under ice-cooling and stirred at room temperature for 16 h to give tris[4-[N- α -(6-hydroxynaphthalen-1-ylcarbonyl)-N- ϵ -tert-butoxycarbonyl-L-lysyl]aminophenyl]methane which was treated with 4 N HCl/dioxane at room temperature for 1 h followed by purification using preparative

HPLC and MeOH/CF₃CO₂H and H₂O/CF₃CO₂H as eluents to give tris[4-[N- α -(6-hydroxynaphthalen-1-ylcarbonyl)-L-lysyl]aminophenyl]methane trifluoromethanesulfonate (II). II at 25 μ M in vitro showed a 144% increase in the activity of thrombopoietin in Mpl-expressing Ba/F3 cell line.

IT **378799-48-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

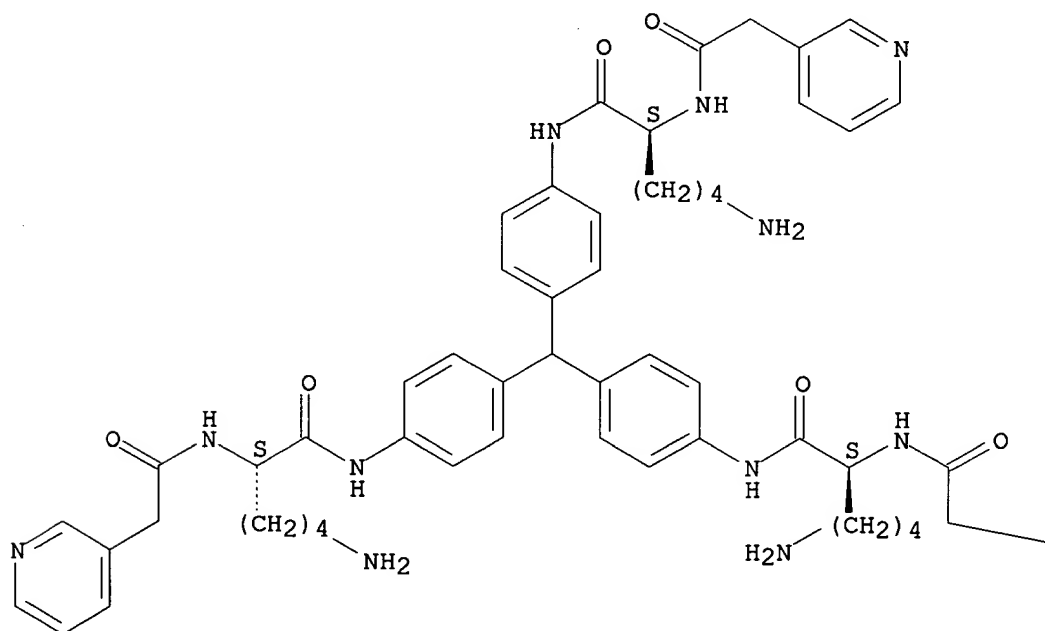
(preparation of tris(N-Ph amino acid and peptide amide) derivs. with thrombopoietin-like activities for increasing blood platelet and treating diseases reducing blood platelet)

RN 378799-48-5 CAPLUS

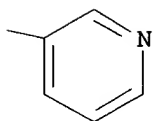
CN 3-Pyridineacetamide, N,N',N''-[methylidynetris[4,1-phenyleneimino[(1S)-1-(4-aminobutyl)-2-oxo-2,1-ethanediyl]]]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●x HCl



IT **378801-91-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

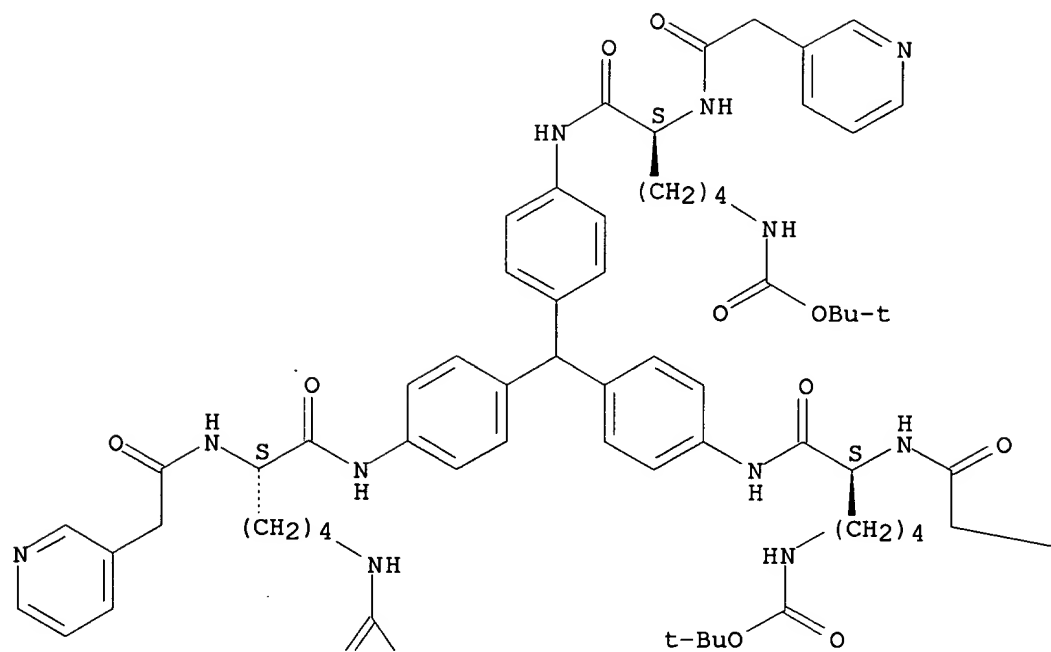
(preparation of tris(N-Ph amino acid and peptide amide) derivs. with thrombopoietin-like activities for increasing blood platelet and treating diseases reducing blood platelet)

RN 378801-91-3 CAPLUS

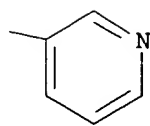
CN Carbamic acid, [methylidynetris[4,1-phenyleneimino[(5S)-6-oxo-5-[(3-pyridinylacetyl)amino]-6,1-hexanediyl]]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

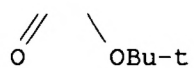
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





126 ANSWER 64 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

X
 ACCESSION NUMBER: 2001:872995 CAPLUS
 DOCUMENT NUMBER: 136:20027
 TITLE: Release of guest solvents from clathrate crystals
 INVENTOR(S): Kubota, Arikatsu; Yasuda, Hironobu; Zanka, Atsuhiko;
 Itsushima, Shunsuke; Hirabayashi, Satoshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001334102	A2	20011204	JP 2000-160591	20000530
PRIORITY APPLN. INFO.:			JP 2000-160591	20000530

AB Solvents in clathrate crystals are released by substitution of the solvents with easily releasable solvents and releasing the solvents from the crystals. A-type crystals of FR 173657 (containing acetone as a guest) were treated with MeOH vapor at 30° for 1 day, vacuum-dried at 30° under 1-4 Torr for 2 days, and moisture-conditioned to give crystals with acetone content 0.02 weight% and MeOH content 0.19 weight%.

IT **378230-31-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (release of guest solvents from clathrate crystals)

RN 378230-31-0 CAPLUS

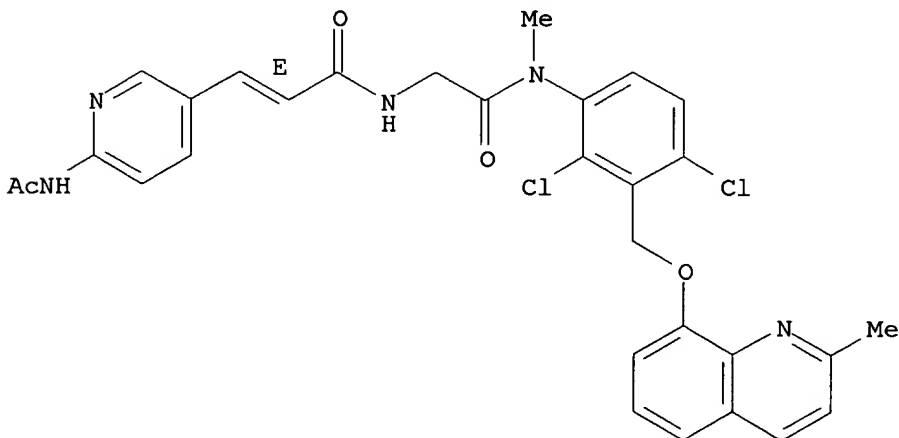
CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)-, compd. with 2-propanone (9CI) (CA INDEX NAME)

CM 1

CRN 167838-64-4

CMF C30 H27 Cl2 N5 O4

Double bond geometry as shown.

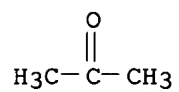


09/596,086

CM 2

CRN 67-64-1

CMF C3 H6 O



126 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:851106 CAPLUS

DOCUMENT NUMBER: 135:371998

TITLE: Preparation of N-substituted peptidyl nitriles as cysteine cathepsin inhibitors

INVENTOR(S): Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087828	A1	20011122	WO 2001-EP5463	20010514
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2407463	AA	20011122	CA 2001-2407463	20010514
EP 1283825	A1	20030219	EP 2001-977958	20010514
EP 1283825	B1	20050914		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003533506	T2	20031111	JP 2001-584225	20010514
AT 304526	E	20050915	AT 2001-977958	20010514
US 2003158256	A1	20030821	US 2002-275583	20021107
US 6812237	B2	20041102		
PRIORITY APPLN. INFO.:			US 2000-204217P	P 20000515
			WO 2001-EP5463	W 20010514

OTHER SOURCE(S): MARPAT 135:371998

AB Peptidyl nitriles R₁NHCR₂R₃CONHCR₄R₅CN [R₁ is (bi)aryl; R₂ is (bi)aryl-lower alkyl, benzo-fused cycloalkyl, (bi)cycloalkyl-lower alkyl, aryloxy-lower alkyl, or aryl-C₂-C₇-alkyl in which C₂-C₇-alkyl is interrupted by Y (Y is O, S, SO, SO₂, CO, NH or alkylimino); R₃ is H or lower alkyl or R₂ and R₃ combined are C₂-C₇-alkylene or -alkylene interrupted by Y; R₄ is H or lower alkyl; R₅ is H, optionally substituted lower alkyl, (bi)aryl-lower alkyl, (bi)cycloalkyl-lower alkyl, aryloxy-lower alkyl, or aryl-C₂-C₇-alkyl in which C₂-C₇-alkyl is interrupted by Y] or their pharmaceutically acceptable salts were prepared as cysteine cathepsin inhibitors. Thus, N-[2-(3-carboxy-4-fluorobenzyloxy)-1(S)-cyanoethyl]-3-methyl-N α -phenyl-L-phenylalaninamide was prepared by condensation of (S)-2-amino-3-[3-[[2-(trimethylsilyl)ethoxy]carbonyl]-4-fluorobenzyloxy]propionitrile with N α -phenyl-3-methyl-L-phenylalanine (syntheses given), followed by ester cleavage.

IT 374118-09-9P

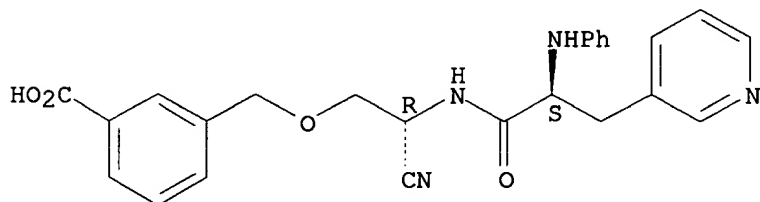
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-substituted peptidyl nitriles as cysteine cathepsin
inhibitors)

RN 374118-09-9 CAPLUS

CN Benzoic acid, 3-[[(2R)-2-cyano-2-[[(2S)-1-oxo-2-(phenylamino)-3-(3-
pyridinyl)propyl]amino]ethoxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:693271 CAPLUS

DOCUMENT NUMBER: 135:227248

TITLE: Preparation of amino acid derivatives as HIV aspartyl protease inhibitors

INVENTOR(S): Stranix, Brent Richard; Sauve, Gilles; Bouzide, Abderrahim; Sevigny, Guy; Yelle, Jocelyn

PATENT ASSIGNEE(S): Pharmacor Inc., Can.

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

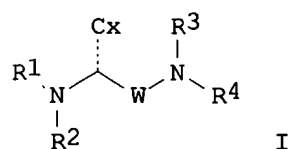
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068593	A2	20010920	WO 2001-CA296	20010307
WO 2001068593	A3	20020228		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6455587	B1	20020924	US 2000-526209	20000315
CA 2401821	AA	20010920	CA 2001-2401821	20010307
EP 1263716	A2	20021211	EP 2001-914865	20010307
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-526209	A 20000315
			WO 2001-CA296	W 20010307
OTHER SOURCE(S):		MARPAT 135:227248		

GI



AB The invention relates to a class of amino acid derivs. I [W = (CH₂)_n or CH₂-XX-CH₂CH₂, where n = 1-5, XX = O, NR₅ (R₅ = H, alkyl), S, SO, SO₂; Cx = CO₂M (M is an alkali or alkaline earth metal), CO₂R₅, CH₂OH, CONR₅R₆ (R₆ = H, alkyl), CONHOH, Fmoc-Lys-NHCO (Fmoc = 9-fluorenylmethoxycarbonyl), benzyloxycarbonyl or tetrazolyl; R₁, R₃ = H, Me₃OC, alkyl, cycloalkylalkyl, arylalkyl or heterocyclalkyl having a defined structure; R₂, R₄ = H, CHO, CF₃, acyl or sulfonyl groups (e.g., 4-PhCH₂CH₂CONHC₆H₄SO₂, camphor-10-CH₂SO₂, naphthyl-SO₂, fluorenyl-SO₂, and quinoline-SO₂), arylalkyl of defined structure] or pharmaceutically acceptable ammonium salts having HIV aspartyl protease inhibitory properties. Thus, N α -isobutyl-N α -tosyl-N ϵ -Fmoc-L-

lysine (II) was prepared from Nε-benzyloxycarbonyl-L-lysine benzyl ester by N-alkylation using isobutyraldehyde, N-tosylation, hydrogenolysis, and protection with Fmoc-O-succinimide. Compound II showed $K_i = 4.3$ nM for inhibition of HIV aspartyl protease.

IT **359781-38-7P 359781-66-1P**

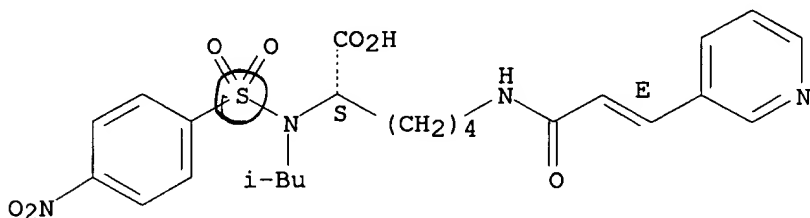
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as HIV aspartyl protease inhibitors)

RN 359781-38-7 CAPLUS

CN L-Lysine, N2-(2-methylpropyl)-N2-[(4-nitrophenyl)sulfonyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

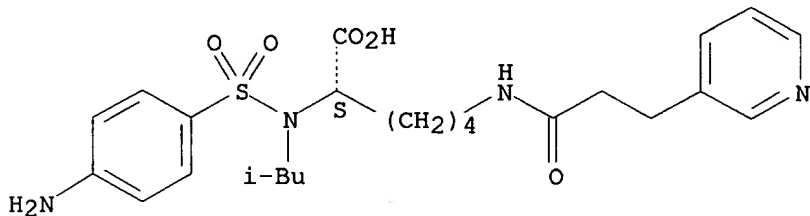
Double bond geometry as shown.



RN 359781-66-1 CAPLUS

CN L-Lysine, N2-[(4-aminophenyl)sulfonyl]-N2-(2-methylpropyl)-N6-[1-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 67 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:668346 CAPLUS
 DOCUMENT NUMBER: 135:226989
 TITLE: Synthesis of thiazolyl-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection
 INVENTOR(S): Crute, J. James; Faucher, Anne-marie; Grygon, Christine; Hargrave, Karl D.; Simoneau, Bruno; Thavonekham, Bounkham
 PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., Can.; Boehringer Ingelheim Pharma KG
 SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201. CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6288091	B1	20010911	US 1999-364446	19990730
CN 1207094	A	19990203	CN 1996-199443	19961204
US 6057451	A	20000502	US 1996-759201	19961204
ZA 9610850	A	19970630	ZA 1996-10850	19961223
US 6348477	B1	20020219	US 1999-456857	19991208
US 6458959	B1	20021001	US 2000-685686	20001010
PRIORITY APPLN. INFO.:			US 1995-9433P	P 19951229
			US 1996-23209P	P 19960802
			US 1996-759201	A 19961204
			US 1999-456857	A3 19991208
OTHER SOURCE(S):		MARPAT 135:226989		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR₂-C(O)-Q-CH(R₃)-NR₄R₅; R₂ = H, alkyl; Q = bond, CH₂; R₃ = H, ((substituted)phenyl)alkyl; R₄ = H, ((substituted)phenyl)alkyl, indanyl, cycloalkyl-alkyl; R₅ = (Het)-(Y)-(alkyl)-C(O); Het = pyridinyl; Y = O, S] were prepared Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH₂Br, THF, reflux, 16 h) and the product converted to II (i-BuOCOC₂H₅, Et₃N, DCM, 4'-aminoacetophenone, room temperature, 16 h.). Amide II was converted to example compound III (n = 0, P =

= Boc, E = CH₂Ph) (I₂, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH₂Ph, E = C:OPh) had IC₅₀ = 0.072 μ M for HSV-1 and EC₅₀ = 0.007 μ M for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primase enzyme complex.

IT 193347-45-4P

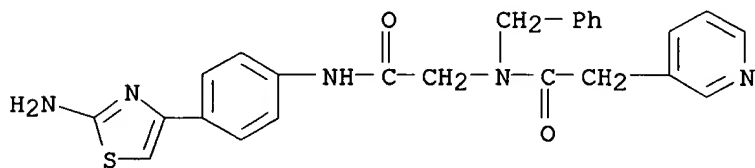
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of thiazolyl-phenyl-amide derivs. used to inhibit herpes virus replication and treat herpes infection)

RN 193347-45-4 CAPLUS

09/596,086

CN 3-Pyridineacetamide, N-[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 68 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:429534 CAPLUS
 DOCUMENT NUMBER: 135:33651
 TITLE: Preparation of peptides as efflux pump inhibitors
 INVENTOR(S): Chamberland, Suzanne; Lee, May; Leger, Roger; Lee, Ving J.; Renau, Thomas; Zhang, Zhijia J.
 PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA
 SOURCE: U.S., 48 pp., Cont.-in-part of U.S. 6,114,310.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6245746	B1	20010612	US 1998-20001	19980204
US 6114310	A	20000905	US 1998-12363	19980123
WO 9937667	A1	19990729	WO 1999-US1422	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9923375	A1	19990809	AU 1999-23375	19990122
PRIORITY APPLN. INFO.:			US 1998-12363	A2 19980123
			US 1998-20001	A 19980204
			US 1998-89734	A 19980603
			WO 1999-US1422	W 19990122

OTHER SOURCE(S): MARPAT 135:33651

AB Compds. RCHW-CO-NR2-CHR1-M-P-S-X [M = (CH₂)_n (n = 0, 1, 2); P = CH₂, CO, CS; S = NH, O, Sot (t = 0, 1, 2); R, R1, R2 independently = alkyl, fluoroalkyl, aryl, thienyl, furyl, pyridyl, etc.; W = (α-aminoacyl)amido, aminoalkyl, NH₂, (un)substituted azaheterocyclyl, OH, alkoxy, alkylthio, guanidino, amidino, or halogen; X = aryl, thienyl, furyl, pyridyl, indanyl, quinolyl, etc.] were prepared as efflux pump inhibitors which increase the susceptibility of microbes to antimicrobial agents. In vitro microbiol. data for antibiotic potentiation are tabulated for 195 compds., including phenylalanyl-ornithine quinoline-3-amide.

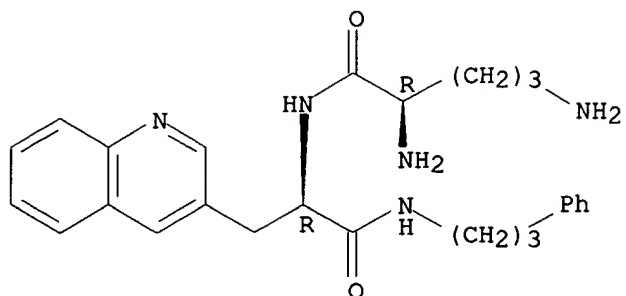
IT 233687-44-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptides as efflux pump inhibitors)

RN 233687-44-0 CAPLUS

CN D-Alaninamide, D-ornithyl-N-(3-phenylpropyl)-3-(3-quinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 69 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:416903 CAPLUS

DOCUMENT NUMBER: 135:33643

TITLE: Preparation of 3-(2-aminoethylthio)methyl-4-oxo-4-(3-pyridyl)butanoic acid derivatives as neuroprotective agents

INVENTOR(S): Bhagwat, Shripad; Palanki, Moorthy; Erdman, Paul; Doubleday, Mary; Sato, Hiroshi

PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

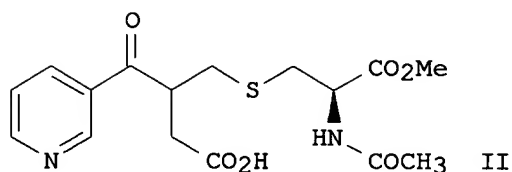
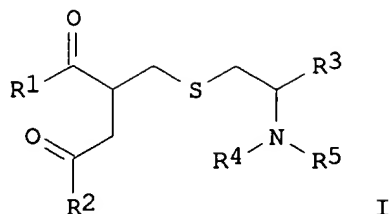
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040187	A2	20010607	WO 2000-JP8090	20001116
WO 2001040187	A3	20020711		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2388239	AA	20010607	CA 2000-2388239	20001116
EP 1240144	A2	20020918	EP 2000-976295	20001116
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003515589	T2	20030507	JP 2001-541872	20001116
US 6399606	B1	20020604	US 2000-724351	20001127
PRIORITY APPLN. INFO.:			US 1999-240915P	P 19991129
			US 1999-450245	A 19991129
			WO 2000-JP8090	W 20001116
OTHER SOURCE(S):	MARPAT 135:33643			
GI				



AB The title compds. [I; R1 = (un)substituted alkyl, aryl, arylakyl, etc.; R2 = OR2a, NR2bR2c; R3 = H, :O, CO2R3a, etc.; R4 = H, (un)substituted alkyl, aryl, etc.; R3 and R4 taken together = (un)substituted heterocyclyl; R5 = H, (un)substituted alkyl; R2a = H, (un)substituted alkyl, aryl, etc.; R2b, R2c = H, (un)substituted alkyl, aryl, etc.; NR2bR2c = (un)substituted heterocyclyl; R3a = H, (un)substituted alkyl, aryl, etc.] which have utility in the treatment of conditions which benefit from administration of neuroprotective agents generally, including treatment of central and peripheral nervous condition as well as for promoting nerve cell differentiation, were prepared Thus, reacting 4-oxo-3-(piperidylmethyl)-4-(3-pyridyl)butanoic acid with Me N-acetyl-L-cysteine ester in EtOH afforded 85% (R)-II. Biol. data for compds. I were given.

IT **343576-47-6P 343577-62-8P**

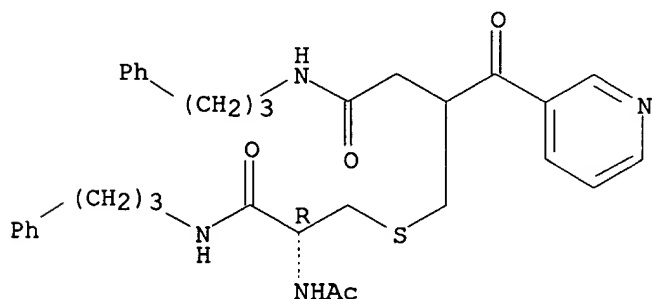
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(2-aminoethylthio)methyl-4-oxo-4-(3-pyridyl)butanoic acid derivs. as neuroprotective agents)

RN 343576-47-6 CAPLUS

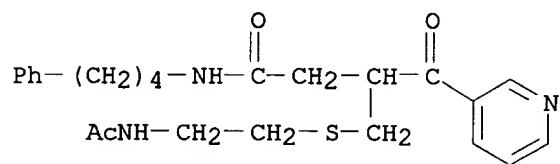
CN 3-Pyridinebutanamide, β -[[[(2R)-2-(acetylamino)-3-oxo-3-[(3-phenylpropyl)amino]propyl]thio]methyl]- γ -oxo-N-(3-phenylpropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343577-62-8 CAPLUS

CN 3-Pyridinebutanamide, β -[[[2-(acetylamino)ethyl]thio]methyl]- γ -
 oxo-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



~~L26~~ ANSWER 70 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:265242 CAPLUS

DOCUMENT NUMBER: 134:295624

TITLE: Preparation of benzene derivatives as preventive or therapeutic drugs for diabetes

INVENTOR(S): Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

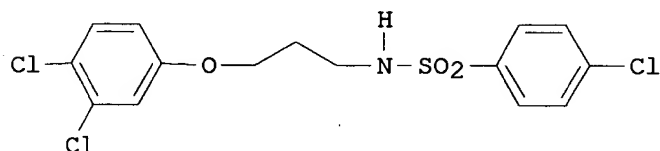
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001024786	A1	20010412	WO 2000-JP2992	20000510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2372715	AA	20010412	CA 2000-2372715	20000510
EP 1190710	A1	20020327	EP 2000-927740	20000510
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			JP 1999-132375	A 19990513
			WO 2000-JP2992	W 20000510
OTHER SOURCE(S):	MARPAT 134:295624			
GI				



I

AB Title compds. [A(CH₂)_mX₁(CH₂)_nX₂B; A = aryl, heteroaryl; B = alkyl, aryl; X₁ = O, S, NR; R = H, alkyl; X₂ = NHCO, CONH, NHCONH, SO₂, NHSO₂; m = 0, 1, 2, 3; n = 2, 3, 4, 5] are prepared and are useful as preventive or therapeutic drugs for diabetes. Thus, the title compound I was prepared and biol. tested.

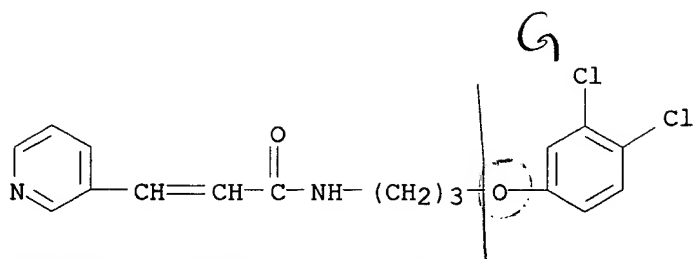
IT **333798-38-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of benzene derivs. as antidiabetic agents)

RN 333798-38-2 CAPLUS

CN 2-Propenamide, N-[3-(3,4-dichlorophenoxy)propyl]-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT:

6

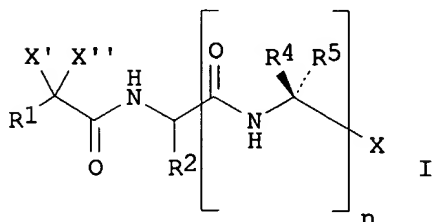
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 759156 L26 ANSWER 71 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:241759 CAPLUS
 DOCUMENT NUMBER: 134:252661
 TITLE: Preparation of peptides for inhibiting β -amyloid peptide release and/or its synthesis
 INVENTOR(S): Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Reel, Jon K.; Porter, Warren J.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; John, Varghese; Folmer, Beverly K.; Droste, James J.; Britton, Thomas C.; Audia, James E.
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
 SOURCE: U.S., 135 pp., Cont.-in-part of U.S. Ser. No. 976,289.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211235	B1	20010403	US 1998-164448	19980930
US 6191166	B1	20010220	US 1997-976289	19971121
US 6476263	B1	20021105	US 2001-826412	20010403
PRIORITY APPLN. INFO.:			US 1996-108166P	P 19961122
			US 1997-108161P	P 19970228
			US 1997-64859P	P 19970228
			US 1997-98558P	P 19970228
			US 1997-976289	A2 19971121
			US 1997-698556P	P 19970228
			US 1998-164448	A1 19980930

OTHER SOURCE(S): MARPAT 134:252661
 GI



AB Compds. I [R1 = substituted aryl, heteroaryl, alkyl, alkenyl, or alkynyl, cycloalkenyl, heterocyclyl; R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, or heterocyclyl; R4 = H, cycloalkenyl, or any group given for R2; R5 = H, Me or together with R4 forms a cycloalkyl group of 3-6 carbon atoms; X = C(O)Y or C(S)Y, where Y = alkyl, cycloalkyl, alkoxy, hydroxy, aryl, heteroaryl, heterocyclyl, an amino group, alkylsulfonylamino, etc.; X', X'' = H, OH, F or X' and X'' together form an oxo group; n = 1 or 2] were prepared for inhibition of β -amyloid peptide release and/or its synthesis. Thus, Me N-[N-[(3,5-difluorophenyl)acetyl]-L-alanyl]-(S)-2-aminohexanoate was prepared by coupling of N-[(3,5-difluorophenyl)acetyl]-L-alanine with norleucine Me ester hydrochloride. Compds. of the invention reduced β -amyloid peptide production by at least 30% as compared to the control.

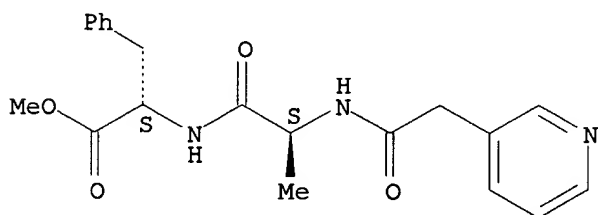
IT 208255-71-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides for inhibiting β -amyloid peptide release and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207710	B1	20010327	US 1998-164385	19980930
US 6191166	B1	20010220	US 1997-976289	19971121
PRIORITY APPLN. INFO.:			US 1996-108166P	P 19961122
			US 1997-108161P	P 19970228
			US 1997-64859P	P 19970228
			US 1997-98558P	P 19970228
			US 1997-976289	A2 19971121
			US 1997-698556P	P 19970228

$$\begin{array}{c}
 \text{X}' \quad \text{X}'' \\
 | \quad | \\
 \text{R}^1 - \text{Z} - \text{C} - \text{C}(=\text{O}) - \text{NH} - \text{CH}(\text{R}^2) - \text{C}(=\text{O}) - \text{N}(\text{R}^3) - \text{CH}(\text{R}^4)(\text{R}^5) - \text{X} \\
 || \\
 \text{O}
 \end{array}
 \quad \text{I}$$

Page 228

norleucine Me ester hydrochloride. Compds. of the invention inhibit β -amyloid peptide production by at least 30% as compared to the control.

IT **208255-71-4P**

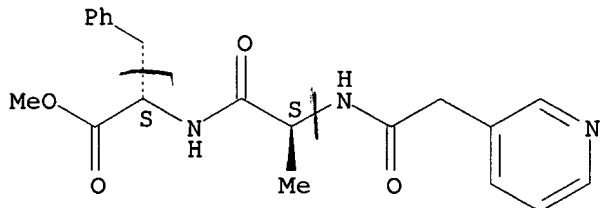
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for inhibiting β -amyloid peptide release and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 73 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:208246 CAPLUS
 DOCUMENT NUMBER: 134:237830
 TITLE: Preparation of amino acid cyanomethyl amides as
 cathepsin S inhibitors
 INVENTOR(S): Graupe, Michael; Link, John O.; Patterson, John W.;
 Zipfel, Sheila
 PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 261 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2001019796	A1	20010322	WO 2000-US25415	20000915	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2384974	AA	20010322	CA 2000-2384974	20000915	
EP 1212302	A1	20020612	EP 2000-966734	20000915	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
US 6492362	B1	20021210	US 2000-663449	20000915	
JP 2003509410	T2	20030311	JP 2001-523376	20000915	
AU 777472	B2	20041021	AU 2000-77033	20000915	
US 2004014796	A1	20040122	US 2002-256354	20020927	
PRIORITY APPLN. INFO.:				US 1999-154245P	P 19990916
				US 1999-171831P	P 19991222
				US 2000-224552P	P 20000810
				US 2000-663449	A3 20000915
				WO 2000-US25415	W 20000915

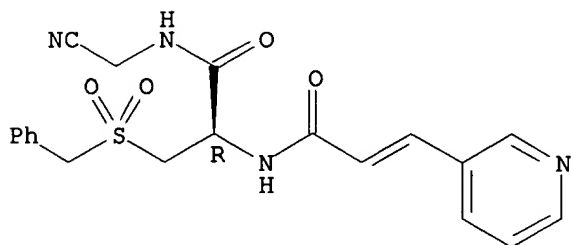
OTHER SOURCE(S): MARPAT 134:237830

AB R4NHCH(X1SO2X2R3)CONHCR1R2CN [X1, X2 = CH2, or X1 = CH2CH2 and X2 = bond;
 R1 = H, R2 = cyano, heteroaryl, alkylheteroaryl, or R1, R2 = H, halo,
 alkyl, X3OR9; R1R2C = cycloalkylene, heterocycloalkylene; R3 =
 (substituted) CHR5:CHR6, CR7:NR8; R5R6 = atoms to form alkenyl,
 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, etc.; R7R8 = atoms to
 form heterocycloalkenyl, heteroaryl, heterobicycloaryl; R4 = COX4R11,
 SO2X4R11; X4 = bond, O, NR12; R12 = H, alkyl; R11 = (substituted) alkyl,
 cycloalkylalkyl, heterocycloalkylalkyl, etc.; R9 = H, alkyl, haloalkyl; X3
 = bond, alkylene], were prepared Thus, 2R-benzoylamino-3-(4-
 methylbenzylsulfanyl)propionic acid (preparation given), EDCI, HOBT,
 aminoacetonitrile bisulfate, and N-methylmorpholine were stirred together
 in N-methylpyrrolidinone for 5 h to give N-[1R-cyanomethylcarbamoyle-2-(4-
 methylbenzylsulfanyl)ethyl]benzamide. This was stirred with oxone in MeOH
 for 16 h to give N-[(R)-1-(cyanomethylcarbamoyle)-2-p-
 tolylmethanesulfonylethyl]benzamide. Title compds. inhibited cathepsin S
 with Ki = about 10-10 M to 10-4 M.

IT 330473-88-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid cyanomethyl amides as cathepsin S inhibitors)
 RN 330473-88-6 CAPLUS
 CN 2-Propenamide, N-[(1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:137020 CAPLUS
 DOCUMENT NUMBER: 134:193741
 TITLE: Preparation of peptide derivatives as cell adhesion inhibitors
 INVENTOR(S): Lee, Wen-Cherng; Scott, Daniel; Cornebise, Mark; Petter, Russell
 PATENT ASSIGNEE(S): Biogen, Inc., USA
 SOURCE: PCT Int. Appl., 144 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012186	A1	20010222	WO 2000-US22285	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2380817	AA	20010222	CA 2000-2380817	20000814
BR 2000013248	A	20020723	BR 2000-13248	20000814
EP 1265606	A1	20021218	EP 2000-959232	20000814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506491	T2	20030218	JP 2001-516532	20000814
EE 200200070	A	20030415	EE 2002-70	20000814
US 6630503	B1	20031007	US 2000-638652	20000814
NZ 517011	A	20040227	NZ 2000-517011	20000814
AU 780610	B2	20050407	AU 2000-70586	20000814
ZA 2002001158	A	20030512	ZA 2002-1158	20020211
NO 2002000725	A	20020408	NO 2002-725	20020213
BG 106510	A	20021031	BG 2002-106510	20020311
US 2004132809	A1	20040708	US 2003-677756	20031003
US 7034043	B2	20060425		
PRIORITY APPLN. INFO.:			US 1999-148845P	P 19990813
			US 2000-638652	A1 20000814
			WO 2000-US22285	W 20000814

OTHER SOURCE(S): MARPAT 134:193741

AB Cell adhesion inhibitors of the general formula R3-L-L'-R1 (R1 = H, C1-10alkyl, C2-10alkenyl or -alkynyl, cycloalkyl, cycloalkylalkyl, -alkenyl, or -alkynyl; L' and L are hydrocarbon linker moieties having 1-5 or 1-14 carbons, resp., which are optionally substituted and interrupted by, or terminally attached to, various groups; R3 = alkyl, cycloalkyl, aryl, aralkyl, aryloxy, arylamino, heterocyclyl, etc.) were prepared. An inhibitor of the present invention interacts with VLA-4 mols. to inhibit VLA-4 dependent cell adhesion. Thus, N2-[N-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl]-N4-[N-(o-MePUPA)-N-methyl-L-leucyl]-L-2,4-diaminobutyric acid [o-MePUPA = 4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl] was prepared via peptide coupling reactions in solution.

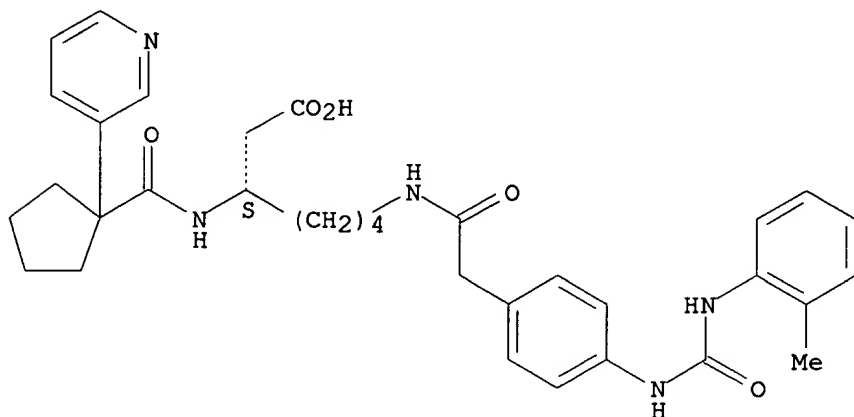
IT 327612-63-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. as cell adhesion inhibitors)

RN 327612-63-5 CAPLUS

CN Heptanoic acid, 7-[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-[[[1-(3-pyridinyl)cyclopentyl]carbonyl]amino]-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 75 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 X
 ACCESSION NUMBER: 2001:131208 CAPLUS
 DOCUMENT NUMBER: 134:193740
 TITLE: Preparation of peptides for inhibiting β -amyloid peptide release and/or its synthesis
 INVENTOR(S): Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; Varghese, John; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Porter, Warren J.; Reel, Jon K.; Thorsett, Eugene D.; Tung, Jay S.; Wu, Jing; Eid, Clark Norman; Scott, William Leonard
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
 SOURCE: U.S., 121 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6191166	B1	20010220	US 1997-976289	19971121
US 6207710	B1	20010327	US 1998-164385	19980930
US 6211235	B1	20010403	US 1998-164448	19980930
US 2002052322	A1	20020502	US 2001-789487	20010220
US 6888022	B2	20050503		
US 6476263	B1	20021105	US 2001-826412	20010403
US 2003229024	A1	20031211	US 2002-309569	20021203
US 6861558	B2	20050301		

PRIORITY APPLN. INFO.:
 US 1996-108166P P 19961122
 US 1997-108161P P 19970228
 US 1997-64859P P 19970228
 US 1997-698556P P 19970228
 US 1996-755442 A 19961122
 US 1997-807427 A 19970228
 US 1997-807528 A 19970228
 US 1997-808528 A 19970228
 US 1997-98558P P 19970228
 US 1997-976289 A2 19971121
 US 1998-164448 A1 19980930
 US 2001-789487 A1 20010220

OTHER SOURCE(S): MARPAT 134:193740

AB Compds. R1ZCX'X''CONHCHR2(CONR3CR4R5)n-X [R1 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl; R2 = H, (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, or heterocyclyl; R3 = H, Me or R3 together with R4 can be fused to form a cyclic structure of 3-8 atoms which is optionally fused with an aryl or heteroaryl group; R4 = H, (un)substituted alkyl, alkenyl, or alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, or heterocyclyl; R5 = H, Me or together with R4 forms a cycloalkyl group of 3-6 carbon atoms; X = C(O)Y or C(S)Y, where Y = alkyl, cycloalkyl, alkoxy, hydroxy, aryl, heteroaryl, heterocyclyl, an amino group, alkylsulfonylamino, hydroxymethyl, etc.; X', X'' = H, OH, F or X' and X'' together form an oxo group; Z is a bond, O, or S; n = 1 or 2] or their pharmaceutically acceptable salts were prepared for inhibition of β -amyloid peptide release and/or its synthesis. Thus, Me N-[N-[(3,5-difluorophenyl)acetyl]-L-alanyl]-(S)-2-amino hexanoate was prepared by coupling of N-[(3,5-difluorophenyl)acetyl]-L-alanine with

norleucine Me ester hydrochloride. Compds. of the invention inhibit β -amyloid peptide production by at least 30% as compared to the control.

IT **208255-71-4P**

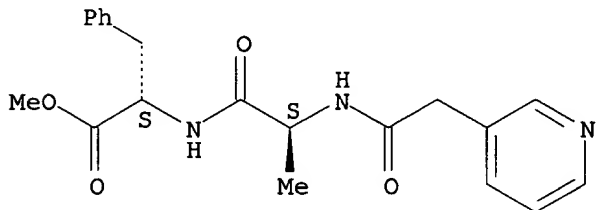
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for inhibiting β -amyloid peptide release and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:12482 CAPLUS

DOCUMENT NUMBER: 134:71906

TITLE: Preparation of novel indole peptidomimetics as thrombin receptor antagonists

INVENTOR(S): Zhang, Han-cheng; Hoekstra, William J.; Maryanoff, Bruce E.; McComsey, David F.

PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA; Cor Therapeutics, Inc.

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000657	A2	20010104	WO 2000-US18018	20000629
WO 2001000657	A3	20010712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6858577	B1	20050222	US 2000-603231	20000626
US 2003224999	A1	20031204	US 2003-403542	20030331
PRIORITY APPLN. INFO.:			US 1999-141550P	P 19990629
			US 2000-603231	A 20000626
OTHER SOURCE(S):		MARPAT 134:71906		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Indole derivs. I [A1 and A2 are certain D- or L-amino acid residues which may be substituted; R1 = amino, alkylamino, arylamino, heteroalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, alkenyl, alkynyl, arylalkyl, aryl, heteroaryl; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroalkyl, indanyl, etc. or R3R4N = (un)substituted piperidinyl, piperazinyl, morpholino, or pyrrolidinyl; R5 = (un)substituted aryl, arylalkyl, cycloalkyl, heteroaryl; R6 = H, alkyl; X = O, S; m = 0-3; n = 1 or 2; p = 0 or 1] were prepared as thrombin receptor antagonists for the treatment of diseases associated with thrombosis, restenosis, hypertension, heart failure, arrhythmia, inflammation, angina, stroke, atherosclerosis, ischemic conditions, angiogenesis related disorders, cancer, and neurodegenerative disorders. Thus, compound II, prepared by a multistep procedure starting from 6-nitroindole (scheme given), showed IC₅₀ = 0.28 and 0.47 μ M, resp., in the thrombin-induced gel-filtered platelet aggregation and thrombin receptor binding assays.

IT 316150-05-7P 316152-00-8P

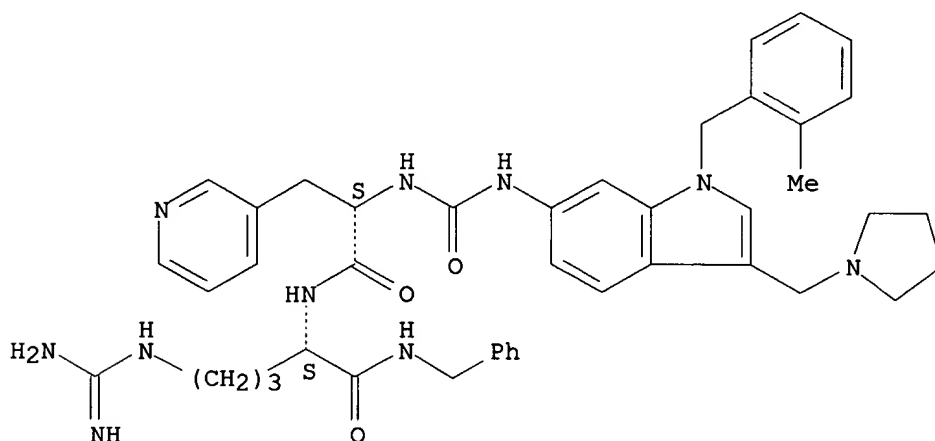
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel indole peptidomimetics as thrombin receptor
 antagonists)

RN 316150-05-7 CAPLUS

CN L-Argininamide, N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-
 1H-indol-6-yl]amino]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-(phenylmethyl)-
 (9CI) (CA INDEX NAME)

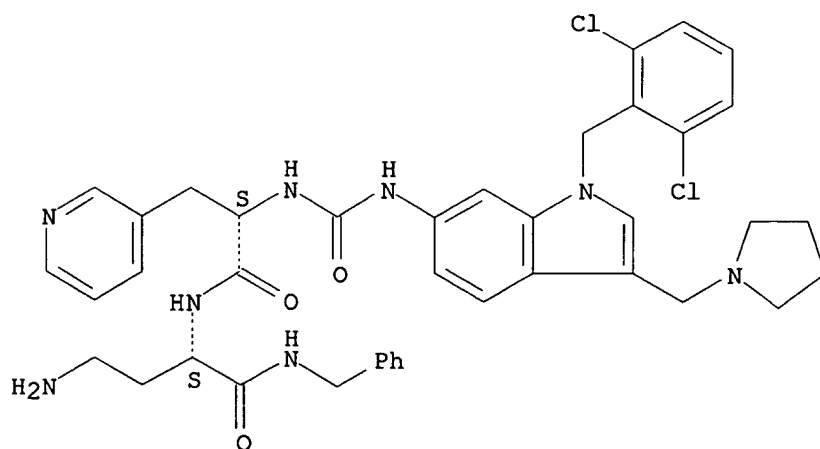
Absolute stereochemistry.



RN 316152-00-8 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S)-3-amino-1-[[(phenylmethyl)amino]carbonyl]pr
 opyl]-α-[[[1-[(2,6-dichlorophenyl)methyl]-3-(1-pyrrolidinylmethyl)-
 1H-indol-6-yl]amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 77 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900608 CAPLUS

DOCUMENT NUMBER: 134:56277

TITLE: Preparation of thiol derivatives as metallo- β -lactamase inhibitors

INVENTOR(S): Balkovec, James M.; Greenlee, Mark L.; Hammond, Milton L.; Heck, James V.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

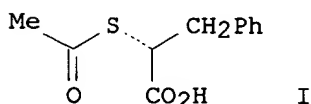
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076962	A1	20001221	WO 2000-US16070	20000612
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2374555	AA	20001221	CA 2000-2374555	20000612
EP 1192128	A1	20020403	EP 2000-941349	20000612
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003521476	T2	20030715	JP 2001-503822	20000612
PRIORITY APPLN. INFO.:			US 1999-139297P	P 19990615
			WO 2000-US16070	W 20000612
OTHER SOURCE(S):	MARPAT 134:56277			
GI				



AB Thiol derivs., R2SCHR1CO2H [R1 = alkyl, (CH2)nAr (Ar = Ph, furanyl, thienyl, pyridyl, naphthyl, biphenyl, dibenzofuranyl, dibenzothienyl, fluorenyl, fluorenyl and n = 0, 1, 2 or 3); R2 = H, R3CO (R3 = H, alkyl, (CH2)nAr); R5CONHCHR4], useful for inhibiting metallo- β -lactamases, were prepared E.g., ester I was prepared

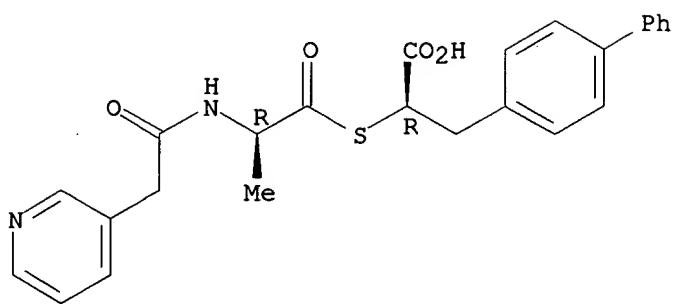
IT **250265-98-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiol derivs. as metallo- β -lactamase inhibitors)

RN 250265-98-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α -[[[(2R)-1-oxo-2-[(3-pyridinylacetyl)amino]propyl]thio]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 78 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:707197 CAPLUS

DOCUMENT NUMBER: 133:267159

TITLE: Preparation of N-sulfonyl-dipeptides as antithrombotic agents

INVENTOR(S): Alcouffe, Chantal; Bellevergue, Patrice; Dellac, Genevieve; Latham, Christopher; Martin, Valerie; Masson, Christine; McCort, Gary

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

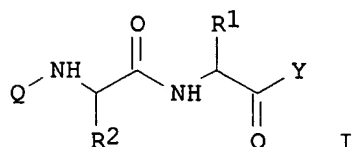
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058346	A1	20001005	WO 2000-FR696	20000321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2791683	A1	20001006	FR 1999-3933	19990330
PRIORITY APPLN. INFO.:			FR 1999-3933	A 19990330
OTHER SOURCE(S):		MARPAT 133:267159		

GI



AB The present invention relates to compds. of general formula I wherein R1 represents a (C1-C7) alkyl group which can be substituted or a cycloalkyl or cycloalkylalkyl group or a (CH2)_n-X-R3 group; R2 represents a (C1-C7) alkyl group which can be substituted or a cycloalkyl or cycloalkylalkyl group or a Ph, benzyl or 2-phenylethyl group which can be substituted on the Ph group or a carbocyclic or heterocyclic group; R3 is alkyl; n is 1-3; X is S, O; Y is represented by the two tautomeric forms of arylalkylamine; Q represents an R4-SO2- group wherein R4 represents a (C1-C8)alkyl group or a cycloalkylalkyl group or a benzyl group which can be substituted, were prepared as antithrombotic agents. Thus, (α,R)-N-[(1S)-1-[[[4-(aminoiminomethyl)phenyl]methyl]amino]carbonyl]pentyl]-α-[(phenylmethyl)sulfonyl]amino]-1H-indole-3-propanamide hydrochloride was prepared and tested in rats for its antithrombotic activity.

IT 296787-29-6P

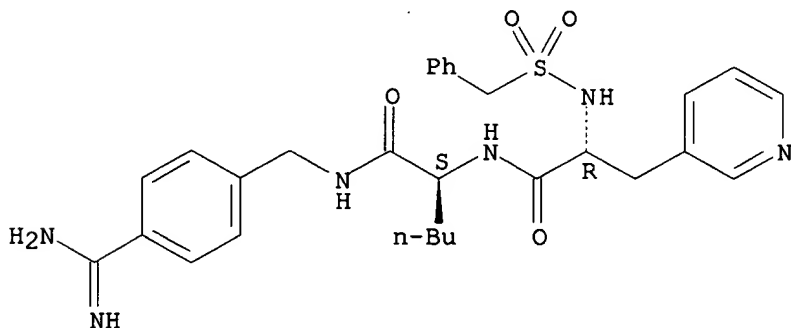
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-sulfonyl-dipeptides as antithrombotic agents)

RN 296787-29-6 CAPLUS

CN L-Norleucinamide, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-D-alanyl-N-
 [[4-(aminoiminomethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX
 NAME)

Absolute stereochemistry. Rotation (-).



● HCl

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 79 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:666700 CAPLUS

DOCUMENT NUMBER: 133:252170

TITLE: Preparation of novel N-cyanomethyl amides as protease inhibitors

INVENTOR(S): Bryant, Clifford M.; Bunin, Barry A.; Kraynack, Erica A.; Patterson, John W.

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

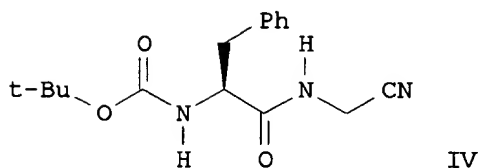
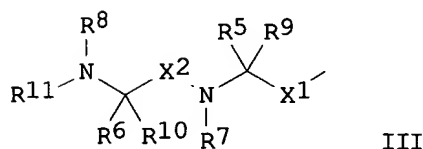
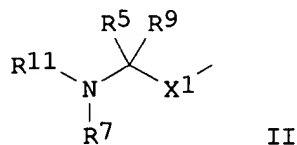
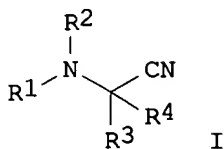
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055125	A2	20000921	WO 2000-US6747	20000315
WO 2000055125	A3	20010426		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2368122	AA	20000921	CA 2000-2368122	20000315
BR 2000009042	A	20011226	BR 2000-9042	20000315
EP 1178958	A2	20020213	EP 2000-916343	20000315
EP 1178958	B1	20040218		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
TR 200103337	T2	20020321	TR 2001-200103337	20000315
TR 200103390	T2	20020521	TR 2001-200103390	20000315
US 6455502	B1	20020924	US 2000-526090	20000315
TR 200201874	T2	20021021	TR 2002-200201874	20000315
US 6476026	B1	20021105	US 2000-526485	20000315
JP 2002539191	T2	20021119	JP 2000-605556	20000315
EE 200100485	A	20030217	EE 2001-485	20000315
NZ 514234	A	20040227	NZ 2000-514234	20000315
AT 259782	E	20040315	AT 2000-916343	20000315
AU 774827	B2	20040708	AU 2000-37461	20000315
PT 1178958	T	20040730	PT 2000-916343	20000315
EP 1452522	A2	20040901	EP 2004-75486	20000315
EP 1452522	A3	20050209		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, MK, CY, AL			
ES 2215626	T3	20041016	ES 2000-916343	20000315
ES 2245303	T3	20060101	ES 2000-916375	20000315
ZA 2001007494	A	20020911	ZA 2001-7494	20010911
ZA 2001007495	A	20020911	ZA 2001-7495	20010911
NO 2001004485	A	20011105	NO 2001-4485	20010914
BG 106003	A	20020628	BG 2001-106003	20011010
HR 2001000738	A1	20021231	HR 2001-738	20011012
HR 20010738	B1	20050228		
US 2002086996	A1	20020704	US 2001-17851	20011214
US 6593327	B2	20030715		

US 2003096796	A1	20030522	US 2002-205600	20020724
HK 1044755	A1	20041217	HK 2002-105942	20020813
US 2003119788	A1	20030626	US 2002-241001	20020909
US 2004147745	A1	20040729	US 2004-758893	20040115
PRIORITY APPLN. INFO.:			US 1999-124420P	P 19990315
			EP 2000-916343	A3 20000315
			US 2000-526090	A1 20000315
			US 2000-526485	A3 20000315
			WO 2000-US6747	W 20000315
			US 2002-205600	B1 20020724

OTHER SOURCE(S): MARPAT 133:252170
GI



AB The title compds. [I; R1 = II, III (wherein X1, X2 = CO, CH2SO2; R5, R6 = H, alkyl; R7, R8 = H, alkyl, etc.; R9, R10 = alkyl optionally substituted with CN, halo, NO2, etc.; R11 = X5X6R18; X5 = CO, COCO, SO2; X6 = a bond, O, NH, N(alkyl); R18 = alkyl optionally substituted with CN, halo, NO2, etc.); R2 = H, alkyl, etc.; R3 = H, alkyl, etc.; R4 = H, alkyl optionally substituted with CN, halo, NO2, etc.; R4 and R2 taken together form trimethylene, tetramethylene, phenylene-1,2-dimethylene, optionally substituted with hydroxy, oxo or methylene; R4 and R3 together with the carbon atom to which both are attached form cycloalkylene, heterocycloalkylene], useful for treating diseases associated with cysteine protease activity, particularly diseases associated with activity of cathepsins B, K, L or S such as inflammation and asthma, were prepared and formulated. Thus, reacting 2(S)-tert-butoxycarbonylamino-3-phenylpropionic acid with aminoacetonitrile.HCl in the presence of Et3N in DMF and MeCN afforded the amide (1S)-IV. Biol. data for compds. I were given.

IT **294641-11-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-cyanomethyl amides as protease inhibitors)

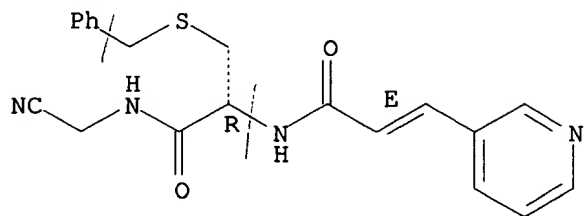
RN 294641-11-5 CAPLUS

CN 2-Propenamide, N-[(1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[[(phenylmethyl)thio]methyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

09/596,086

Absolute stereochemistry.

Double bond geometry as shown.



126 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:666699 CAPLUS

DOCUMENT NUMBER: 133:251875

TITLE: Preparation of esters as protease inhibitors

INVENTOR(S): Buysse, Ann M.; Mendonca, Rohan V.; Palmer, James T.;
Tian, Zong-Qiang; Venkatraman, Shankar

PATENT ASSIGNEE(S): Alys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055124	A2	20000921	WO 2000-US7145	20000315
WO 2000055124	A3	20010816		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2367348	AA	20000921	CA 2000-2367348	20000315
EP 1159260	A1	20011205	EP 2000-918085	20000315
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002539190	T2	20021119	JP 2000-605555	20000315
US 6506733	B1	20030114	US 2000-526300	20000315
AU 779177	B2	20050113	AU 2000-38959	20000315
US 2003092634	A1	20030515	US 2002-288103	20021104
PRIORITY APPLN. INFO.:			US 1999-124529P	P 19990315
			US 2000-526300	A1 20000315
			WO 2000-US7145	W 20000315

OTHER SOURCE(S): MARPAT 133:251875

AB R1X1NR2CHR3COR4 [X1 = bond or divalent group; R1 = H, X6X7R16; R2 = H, alkyl; R3 = H, optionally substituted alkyl; R2R3 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4 = nitromethyl, 1-hydroxy-1-methylethyl, etc.], cysteine protease inhibitors, were prepared E.g., benzyl 1S-(3-hydroxy-2-oxo-1S-phenethylpropylcarbamoyl)-3-methylbutylcarbamate was prepared The test compds. were inhibitors of cathepsin B, K, L, and S (no data).

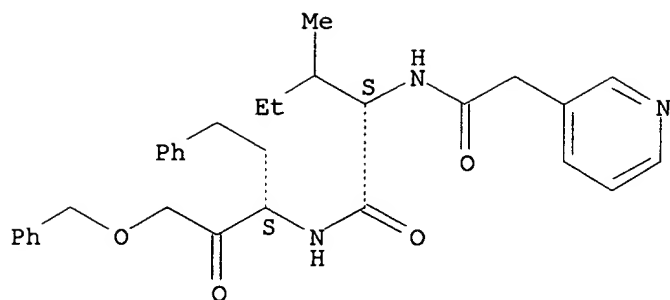
IT 294870-06-7P 294871-05-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of esters as protease inhibitors)

RN 294870-06-7 CAPLUS

CN 3-Pyridineacetamide, N-[(1S)-2-methyl-1-[[[(1S)-2-oxo-1-(2-phenylethyl)-3-(phenylmethoxy)propyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

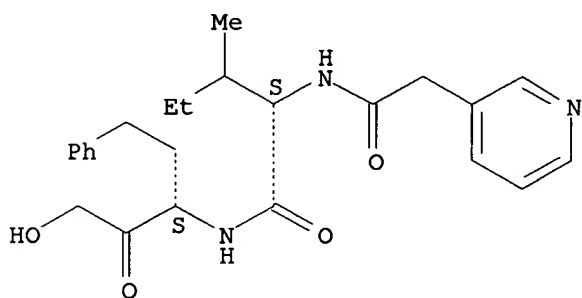
Absolute stereochemistry.



RN 294871-05-9 CAPLUS

CN 3-Pyridineacetamide, N-[(1S)-1-[[[(1S)-3-hydroxy-2-oxo-1-(2-phenylethyl)propyl]amino]carbonyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 81 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:535162 CAPLUS

DOCUMENT NUMBER: 133:150920

TITLE: Preparation of peptides or analogs containing substituted phenethylamine moiety as motilin receptor antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu; Takahashi, Tadakatsu; Kim, Dong Ick; Jung, Kyung Yun; Park, Chan Hee

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

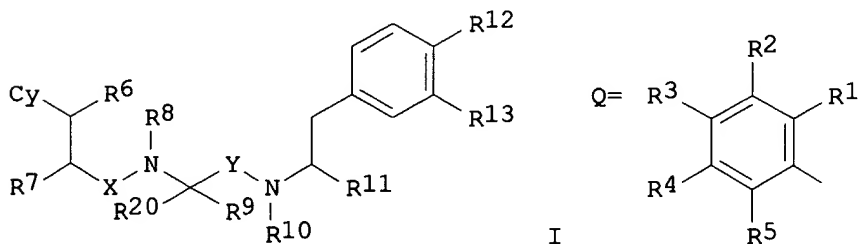
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044770	A1	20000803	WO 2000-JP444	20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359030	AA	20000803	CA 2000-2359030	20000128
EP 1149843	A1	20011031	EP 2000-901956	20000128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 3715202	B2	20051109	JP 2000-596026	20000128
NO 2001003684	A	20010928	NO 2001-3684	20010726
PRIORITY APPLN. INFO.:				
			JP 1999-20523	A 19990128
			JP 1999-283163	A 19991004
			WO 2000-JP444	W 20000128

OTHER SOURCE(S): MARPAT 133:150920

GI



AB Substituted phenethylamine derivs. represented by general formula (I), hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally

substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un)substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un)substituted Ph; R20 represents hydrogen, or (un)substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un)substituted linear or branched C1-3 alkyl, (un)substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH2; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (preparation given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et3N in THF under ice-cooling for 4 h followed by treatment of the product with CF3CO2H in CH2Cl2 gave 2-((2-amino-3-(4-fluorophenyl)propanoyl)-N-methylamino)-3-methylbutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (II). II and N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHET showed IC50 of 0.35 and 0.17 nM, resp., for inhibiting binding of 125I-motilin to motilin receptor preparation from mucus membrane of rabbit duodenum.

IT **287207-37-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides or analogs containing substituted phenethylamine

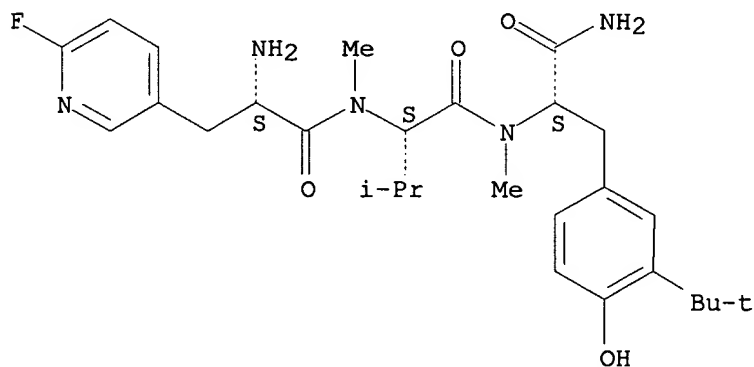
moiety

as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)

RN 287207-37-8 CAPLUS

CN L-Tyrosinamide, 3-(6-fluoro-3-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

41

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 82 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:475632 CAPLUS

DOCUMENT NUMBER: 133:104880

TITLE: Arylalkanoylaminoacetamides as blood clotting factor Xa inhibitors

INVENTOR(S): Defossa, Elisabeth; Heinelt, Uwe; Klingler, Otmar; Zoller, Gerhard; Matter, Hans; Al-Obeidi, Fahad D.; Walser, Armin; Wildgoose, Peter

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

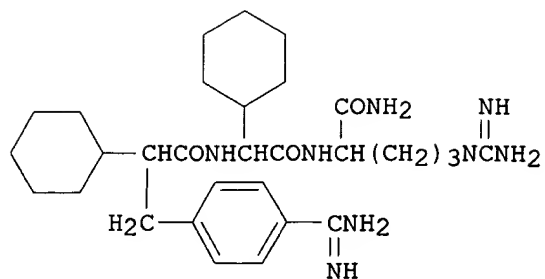
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040548	A1	20000713	WO 1999-EP10341	19991223
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1022268	A1	20000726	EP 1999-100001	19990102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2358581	AA	20000713	CA 1999-2358581	19991223
BR 9916733	A	20010925	BR 1999-16733	19991223
EP 1150946	A1	20011107	EP 1999-967001	19991223
EP 1150946	B1	20050330		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 200101980	T2	20020422	TR 2001-200101980	19991223
JP 2002534408	T2	20021015	JP 2000-592257	19991223
NZ 512669	A	20031128	NZ 1999-512669	19991223
AT 292114	E	20050415	AT 1999-967001	19991223
ES 2239478	T3	20050916	ES 1999-967001	19991223
US 6759420	B1	20040706	US 1999-472936	19991228
ZA 2001004772	A	20020513	ZA 2001-4772	20010612
NO 2001003141	A	20010803	NO 2001-3141	20010622
PRIORITY APPLN. INFO.:			EP 1999-100001	A 19990102
			EP 1999-119538	A 19991001
			WO 1999-EP10341	W 19991223
OTHER SOURCE(S):	MARPAT 133:104880			
GI				



AB Title compds. were prepared for use as inhibitors of the blood clotting enzyme factor Xa. Thus, the diamide I was prepared in a 9-step synthesis. I has a K_i for factor Xa inhibition of 0.002 μM .

IT **283162-19-6P 283162-26-5P 283162-27-6P**

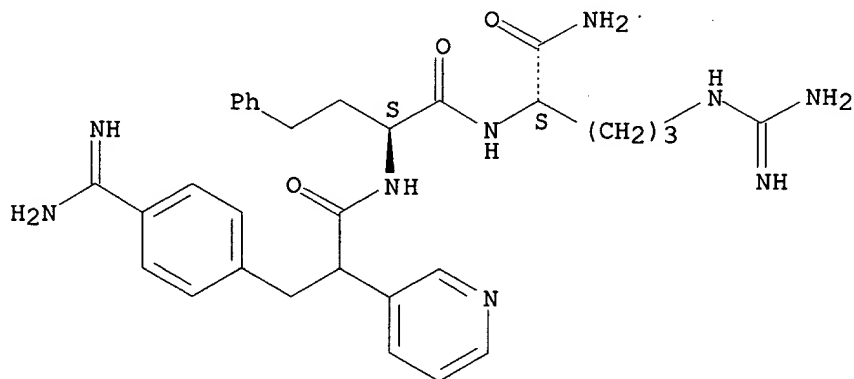
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylalkanoylaminoacetamides as blood coagulation factor Xa inhibitors)

RN 283162-19-6 CAPLUS

CN 3-Pyridineacetamide, N-[(1S)-1-[[[(1S)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-3-phenylpropyl]- α -[[4-(aminoiminomethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 283162-26-5 CAPLUS

CN L-Argininamide, N-[3-[4-(aminoiminomethyl)phenyl]-1-oxo-2-(3-pyridinyl)propyl]-O-(phenylmethyl)-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

~~126~~ ANSWER 83 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:457038 CAPLUS

DOCUMENT NUMBER: 133:74019

TITLE: Preparation of imidazole compounds in medicinal use

INVENTOR(S): Kayakiri, Hiroshi; Abe, Yoshito; Hamashima, Hitoshi;
Sawada, Hitoshi; Ishibashi, Naoki; Setoi, Hiroyuki;
Oku, Teruo; Yamasaki, Noritsugu; Imoto, Takafumi;
Hiramura, Takahiro

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

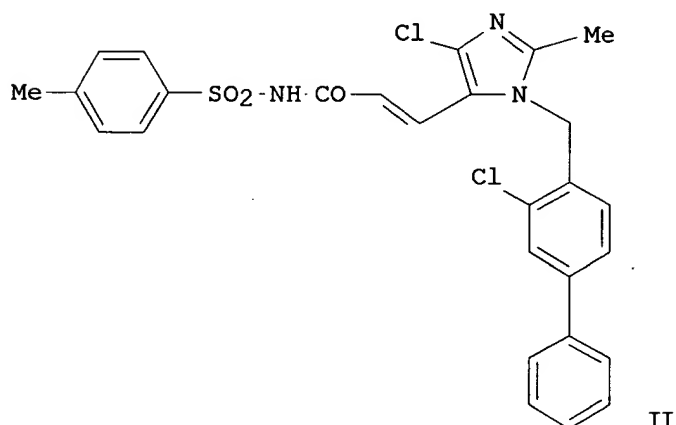
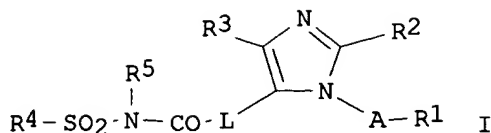
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039097	A1	20000706	WO 1999-JP7160	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356838	AA	20000706	CA 1999-2356838	19991220
EP 1142879	A1	20011010	EP 1999-959929	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101865	T2	20011221	TR 2001-200101865	19991220
BR 9917112	A	20020129	BR 1999-17112	19991220
AU 758325	B2	20030320	AU 2000-16905	19991220
RU 2238937	C2	20041027	RU 2001-120723	19991220
ZA 2001006040	A	20021023	ZA 2001-6040	20010723
HK 1043791	A1	20050603	HK 2002-105326	20020718
PRIORITY APPLN. INFO.:			JP 1998-367362	A 19981224
			JP 1999-228838	A 19990812
			WO 1999-JP7160	W 19991220
OTHER SOURCE(S):	MARPAT 133:74019			
GI				



AB Title imidazole compds. [I; A = CH₂, single bond; R₁ = (un)substituted benzene, 2-bromonaphthyl; R₂ = CH₃, CH₃CH₂; R₃ = Cl, CH₃, Br, H, CH₃CH₂; L = CH:CH, CH:C(CH₂C₆H₅), CH:C((CH₂)₄CH₃), CH:C(CH₃), CH₂NH, CH₂CH₂; R₄ = (un)substituted benzene, alkyl, alkenyl; R₅ = H, CH₃] and salts thereof and medicinal compns. containing the same are prepared and are useful in treating diseases which can be treated based on the hypoglycemic effect thereof, and diseases which can be treated based on the cGMP-PDE inhibitory effect, smooth muscular relaxant effect, bronchodilating effect, vasodilating effect, smooth muscle cell regulatory effect and allergy-inhibitory effects thereof. Thus, the title compound II was prepared and tested.

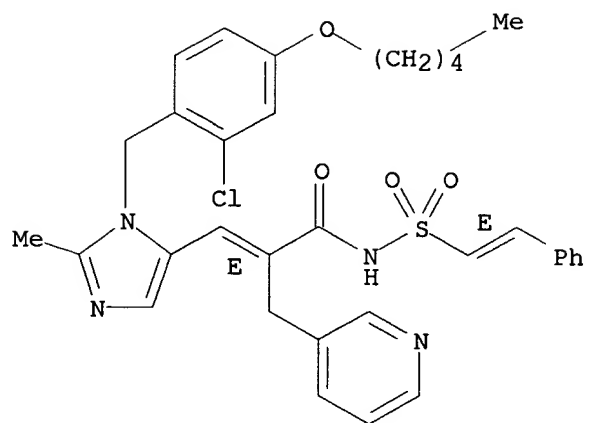
IT **279252-09-4P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole compds. as medicines)

RN 279252-09-4 CAPLUS

CN 3-Pyridinepropanamide, α-[[1-[[2-chloro-4-(pentyloxy)phenyl]methyl]-2-methyl-1H-imidazol-5-yl]methylene]-N-[[(1E)-2-phenylethenyl]sulfonyl]-, (αE)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~L26~~ ANSWER 84 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:421161 CAPLUS

DOCUMENT NUMBER: 133:53708

TITLE: Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators

INVENTOR(S): McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035942	A1	20000622	WO 1999-US27570	19991119
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2355818	AA	20000622	CA 1999-2355818	19991119
EP 1140985	A1	20011010	EP 1999-961738	19991119
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9916811	A	20020115	BR 1999-16811	19991119
TR 200102502	T2	20020521	TR 2001-200102502	19991119
AU 771844	B2	20040401	AU 2000-18256	19991119
NO 2001002939	A	20010809	NO 2001-2939	20010614
PRIORITY APPLN. INFO.:			US 1998-112313P	P 19981214
			US 1999-444327	A 19991119
			WO 1999-US27570	W 19991119

OTHER SOURCE(S): MARPAT 133:53708

AB Substituted heterocyclic acyl-tripeptides, useful as thrombin receptor modulators, are disclosed, as is their use in wound healing and preventing platelet aggregation. Pharmaceutical compns. comprising the substituted heterocyclic acyl-tripeptides of the invention, as well as methods of treating conditions mediated by the thrombin receptor, are also disclosed.

IT **231608-78-9**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

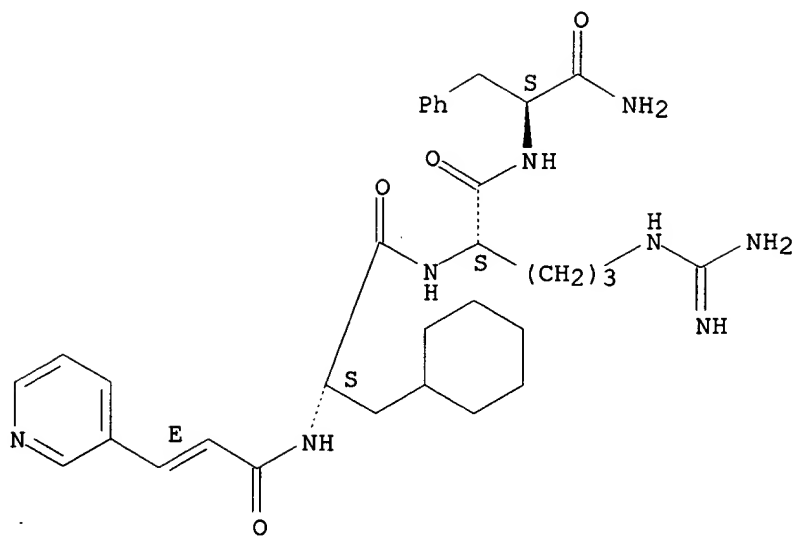
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)

RN 231608-78-9 CAPLUS

CN L-Phenylalaninamide, 3-cyclohexyl-N-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 85 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:277977 CAPLUS
 DOCUMENT NUMBER: 132:298854
 TITLE: Vitreous form of known bradykinin antagonist
 INVENTOR(S): Ohnishi, Norio; Aoki, Osamu; Ohike, Atsuo; Okimoto, Kazuto; Ishikuro, Hiroshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023439	A1	20000427	WO 1999-JP5519	19991007
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347001	AA	20000427	CA 1999-2347001	19991007
AU 9960043	A1	20000508	AU 1999-60043	19991007
AU 756479	B2	20030116		
BR 9914785	A	20010703	BR 1999-14785	19991007
EP 1123288	A1	20010816	EP 1999-970660	19991007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101101	T2	20010921	TR 2001-200101101	19991007
JP 2002527514	T2	20020827	JP 2000-577166	19991007
JP 3353784	B2	20021203		
RU 2202550	C2	20030420	RU 2001-113511	19991007
TW 230158	B1	20050401	TW 1999-88117980	19991018
ZA 2001002607	A	20020701	ZA 2001-2607	20010329
US 6509468	B1	20030121	US 2001-807421	20010420
HK 1040245	A1	20041008	HK 2002-101581	20020301
US 2003120076	A1	20030626	US 2002-301614	20021122
PRIORITY APPLN. INFO.:			JP 1998-299252	A 19981021
			WO 1999-JP5519	W 19991007
			US 2001-807421	A1 20010420

AB This invention relates to a vitreous form of 8-[3-[N-[(E)-3-(6-acetamidopyridin-3-yl)acryloyl]glycyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylquinoline (FR 173657) (I). This vitreous form has good solid stability and, therefore, is useful for producing and supplying FR 173657 products whose quality is stable enough to be suitable for pharmaceuticals. Tablets contained a vitreous form of FR-173657 15, Croscarmellose sodium 10, HPMC 2 and Mg stearate 1 mg and a proper amount of lactose. The preparation of I is given. The vitreous form of I was obtained by heating FR 173657 hydrate at 160° for 30 min followed by cooling.

IT 264879-67-6P 264879-68-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (vitreous form of bradykinin antagonist FR 173657)

09/596,086

RN 264879-67-6 CAPLUS

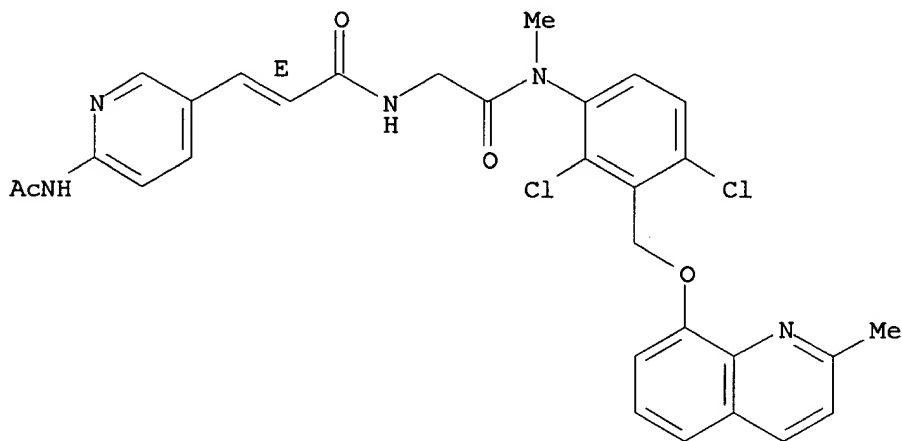
CN 2-Propenamide, 3-[6-(acetalamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-, (2E)-, compd. with methanol (9CI) (CA INDEX NAME)

CM 1

CRN 167838-64-4

CMF C30 H27 Cl2 N5 O4

Double bond geometry as shown.



CM 2

CRN 67-56-1

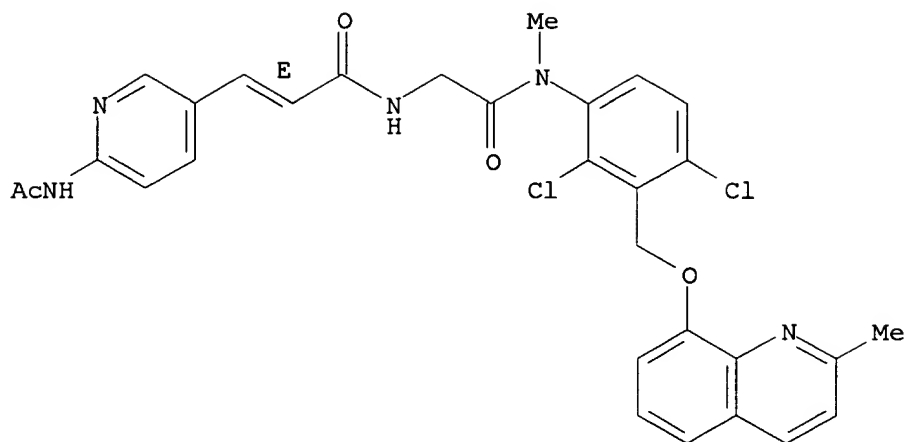
CMF C H4 O

H₃C-OH

RN 264879-68-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetalamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-, hydrate, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● x H₂O

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~186~~ ANSWER 86 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:260225 CAPLUS

DOCUMENT NUMBER: 132:294010

TITLE: Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding inhibitors

INVENTOR(S): Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

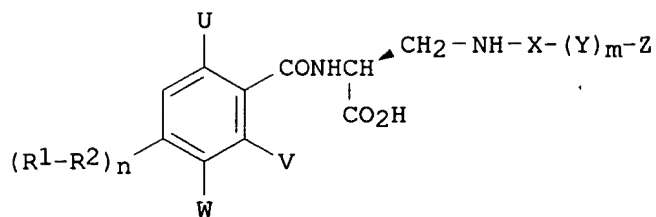
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021920	A1	20000420	WO 1999-EP7620	19991012
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6331640	B1	20011218	US 1999-407534	19990929
CA 2344058	AA	20000420	CA 1999-2344058	19991012
BR 9914602	A	20010703	BR 1999-14602	19991012
EP 1121342	A1	20010808	EP 1999-953772	19991012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101038	T2	20010921	TR 2001-200101038	19991012
JP 2002527416	T2	20020827	JP 2000-575829	19991012
JP 3720709	B2	20051130		
AU 766468	B2	20031016	AU 2000-10349	19991012
ZA 2001002608	A	20020930	ZA 2001-2608	20010329
US 2002052512	A1	20020502	US 2001-879700	20010612
US 2004006236	A1	20040108	US 2003-349289	20030122
US 6803384	B2	20041012		
US 2005080119	A1	20050414	US 2004-945650	20040921
PRIORITY APPLN. INFO.:			US 1998-104120P	P 19981013
			US 1999-407534	A3 19990929
			WO 1999-EP7620	W 19991012
			US 2001-879700	B3 20010612
			US 2003-349289	A3 20030122

OTHER SOURCE(S): MARPAT 132:294010

GI



AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl, OCH2CO, CH:CHCHR3, CH2CH2CH(OH), CONHCHR3, or CH2NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO2H, CONH2, 1-adamantyl, diphenylmethyl, 3-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-2-pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

IT **264273-60-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

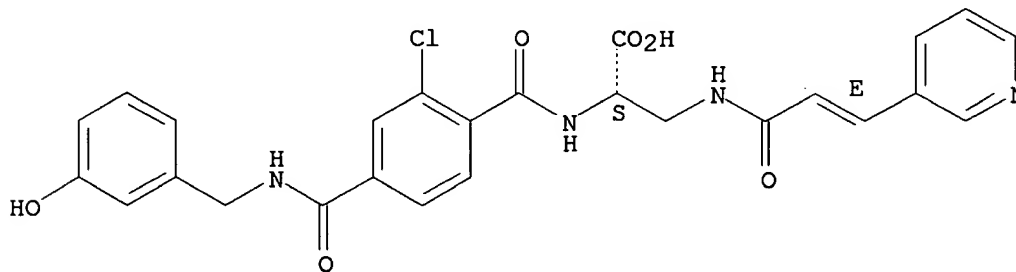
(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264273-60-1 CAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



09/596,086

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 87 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:144899 CAPLUS
 DOCUMENT NUMBER: 132:189658
 TITLE: Amino acid derivative and peptide anti-cancer compounds and methods
 INVENTOR(S): Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011022	A1	20000302	WO 1999-US19381	19990820
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6388054	B1	20020514	US 1999-378019	19990819
AU 2000015959	A1	20000314	AU 2000-15959	19990820
US 2002183252	A1	20021205	US 2001-35662	20011228
PRIORITY APPLN. INFO.:			US 1998-97210P	P 19980820
			US 1999-141169P	P 19990625
			US 1999-378019	A 19990819
			WO 1999-US19381	W 19990820

OTHER SOURCE(S): MARPAT 132:189658

AB The invention provides amino acid derivative and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula [ACA]_n-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].

IT **259883-45-9P 259885-28-4P 259885-31-9P 259885-39-7P 259885-43-3P**

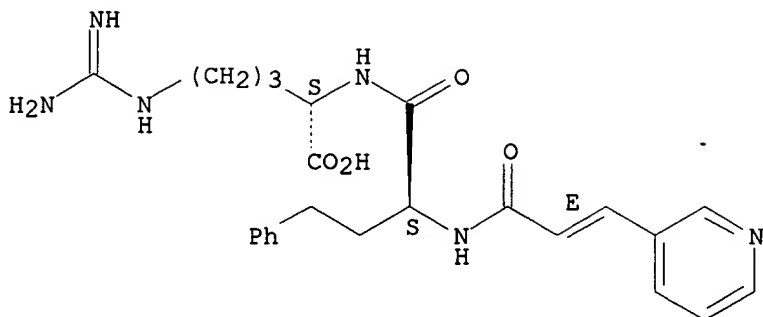
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide and non-peptide anti-cancer compds. and methods)

RN 259883-45-9 CAPLUS

CN L-Arginine, N2-[(2S)-1-oxo-2-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-4-phenylbutyl]- (9CI) (CA INDEX NAME)

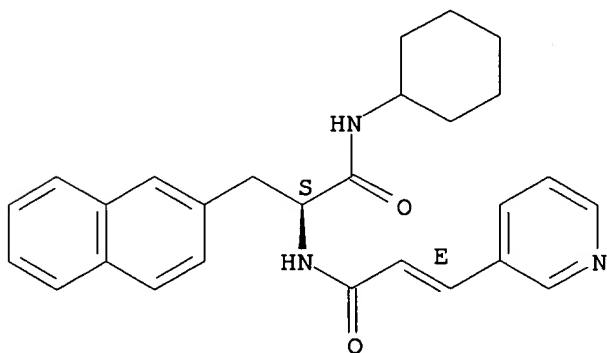
Absolute stereochemistry.
Double bond geometry as shown.



RN 259885-28-4 CAPLUS

CN 2-Naphthalenepropanamide, N-cyclohexyl- α -[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (α S)- (9CI) (CA INDEX NAME)

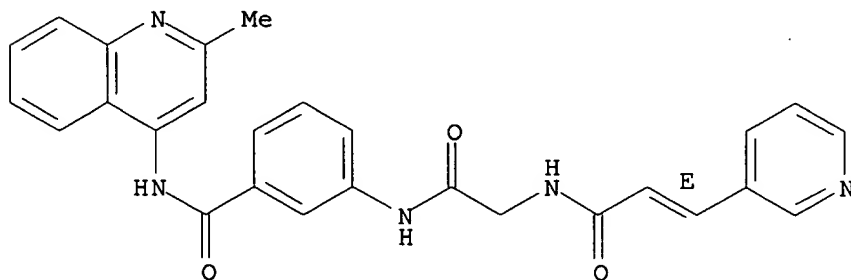
Absolute stereochemistry.
Double bond geometry as shown.



RN 259885-31-9 CAPLUS

CN Benzamide, N-(2-methyl-4-quinolinyl)-3-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

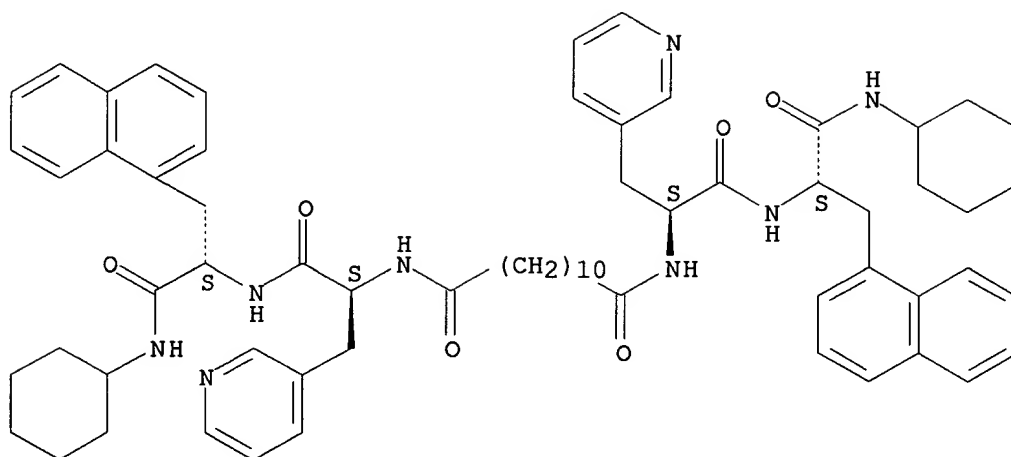
Double bond geometry as shown.



RN 259885-39-7 CAPLUS

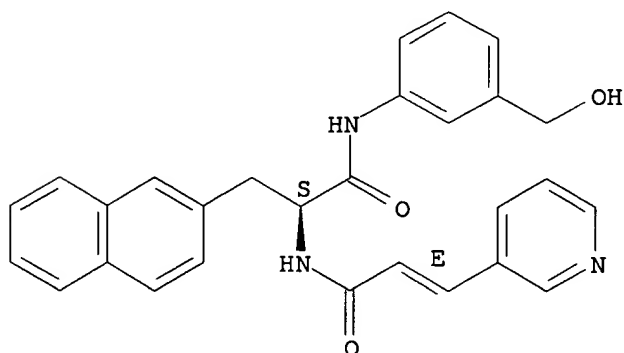
CN L-Alaninamide, 1,1'-(1,12-dioxo-1,12-dodecanediyl)bis[3-(3-pyridinyl)-L-alanyl-N-cyclohexyl-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CN 2-Naphthalenepropanamide, N-[3-(hydroxymethyl)phenyl]- α -[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



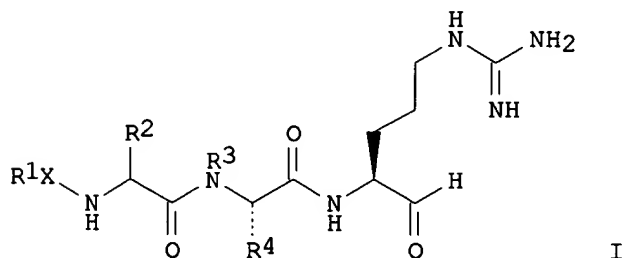
4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~L78~~ ANSWER 88 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:113118 CAPLUS
 DOCUMENT NUMBER: 132:152140
 TITLE: Preparation of N-substituted glycine derivatives as enzyme inhibitors
 INVENTOR(S): Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche
 PATENT ASSIGNEE(S): Corvas International, Inc., USA
 SOURCE: U.S., 67 pp., Cont.-in-part of U.S. 5,696,231.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025472	A	20000215	US 1995-484509	19950607
US 5696231	A	19971209	US 1994-361794	19941221
CA 2207373	AA	19960627	CA 1995-2207373	19951221
WO 9619493	A1	19960627	WO 1995-US16866	19951221
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646086	A1	19960710	AU 1996-46086	19951221
AU 716995	B2	20000316		
EP 801654	A1	19971022	EP 1995-944234	19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9510264	A	19971104	BR 1995-10264	19951221
CN 1171116	A	19980121	CN 1995-196925	19951221
HU 77524	A2	19980528	HU 1998-71	19951221
JP 10512550	T2	19981202	JP 1995-520031	19951221
NZ 300829	A	20010330	NZ 1995-300829	19951221
PRIORITY APPLN. INFO.:			US 1994-361794	A2 19941221
			US 1995-484509	A 19950607
			WO 1995-US16866	W 19951221
OTHER SOURCE(S):		MARPAT 132:152140		
GI				



AB Glycine derivs. I [X = SO₂, NR'SO₂, CO, O₂C, NHCO, P(O)R'', bond; R' = H,

alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R1 = H, substituted benzyl or naphthyl; R2 = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl, pyridin-3-ylalkyl, H, 3-guanidinopropyl, 2-methylsulfonylethyl, etc.; R3 = H, cycloalkyl, (un)substituted alkyl or aryl; R4 = H, (un)substituted alkyl or aryl] were prepared as potent inhibitors of factor Xa. Thus, D-camphorsulfonyl-D-arginine-sarcosine-arginine aldehyde, prepared by solution phase methods, inhibited factor Xa catalytic activity with IC50 = 8.2 nM.

IT 180312-87-2P 180312-88-3P 180312-89-4P

180312-93-0P

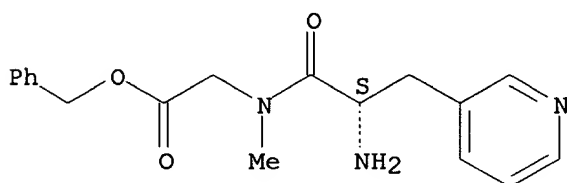
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-substituted glycine derivs. as enzyme inhibitors)

RN 180312-87-2 CAPLUS

CN Glycine, N-methyl-N-[3-(3-pyridinyl)-L-alanyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

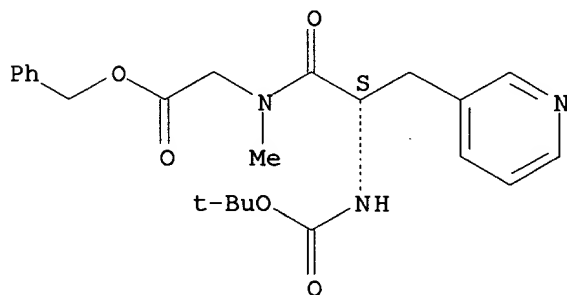


● HCl

RN 180312-88-3 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

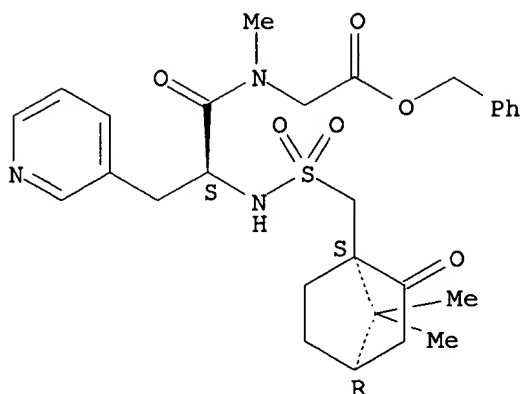
Absolute stereochemistry.



RN 180312-89-4 CAPLUS

CN Glycine, N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

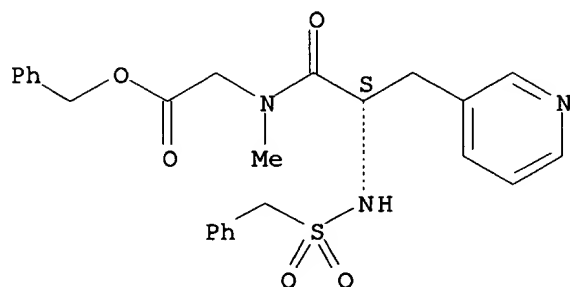
Absolute stereochemistry.



RN 180312-93-0 CAPLUS

CN Glycine, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~L26~~ ANSWER 89 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:15165 CAPLUS

DOCUMENT NUMBER: 132:78850

TITLE: Preparation of hydroxycarbamoylalkylcarboxylic acid hydrazides as inhibitors of the release of tumor necrosis factor

INVENTOR(S): Broadhurst, Michael John; Johnson, William Henry; Walter, Daryl Simon

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

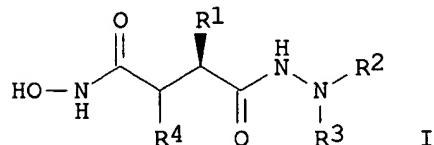
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000465	A1	20000106	WO 1999-EP4223	19990617
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6239151	B1	20010529	US 1999-332809	19990614
CA 2335245	AA	20000106	CA 1999-2335245	19990617
AU 9947737	A1	20000117	AU 1999-47737	19990617
AU 753660	B2	20021024		
BR 9911588	A	20010320	BR 1999-11588	19990617
EP 1089964	A1	20010411	EP 1999-931098	19990617
EP 1089964	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TR 200003731	T2	20010621	TR 2000-200003731	19990617
JP 2002519339	T2	20020702	JP 2000-557226	19990617
AT 253043	E	20031115	AT 1999-931098	19990617
PT 1089964	T	20040331	PT 1999-931098	19990617
ES 2209460	T3	20040616	ES 1999-931098	19990617
ZA 2000007320	A	20010621	ZA 2000-7320	20001208
PRIORITY APPLN. INFO.:			GB 1998-13919	A 19980626
			GB 1998-26491	A 19981202
			WO 1999-EP4223	W 19990617
OTHER SOURCE(S):	MARPAT 132:78850			
GI				



AB Tile hydrazides I [R1 = alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl

or arylalkyl; R2 is an acyl group derived from an α -, β -, γ - or δ -(amino, hydroxy or thiol) carboxylic acid in which the amino, hydroxy or thiol group is optionally lower alkylated or the amino group is optionally acylated, sulfonylated or amidated and in which any functional group present in a side-chain is optionally protected, or a group of the formula Het(CH₂)_mCO (Het is heterocyclyl, m = 0-4); R3 = H, alkyl, haloalkyl, cyanoalkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkylalkyl, cycloalkylalkyl, arylalkyl, heterocyclylalkyl, heterocyclylcarbonylalkyl, alkenyl, alkynyl, cycloalkyl, arylalkenyl, aryl or heterocyclyl; R4 = alkyl, alkenyl, cycloalkyl, cycloalkyl alkyl or a grouping of the formula X-aryl, X-heteroaryl or (CH₂)_n-CH=CR₅R₆, where R₅ and R₆ together are alkylene in which one CH₂ group is optionally replaced by a hetero atom, X is a spacer group, and n is 1 or 2] and their pharmaceutically acceptable salts were prepared as inhibitor of the release of tumor necrosis factor- α (TNF- α) from cells. Thus, (E)-2'-(D-alanyl)-2(R)-[1(S)-(hydroxycarbamoyl)-4-phenyl-3-butenyl]-2'-isobutyl-4-methylvalerohydrazide was prepared via reaction of N-(9-fluorenylmethoxycarbonyl)-D-alanine acid chloride with hydrazide derivative and shown to have IC₅₀ = 303 nMol for inhibition of TNF- α .

IT 253792-92-6P 253792-96-0P 253793-06-5P
253793-51-0P 253793-76-9P 253793-87-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxycarbamoylalkylcarboxylic acid hydrazides as inhibitors of tumor necrosis factor)

RN 253792-92-6 CAPLUS

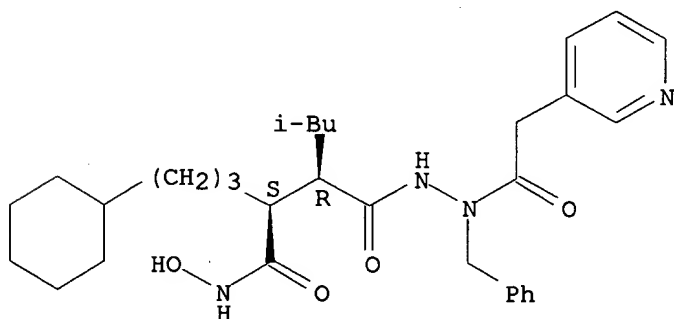
CN 3-Pyridineacetic acid, 2-[(2R,3S)-6-cyclohexyl-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxohexyl]-1-(phenylmethyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 253792-91-5

CMF C31 H44 N4 O4

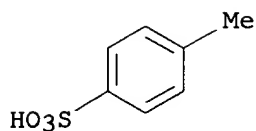
Absolute stereochemistry.



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 253792-96-0 CAPLUS

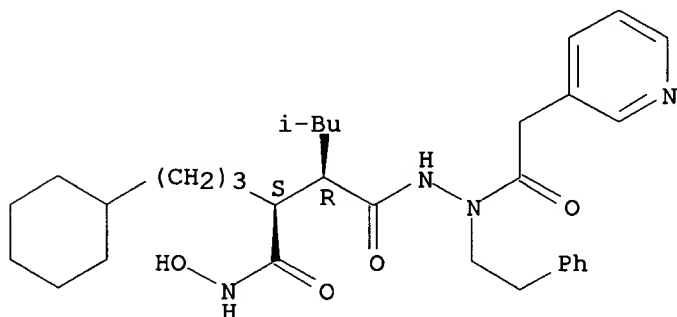
CN 3-Pyridineacetic acid, 2-[(2R,3S)-6-cyclohexyl-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxohexyl]-1-(2-phenylethyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 253792-95-9

CMF C32 H46 N4 O4

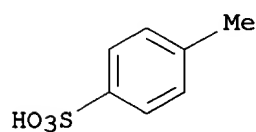
Absolute stereochemistry.



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 253793-06-5 CAPLUS

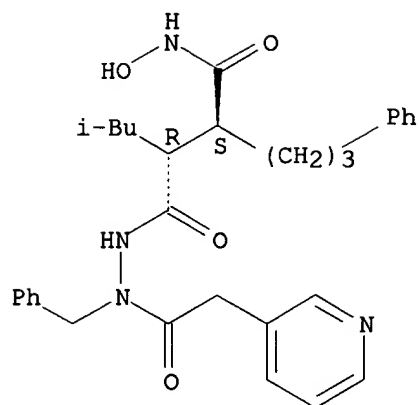
CN 3-Pyridineacetic acid, 2-[(2R,3S)-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxo-6-phenylhexyl]-1-(phenylmethyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 253793-05-4

CMF C31 H38 N4 O4

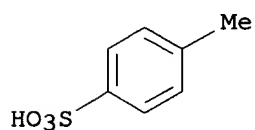
Absolute stereochemistry.



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 253793-51-0 CAPLUS

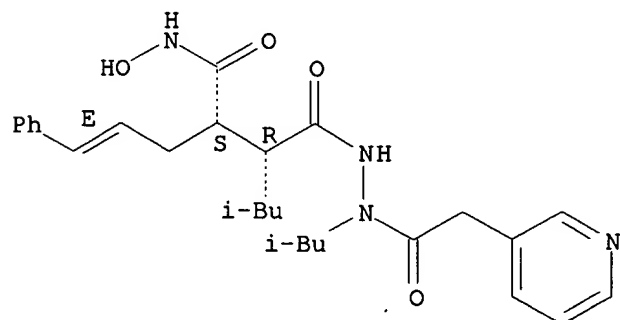
CN 3-Pyridineacetic acid, 2-[(2R,3S,5E)-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxo-6-phenyl-5-hexenyl]-1-(2-methylpropyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 253793-50-9

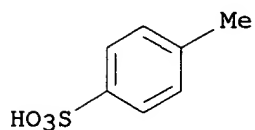
CMF C28 H38 N4 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

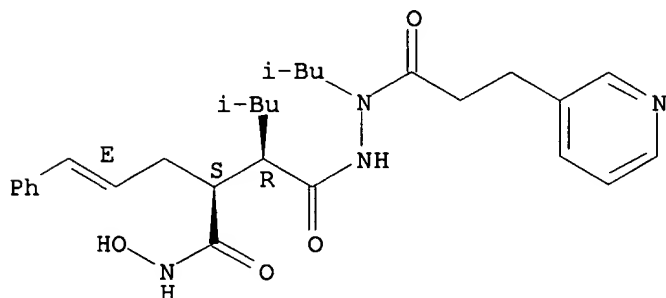


RN 253793-76-9 CAPLUS
CN 3-Pyridinepropanoic acid, 2-[(2R,3S,5E)-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxo-6-phenyl-5-hexenyl]-1-(2-methylpropyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

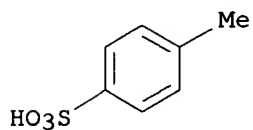
CRN 253793-75-8
CMF C29 H40 N4 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



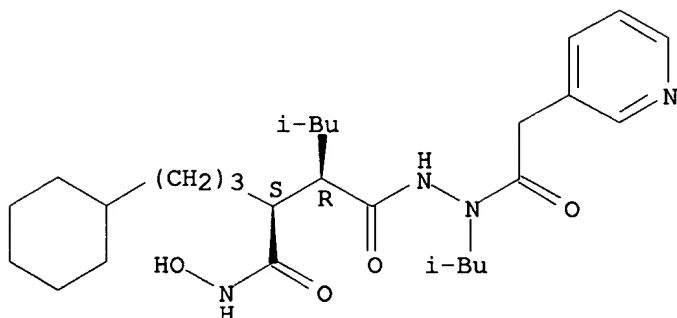
RN 253793-87-2 CAPLUS
CN 3-Pyridineacetic acid, 2-[(2R,3S)-6-cyclohexyl-3-[(hydroxyamino)carbonyl]-2-(2-methylpropyl)-1-oxohexyl]-1-(2-methylpropyl)hydrazide, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

09/596,086

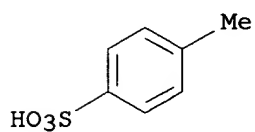
CRN 253793-86-1
CMF C28 H46 N4 O4

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



IT 253794-83-1P 253795-09-4P 253795-11-8P
253795-16-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxycarbonylalkylcarboxylic acid hydrazides as inhibitors of tumor necrosis factor)

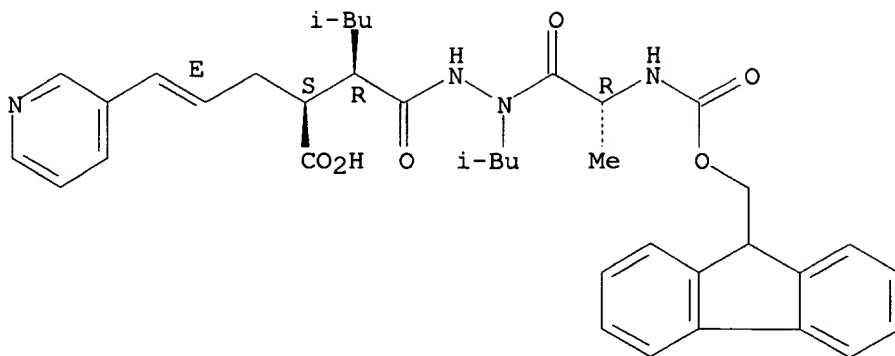
RN 253794-83-1 CAPLUS

CN Butanedioic acid, 2-(2-methylpropyl)-3-[(2E)-3-(3-pyridinyl)-2-propenyl]-, 1-[2-[(2R)-2-[[9H-fluoren-9-ylmethoxy]carbonyl]amino]-1-oxopropyl]-2-(2-methylpropyl)hydrazide], (2R,3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 253794-82-0
CMF C38 H46 N4 O6

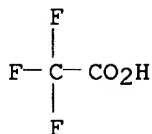
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1

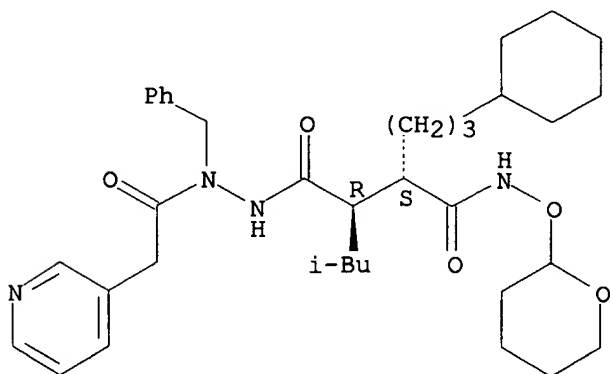
CMF C2 H F3 O2



RN 253795-09-4 CAPLUS

CN 3-Pyridineacetic acid, 2-[(2R,3S)-6-cyclohexyl-2-(2-methylpropyl)-1-oxo-3-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]hexyl]-1-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

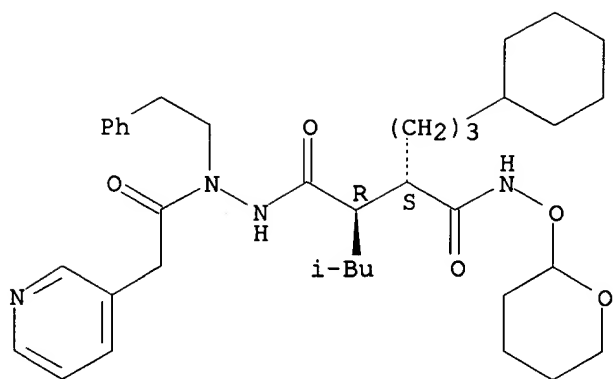
Absolute stereochemistry.



RN 253795-11-8 CAPLUS

CN 3-Pyridineacetic acid, 2-[(2R,3S)-6-cyclohexyl-2-(2-methylpropyl)-1-oxo-3-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]hexyl]-1-(2-phenylethyl)hydrazide (9CI) (CA INDEX NAME)

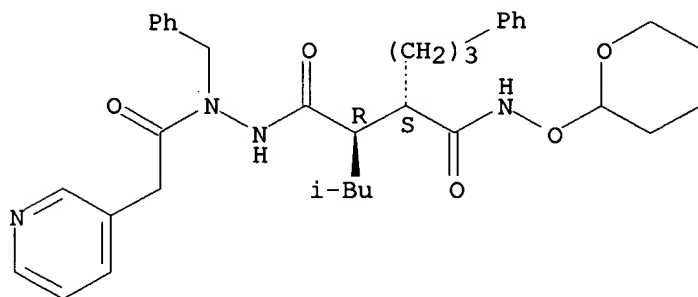
Absolute stereochemistry.



RN 253795-16-3 CAPLUS

CN 3-Pyridineacetic acid, 2-[(2R,3S)-2-(2-methylpropyl)-1-oxo-6-phenyl-3-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]hexyl]-1-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 90 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:690954 CAPLUS

DOCUMENT NUMBER: 131:307106

TITLE: Use of vitamin PP compounds as cytoprotective agents
in chemotherapyINVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel,
Benno; Reiter, Friedemann; Schein, Barbara;
Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus;
Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A1	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512190	T2	20020423	JP 2000-544324	19990421
AT 311186	E	20051215	AT 1999-922119	19990421
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154998	A1	20011121	EP 2000-907642	20000228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537380	T2	20021105	JP 2000-600982	20000228
US 2002160968	A1	20021031	US 2001-935772	20010823
US 6506572	B2	20030114		
PRIORITY APPLN. INFO.:			DE 1998-19818044	A 19980422
			EP 1999-103814	A 19990226
			WO 1999-EP2686	W 19990421
			WO 2000-EP1628	W 20000228

OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with

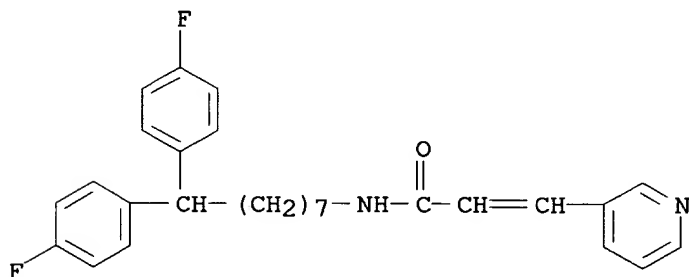
anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the reduction, elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, especially with substituted pyridine carboxamides, as well as combination medicaments with an amount of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are especially considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong reduction of leukocytes was completely prevented.

IT 228114-73-6 228114-83-8 228114-85-0
228114-87-2 228114-94-1 228114-97-4
228114-99-6 228115-01-3 228115-03-5
228115-05-7 228115-06-8 228115-08-0
228115-10-4 247241-14-1

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 228114-73-6 CAPLUS

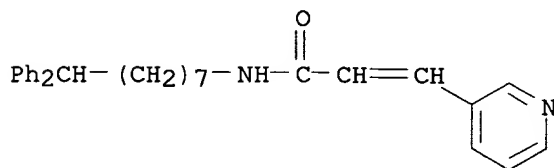
CN 2-Propenamide, N-[8,8-bis(4-fluorophenyl)octyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

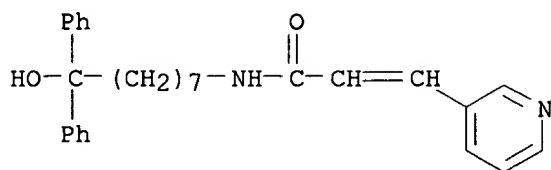
RN 228114-83-8 CAPLUS

CN 2-Propenamide, N-(8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



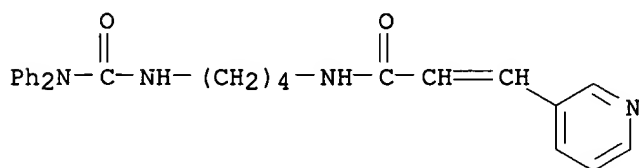
RN 228114-85-0 CAPLUS

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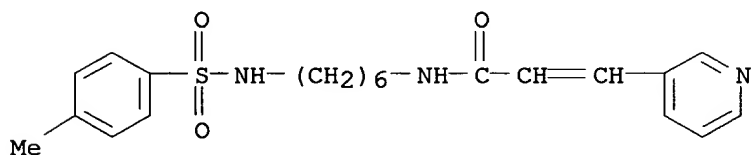
RN 228114-87-2 CAPLUS

CN 2-Propenamide, N-[4-[[[diphenylamino]carbonyl]amino]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



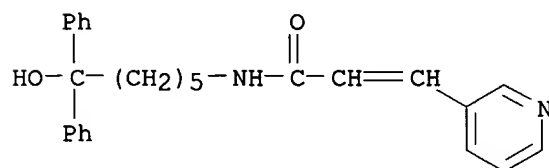
RN 228114-94-1 CAPLUS

CN 2-Propenamide, N-[6-[[[4-methylphenyl]sulfonyl]amino]hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



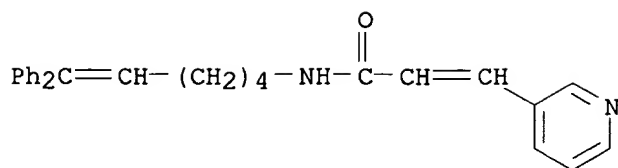
RN 228114-97-4 CAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



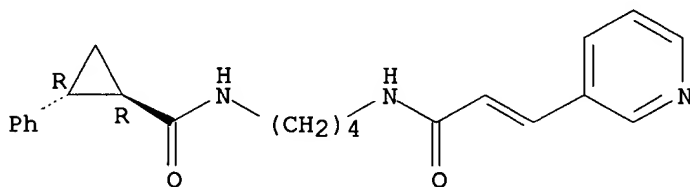
RN 228114-99-6 CAPLUS

CN 2-Propenamide, N-(6,6-diphenyl-5-hexenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

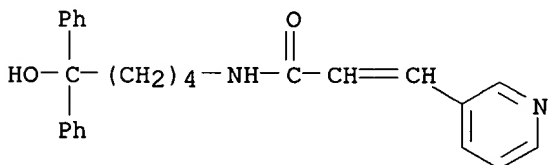


RN 228115-01-3 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

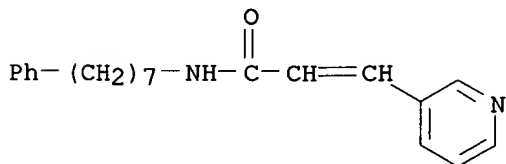
Relative stereochemistry.
Double bond geometry unknown.

RN 228115-03-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

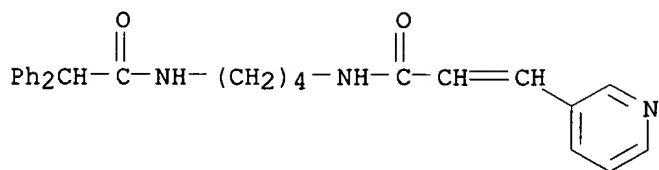
RN 228115-05-7 CAPLUS

CN 2-Propenamide, N-(7-phenylheptyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

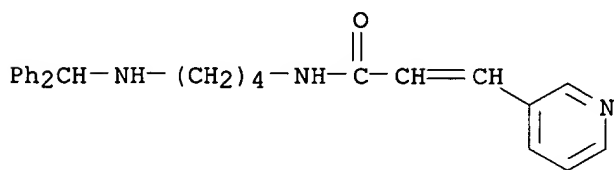


RN 228115-06-8 CAPLUS

CN Benzeneacetamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]- α -phenyl- (9CI) (CA INDEX NAME)

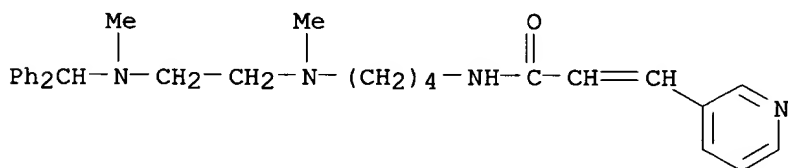


RN 228115-08-0 CAPLUS

CN 2-Propenamide, N-[4-[(diphenylmethyl)amino]butyl]-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

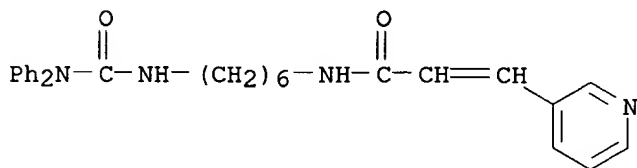
RN 228115-10-4 CAPLUS

CN 2-Propenamide, N-[4-[[2-[(diphenylmethyl)methylamino]ethyl]methylamino]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 247241-14-1 CAPLUS

CN 2-Propenamide, N-[6-[[[(diphenylamino)carbonyl]amino]hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 91 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:582644 CAPLUS

DOCUMENT NUMBER: 131:214554

TITLE: Preparation of basic α -aminoalkylphosphonate derivatives as serine protease inhibitors

INVENTOR(S): Powers, James C.; Jackson, Delwin S.; Ni, Liming

PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,686,419.

CODEN: USXXAM

DOCUMENT TYPE: Patent

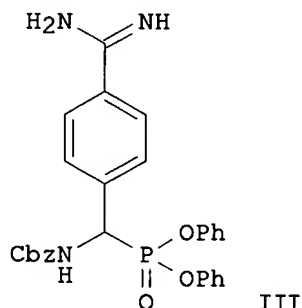
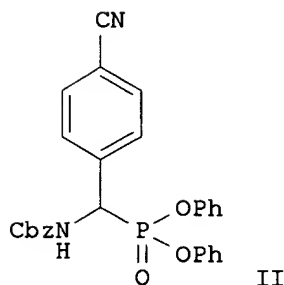
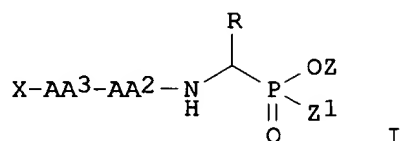
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5952307	A	19990914	US 1997-907840	19970814
US 5686419	A	19971111	US 1994-184286	19940121
PRIORITY APPLN. INFO.:			US 1994-184286	A2 19940121
OTHER SOURCE(S):	MARPAT	131:214554		

GI



AB Peptidyl α -aminoalkylphosphonic acid diesters with basic substituents I [R = Ph, CH₂Ph, C1-6 alkyl substituted with amidino, guanidino, isothioureido, or amino; Z = C1-6 perfluoroalkyl, Ph, Ph substituted with J; Z1 = C1-6 perfluoroalkyloxy, phenoxy, phenoxy substituted with J, C1-6 alkoxy, halo; J = halo, C1-6 alkyl, C1-6 perfluoroalkyl, C1-6 alkoxy, NO₂, CN, OH, CO₂H, amino, C1-6 alkylamino, C2-12 dialkylamino, C1-6 acyl, C1-6 alkoxy, carbonyl, C1-6 alkylthio; AA₂, AA₃ = independently bond, blocked or unblocked D-, L-, or achiral amino acid residue; X = Y-CO, Y-SO₂; Y = Ph-CH:CH, (2-furyl)CH:CH, (2-thienyl)CH:CH, (2-Pyridyl)CH:CH, 2-phenoxyphenyl, 3-phenoxyphenyl, substituted Ph, C1-6 alkenyl substituted with a heterocyclic group, (un)substituted Ph, or (un)substituted naphthyl] and pharmaceutically acceptable salts thereof were prepared as compds. for use in inhibiting

serine proteases with trypsin-like specificity and as anti-inflammatory agents, anticoagulants, and anti-tumor agents. Thus, condensation of 9.75 g 4-cyanobenzaldehyde with 7.65 g benzyl carbamate and 13.5 mL tri-Ph phosphite in 20 mL glacial acetic acid gave 70% cyanophenylphosphonate II. Amidation of II with ammonia and ammonium chloride in MeOH gave amidinophenyl derivative III as its HCl salt. III and related compds. were tested for inhibition of a variety of serine proteases.

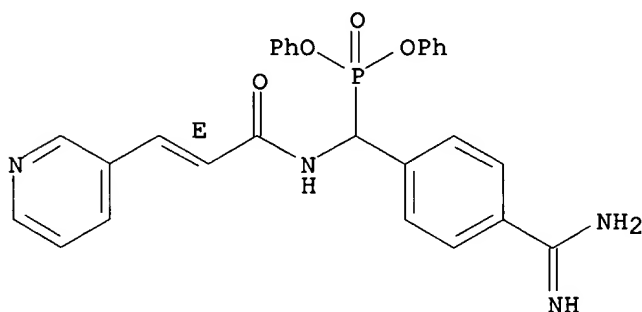
IT **209675-97-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of basic α -aminoalkylphosphonate derivs. as serine protease inhibitors)

RN 209675-97-8 CAPLUS

CN Phosphonic acid, [[4-(aminoiminomethyl)phenyl][[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]-, diphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 92 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:487312 CAPLUS

DOCUMENT NUMBER: 131:130288

TITLE: Preparation of peptides as efflux pump inhibitors

INVENTOR(S): Chamberland, Suzanne; Lee, May; Lee, Ving J.; Leger, Roger; Renau, Thomas; She, Miles; Zhang, Zhijia J.

PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 206 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937667	A1	19990729	WO 1999-US1422	19990122
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6114310	A	20000905	US 1998-12363	19980123
US 6245746	B1	20010612	US 1998-20001	19980204
US 6204279	B1	20010320	US 1998-89734	19980603
AU 9923375	A1	19990809	AU 1999-23375	19990122
US 6436980	B1	20020820	US 2000-724818	20001128
PRIORITY APPLN. INFO.:			US 1998-12363	A 19980123
			US 1998-20001	A 19980204
			US 1998-89734	A 19980603
			WO 1999-US1422	W 19990122

OTHER SOURCE(S): MARPAT 131:130288

AB Compds. RCHW-A-NR2-CHR1-M-P-X [M = (CH₂)_n (n = 0, 1, 2), P = CO, CONH, CO₂, CH₂, CH(OH) of (R)- or (S)-configuration, S, SO, or SO₂; A = CO, CH(OH)CH₂ of (R)- or (S)-configuration; R, R₁, R₂ = H, alkyl, fluoroalkyl, mono- or disubstituted aryl, thienyl, furyl, etc.; W = (α-aminoacyl)amido, aminoalkyl, NH₂ or mono- or disubstituted amino, (un)substituted heterocyclyl, OH, alkoxy, alkylthio; X = (un)substituted aryl, imidazolyl, oxazolyl, thiazolyl, quinolyl, etc.] were prepared as efflux pump inhibitors which increase the susceptibility of microbes to antimicrobial agents. In vitro microbiol. data for antibiotic potentiation are tabulated for 210 compds., including phenylalanyl-ornithine quinoline-3-amide.

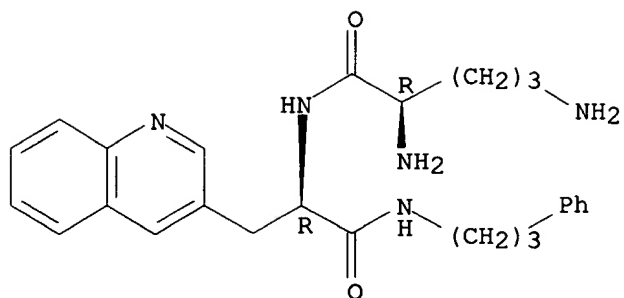
IT 233687-44-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as efflux pump inhibitors)

RN 233687-44-0 CAPLUS

CN D-Alaninamide, D-ornithyl-N-(3-phenylpropyl)-3-(3-quinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 93 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:487311 CAPLUS

DOCUMENT NUMBER: 131:125451

TITLE: α -ketoamide inhibitors of 20S proteasome

INVENTOR(S): Wang, Lisa; Lum, Robert T.; Schow, Steven R.; Joly, Alison; Kerwar, Suresh; Wick, Michael M.

PATENT ASSIGNEE(S): CV Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937666	A1	19990729	WO 1999-US1097	19990119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6075150	A	20000613	US 1998-13365	19980126
ZA 9900161	A	19990728	ZA 1999-161	19990111
CA 2319150	AA	19990729	CA 1999-2319150	19990119
CA 2319150	C	20040831		
AU 9923267	A1	19990809	AU 1999-23267	19990119
AU 747835	B2	20020523		
EP 1058689	A1	20001213	EP 1999-903185	19990119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
BR 9907256	A	20011009	BR 1999-7256	19990119
JP 2002501080	T2	20020115	JP 2000-528587	19990119
NZ 505892	A	20021025	NZ 1999-505892	19990119
RU 2192429	C2	20021110	RU 2000-122474	19990119
TW 593339	B	20040621	TW 1999-88101002	19990122
US 6781000	B1	20040824	US 1999-356842	19990719
NO 2000003807	A	20000925	NO 2000-3807	20000725
PRIORITY APPLN. INFO.:			US 1998-13365	A1 19980126
			WO 1999-US1097	W 19990119

OTHER SOURCE(S): MARPAT 131:125451

AB Disclosed are α -ketoamide compds. useful for treating disorders mediated by 20S proteasome in mammals having structure of X2CONHCHR2CONHCHR1COX1 [X2 = (substituted) aryl; R1, R2 = H, amino acid side chain, alkyl, heteroaryl, etc; X1 = OH, monoalkylamino, alkoxide, etc]. Compns. containing the compds. are administered to patients for the treatment of cancers and autoimmune disorders. Compds. were tested for the inhibition of chymotrypsin-like activity of 20S proteasome.

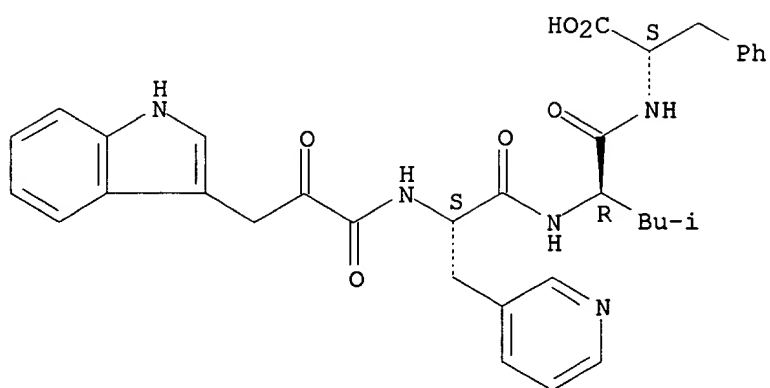
IT **234095-11-5**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(α -ketoamides as 20S proteasome inhibitors)

RN 234095-11-5 CAPLUS

CN L-Phenylalanine, N-[3-(1H-indol-3-yl)-1,2-dioxopropyl]-3-(3-pyridinyl)-L-alanyl-D-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~186~~ ANSWER 94 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:421652 CAPLUS

DOCUMENT NUMBER: 131:73562

TITLE: Preparation of dihydropyridine derivatives as N-type calcium channel blockers

INVENTOR(S): Niwa, Seiji; Ohno, Seiji; Onishi, Tomoyuki; Kito, Morikazu; Takahara, Akira; Ono, Yukitsugu; Uneyama, Hisayuki

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

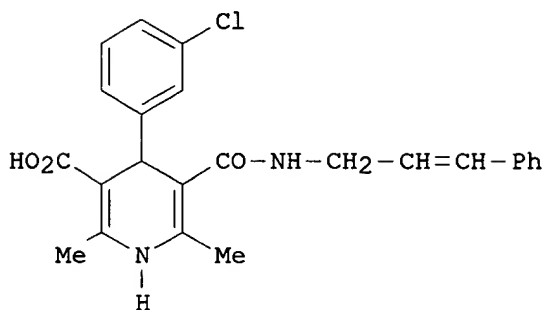
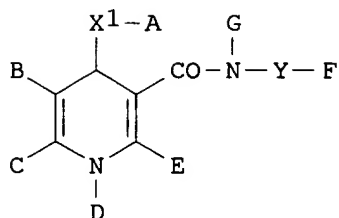
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

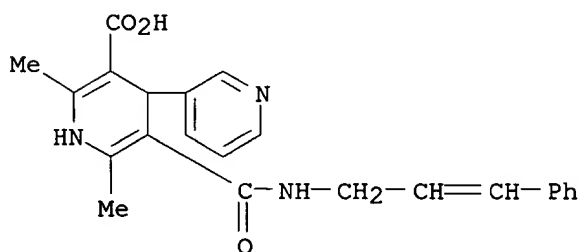
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932446	A1	19990701	WO 1998-JP5801	19981222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315910	AA	19990701	CA 1998-2315910	19981222
AU 9916851	A1	19990712	AU 1999-16851	19981222
EP 1043314	A1	20001011	EP 1998-961470	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
US 6350762	B1	20020226	US 1999-403575	19991025
PRIORITY APPLN. INFO.:			JP 1997-353370	A 19971222
			JP 1998-303067	A 19981023
			JP 1998-303098	A 19981023
			WO 1998-JP5801	W 19981222

OTHER SOURCE(S): MARPAT 131:73562

GI



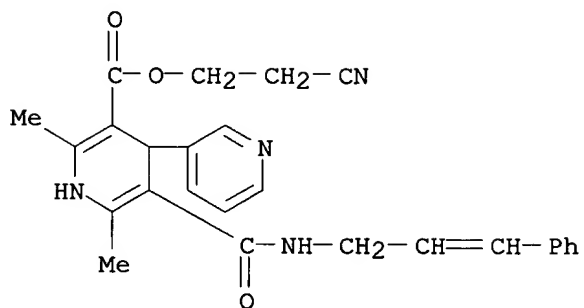
- AB The title compds. I [A = (un)substituted Ph (generic structure given), 1-naphthyl, etc.; B = cyano, nitro, etc.; C = H, alkyl, etc.; D = H, alkyl, etc.; E = H, alkyl, cyano, etc.; F = aryl, etc.; G = H, alkyl; X1 = bond, CH2, etc.; Y = CH2C.tplbond.C, etc.] are prepared In an in vitro test for N-type calcium channel blocking activity, the title compound II showed the pIC50 of 5.3.
- IT **228561-97-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of dihydropyridine derivs. as N-type calcium channel blockers)
- RN 228561-97-5 CAPLUS
- CN [3,4'-Bipyridine]-3'-carboxylic acid, 1',4'-dihydro-2',6'-dimethyl-5'-[[(3-phenyl-2-propenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



- IT **228562-45-6P 228562-46-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of dihydropyridine derivs. as N-type calcium channel blockers)
- RN 228562-45-6 CAPLUS
- CN [3,4'-Bipyridine]-3'-carboxylic acid, 1',4'-dihydro-2',6'-dimethyl-5'-[[(3-phenyl-2-propenyl)amino]carbonyl]-, 2-cyanoethyl ester (9CI) (CA INDEX

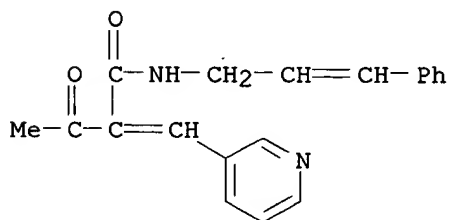
09/596,086

NAME)



RN 228562-46-7 CAPLUS

CN Butanamide, 3-oxo-N-(3-phenyl-2-propenyl)-2-(3-pyridinylmethylene)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 95 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:404933 CAPLUS

DOCUMENT NUMBER: 131:58757

TITLE: Aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides useful as cytostatic and immunosuppressive agents

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

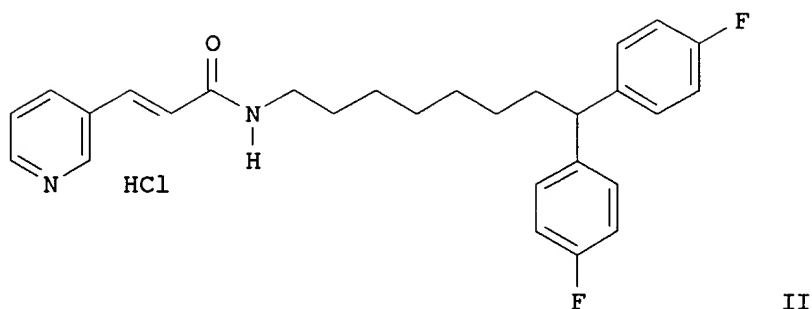
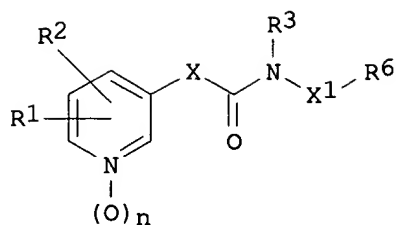
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931064	A1	19990624	WO 1998-EP8272	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19756261	A1	19990701	DE 1997-19756261	19971217
ZA 9811240	A	19990608	ZA 1998-11240	19981208
AU 9922740	A1	19990705	AU 1999-22740	19981216
EP 1042291	A1	20001011	EP 1998-966352	19981216
EP 1042291	B1	20050713		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508357	T2	20020319	JP 2000-538991	19981216
AT 299495	E	20050715	AT 1998-966352	19981216
PT 1042291	T	20051130	PT 1998-966352	19981216
ES 2246073	T3	20060201	ES 1998-966352	19981216
PRIORITY APPLN. INFO.:			DE 1997-19756261	A 19971217
			WO 1998-EP8272	W 19981216
OTHER SOURCE(S):	MARPAT	131:58757		
GI				



AB The pyridine-containing carboxamides I [$n = 0, 1$; $R_1 = \text{H, halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, HO, H}_2\text{NCO, alkylthio, PhO, pyridyloxy, R}_4\text{R}_5\text{N}$ ($R_4, R_5 = \text{H, alkyl, alkenyl, alkynyl, aralkyl, aryl}$), etc.; $R_2 = \text{H, halo, cyano, alkyl, fluoroalkyl, HO, alkoxy, PhCH}_2\text{O, etc.}$; $R_3 = \text{H, alkyl, alkenyl, alkynyl, HO, alkoxy, aralkyloxy, etc.}$; $X = \text{alkylene substituted by alkyl, HO, alkoxy, F, aryl}$; alkylene with methylene unit isosterically replaced by $\text{O, S, NH, substituted NH, CO, SO, SO}_2$; 1,2-cyclopropylene, alkenylene, alkadienylene, hexatrienylene, ethynylene; $X_1 = \text{substituted alkylene, alkenylene, alkynylene, and alkylene, alkenylene, or alkynylene with methylene units replaced by O, S, NH, substituted NH, CO, SO, or SO}_2$; $R_6 = \text{R}_7(\text{CR}_8\text{R}_9)_m$; $m = 0, 1$; $R_7 = \text{aralkyl, heterocyclyl, carbocyclyl}$, $R_8, R_9 = \text{H, HO, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, etc.}$; $R_6 = \text{R}_8\text{R}_9\text{C:}$; $R_8, R_9 = \text{as above or R}_8\text{R}_9\text{C:} = \text{carbocyclic or heterocyclic ring system bound over the C atom}$; $R_6 = \text{R}_7(\text{CR}_8\text{R}_9)_m\text{-(CH}_2\text{)}_p\text{-X}_2$; R_7, R_8, R_9, m as above; $p = 1-2$; $X_2 = \text{substituted NH, O, S}$; $R_6 = \text{NR}_8\text{R}_9$, R_8, R_9 as above or $\text{NR}_8\text{R}_9 = \text{N-heterocyclyl}$; $R_6 = \text{R}_7(\text{CR}_8\text{R}_9)_m\text{-X}_3\text{-CONH-}$; R_7, R_8, R_9, m as above, $X_3 = \text{bond, methylene, ethylene, cycloalkylene, etc.}$; $R_6 = \text{substituted sulfonylamino}$; $R_6 = \text{Ar(Ar}_1\text{)P(O)-}$; $\text{Ar, Ar}_1 = \text{aryl, heteroaryl}$] were prepared for use as cytostatic and immunosuppressive agents. Thus, 3-(3-pyridinyl)acrylic acid was chlorinated with oxalyl chloride and then amidated with (4-FC₆H₄)₂CH(CH₂)₇NH₂ to give the N-octylacrylamide II which inhibited HepG2 cells from a human liver carcinoma with $\text{IC}_{50} = 0.05 \mu\text{M}$.

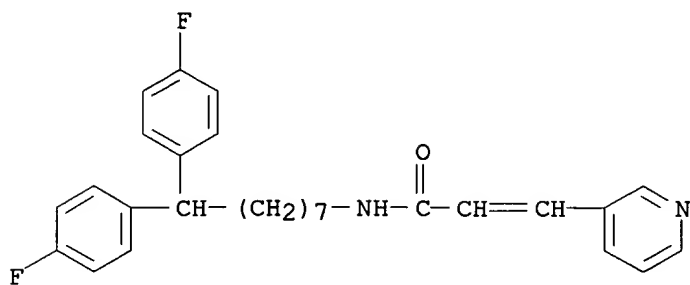
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228114-85-0P 228114-87-2P 228114-94-1P
228114-97-4P 228114-99-6P 228115-01-3P
228115-03-5P 228115-05-7P 228115-06-8P
228115-08-0P 228115-10-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

RN 228114-73-6 CAPLUS

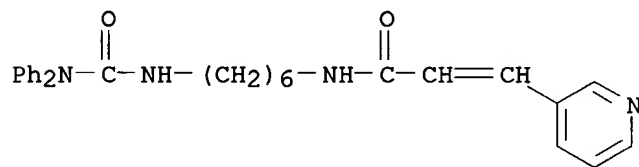
CN 2-Propenamide, N-[8,8-bis(4-fluorophenyl)octyl]-3-(3-pyridinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 228114-77-0 CAPLUS

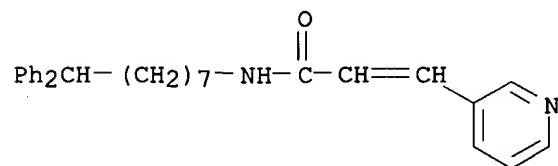
CN 2-Propenamide, N-[6-[[(diphenylamino) carbonyl] amino]hexyl]-3-(3-pyridinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

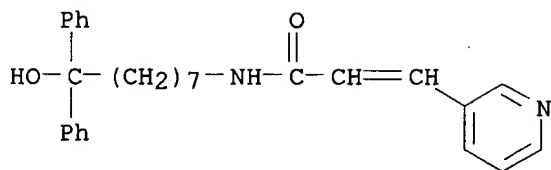
RN 228114-83-8 CAPLUS

CN 2-Propenamide, N-(8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA INDEX
NAME)

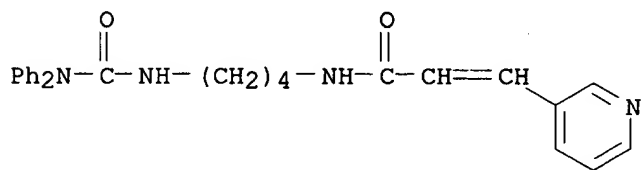


RN 228114-85-0 CAPLUS

CN 2-Propenamide, N-(8-hydroxy-8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA
INDEX NAME)

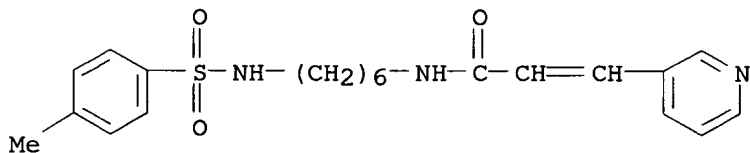


RN 228114-87-2 CAPLUS

CN 2-Propenamide, N-[4-[[4-(diphenylamino)carbonyl]amino]butyl]-3-(3-pyridinyl)-
(9CI) (CA INDEX NAME)

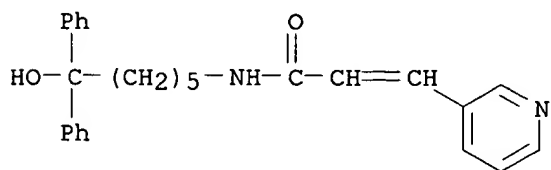
RN 228114-94-1 CAPLUS

CN 2-Propenamide, N-[6-[[4-(4-methylphenyl)sulfonyl]amino]hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



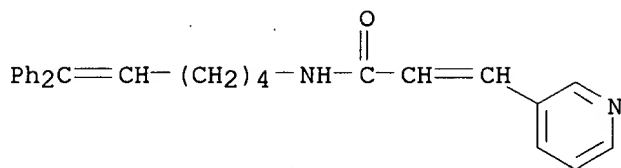
RN 228114-97-4 CAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



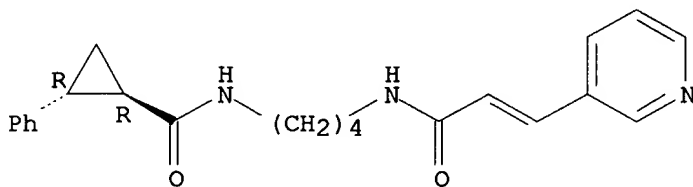
RN 228114-99-6 CAPLUS

CN 2-Propenamide, N-(6,6-diphenyl-5-hexenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

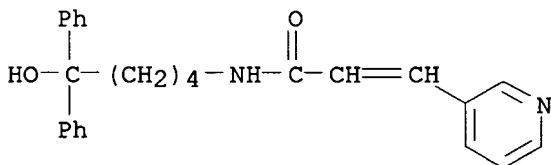


RN 228115-01-3 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

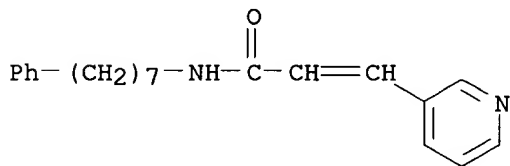
Relative stereochemistry.
Double bond geometry unknown.

RN 228115-03-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

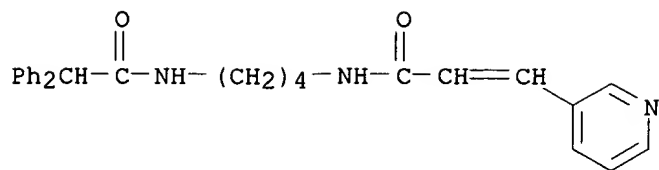
RN 228115-05-7 CAPLUS

CN 2-Propenamide, N-(7-phenylheptyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

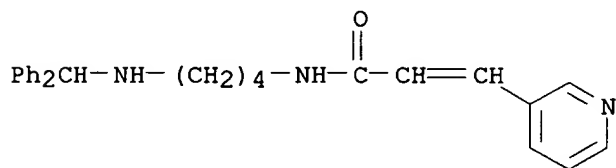


RN 228115-06-8 CAPLUS

CN Benzeneacetamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]- α -phenyl- (9CI) (CA INDEX NAME)

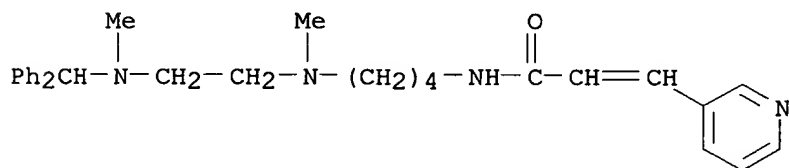


RN 228115-08-0 CAPLUS

CN 2-Propenamide, N-[4-[(diphenylmethyl)amino]butyl]-3-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

RN 228115-10-4 CAPLUS

CN 2-Propenamide, N-[4-[[2-[(diphenylmethyl)methylamino]ethyl]methylamino]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

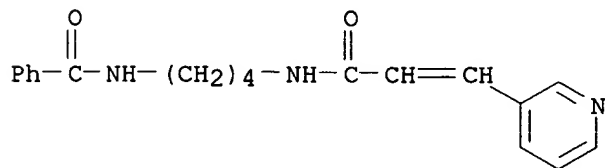


IT 228115-31-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

RN 228115-31-9 CAPLUS

CN Benzamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 96 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:355790 CAPLUS
 DOCUMENT NUMBER: 131:5531
 TITLE: Liquid phase process for the preparation of GnRH peptides
 INVENTOR(S): Palmer, David C.; Magid, Abdel Ahmed; Breslav, Michael S.; Eggmann, Urs P.; Haslego, Mark L.; Sorgi, Kirk L.
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926964	A1	19990603	WO 1998-US24623	19981118
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9915906	A1	19990615	AU 1999-15906	19981118
US 5977302	A	19991102	US 1998-195049	19981118
US 6235876	B1	20010522	US 1999-350231	19990708
PRIORITY APPLN. INFO.:			US 1997-65969P	P 19971120
			US 1998-195049	A3 19981118
			WO 1998-US24623	W 19981118

OTHER SOURCE(S): MARPAT 131:5531

AB A liquid phase process is described for the preparation of GnRH peptide analogs G-AA1-(A)D-Phe-AA3-AA4-(R2)AA5-AA6-AA7-AA8-Pro-AA10-NH2 [G is an acyl group; AA1 is (A)D-Phe, (B)D-Trp, or β -D-NAL (D- β -naphthylalanyl); A is H, Cl, F, NO₂, Br, Me, MeO; B is H, NO₂, NH₂, OMe, F, Cl, Br, or Me; AA3 is D-PAL (D- β -3-pyridylalanyl), β -D-NAL, (B)D-Trp; AA4 is Ser, P1-Ser (P1 is a hydroxy-protecting group); R2 is H, NaMe, or NaEt; AA5 is Aph(P2) (Aph is 4-NH₂Phe, P2 is an amino-protecting group), Aph(Ac), Aph(atz) (atz is 3'-amino-1H-1',2',4'-triazol-5'-yl), Lys(P2), Lys(atz), Aph(Q-atz) (Q is the acyl residue of an amino acid), Lys(Q-Atz); AA6 is D-Aph(P2), D-Aph(Ac), D-Aph(atz), D-Lys(atz), D-Aph(Q-atz), D-Lys(Q-atz); AA7 is Leu, NML (NML is NaMe-Leu), NLe, or Phe; AA8 is iPr-Lys, (P2)iPr-Ly, or Arg; AA10 is D-Ala, Gly, NH₂NHCO, or NH(R3), where R3 is alkyl]. Thus, Leu-Lys(ϵ -Z, ϵ -isopropyl)-Pro-D-Ala-NH₂.TFA (Z = benzyloxycarbonyl, TFA = trifluoroacetic acid) was prepared by sequential coupling of D-alaninamide.HCl, Boc-proline, Boc-lysine-N ϵ (i-Pr,Z), and Boc-leucine hydrate and deprotection by TFA.

IT 225931-69-1P 225931-70-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

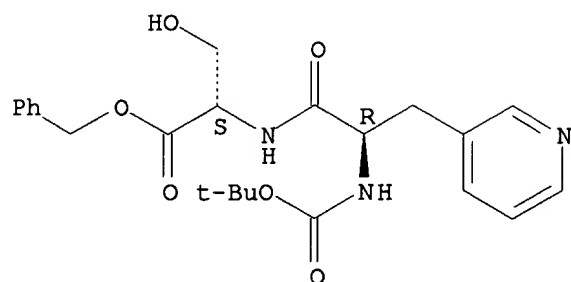
(liquid phase process for preparation of GnRH peptides)

RN 225931-69-1 CAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

09/596,086

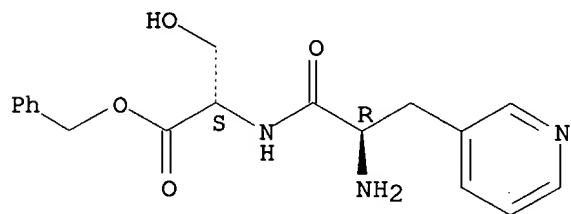
Absolute stereochemistry.



RN 225931-70-4 CAPLUS

CN L-Serine, 3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester, dihydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~126~~ ANSWER 97 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:325961 CAPLUS

DOCUMENT NUMBER: 130:352553

TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins

INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
WO 9924460	A3	19990902		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2306313	AA	19990520	CA 1998-2306313	19981103
AU 9914873	A1	19990531	AU 1999-14873	19981103
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
BR 9813197	A	20000829	BR 1998-13197	19981103
TR 200001189	T2	20000921	TR 2000-200001189	19981103
JP 2001522862	T2	20011120	JP 2000-520468	19981103
RU 2201420	C2	20030327	RU 2000-114821	19981103
ZA 9810073	A	19990505	ZA 1998-10073	19981104
TW 527362	B	20030411	TW 1998-87118553	19981105
NO 2000002320	A	20000704	NO 2000-2320	20000502
US 6353017	B1	20020305	US 2000-643639	20000822
US 2004029814	A1	20040212	US 2003-342872	20030115
US 2004110806	A1	20040610	US 2003-694672	20031028
PRIORITY APPLN. INFO.:			GB 1997-23407	A 19971105
			US 1997-108160P	P 19971205
			US 1997-985973	A 19971205
			WO 1998-EP6937	W 19981103
			US 1998-186223	B1 19981104
			US 2000-643639	A1 20000822
			US 2002-54590	B1 20020122
			US 2003-342872	A1 20030115

OTHER SOURCE(S): MARPAT 130:352553

AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2,

R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S; L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-N α -(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 \approx 5 nM for inhibition of cathepsin B.

IT 225121-18-6P 225121-19-7P 225121-20-0P

225121-45-9P 225121-46-0P

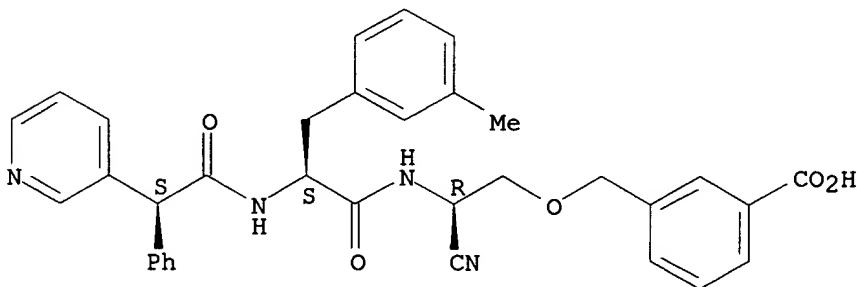
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)

RN 225121-18-6 CAPLUS

CN Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[[(2S)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

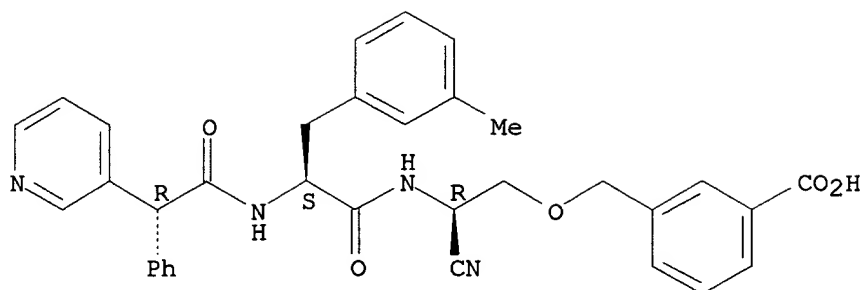
Absolute stereochemistry.



RN 225121-19-7 CAPLUS

CN Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[[(2R)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

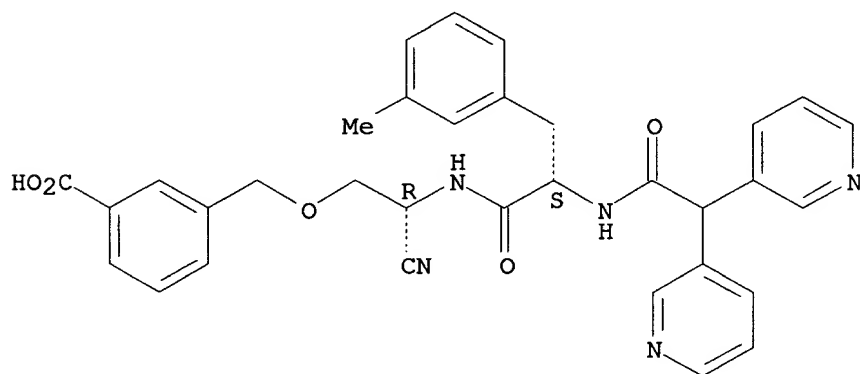
Absolute stereochemistry.



RN 225121-20-0 CAPLUS

CN Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-2-[(di-3-pyridinylacetyl)amino]-3-(3-methylphenyl)-1-oxopropyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

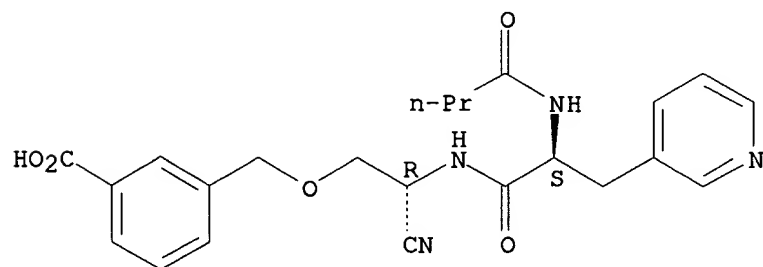
Absolute stereochemistry.



RN 225121-45-9 CAPLUS

CN Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-1-oxo-2-[(1-oxobutyl)amino]-3-(3-pyridinyl)propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

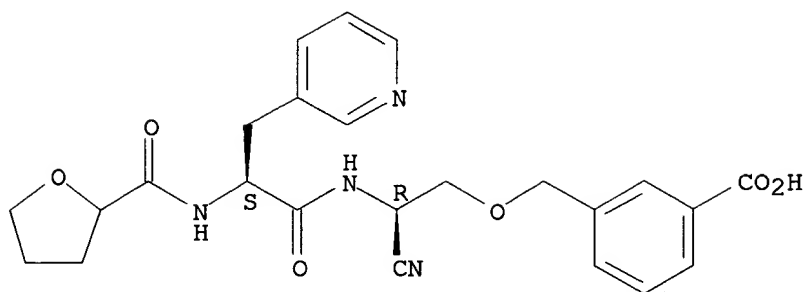
Absolute stereochemistry.



RN 225121-46-0 CAPLUS

CN Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-1-oxo-3-(3-pyridinyl)-2-[[[(tetrahydro-2-furanyl)carbonyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



26 ANSWER 98 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:271335 CAPLUS

DOCUMENT NUMBER: 130:311531

TITLE: Preparation of prostaglandin agonists and their use to treat bone disorders

INVENTOR(S): Cameron, Kimberly O'Keefe; Lefker, Bruce Allen; Rosati, Robert Louis

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 255 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9919300	A1	19990422	WO 1998-IB1540	19981005
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2305548	AA	19990422	CA 1998-2305548	19981005
AU 9891815	A1	19990503	AU 1998-91815	19981005
AU 731509	B2	20010329		
EP 1021410	A1	20000726	EP 1998-944169	19981005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9813028	A	20000815	BR 1998-13028	19981005
TR 200000927	T2	20001121	TR 2000-200000927	19981005
JP 2001519414	T2	20011023	JP 2000-515873	19981005
JP 3664651	B2	20050629		
TW 570913	B	20040111	TW 1998-87116614	19981007
AP 1156	A	20030630	AP 1998-1356	19981008
W: BW, GM, KE, MW, UG, ZM, ZW				
ZA 9809230	A	20000410	ZA 1998-9230	19981009
US 6498172	B1	20021224	US 1999-367970	19990820
NO 2000001754	A	20000607	NO 2000-1754	20000405
NO 316733	B1	20040414		
BG 104315	A	20001229	BG 2000-104315	20000407
HR 2000000201	A1	20000630	HR 2000-201	20000410
HR 20000201	B1	20030630		
HK 1031884	A1	20051028	HK 2001-102626	20010412
US 2003078261	A1	20030424	US 2002-256198	20020925
JP 2004155759	A2	20040603	JP 2003-167713	20030612
PRIORITY APPLN. INFO.:			US 1997-61727P	P 19971010
			JP 2000-515873	A3 19981005
			WO 1998-IB1540	W 19981005
			US 1999-367970	A3 19990820

OTHER SOURCE(S): MARPAT 130:311531

AB Title prostaglandin agonists GAB(KM)QZ [A is SO₂, CO; G is Ar, alkylene, ArCONHalkylene, amino, oxyalkylene, etc.; B is N, CH; Q is alkylene, alkyl, alkylene-W-alkylene, alkylene-W-X-alkylene; W is oxy, thio, sulfinio, sulfonyl, aminosulfonyl, etc.; X is aryl; K is a bond, alkylene,

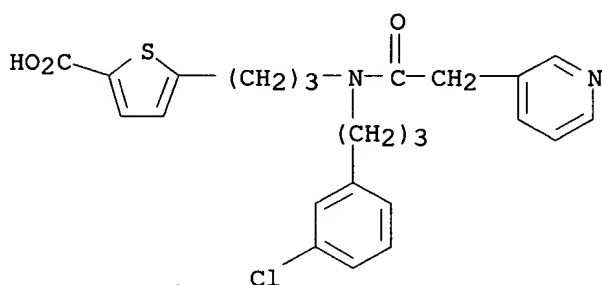
thioalkylene, alkylenethioalkylene, etc.; M is Ar, ArSar, ArSOAr, ArSO₂Ar, ArOAr], prodrugs thereof and the pharmaceutically acceptable salts of said compds. and said prodrugs are prepared as well as methods of using such prostaglandin agonists, pharmaceutical compns. containing such prostaglandin agonists and kits containing such prostaglandin agonists are discussed. The prostaglandin agonists are useful for the treatment of bone disorders including osteoporosis.

IT **223489-08-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prostaglandin agonists and their use to treat bone disorders)

RN 223489-08-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[[3-(3-chlorophenyl)propyl] (3-pyridinylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 99 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:96215 CAPLUS

DOCUMENT NUMBER: 130:124997

TITLE: Preparation of pyridylacrylamide derivatives as TGF- β inhibitors and therapeutic agents for nephritis

INVENTOR(S): Hasegawa, Yoshihiro; Shindou, Shouichirou; Hattori, Tomohisa; Yamazaki, Yousuke; Obata, Tatsuhiro; Horiuchi, Fumiko; Hayakawa, Hiroyuki; Kumazawa, Hiroaki

PATENT ASSIGNEE(S): Tsumura & Co., Japan

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

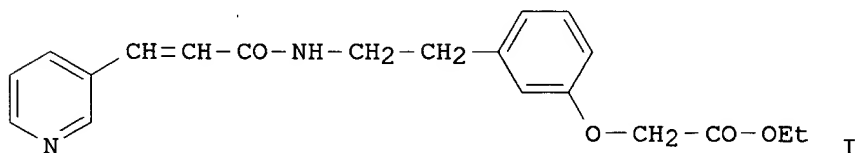
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905109	A1	19990204	WO 1998-JP3312	19980724
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2298480	AA	19990204	CA 1998-2298480	19980724
AU 9883577	A1	19990216	AU 1998-83577	19980724
AU 737018	B2	20010809		
EP 1000935	A1	20000517	EP 1998-933924	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6313153	B1	20011106	US 2000-463511	20000121
PRIORITY APPLN. INFO.:			JP 1997-200169	A 19970725
			JP 1997-288083	A 19971021
			WO 1998-JP3312	W 19980724

OTHER SOURCE(S): MARPAT 130:124997

GI



AB The title compds. Ar1C(R1):C(R2)C(:X)N(R3)(CH2)_n-1C(A)(B)Ar2 [Ar1 is (substituted) pyridyl; Ar2 is (substituted) phenyl; R1 is H, alkyl or aryl; R2 is H, alkyl, cyano or alkoxy carbonyl; R3 is H or (substituted) alkyl; X is O or S; A and B are each H, OH, alkoxy or alkylthio, or alternatively they together form oxo, thioxo, NY (wherein Y is dialkylamino, OH, aralkyloxy or alkoxy) or Z1MZ2 (wherein Z1 and Z2 are each O, S or optionally alkyl-substituted imino; and M is alkylene or phenylene), or B may be 1-alkylimidazol-2-yl with A being OH; and n is an integer of 1 to 3] are prepared The title compound I at 2 mg/kg in mice gave significant inhibition of TGF- β 1 production

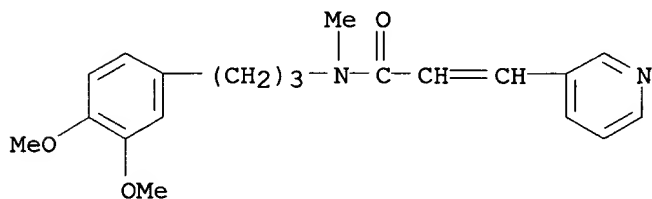
IT 219963-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyridylacrylamide derivs. as TGF- β inhibitors and
 therapeutic agents for nephritis)

RN 219963-84-5 CAPLUS

CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-
 (9CI) (CA INDEX NAME)



REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 100 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:768089 CAPLUS

DOCUMENT NUMBER: 130:38310

TITLE: Preparation of [[[acetamidopyridinyl]acryloylglycyl]methylamino]dichlorobenzyloxy]methylquinoline hydrate and bradykinin antagonists containing it

INVENTOR(S): Kayakiri, Hiroshi; Sato, Shigeki; Yasuda, Hironobu; Kubota, Arikatsu; Koga, Keiichi; Kitamura, Akira; Gato, Katsuhiko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316677	A2	19981202	JP 1997-128765	19970519
PRIORITY APPLN. INFO.:			JP 1997-128765	19970519

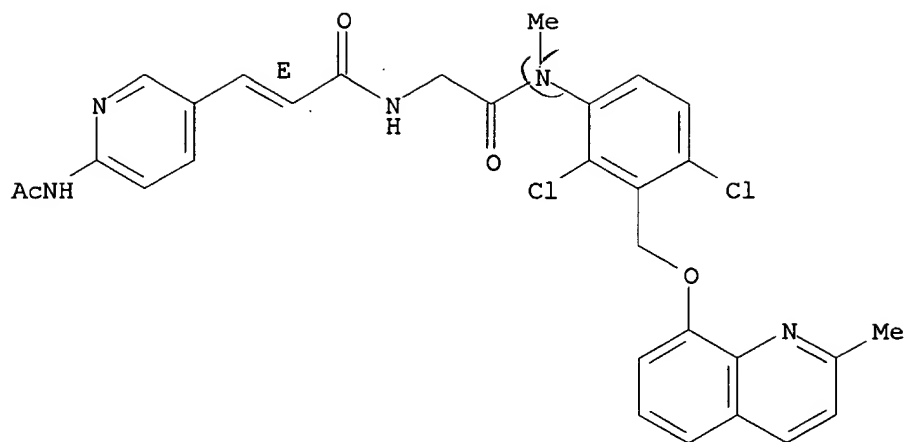
AB 8-[3-[N-[(E)-3-(6-acetamidopyridin-3-yl)acryloylglycyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylquinoline (I) hydrate, useful as bradykinin antagonist (no data), is prepared and compns. comprise I and pharmaceutically acceptable nontoxic supports or excipients. I prepared from 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylquinoline and (E)-3-(6-acetamidopyridin-3-yl)acrylic acid were crystallized from MeOH to give I containing 5% MeOH, which was treated with HCl in H₂O in the presence of powdery C, crystallized from Me₂CO and Et₃N under reflux to give I hydrate having A type crystalline structure.

IT **216655-69-5P**
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (crystalline; preparation of
 [[[acetamidopyridinyl]acryloylglycyl]methylamino]dichlorobenzyloxy]methylquinoline hydrate as bradykinin antagonists)

RN 216655-69-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, monohydrate, (2E)-(9CI) (CA INDEX NAME)

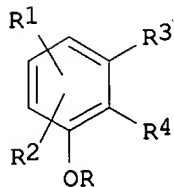
Double bond geometry as shown.



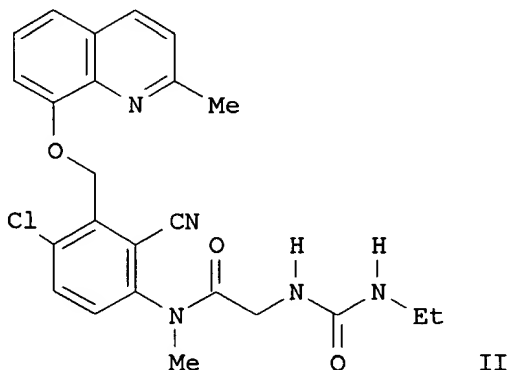
● H₂O

126 ANSWER 101 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:653711 CAPLUS
 DOCUMENT NUMBER: 129:275843
 TITLE: Preparation of 8-[3-(acylamino)benzyloxy]quinolines
 and analogs as bradykinin receptor antagonists
 INVENTOR(S): Heitsch, Holger; Wagner, Adalbert; Wirth, Klaus;
 Scholkens, Bernward
 PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany; Aventis Pharma
 Deutschland GmbH
 SOURCE: Eur. Pat. Appl., 54 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 867432	A2	19980930	EP 1998-105200	19980323
EP 867432	A3	19981014		
EP 867432	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19712960	A1	19981001	DE 1997-19712960	19970327
CA 2232499	AA	19980927	CA 1998-2232499	19980318
AT 268759	E	20040615	AT 1998-105200	19980323
ES 2223090	T3	20050216	ES 1998-105200	19980323
NO 9801383	A	19980928	NO 1998-1383	19980326
AU 9859652	A1	19981001	AU 1998-59652	19980326
CN 1194978	A	19981007	CN 1998-105890	19980326
JP 10279563	A2	19981020	JP 1998-79423	19980326
BR 9801132	A	20000321	BR 1998-1132	19980326
US 6211196	B1	20010403	US 1998-48305	19980326
PRIORITY APPLN. INFO.:			DE 1997-19712960	A 19970327
OTHER SOURCE(S):	MARPAT 129:275843			
GI				



I



II

AB Title compds. [I; R = CH₂ZR₅; R₁, R₂ = H, halo, alkyl; R₃R₄ = X₃:X₂X₁:N or
 CHR'CHR'CHR'NR'; R₅ = NO₂, (N-acylglycyl)amino, SO₂NH₂, etc.; R', R'' =
 H or alkyl; R''' = H, halo, alkyl, alkoxy, etc.; X₁-X₃ = N or
 (un)substituted CH; Z = (un)substituted 1,3-phenylene] were prepared Thus,

6-chloro-2-cyano-3-nitrobenzyl bromide (preparation given) was etherified by 8-hydroxy-2-methylquinoline and the reduced product N-acylated by phthalimidoacetyl chloride to give, in 3 addnl. steps, title compound II. Data for biol. activity of I were given.

IT **213987-48-5P 213987-54-3P**

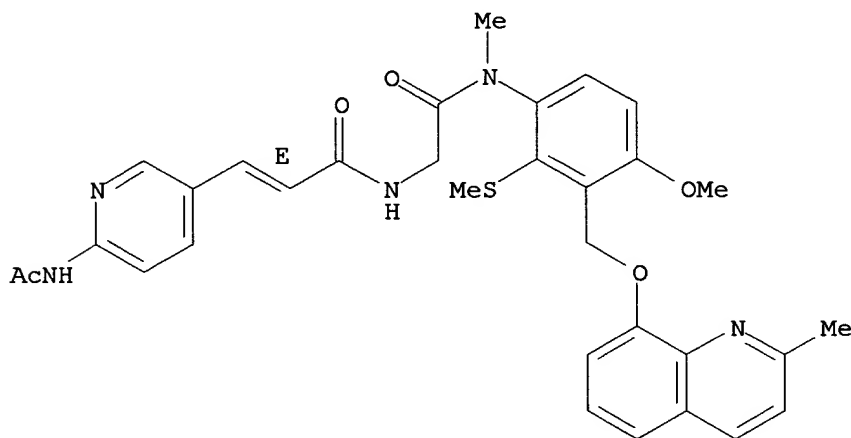
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 8-[3-(acylamino)benzyloxy]quinolines and analogs as bradykinin receptor antagonists)

RN 213987-48-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[4-methoxy-3-[(2-methyl-8-quinolinyl)oxy)methyl]-2-(methylthio)phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

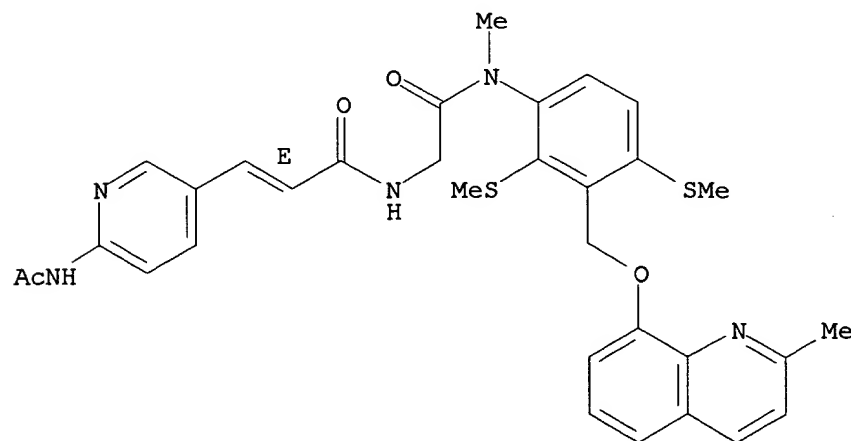
Double bond geometry as shown.



RN 213987-54-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[methyl[3-[(2-methyl-8-quinolinyl)oxy)methyl]-2,4-bis(methylthio)phenyl]amino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~L26~~ ANSWER 102 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:641037 CAPLUS
 DOCUMENT NUMBER: 130:13909
 TITLE: A novel class of orally active non-peptide bradykinin
 B2 receptor antagonists. 4. Discovery of novel
 frameworks mimicking the active conformation
 AUTHOR(S): Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki;
 Inoue, Takayuki; Sawada, Yuki; Inamura, Noriaki;
 Asano, Masayuki; Aramori, Ichiro; Hatori, Chie; Sawai,
 Hiroe; Oku, Teruo; Tanaka, Hirokazu
 CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa
 Pharmaceutical Co., Ltd., Tsukuba, 300-2698, Japan
 SOURCE: Journal of Medicinal Chemistry (1998), 41(23),
 4587-4598
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

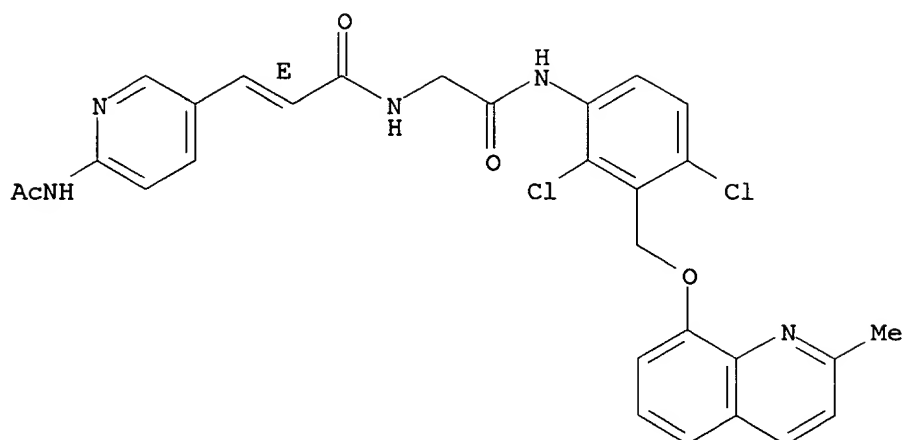
AB A series of 8-[[2,6-dichloro-3-[N-methyl-N-[(E)-(substituted)acryloylglycyl]amino]benzyl]oxy]-2-methylimidazo[1,2-a]pyridines have been identified as the first orally active non-peptide bradykinin (BK) B2 receptor antagonists. Optimization of the terminal glycine part and the imidazo[1,2-a]pyridine moiety led to the discovery of a clin. candidate I (FR173657). The roles of the substituents on the central Ph ring were studied in order to complete the structure-activity relationship (SAR) study. The 2,6-dichloro or 2,6-di-Me groups play important roles in regulating the conformations of the 1- and 3-substituents and also interact with hydrophobic pockets of the B2 receptors. Based on a mol. modeling study, a series of sterically constrained analogs were designed and prepared by replacing the N-methylamide group with cis-amide-like rigid moieties. Several bioisosteres were discovered and chemical proved that the N-methylamide moiety adopts the cis-amide form in the active conformation. Extensive chemical modification led to a novel class of highly potent and orally active non-peptide B2 antagonists represented by a pyrrole derivative II (FR193517). II inhibited the specific binding of [3H]BK to recombinant human B2 receptors expressed in Chinese hamster ovary cells and guinea pig ileum membrane preps. expressing B2 receptors. II also displayed excellent in vivo functional antagonistic activity against BK-induced bronchoconstriction in guinea pigs at 1 mg/kg by oral administration.

IT **179621-83-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and mol. structure-bradykinin B2 receptor antagonist activity relationship of (dichlorobenzyl)oxy]quinolines)

RN 179621-83-1 CAPLUS
 CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]amino]-2-oxoethyl]-, (2E)- (9CI)
 (CA INDEX NAME)

09/596,086

Double bond geometry as shown.



REFERENCE COUNT:

31

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 103 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:603680 CAPLUS

DOCUMENT NUMBER: 129:325738

TITLE: A Novel Class of Orally Active Non-Peptide Bradykinin B2 Receptor Antagonists. 3. Discovering Bioisosteres of the Imidazo[1,2-a]pyridine Moiety

AUTHOR(S): Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Inamura, Noriaki; Asano, Masayuki; Aramori, Ichiro; Hatori, Chie; Sawai, Hiroe; Oku, Teruo; Tanaka, Hirokazu

CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Ibaraki, 300-2698, Japan

SOURCE: Journal of Medicinal Chemistry (1998), 41(21), 4062-4079

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:325738

AB Recently the authors reported on overcoming the species difference of the authors first orally active non-peptide bradykinin (BK) B2 receptor antagonists, incorporating an 8-[[3-(N-acylglycyl-N-methylamino)-2,6-dichlorobenzyl]oxy]-3-halo-2-methylimidazo[1,2-a]pyridine skeleton, leading to identification of the first clin. candidate FR167344. With this potent new lead compound in hand, the authors then investigated further refinement of the basic framework by replacement of the imidazo[1,2-a]pyridine moiety and discovered several bioisosteric heterocycles. Extensive optimization of these new heteroarom. derivs. revealed the detailed structure-activity relationships (SAR) around the imidazo[1,2-a]pyridine ring and the 2,6-dichlorobenzyl moiety, leading to the discovery of the authors second clin. candidate FR173657 which inhibited the specific binding of [3H]BK to recombinant human B2 receptors expressed in Chinese hamster ovary (CHO) cells and guinea pig ileum membrane preps. expressing B2 receptors with IC50's of 1.4 and 0.46 nM, resp. This compound also displayed excellent in vivo functional antagonistic activity against BK-induced bronchoconstriction in guinea pigs with an ED50 value of 0.075 mg/kg by oral administration. Further modifications of the terminal substituents on the pyridine moiety led to a novel pharmacophore and resulted in the identification of FR184280, whose IC50 value for human B2 receptors (0.51 nM) was comparable to that of the second-generation peptide B2 antagonist Icatibant.

IT 167834-97-1P 167838-45-1P 167838-46-2P

167838-69-9P 167839-38-5P 167839-75-0P

167840-31-5P 174298-73-8P 174298-74-9P

174298-75-0P 179622-49-2P 179622-62-9P

179623-54-2P 179623-82-6P 179624-62-5P

179624-70-5P 179624-81-8P 179625-18-4P

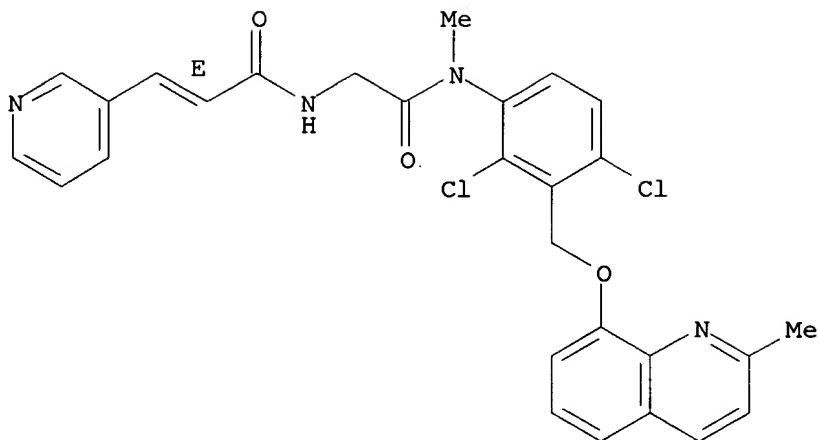
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; novel class of orally active non-peptide bradykinin B2 receptor antagonists in relation to discovering bioisosteres of imidazo[a]pyridine moiety)

RN 167834-97-1 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-pyridinyl)-, (2E)-(9CI) (CA INDEX NAME)

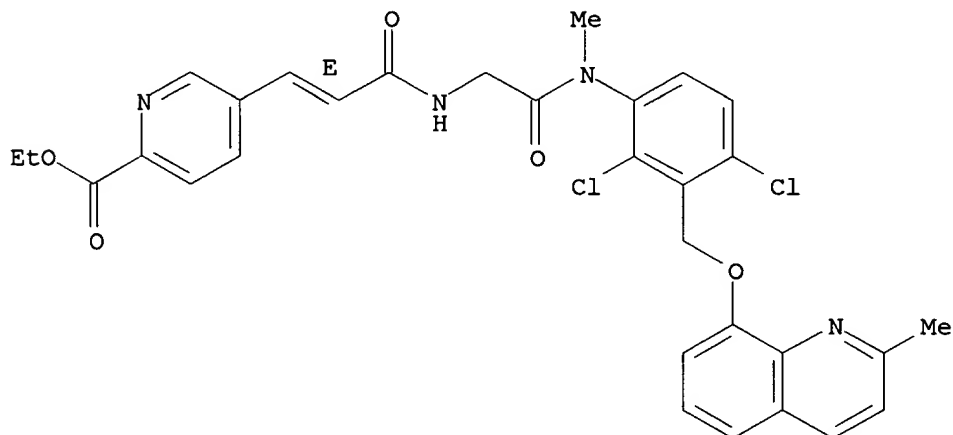
Double bond geometry as shown.



RN 167838-45-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

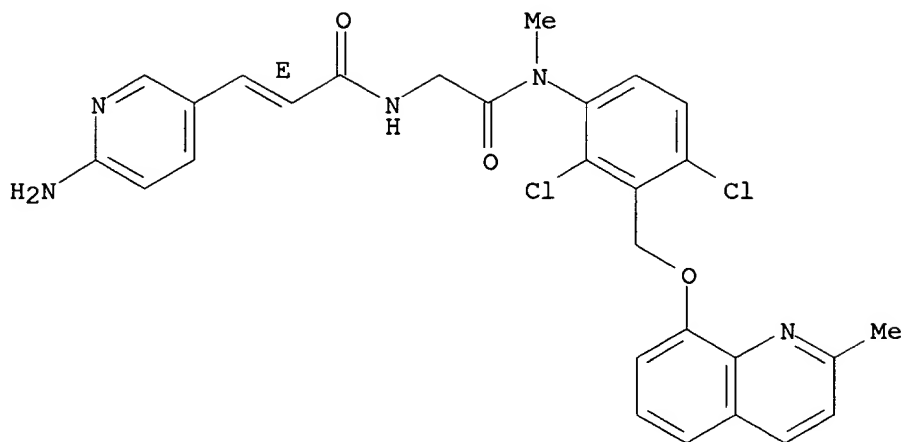
Double bond geometry as shown.



RN 167838-46-2 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

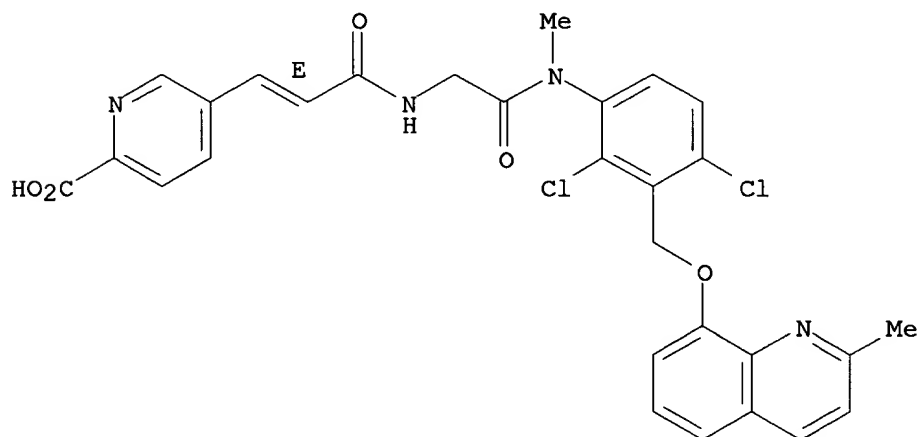
Double bond geometry as shown.



RN 167838-69-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

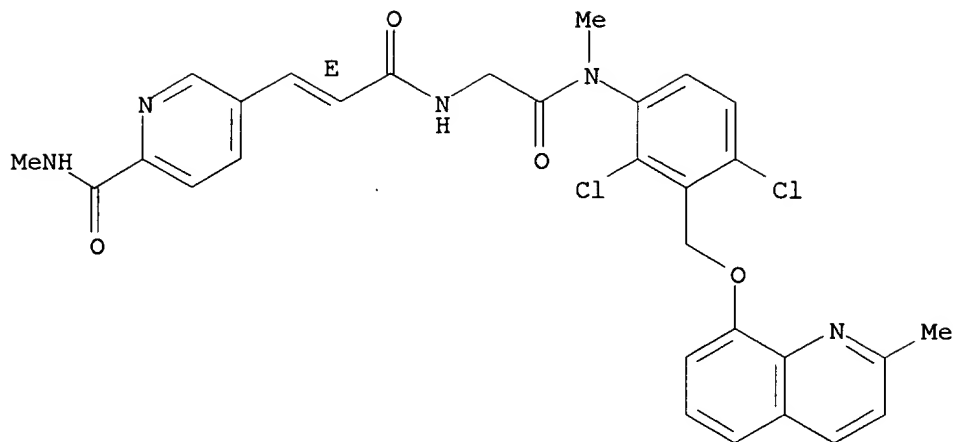
Double bond geometry as shown.



RN 167839-38-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

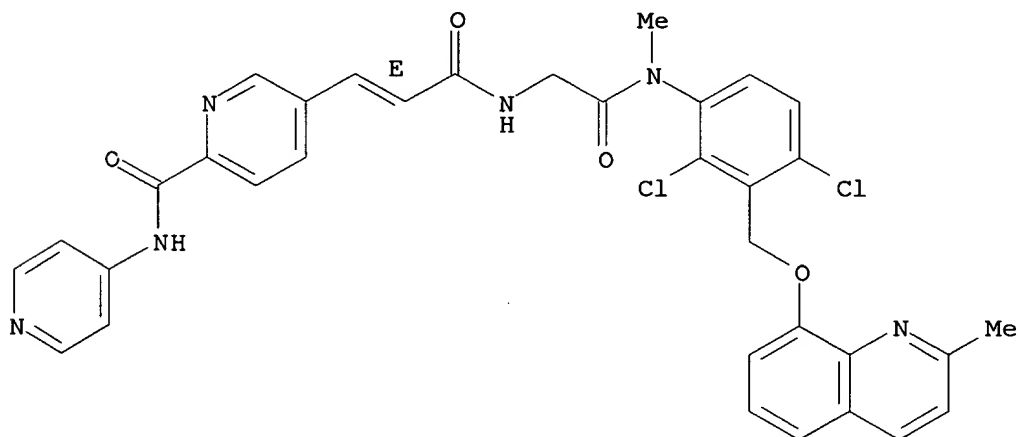
Double bond geometry as shown.



RN 167839-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

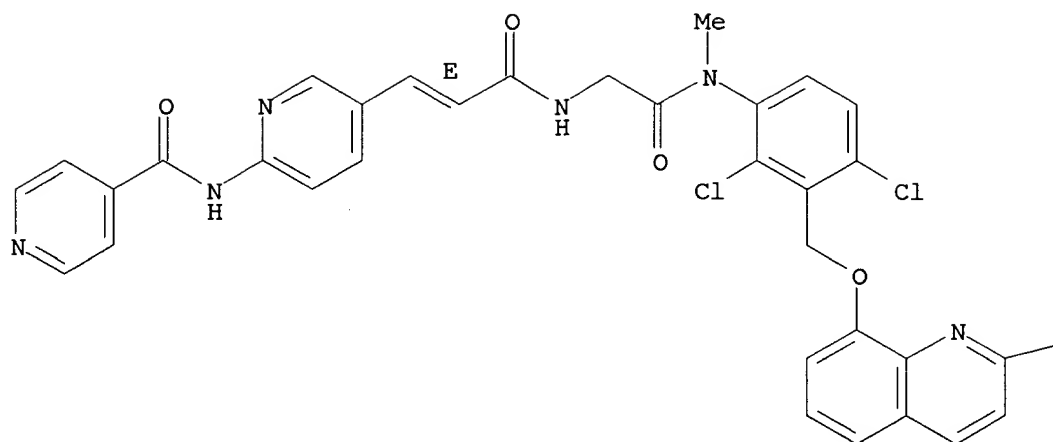


RN 167840-31-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



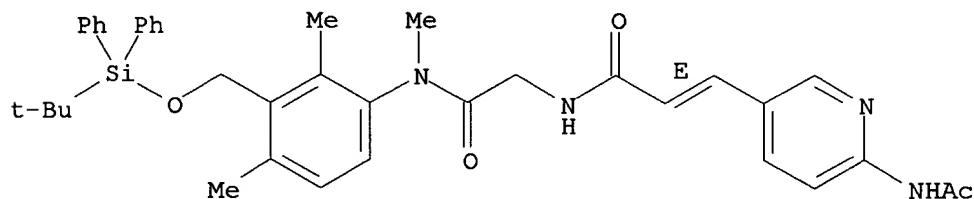
PAGE 1-B

— Me

RN 174298-73-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

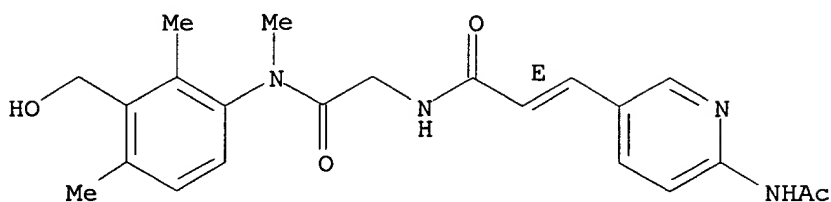


RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

09/596,086

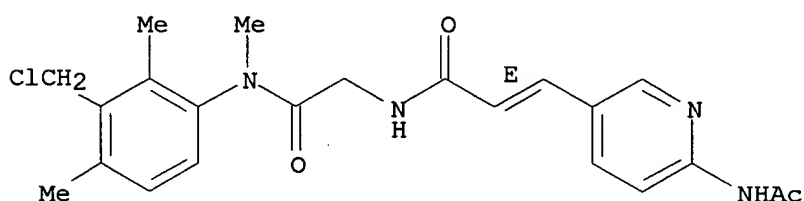
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetamido)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

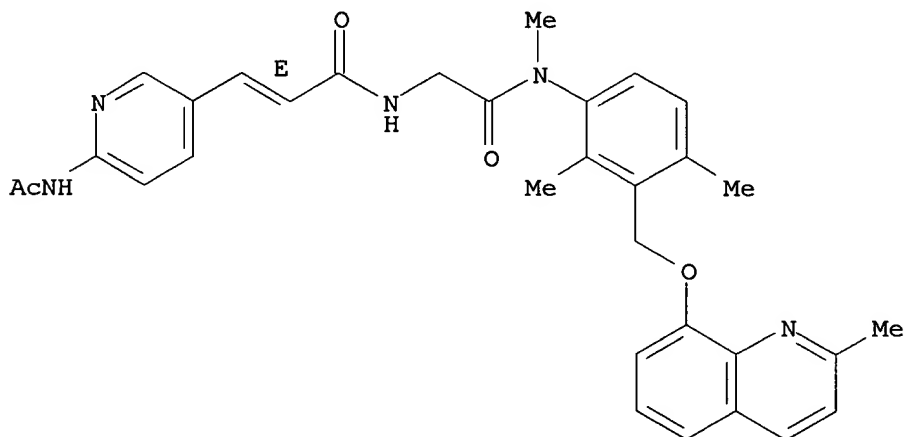
Double bond geometry as shown.



RN 179622-49-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetamido)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



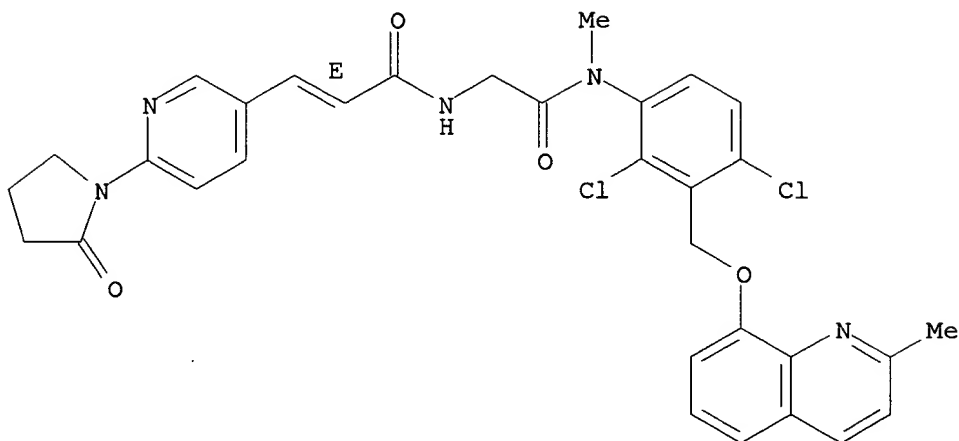
● 2 HCl

RN 179622-62-9 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-

pyrrolidinyl)-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

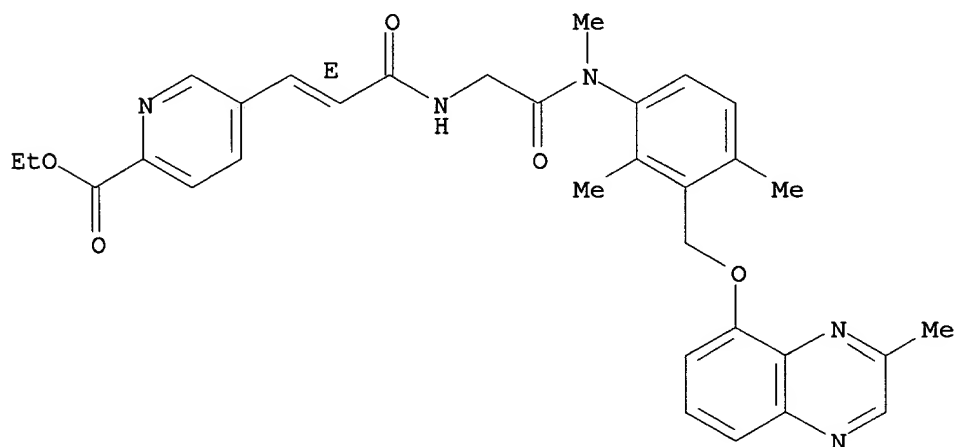
Double bond geometry as shown.



RN 179623-54-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

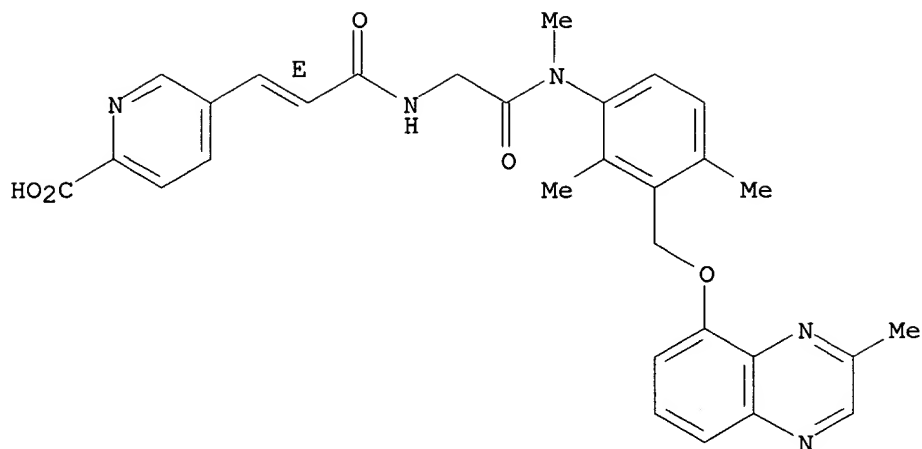
Double bond geometry as shown.



RN 179623-82-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

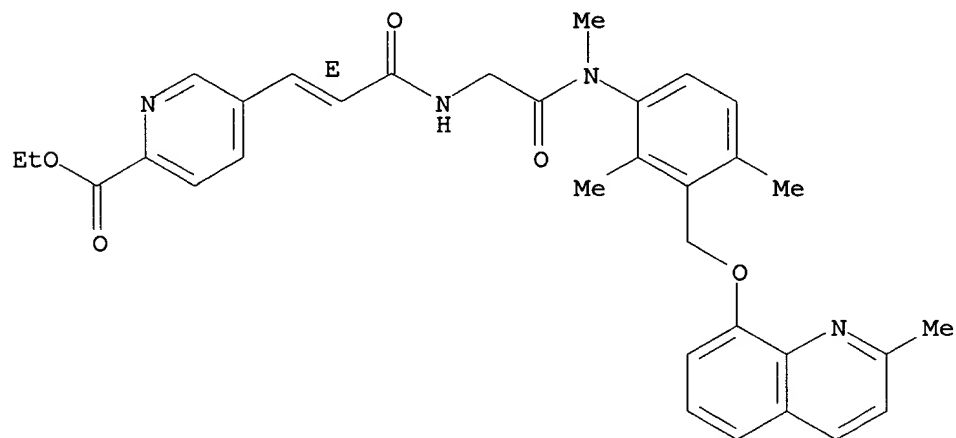
Double bond geometry as shown.



RN 179624-62-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

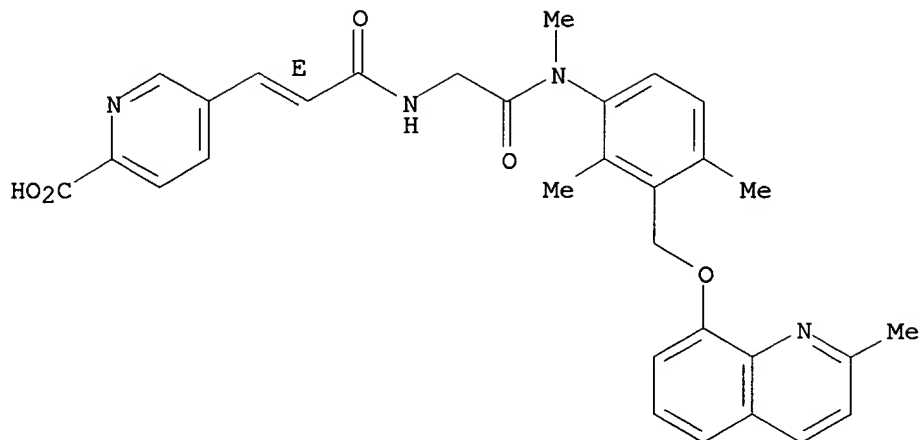
Double bond geometry as shown.



RN 179624-70-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

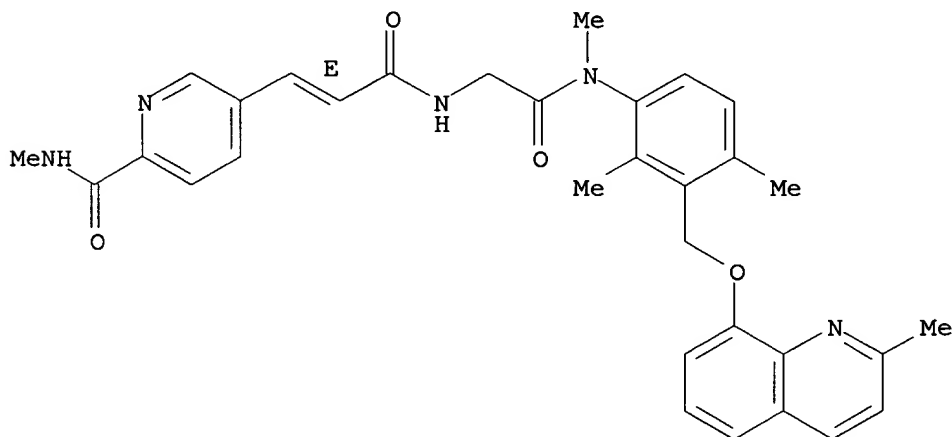
Double bond geometry as shown.



RN 179624-81-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

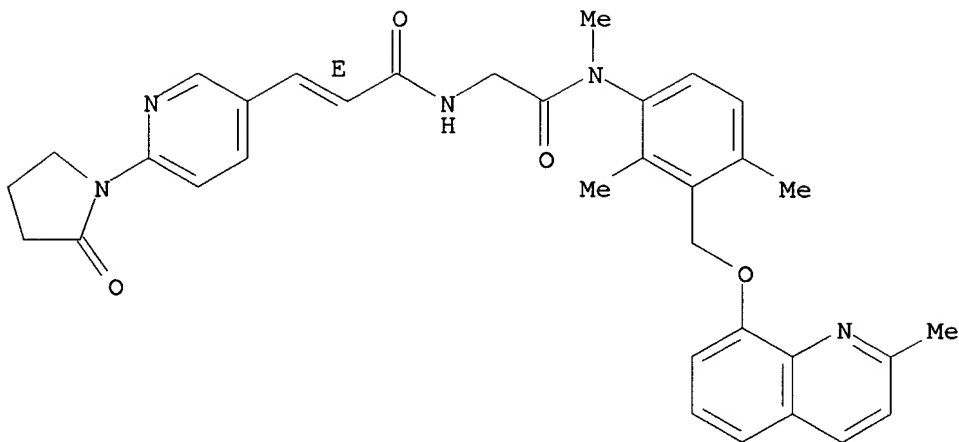
Double bond geometry as shown.



RN 179625-18-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 167835-72-5P 167839-39-6P 167839-76-1P
 167840-32-6P 177477-07-5P 177477-43-9P
 179622-48-1P 179622-63-0P 179622-95-8P
 179623-05-3P 179623-89-3P 179624-82-9P
 179625-19-5P

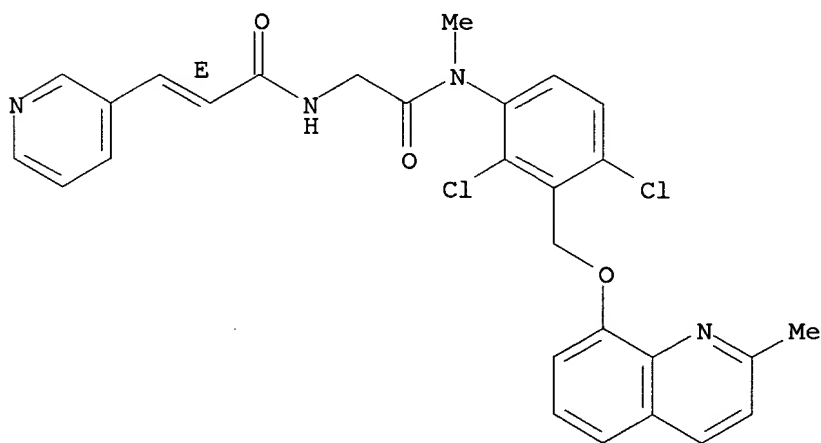
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(novel class of orally active non-peptide bradykinin B2 receptor antagonists in relation to discovering bioisosteres of imidazo[a]pyridine moiety)

RN 167835-72-5 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-pyridinyl)-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



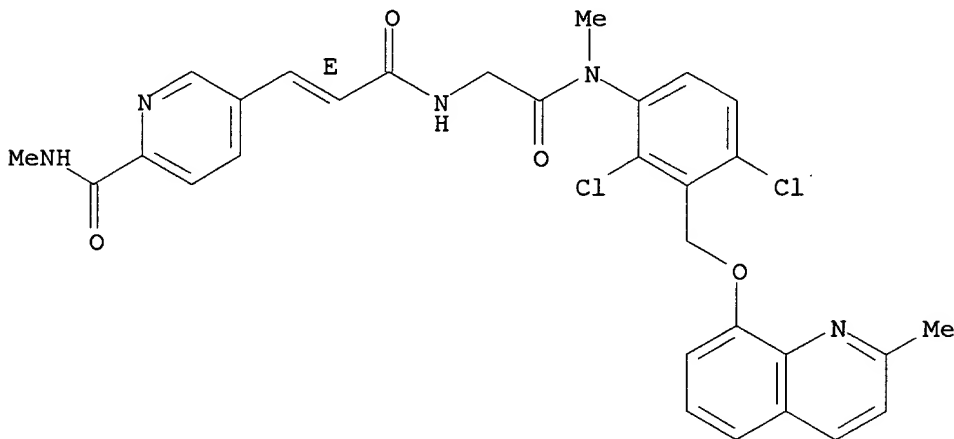
●2 HCl

09/596,086

RN 167839-39-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

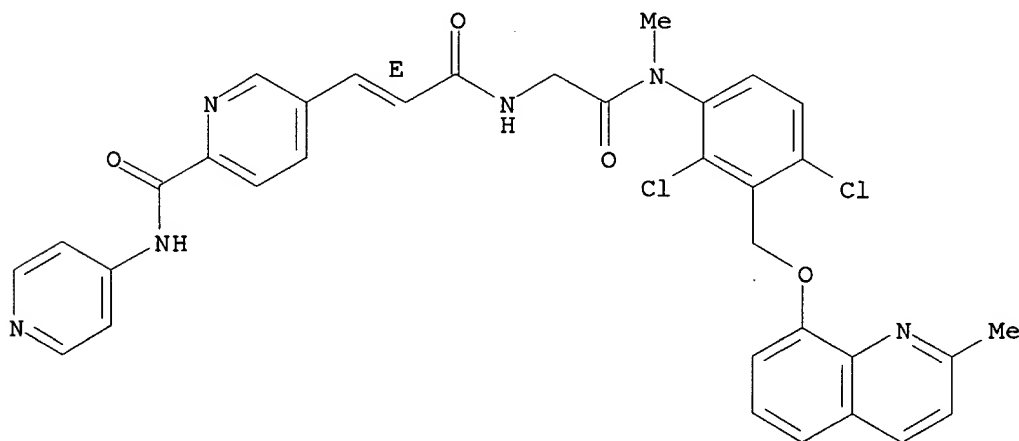


●2 HCl

RN 167839-76-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



●3 HCl

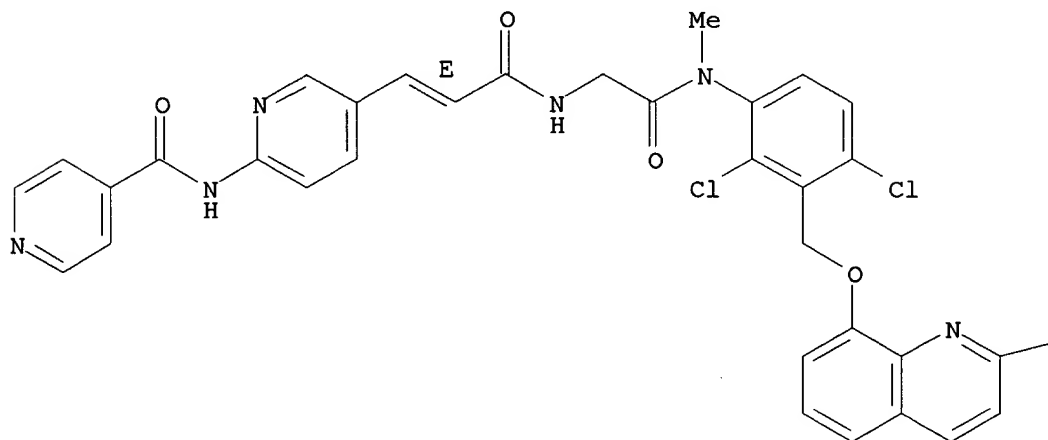
09/596,086

RN 167840-32-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



● 3 HCl

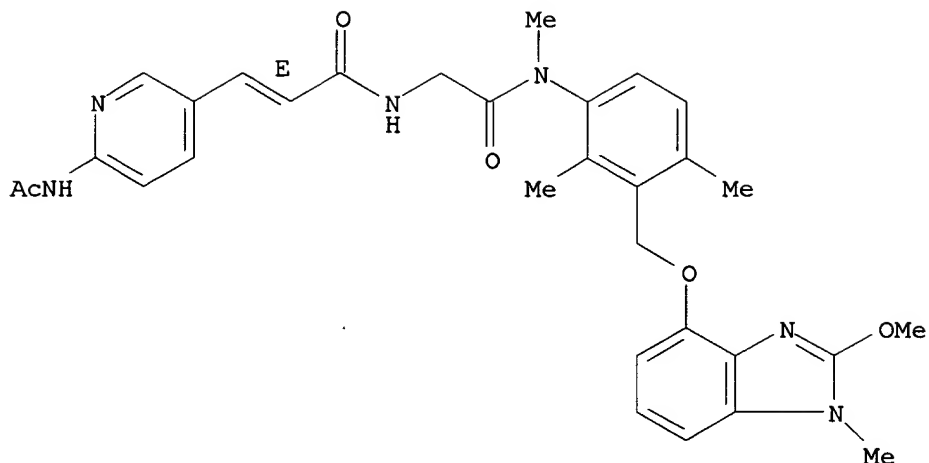
PAGE 1-B

—Me

RN 177477-07-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[3-[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

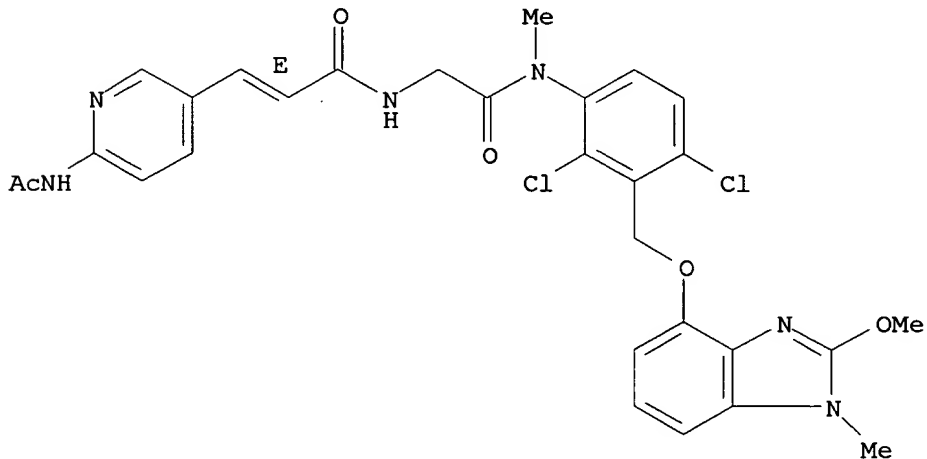
Double bond geometry as shown.



RN 177477-43-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

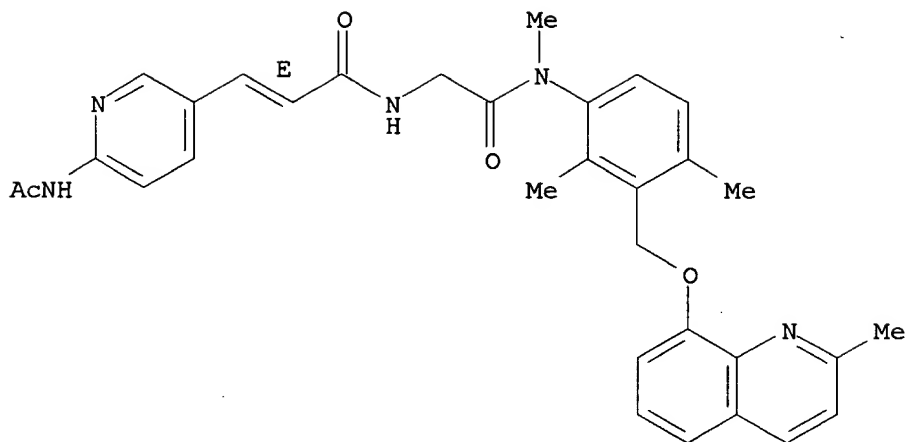
Double bond geometry as shown.



RN 179622-48-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

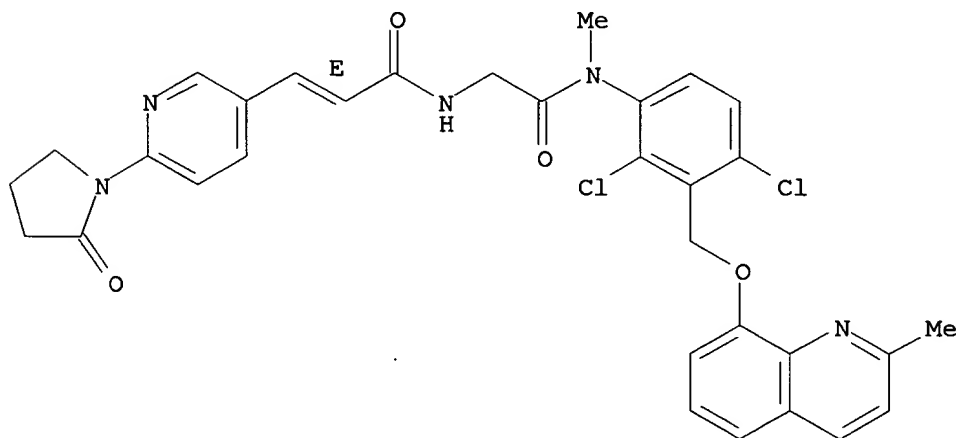
Double bond geometry as shown.



RN 179622-63-0 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

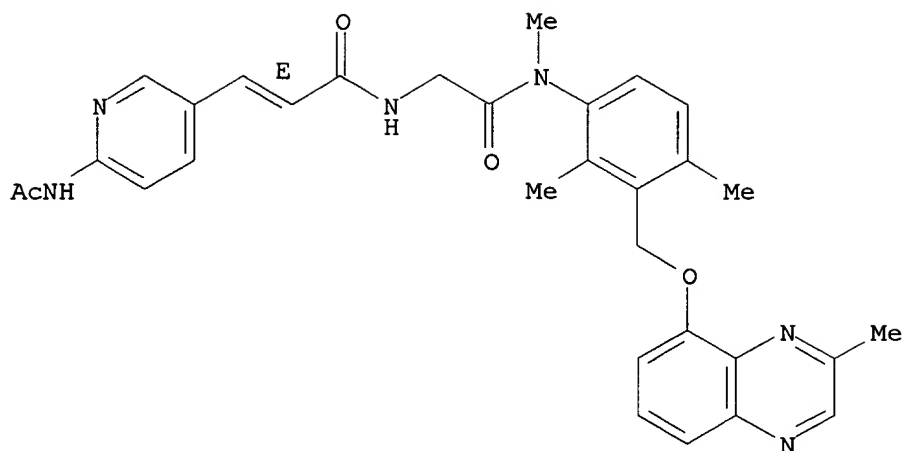


● 2 HCl

RN 179622-95-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[3-methyl-5-quinoxalinyloxy)methyl]phenyl)methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

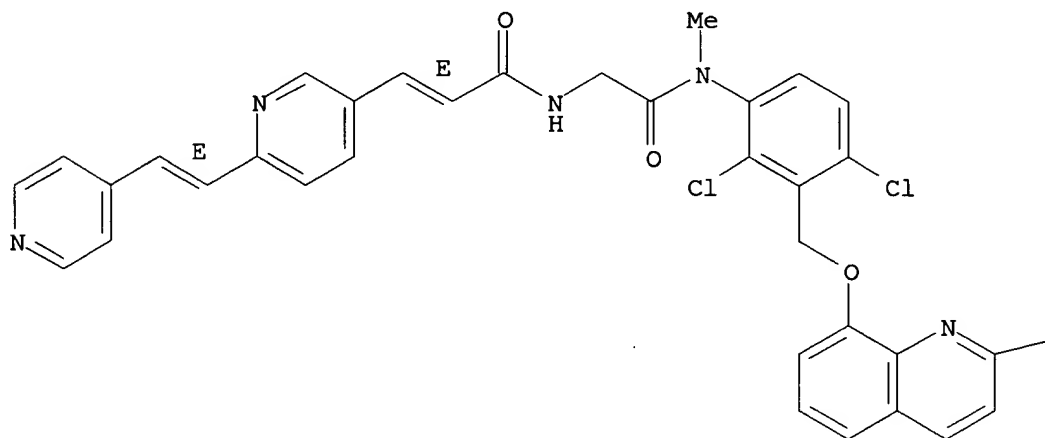


RN 179623-05-3 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1E)-2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

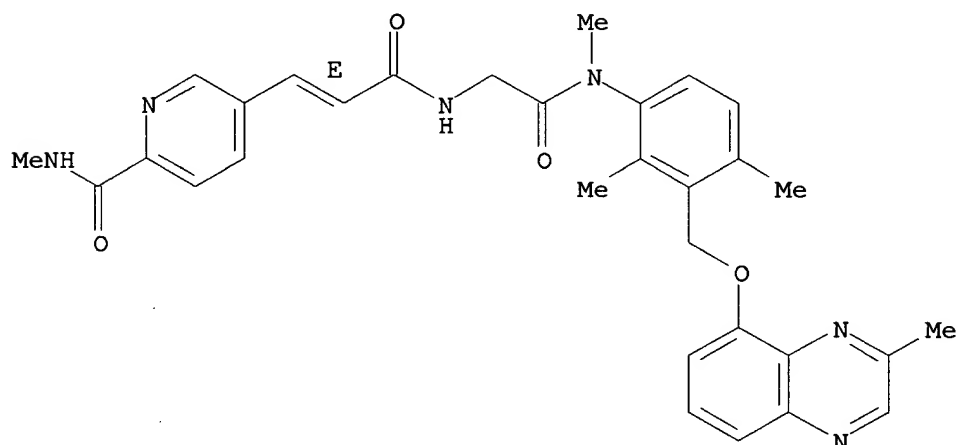


— Me

RN 179623-89-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

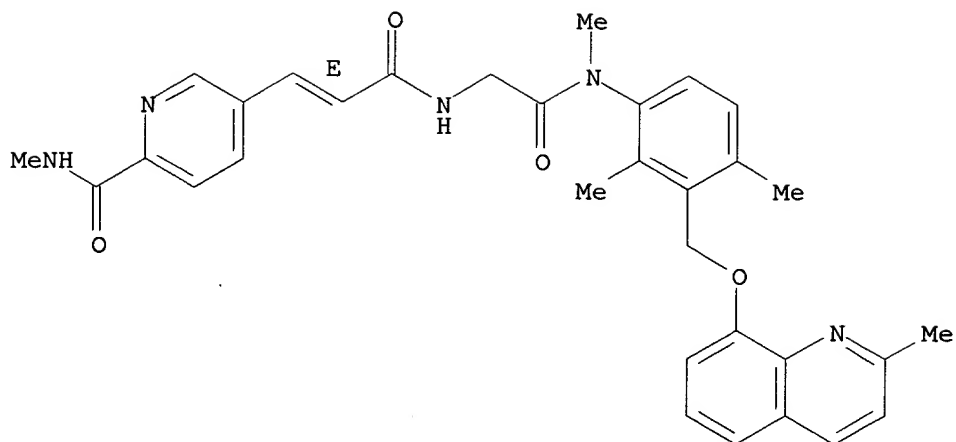
Double bond geometry as shown.



RN 179624-82-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

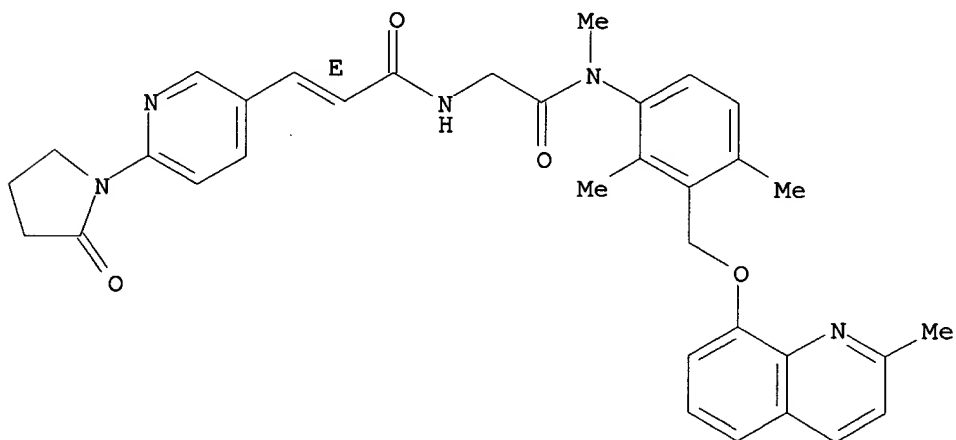
Double bond geometry as shown.



●2 HCl

RN 179625-19-5 CAPLUS
 CN 2-Propenamide, N-[2-[[2,4-dimethyl-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



●2 HCl

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 104 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:603677 CAPLUS

DOCUMENT NUMBER: 129:325736

TITLE: A Novel Class of Orally Active Non-Peptide Bradykinin B2 Receptor Antagonists. 2. Overcoming the Species Difference between Guinea Pig and Man

AUTHOR(S): Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Inamura, Noriaki; Asano, Masayuki; Hatori, Chie; Sawai, Hiroe; Oku, Teruo; Tanaka, Hirokazu

CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Company, Tokodai Tsukuba Ibaraki, 300-2698, Japan

SOURCE: Journal of Medicinal Chemistry (1998), 41(21), 4053-4061

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Recently we reported the identification of a series of 8-[[3-(N-acylglycyl-N-methylamino)-2,6-dichlorobenzyl]oxy]-3-halo-2-methylimidazo[1,2-a]pyridines as the first orally active non-peptide bradykinin (BK) B2 receptor antagonists. These compds. inhibited the specific binding of [3H]BK to guinea pig ileum membrane preps. expressing B2 receptors with nanomolar IC50's and also displayed in vivo functional antagonistic activities against BK-induced bronchoconstriction in guinea pigs at 1 mg/kg by oral administration. However, it was found that their affinities for the B2 receptors in human A-431 cells (human epidermoid carcinoma) were much lower. Intensive modifications of the terminal substituents at the glycine moiety elucidated the structure-activity relationships (SAR) for human B2 receptors, leading to an extended basic framework which incorporated a novel key pharmacophore. Thus, we overcame the species difference and identified the first clin. candidate, FR167344, with IC50 of 0.66 and 1.4 nM for guinea pig ileum and human A-431 cells, resp. This compound displayed in vivo functional antagonistic activity against BK-induced bronchoconstriction in guinea pigs with an ED50 value of 0.17 mg/kg by oral administration. This novel non-peptide B2 antagonist is extremely potent both in vitro and in vivo by oral administration and is expected to be the first member of a new class of drug for the treatment of various inflammatory diseases.

IT **160646-64-0P 160646-65-1P 160646-69-5P**

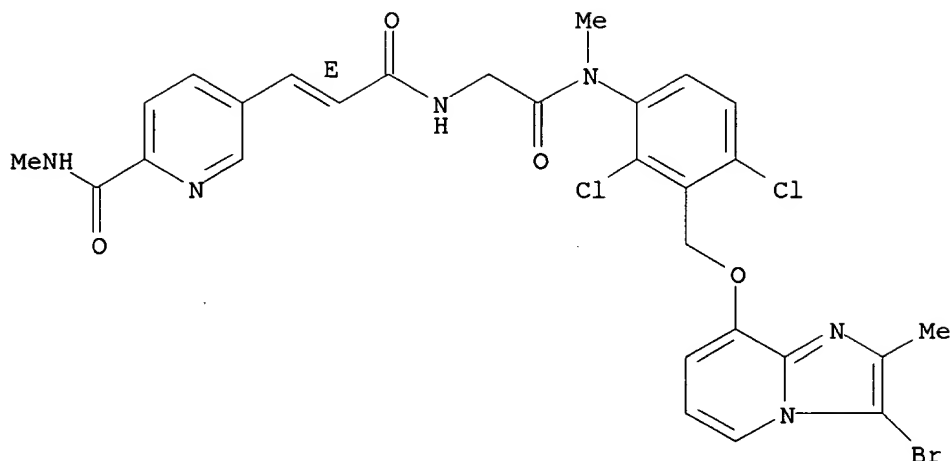
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(orally active non-peptide bradykinin B2 receptor antagonists for overcoming the species difference between guinea pig and man)

RN 160646-64-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

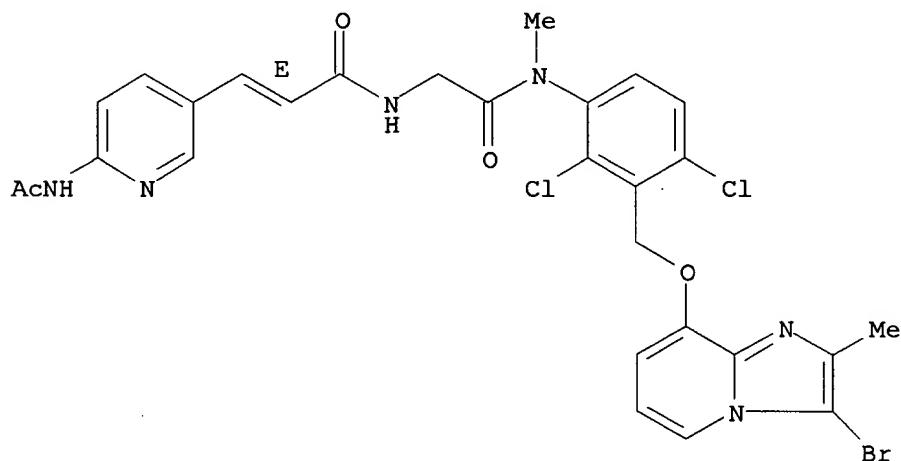


● 2 HCl

RN 160646-65-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (2E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

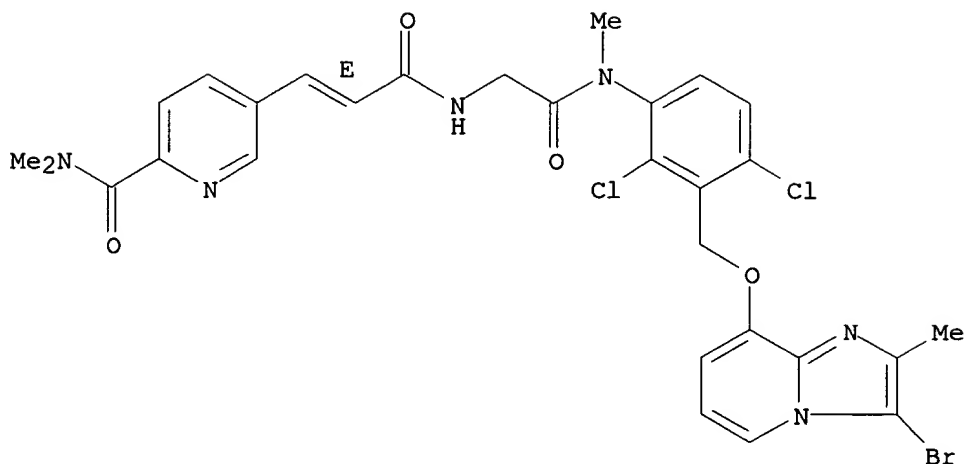


● 2 HCl

RN 160646-69-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl-, dihydrochloride (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



● 2 HCl

IT 160645-05-6P 160645-06-7P 160645-29-4P
160645-48-7P 160645-49-8P

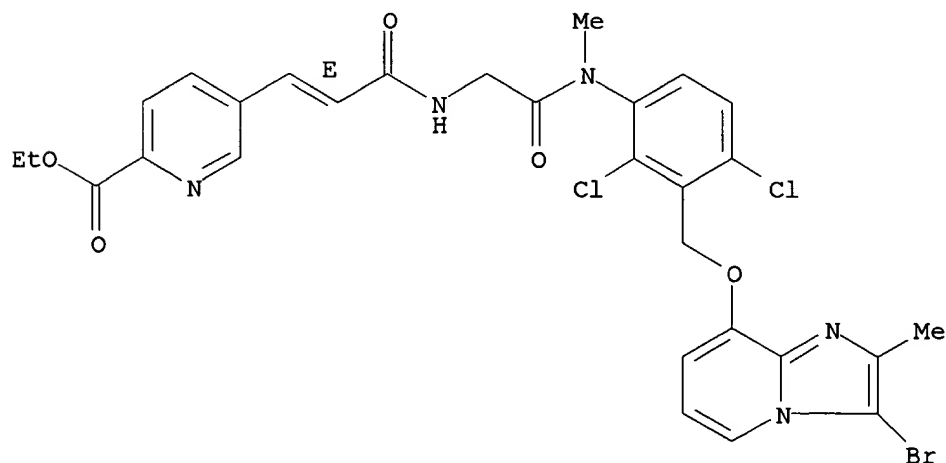
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(orally active non-peptide bradykinin B2 receptor antagonists for overcoming the species difference between guinea pig and man)

RN 160645-05-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

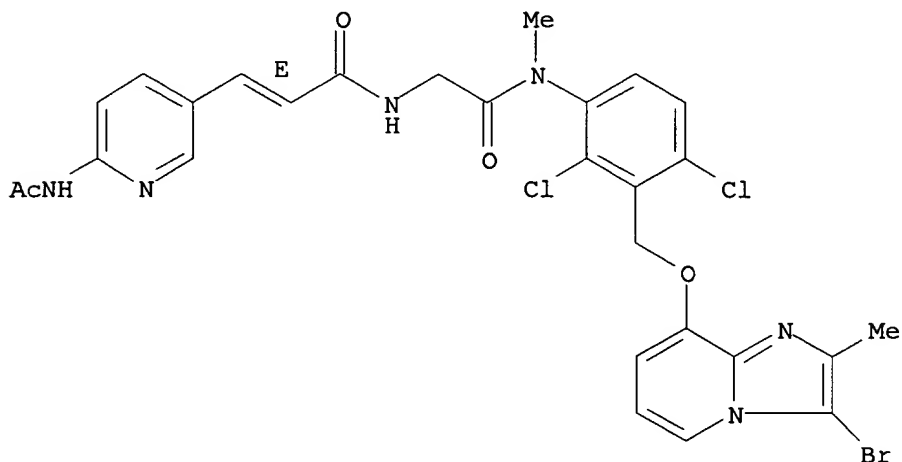
Double bond geometry as shown.



RN 160645-06-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

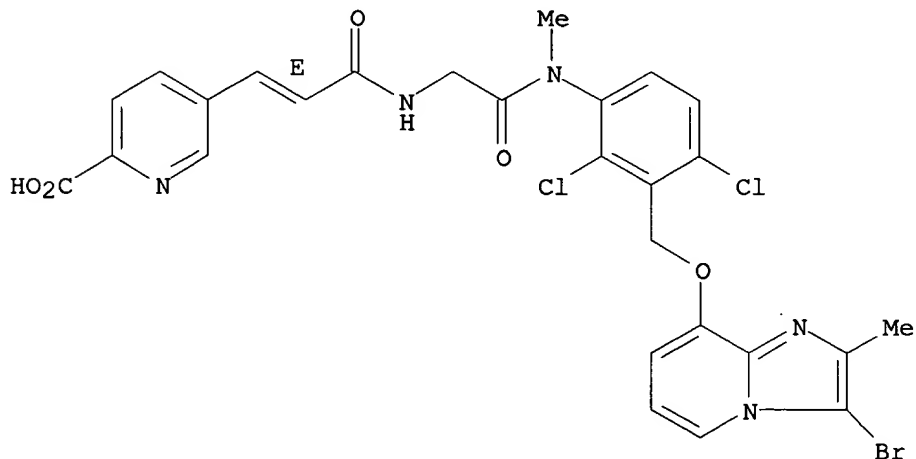
Double bond geometry as shown.



RN 160645-29-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

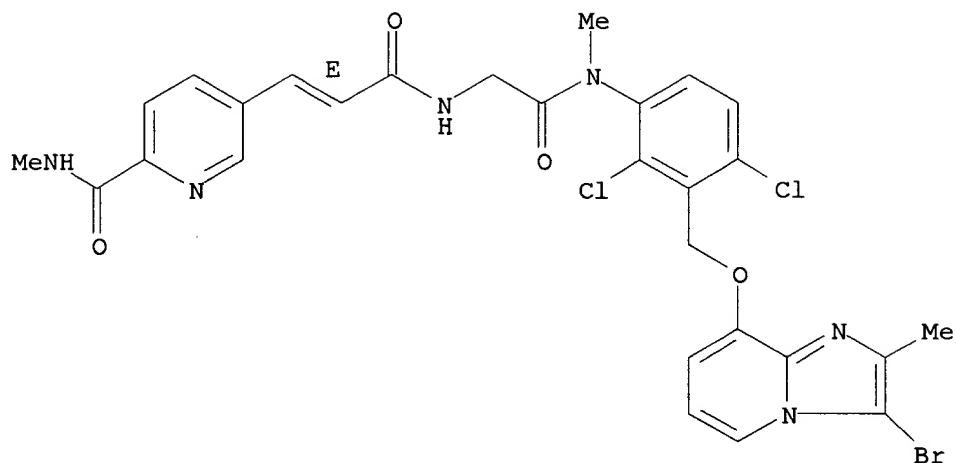
Double bond geometry as shown.



RN 160645-48-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

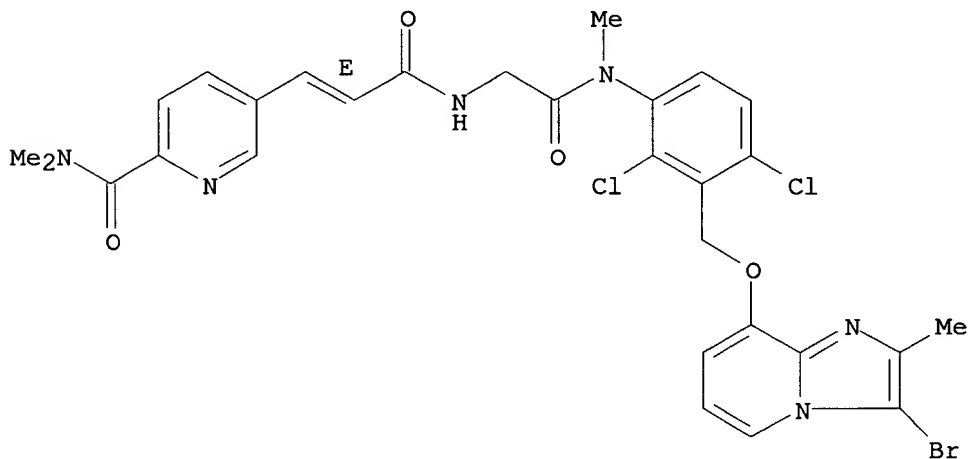
Double bond geometry as shown.



RN 160645-49-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

30

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Synthesis and initial in vitro evaluation of a novel series of Ph oxazole derivs. are described. An SAR study of the novel dual-acting thromboxane receptor antagonist (TRA)/thromboxane synthase inhibitor (TSI) agent has revealed that the lipophilicity of the oxazole amide substituents greatly influences the TRA activity but not the TSI. The chain length of the alkenoic acid side chain affects both TRA and TSI. The optimal chain length for the combined activities was found to be $n = 4$ (heptenoic acid).

(design, synthesis, and evaluation of dual-acting Ph oxazole derivs. for thromboxane receptor antagonism and thromboxane synthase inhibition)

CN 6-Heptenoic acid, 7-[2-[[[(1S)-2-[(4-cyclohexylbutyl)amino]-1-(hydroxymethyl)-2-oxoethyl]amino]carbonyl]phenyl]-7-(3-pyridinyl)-, methyl ester, (6E)- (9CI) (CA INDEX NAME)

CCCCC1CCCCC1NC(=O)[C@H](CO)NC(=O)c2ccccc2C(=O)/C=C/c3cccnc3CCCCC(=O)OC

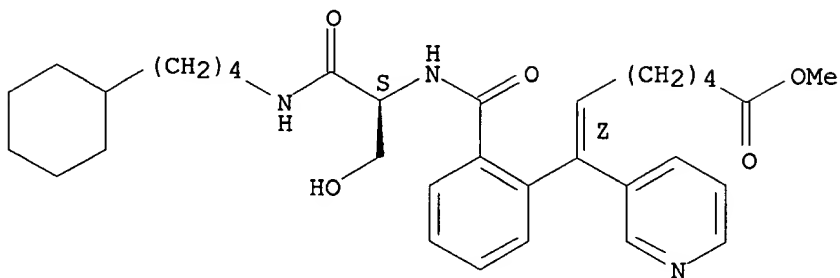
Page 337

09/596,086

ester, (6Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



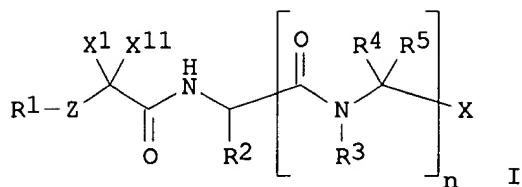
REFERENCE COUNT:

29

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 106 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 X ACCESSION NUMBER: 1998:352863 CAPLUS
 DOCUMENT NUMBER: 129:41414
 TITLE: Preparation of N-(phenylacetyl)di- and tripeptide derivatives for inhibiting β -amyloid peptide release
 INVENTOR(S): Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; John, Varghese; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; et al.
 PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.
 SOURCE: PCT Int. Appl., 487 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822494	A2	19980528	WO 1997-US20804	19971121
WO 9822494	A3	19981126		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9710470	A	19980625	ZA 1997-10470	19971120
CA 2267634	AA	19980528	CA 1997-2267634	19971121
AU 9853561	A1	19980610	AU 1998-53561	19971121
EP 942924	A2	19990922	EP 1997-950601	19971121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1238779	A	19991215	CN 1997-199803	19971121
BR 9713400	A	20000125	BR 1997-13400	19971121
TR 9902937	T2	20010122	TR 1999-9902937	19971121
JP 2001503782	T2	20010321	JP 1998-523756	19971121
TR 9902938	T2	20020621	TR 1999-9902938	19971121
NO 9902368	A	19990621	NO 1999-2368	19990514
MX 9904744	A	20000731	MX 1999-4744	19990521
PRIORITY APPLN. INFO.:			US 1996-755442	A 19961122
			US 1997-807427	A 19970228
			US 1997-807528	A 19970228
			US 1997-808528	A 19970228
			WO 1997-US20804	W 19971121
OTHER SOURCE(S):	MARPAT 129:41414			
GI				



AB Disclosed are compds. I [R1 = aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl; R2 = H, any group R1; each R3 = H, Me; R3-R4 may form optionally fused cyclic structure of 3-8 atoms; each R4 = any group R2; each R5 = H, Me; R4-R5 may form a C3-6 cycloalkyl group; X = CO-Y, CS-Y; Y = OH, aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, cycloalkyl, alkoxy, thioalkoxy, amino, etc.; X1 = H, OH, F; X11 = H, OH, F; or X1X11 = O; Z = bond, O, S; n = 1, 2] and pharmaceutically acceptable salts thereof, which inhibit β -amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed pharmaceutical compns. comprising a compound which inhibits β -amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Over 400 title compds., e.g. 3,5-F2C6H3CH2CO-L-Ala-L-Nle-OMe, were prepared and screened for inhibition of β -amyloid production. Formulations for pharmaceutical compns. are also given.

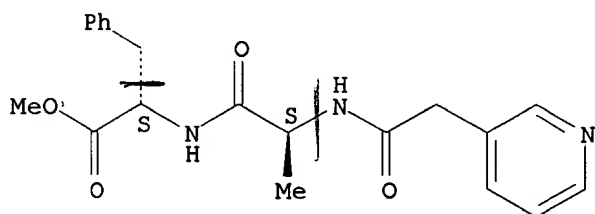
IT 208255-71-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(phenylacetyl)di- and tripeptide derivs. for inhibiting β -amyloid peptide release)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



~~126~~ ANSWER 107 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:352596 CAPLUS

DOCUMENT NUMBER: 129:28216

TITLE: Antiretroviral hydrazine derivatives

INVENTOR(S): Fassler, Alexander; Bold, Guido; Lang, Marc; Bhagwat, Shripad; Schneider, Peter

PATENT ASSIGNEE(S): Novartis Corp., USA

SOURCE: U.S., 122 pp., Cont.-in-part of U.S. Ser. No. 173,550.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753652	A	19980519	US 1995-416420	19950404
PRIORITY APPLN. INFO.:			CH 1991-1962	A 19910703
			US 1992-907497	B1 19920701
			CH 1992-3942	A 19921223
			US 1993-173550	A2 19931223

OTHER SOURCE(S): MARPAT 129:28216

AB Peptides R1R2NCR3R4CR5R6CH2NR7NR8R9 [R1, R9 = H, (un)substituted alkoxy carbonyl, aryloxy carbonyl, or an amino acid residue; R2, R8 = H or R1 or R9; R3, R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl or R3 and R4 together form (un)substituted alkylene, alkylidene, or benzo-fused alkylene; R5 = OH, R6 = H or R5R6 = oxo; R7 = alkyl, cycloalkylalkyl, bicycloalkylalkyl, arylalkyl, etc.] were prepared as antiviral agents. Thus, 1-[2(S)-acetoxy-3(S)-[[N-(2-methoxyethoxycarbonyl)-L-valyl]amino]-4-phenylbutyl]-1-(cyclohexylmethyl)-2-[N-(2-methoxyethoxycarbonyl)-L-valyl]hydrazine was prepared via intermediate H-[PhenNChA]-H HCl salt, where [PhenNChA] is the divalent residue of 3(S)-amino-4-phenyl-1-(N-cyclohexylmethylhydrazino)butan-2(S)-ol.

IT **149266-98-8P 149267-03-8P 149267-25-4P**

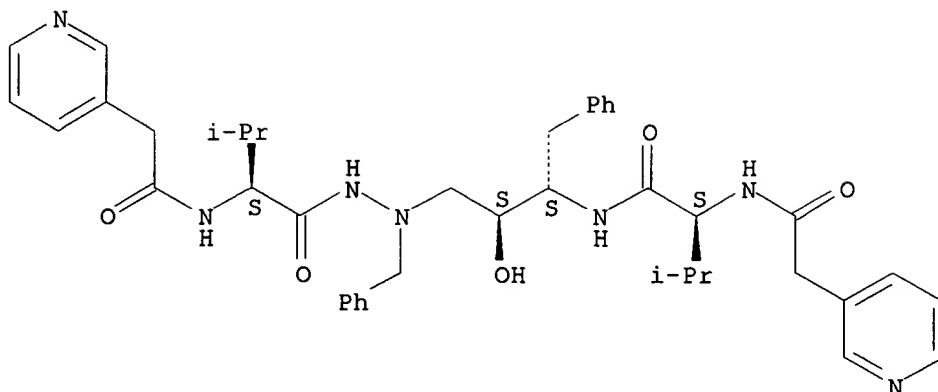
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl antiretroviral hydrazine derivs.)

RN 149266-98-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[2(S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

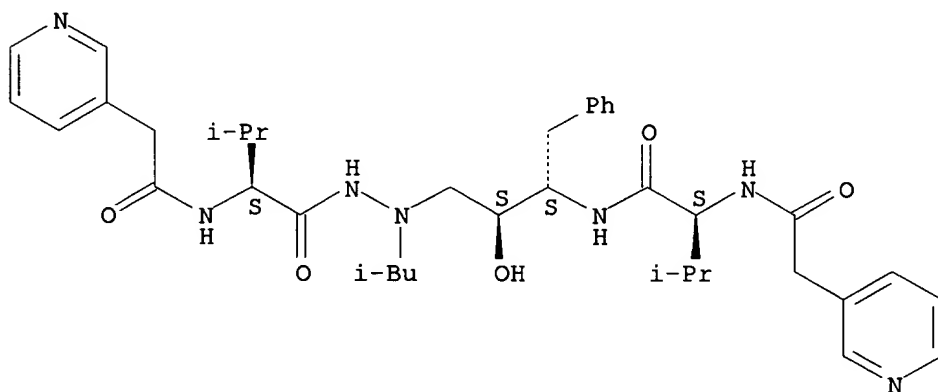
Absolute stereochemistry.



RN 149267-03-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(2-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

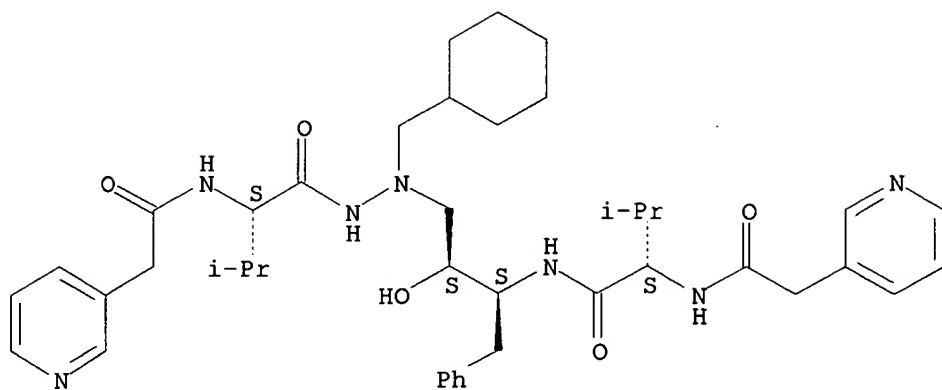
Absolute stereochemistry.



RN 149267-25-4 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-(cyclohexylmethyl)-2-[(2S,3S)-2-hydroxy-3-[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

REFERENCE COUNT:

50

THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 108 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:338712 CAPLUS

DOCUMENT NUMBER:

129:95705

TITLE:

Synthesis and Evaluation of Diphenyl Phosphonate Esters as Inhibitors of the Trypsin-like Granzymes A and K and Mast Cell Trypsinase

AUTHOR(S):

Jackson, Delwin S.; Fraser, Stephanie A.; Ni, Li-Ming; Kam, Chih-Min; Winkler, Ulrike; Johnson, David A.; Froelich, Christopher J.; Hudig, Dorothy; Powers, James C.

CORPORATE SOURCE:

School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA

SOURCE:

Journal of Medicinal Chemistry (1998), 41(13), 2289-2301

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

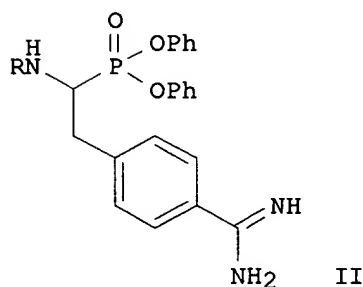
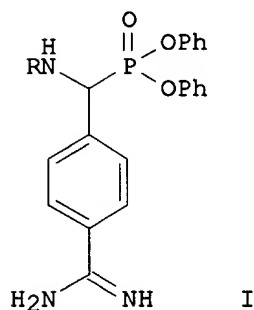
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



AB Thirty-six new amino acid and peptidyl phosphonate esters, e.g. I [R = PhCH₂O₂C (Cbz), HO₂CCH₂CH₂CO (Suc), R₁CH:CHCO, 3-PhOC₆H₄CO, 2-PhOC₆H₄CO, 1-C₁₀H₇SO₂, 1-C₁₀H₇CH₂O₂C, Cbz-X, R₂-Pro, Suc-Ala-Ala, Boc-D-Phe-Pro, PhCH₂SO₂-Gly-Pro; R₁ = Ph, 2-furyl, 2-thienyl, 3-pyridyl; X = Ala, Val, Leu, Pro, Thr, Lys, Phe, Ala-Ala, Pro-Ala, Asp-Ala, Asp(OCMe₃)-Ala, Lys-Ala, Lys(Boc)-Ala, Phe-Ala, Ala-Ala-Ala; R₂ = 2-PhOC₆H₄CO, 3-PhOC₆H₄CO, Ph₂CHCH₂CO, PhCH₂CH₂CO; Boc = Me₃CO₂C] were synthesized and evaluated to identify potent and selective inhibitors for four trypsin-like proteases: lymphocyte granzymes A and K, human mast cell trypsinase, and pancreatic trypsin. Among five Lys and Arg homologs, II (R = Cbz) is the most potent inhibitor for granzyme A, and CbzNHCH(PO₃Ph₂)(CH₂)₄NH₂.HCl (III) is the best inhibitor for granzyme K, mast trypsinase, and trypsin. Generally, phosphonates I inhibit granzyme A and trypsin more potently than granzyme K and trypsinase. Dipeptide phosphonates I (R = Cbz-Ala, Cbz-Thr) are the most potent inhibitors for granzyme A, and I (R = Cbz-Thr) (k_{obs}/[I] = 2220 M⁻¹ s⁻¹) was quite specific with much lower inhibition rates for granzyme K and trypsin (k_{obs}/[I] = 3 and 97 M⁻¹ s⁻¹, resp.) and no inhibition with trypsinase. The most effective inhibitor of granzyme A was I (R = PhCH₂SO₂-Gly-Pro) with a second-order rate constant of 3650 M⁻¹ s⁻¹. The most potent inhibitor for granzyme K was I (R = Ph₂CHCH₂CO-Pro) with a k_{obs}/[I] = 1830 M⁻¹ s⁻¹; all

other phosphonates inhibited granzyme K weakly ($k_{\text{obs}}/[I] < 60 \text{ M}^{-1} \text{ s}^{-1}$). Human mast cell tryptase was inhibited slowly by these phosphonates with III as the best inhibitor ($k_{\text{obs}}/[I] = 89 \text{ M}^{-1} \text{ s}^{-1}$). The overall results suggest that scaffolds of II (R = Phe-Thr) and Phe-Pro-Lys will be useful to create selective phosphonate inhibitors for granzymes A and K, resp., and that P4 substituents offer opportunities to further enhance selectivity and reactivity.

IT **209675-97-8P**

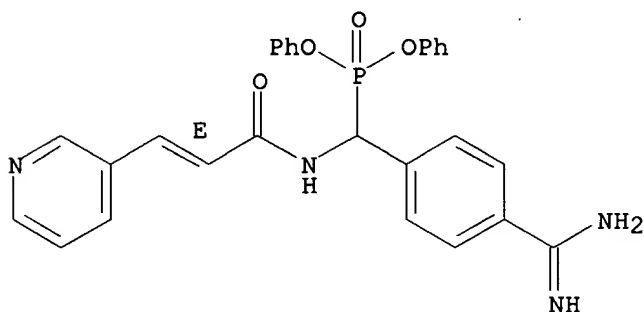
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity of phosphonate ester inhibitors of the trypsin-like granzymes A and K and mast cell tryptase)

RN 209675-97-8 CAPLUS

CN Phosphonic acid, [[4-(aminoiminomethyl)phenyl][[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]-, diphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT:

59

THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 109 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:42242 CAPLUS
 DOCUMENT NUMBER: 128:89109
 TITLE: Preparation of hydroxysuccinamide derivatives useful
 as TNF and/or MMP inhibitors
 INVENTOR(S): Hemmi, Mitsue; Neya, Masahiro; Urano, Yasuharu; Shima,
 Ichiro
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co.,ltd., Japan
 SOURCE: PCT Int. Appl., 173 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9747599	A1	19971218	WO 1997-JP2004	19970611
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000512290	T2	20000919	JP 1998-501438	19970611
PRIORITY APPLN. INFO.:			AU 1996-482	A 19960614
			WO 1997-JP2004	W 19970611
OTHER SOURCE(S):	MARPAT 128:89109			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R1 = H, hydroxy-protective group; R2 = H, acyl, R3 = H, alkyl; R2R3N = phthalimido; R4 = heterocyclic (lower) alkyl; R5 = alkoxy, alkylamino), or pharmaceutically acceptable salts thereof, which is useful as a medicament for inhibition of tumor necrosis factor α (TNF α) and/or matrix metalloproteinases (MMPs). Thus, reaction of 5.18 g phthalimidodisuccinamide II (R = OH) trifluoroacetate (preparation given) with 1.63 g O-benzylhydroxylamine hydrochloride in the presence of water-soluble carbodiimide and HOBT in DMF gave 3.4 g protected hydroxyamide II (R = PhCH2ONH). Hydrazinolysis of II (R = PhCH2ONH), followed by amidation and catalytic transfer hydrogenolysis with cyclohexene gave desired title compound III. III inhibited human collagenase with IC50 = 1.5 nM.

IT **200873-22-9P 200873-23-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxysuccinamide derivs. as tumor necrosis factor and matrix metalloproteinase inhibitors)
 RN 200873-22-9 CAPLUS
 CN Butanediamide, N1-[2-(methylamino)-2-oxo-1-(4-pyridinylmethyl)ethyl]-2-(2-methylpropyl)-3-[[[1-oxo-3-(3-pyridinyl)propyl]amino]methyl]-N4-(phenylmethoxy)-, [2R-[1(S*),2R*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

~~L26~~ ANSWER 110 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:761872 CAPLUS

DOCUMENT NUMBER: 128:30416

TITLE: Use of nonpeptide bradykinin antagonists for treating and preventing chronic fibrogenetic liver diseases, acute liver diseases and complications thereof

INVENTOR(S): Heitsch, Holger; Wagner, Adalbert; Wirth, Klaus; Hropot, Max; Bickel, Martin

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 808628	A2	19971126	EP 1997-108096	19970520
EP 808628	A3	19980114		
EP 808628	B1	20000202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19620509	A1	19971127	DE 1996-19620509	19960522
DE 19632042	A1	19980212	DE 1996-19632042	19960808
DE 19639303	A1	19980326	DE 1996-19639303	19960925
US 5786365	A	19980728	US 1997-858550	19970519
AU 9723511	A1	19971127	AU 1997-23511	19970520
AT 189389	E	20000215	AT 1997-108096	19970520
PT 808628	T	20000531	PT 1997-108096	19970520
ES 2144291	T3	20000601	ES 1997-108096	19970520
NO 9702311	A	19971124	NO 1997-2311	19970521
ZA 9704415	A	19971124	ZA 1997-4415	19970521
JP 10045624	A2	19980217	JP 1997-131160	19970521
CN 1176102	A	19980318	CN 1997-113108	19970521
CA 2205780	AA	19971122	CA 1997-2205780	19970522
BR 9703367	A	19980915	BR 1997-3367	19970522
GR 3033048	T3	20000831	GR 2000-400735	20000324
PRIORITY APPLN. INFO.:			DE 1996-19620509	A 19960522
			DE 1996-19632042	A 19960808
			DE 1996-19639303	A 19960925
			US 1997-858550	A 19970519

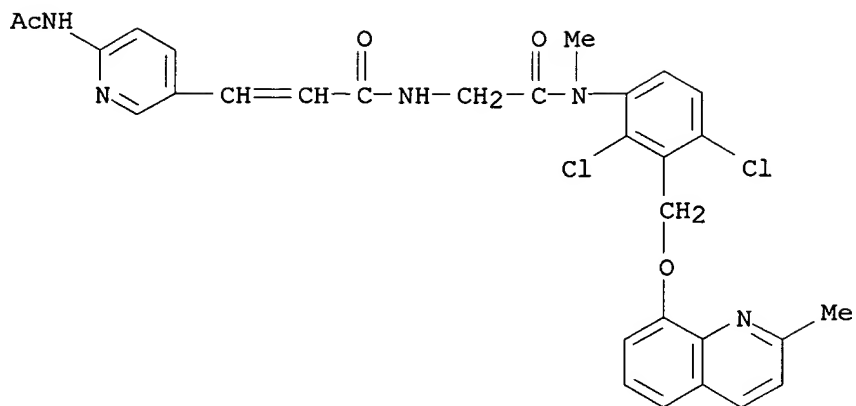
AB Forty-five heterocyclic compds. are pictured which act as bradykinin antagonists and which can be used in the title syndromes (e.g., liver cirrhosis and liver fibrosis).

IT **199791-42-9**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver diseases treatment by)

RN 199791-42-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



~~D26~~ ANSWER 111 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:761871 CAPLUS

DOCUMENT NUMBER: 128:30415

TITLE: Use of nonpeptide bradykinin antagonists for treating and preventing chronic fibrogenetic liver diseases, acute liver diseases and complications thereof

INVENTOR(S): Heitsch, Holger; Wagner, Adalbert; Wirth, Klaus; Hropot, Max; Bickel, Martin

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 808627	A2	19971126	EP 1997-107624	19970509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19620509	A1	19971127	DE 1996-19620509	19960522
DE 19632042	A1	19980212	DE 1996-19632042	19960808
DE 19639303	A1	19980326	DE 1996-19639303	19960925
US 5786365	A	19980728	US 1997-858550	19970519
AU 9723511	A1	19971127	AU 1997-23511	19970520
AT 189389	E	20000215	AT 1997-108096	19970520
PT 808628	T	20000531	PT 1997-108096	19970520
ES 2144291	T3	20000601	ES 1997-108096	19970520
NO 9702311	A	19971124	NO 1997-2311	19970521
ZA 9704415	A	19971124	ZA 1997-4415	19970521
JP 10045624	A2	19980217	JP 1997-131160	19970521
CN 1176102	A	19980318	CN 1997-113108	19970521
CA 2205780	AA	19971122	CA 1997-2205780	19970522
BR 9703367	A	19980915	BR 1997-3367	19970522
GR 3033048	T3	20000831	GR 2000-400735	20000324
PRIORITY APPLN. INFO.:			DE 1996-19620509	A 19960522
			DE 1996-19632042	A 19960808
			DE 1996-19639303	A 19960925
			US 1997-858550	A 19970519

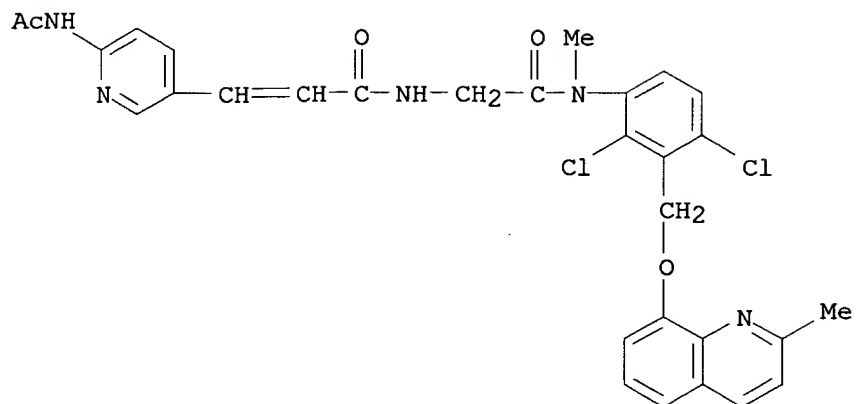
AB Forty-five heterocyclic compds. are pictured which act as bradykinin antagonists and which can be used in the title syndromes (e.g., liver cirrhosis and liver fibrosis).

IT **199791-42-9**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver diseases treatment by)

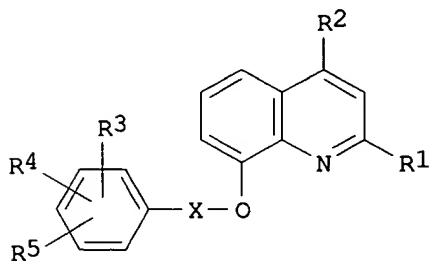
RN 199791-42-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



~~126~~ ANSWER 112 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:740212 CAPLUS
 DOCUMENT NUMBER: 128:13212
 TITLE: Bradykinin antagonist quinoline derivatives
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741104	A1	19971106	WO 1997-JP1415	19970424
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9724054	A1	19971119	AU 1997-24054	19970424
EP 900203	A1	19990310	EP 1997-919665	19970424
EP 900203	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000509066	T2	20000718	JP 1997-538734	19970424
AT 234818	E	20030415	AT 1997-919665	19970424
ES 2189956	T3	20030716	ES 1997-919665	19970424
US 6083959	A	20000704	US 1998-147193	19981026
PRIORITY APPLN. INFO.:			AU 1996-9526	A 19960429
			WO 1997-JP1415	W 19970424
OTHER SOURCE(S):		MARPAT 128:13212		
GI				



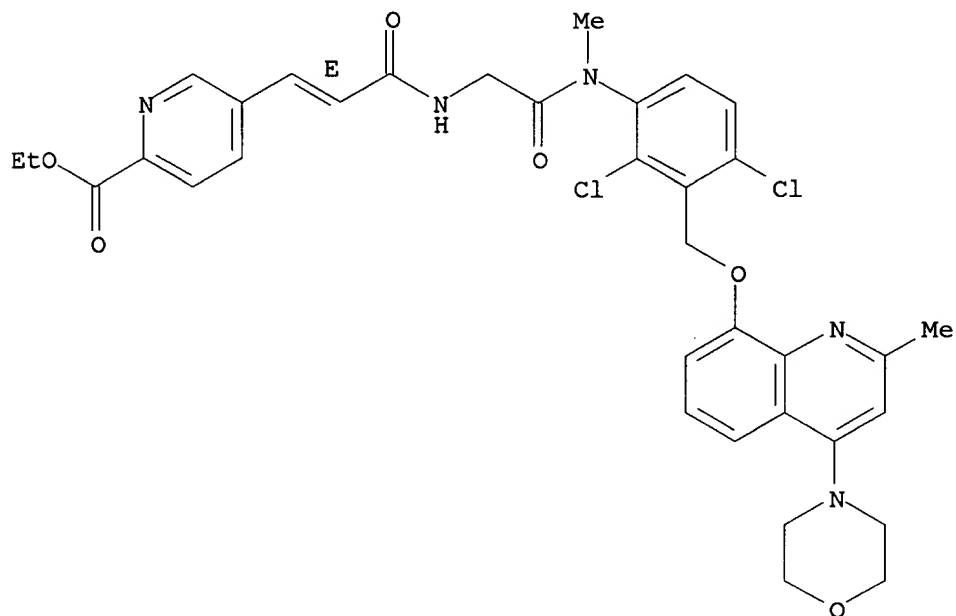
AB Title compds. I (R1 = lower alkyl, R2 = a heterocyclic group, R3 = H, lower alkyl, halo, R4 = lower alkyl, halo, R5 = alkylamino, amido, X = lower alkylene) were prepared by standard derivatizations of 8-hydroxyquinolines. The IC₅₀ (M) was 3.3 X 10⁻⁹ for inhibition of bradykinin binding for 8-[2,6-dichloro-3-[N-methyl-N-[4-(dimethylcarbamoyl)cinnamoylglycyl]amino]benzyloxy]-2-methyl-4-(1-pyrazolyl)quinoline dihydrochloride.
 IT **179624-53-4P 179624-69-2P 199106-67-7P**
199106-69-9P 199106-70-2P 199106-71-3P
199106-72-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 179624-53-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

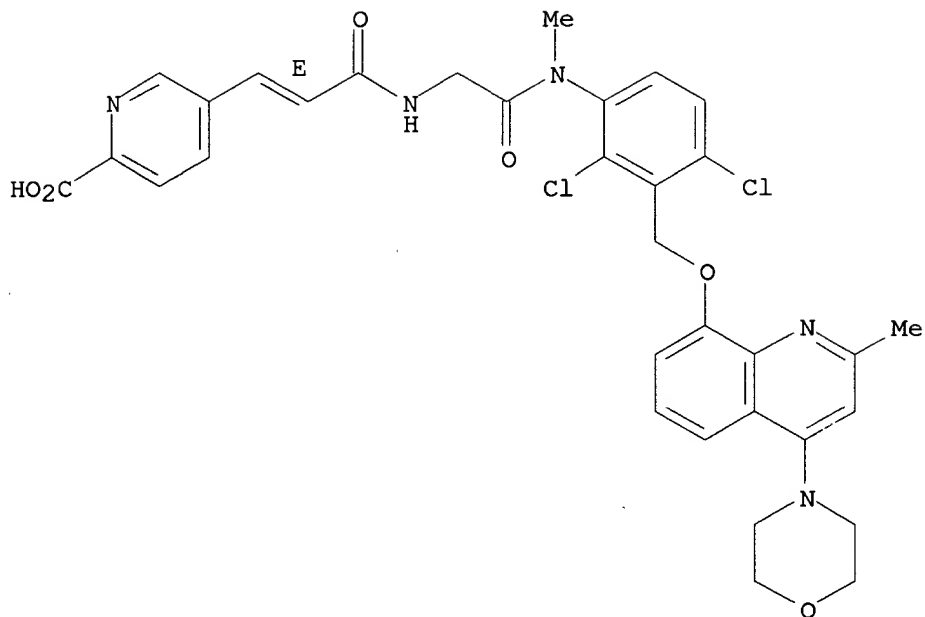
Double bond geometry as shown.



RN 179624-69-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

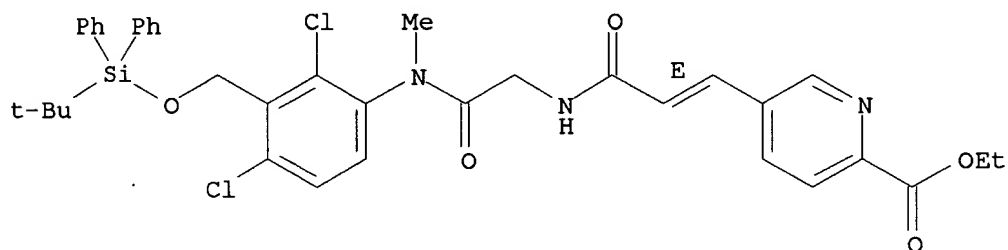
Double bond geometry as shown.



RN 199106-67-7 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

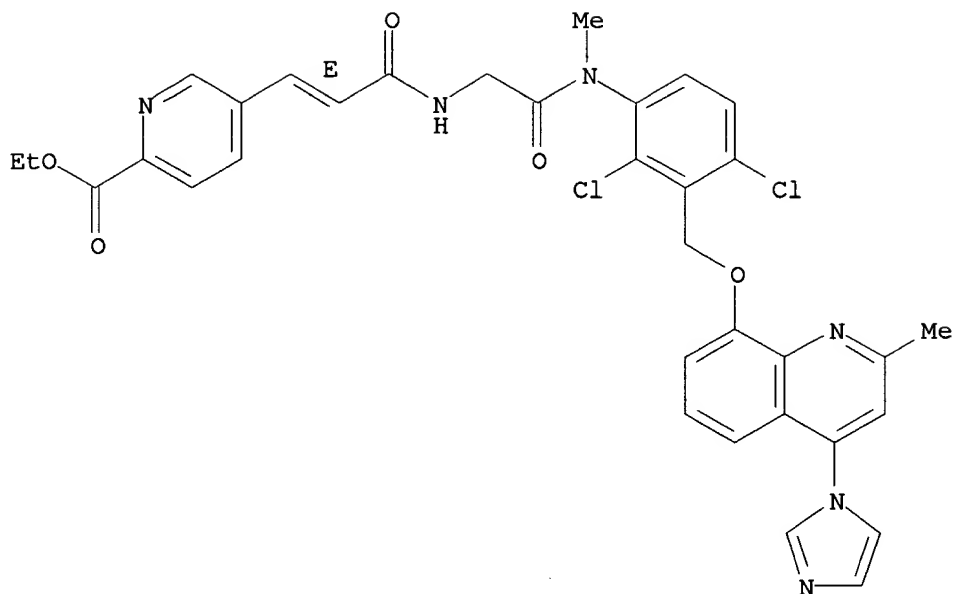
Double bond geometry as shown.



RN 199106-69-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

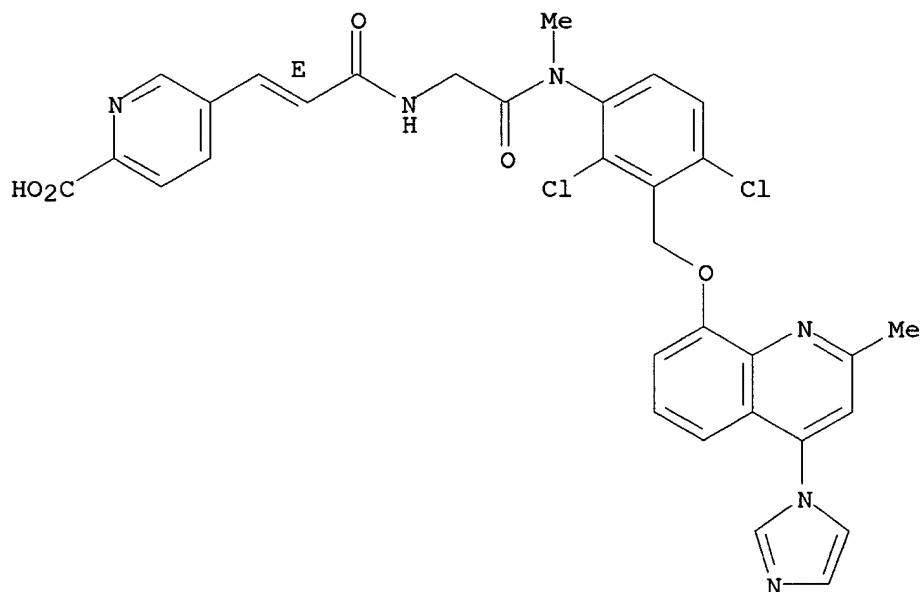
Double bond geometry as shown.



RN 199106-70-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

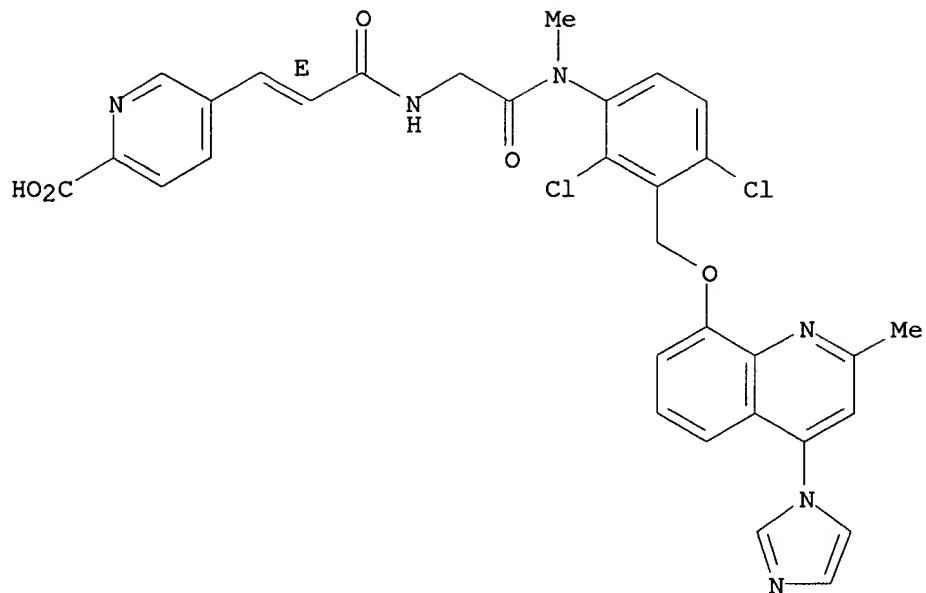


RN 199106-71-3 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(1H-imidazol-1-yl)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



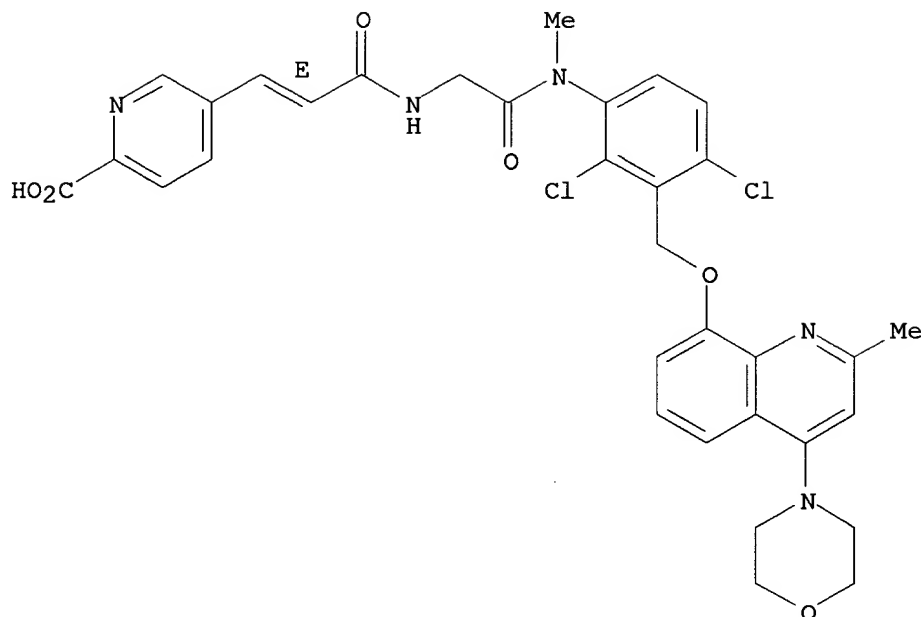
PAGE 2-A

● Na

RN 199106-72-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● Na

IT **199106-68-8P**

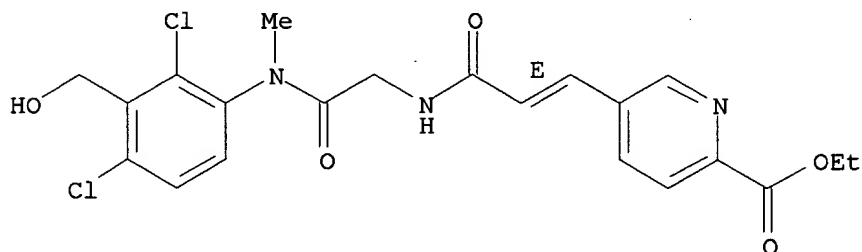
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bradykinin antagonist quinoline derivs.)

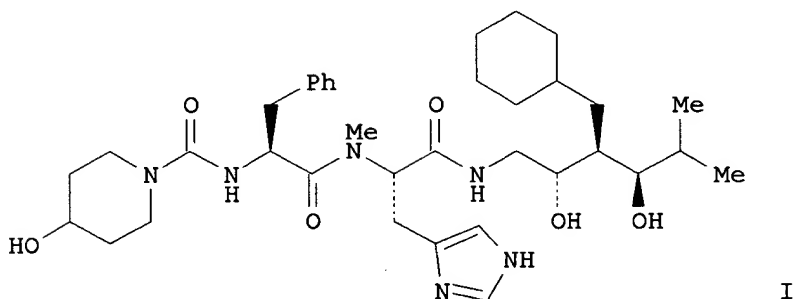
RN 199106-68-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 113 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:706934 CAPLUS
 DOCUMENT NUMBER: 128:22488
 TITLE: Novel renin inhibitors containing a
 (2S,3S,5S)-2-amino-1-cyclohexyl-6-methyl-3,5-
 heptanediol fragment as a transition-state mimic at
 the P1-P1' cleavage site
 AUTHOR(S): Yamada, Yasuki; Ando, Koji; Ikemoto, Yukishige; Tada,
 Hiroki; Shirakawa, Eiji; Inagaki, Eiji; Shibata,
 Saizo; Nakamura, Ikuro; Hayashi, Yoshiharu; Ikegami,
 Kiyoteru; Uchida, Itsuo
 CORPORATE SOURCE: Central Pharmaceutical Research Institute, Japan
 Tobacco Inc., Takatsuki, 569-11, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(10),
 1631-1641
 CODEN: CPBTAL; ISSN: 0009-2363
 PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Renin inhibitors containing the (2S,3S,5S)-2-amino-1-cyclohexyl-6-methyl-3,5-
 heptanediol (2-amino-3,5-anti-diol) fragment as a novel transition-state
 mimic were synthesized, and their biol. activities were evaluated. All
 compds. containing the 2-amino-3,5-anti-diol fragment at the P1-P1' position
 showed high in vitro renin-inhibitory activity with IC₅₀ values in the
 10⁻⁸-10⁻¹⁰ M range, and most of them caused a reduction of blood pressure when
 administered orally to salt-depleted, conscious marmosets. The inhibitor
 I with a 4-hydroxypiperidine residue at the P4 position showed the highest
 activity in terms of both potency and duration of the blood
 pressure-lowering effect.

IT 198989-70-7P

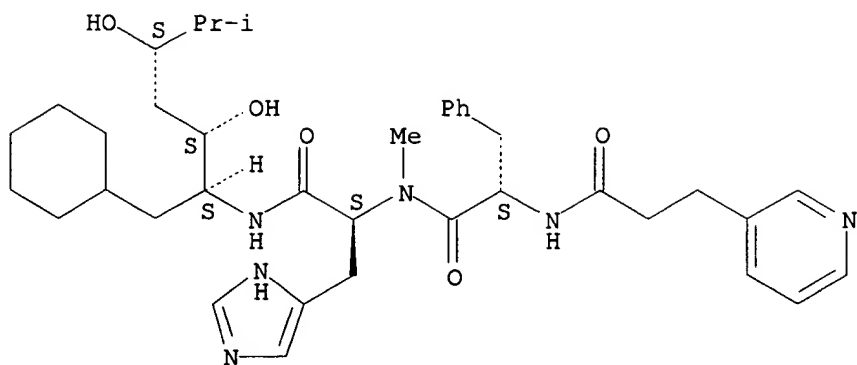
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)

(renin inhibitors containing (2S,3S,5S)-2-amino-1-cyclohexyl-6-methyl-3,5-
 heptanediol fragment)

RN 198989-70-7 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-
 [(1S,2S,4S)-1-(cyclohexylmethyl)-2,4-dihydroxy-5-methylhexyl]-N α -
 methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

32

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

126 ANSWER 114 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:543457 CAPLUS

DOCUMENT NUMBER: 127:149142

TITLE: Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA;
Boehringer Ingelheim (Canada) Ltd.

SOURCE: PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724343	A1	19970710	WO 1996-US19131	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9716828	A1	19970728	AU 1997-16828	19961204
EP 871619	A1	19981021	EP 1996-945567	19961204
EP 871619	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207094	A	19990203	CN 1996-199443	19961204
BR 9612435	A	19990713	BR 1996-12435	19961204
JP 2000502702	T2	20000307	JP 1997-524325	19961204
NZ 331104	A	20000327	NZ 1996-331104	19961204
AT 227279	E	20021115	AT 1996-945567	19961204
ES 2186811	T3	20030516	ES 1996-945567	19961204
CA 2192433	AA	19970630	CA 1996-2192433	19961209
ZA 9610850	A	19970630	ZA 1996-10850	19961223
NO 9802950	A	19980625	NO 1998-2950	19980625
US 6458959	B1	20021001	US 2000-685686	20001010
PRIORITY APPLN. INFO.:			US 1995-9433P	P 19951229
			US 1996-23209P	P 19960802
			US 1996-759201	A3 19961204
			WO 1996-US19131	W 19961204
			US 1999-456857	A3 19991208

OTHER SOURCE(S): MARPAT 127:149142

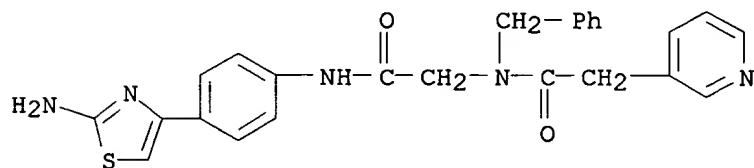
AB 4-RC6H4R1 [I; R = (un)substituted 4-thiazolyl; R1 = NR2COZ1CHR3NR4R5, NR2aCOZ2NR3aR4a, etc.; R2,R2a = H or alkyl; R3 = H, alkyl, (un)substituted phenyl(alkyl); R3a = H, (cyano)alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO] were prepared for treating herpes infections by inhibiting the herpes helicase-primase enzyme complex. Thus, Me3CO2CNHCH2CO2H was N-alkylated by PhCH2Br and the product amidated by 4-(H2N)C6H4COMe to give, after cyclocondensation with H2NCSNH2 and deprotection, I (R = 2-amino-4-thiazolyl, R1 = NHCOCH2NHCH2Ph). Data for biol. activity of I were given.

IT **193347-45-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents)

RN 193347-45-4 CAPLUS

CN 3-Pyridineacetamide, N-[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



~~186~~ ANSWER 115 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:533640 CAPLUS
 DOCUMENT NUMBER: 127:220659
 TITLE: Quinoline and benzimidazole derivatives as bradykinin agonists
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728153	A1	19970807	WO 1997-JP233	19970131
W: AU, CA, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9715569	A1	19970822	AU 1997-15569	19970131
EP 879233	A1	19981125	EP 1997-901799	19970131
EP 879233	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001513749	T2	20010904	JP 1997-527493	19970131
AT 247103	E	20030815	AT 1997-901799	19970131
ES 2202573	T3	20040401	ES 1997-901799	19970131
US 6015818	A	20000118	US 1998-117453	19980803
US 6127389	A	20001003	US 1999-422075	19991021
PRIORITY APPLN. INFO.:			GB 1996-2029	A 19960201
			WO 1997-JP233	W 19970131
OTHER SOURCE(S):	MARPAT 127:220659			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. I [Q = ring fusions Q1 or Q2; R1 = H, alkyl, halo; R2 = alkyl, halo; R3 = amino substituted with alkyl, acyl, or -ZA2R11; R4 = heterocycloalkyl; R5 = alkyl; R6 = acylalkyl, aralkyl, heterocycloalkyl; R7 = alkyl, alkoxy; R11 = amino, acylamino; A1 = alkylene; A2 = alkylene, bond; Z = alkenylene, 1,2-pyrrolediyl, C6H4, or 2,3-thiophenediyl, latter 3 with optional halo substitution] and their pharmaceutically acceptable salts. Also disclosed are processes for preparation of the compds., pharmaceutical compns. comprising them, and methods of therapeutic use in the prevention and/or treatment of hypertension and the like. For instance, etherification of 2-(hydroxymethyl)pyridine with 4-chloro-8-hydroxy-2-methylquinoline gave 8-hydroxy-2-methyl-4-(2-pyridylmethoxy)quinoline, which was further etherified with 2,6-dichloro-3-[N-[4-(methylcarbamoyl)cinnaoyl]glycyl]-N-methylamino]benzyl bromide to give title compound II. In an assay for inhibition of [3H]-bradykinin binding to guinea pig ileum receptors in vitro, II had an IC50 of 9.9 + 10-10 M.

IT 177477-41-7P 177478-41-0P 177478-44-3P
 177478-45-4P 189269-29-2P 189269-30-5P
 189269-31-6P 194928-59-1P 194928-63-7P

194928-64-8P 194928-65-9P

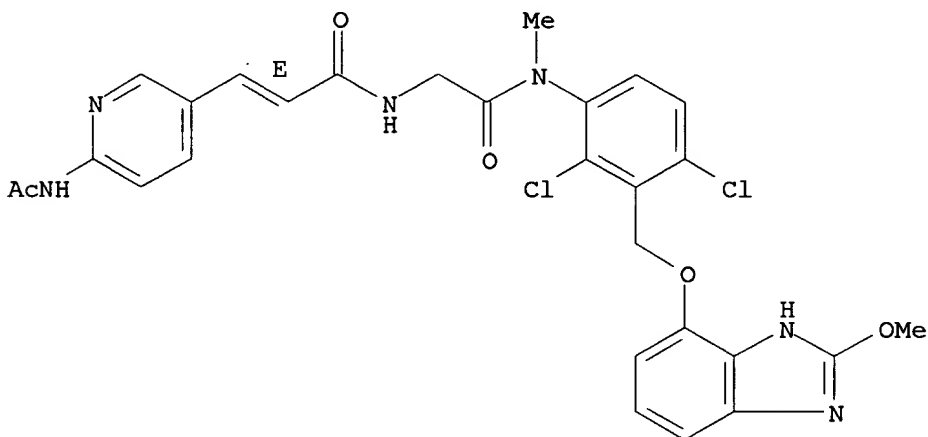
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinoline and benzimidazole derivs. as bradykinin agonists)

RN 177477-41-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methoxy-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

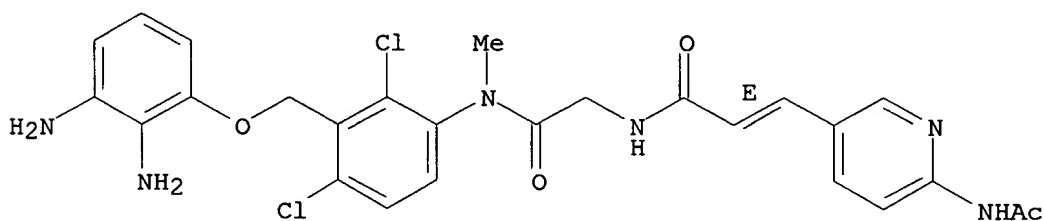
Double bond geometry as shown.



RN 177478-41-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2,3-diaminophenoxy)methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

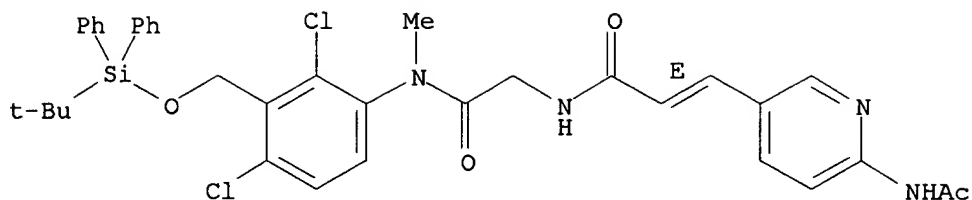
Double bond geometry as shown.



RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

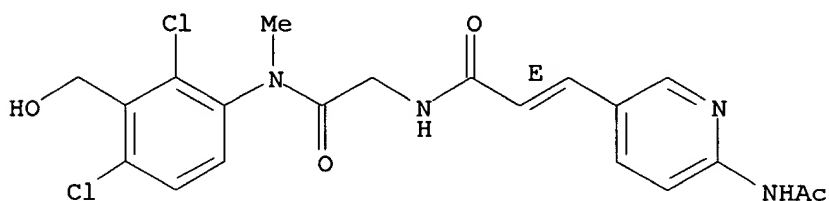
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (2E)-(9CI) (CA INDEX NAME)

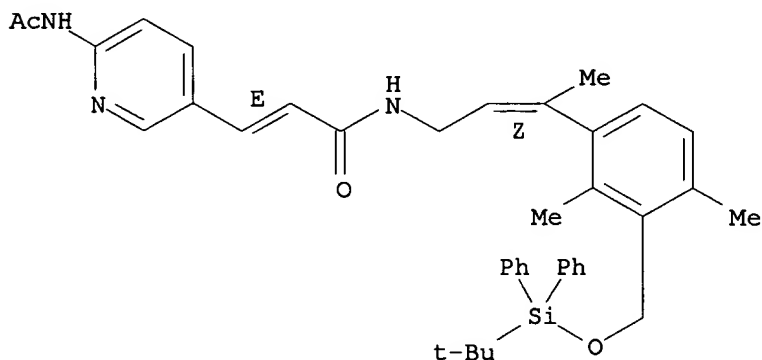
Double bond geometry as shown.



RN 189269-29-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)-(9CI) (CA INDEX NAME)

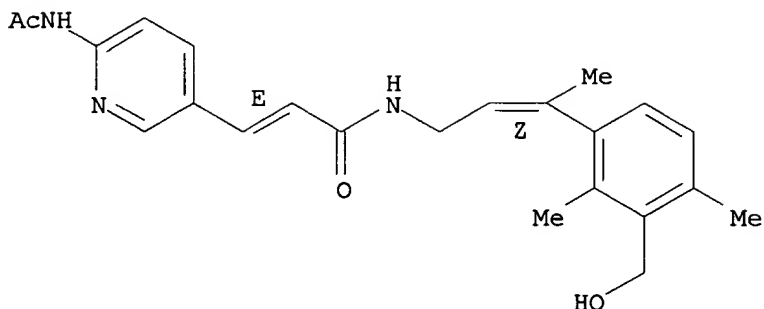
Double bond geometry as shown.



RN 189269-30-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(hydroxymethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)-(9CI) (CA INDEX NAME)

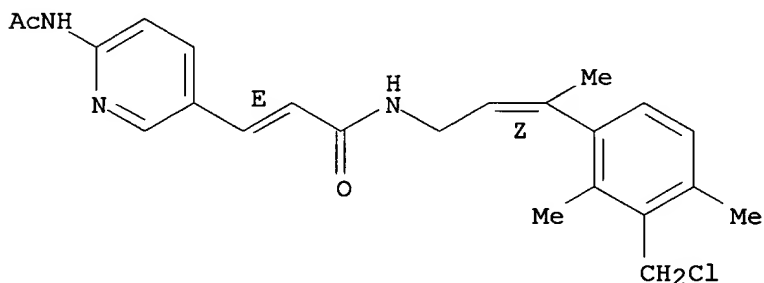
Double bond geometry as shown.



RN 189269-31-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(chloromethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

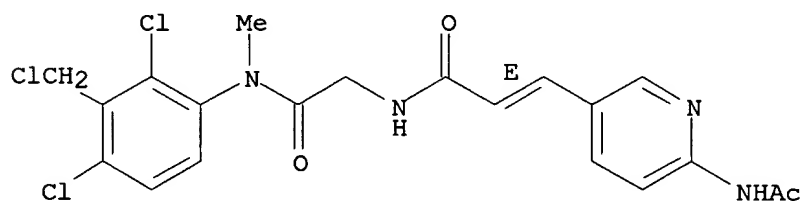
Double bond geometry as shown.



RN 194928-59-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(chloromethyl)phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

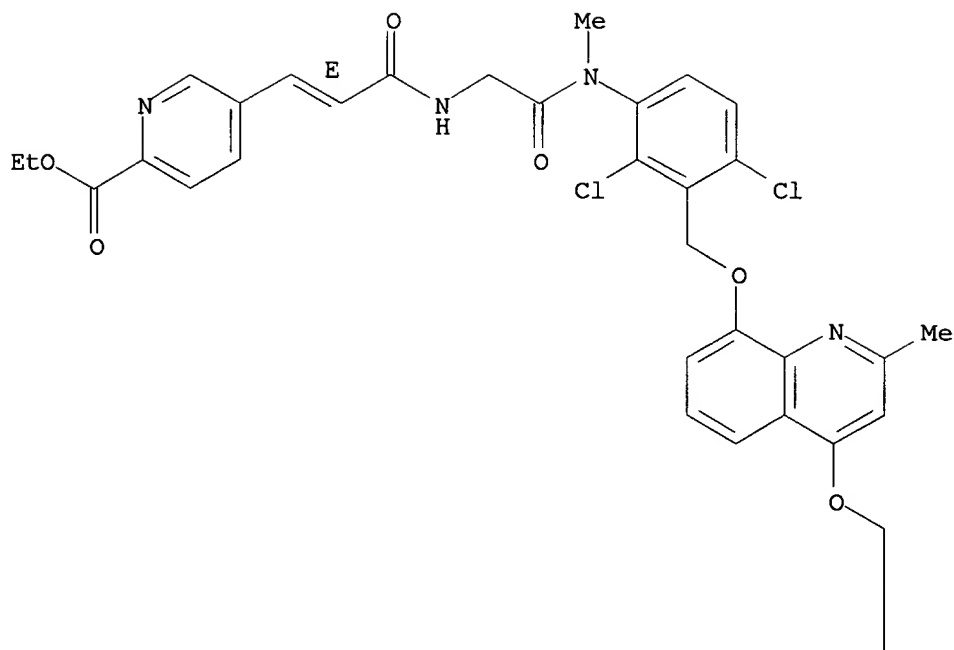


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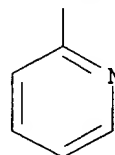
CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

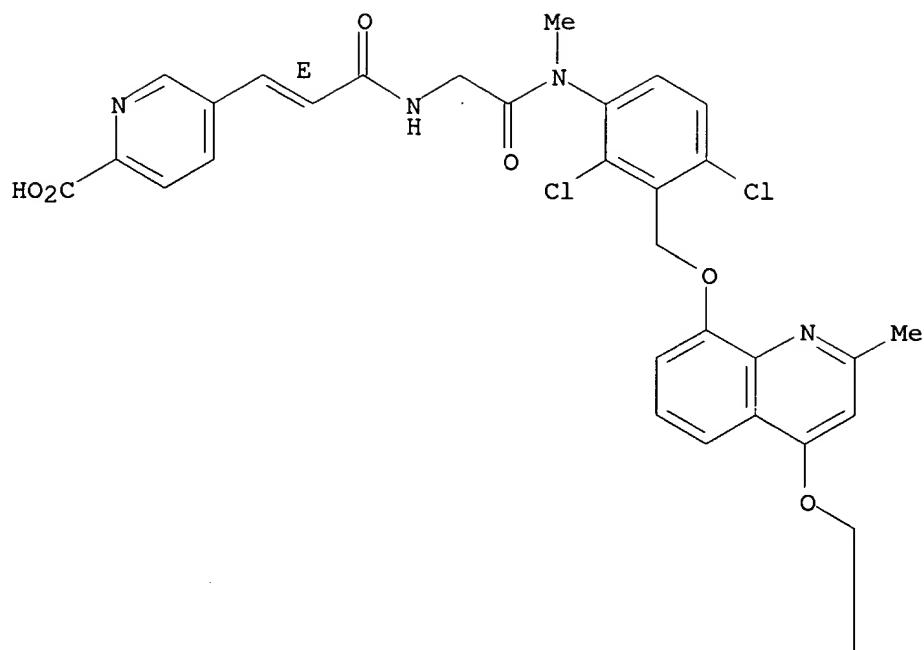


RN 194928-64-8 CAPLUS

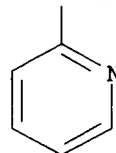
CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

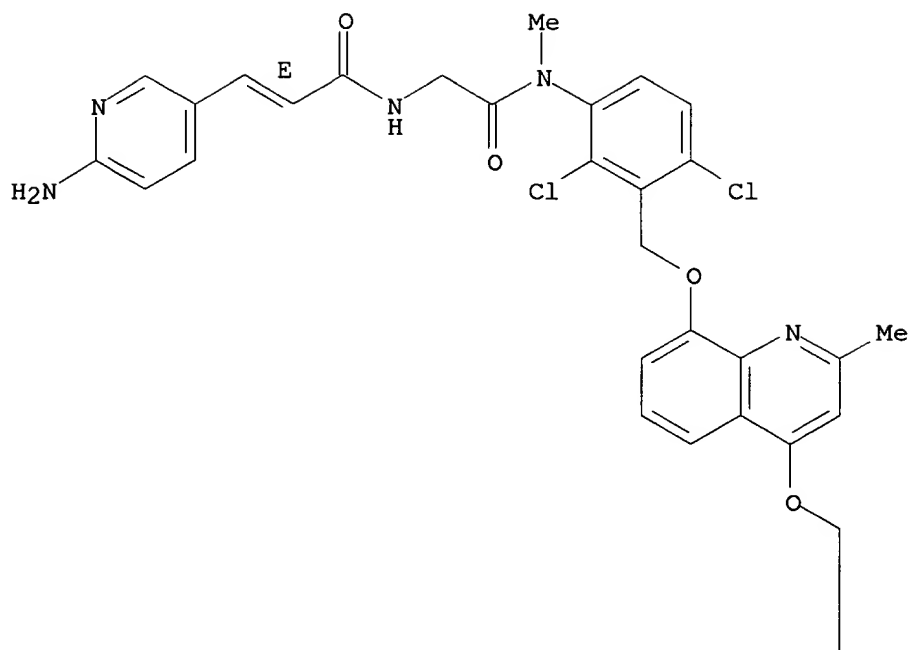


RN 194928-65-9 CAPLUS

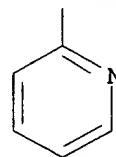
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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PAGE 2-A



IT 194928-43-3P 194928-49-9P 194928-50-2P
 194928-51-3P 194928-52-4P 194928-53-5P
 194928-55-7P 194928-56-8P 194928-57-9P

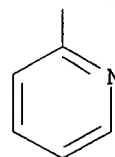
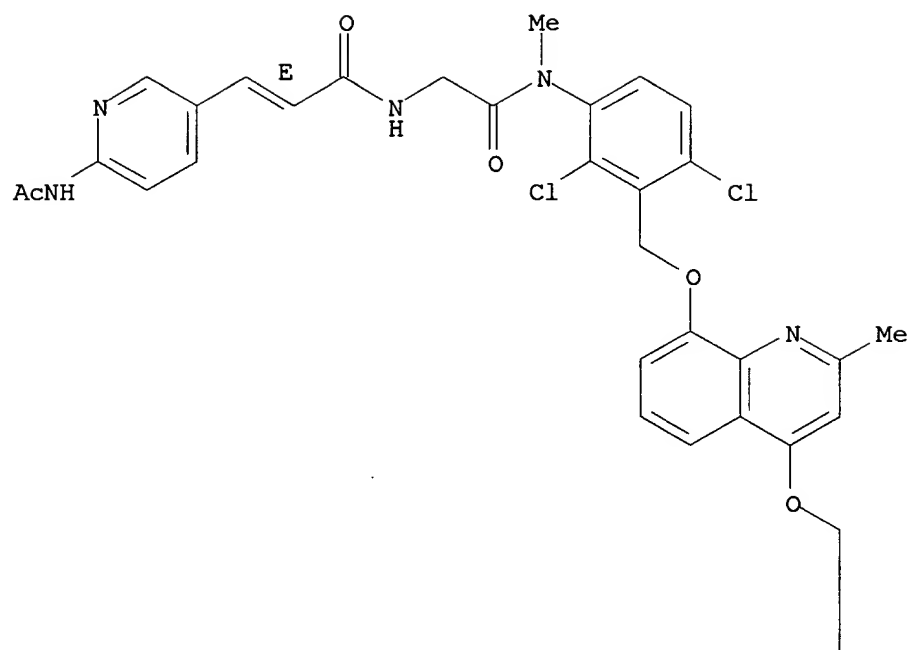
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and benzimidazole derivs. as bradykinin agonists)

RN 194928-43-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

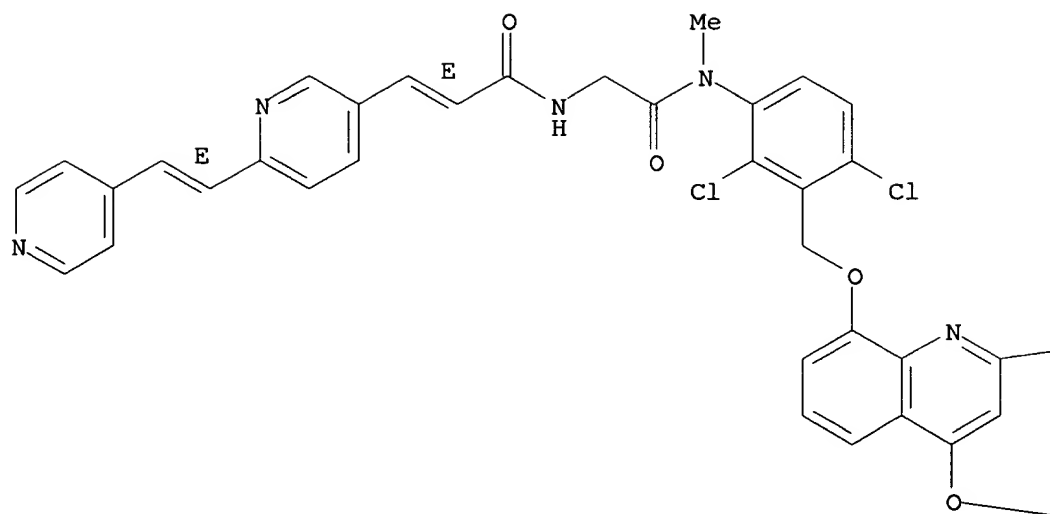


RN 194928-49-9 CAPLUS

2-Propenamide, N-2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1E)-2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

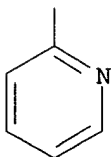


PAGE 1-B

Me



PAGE 2-B

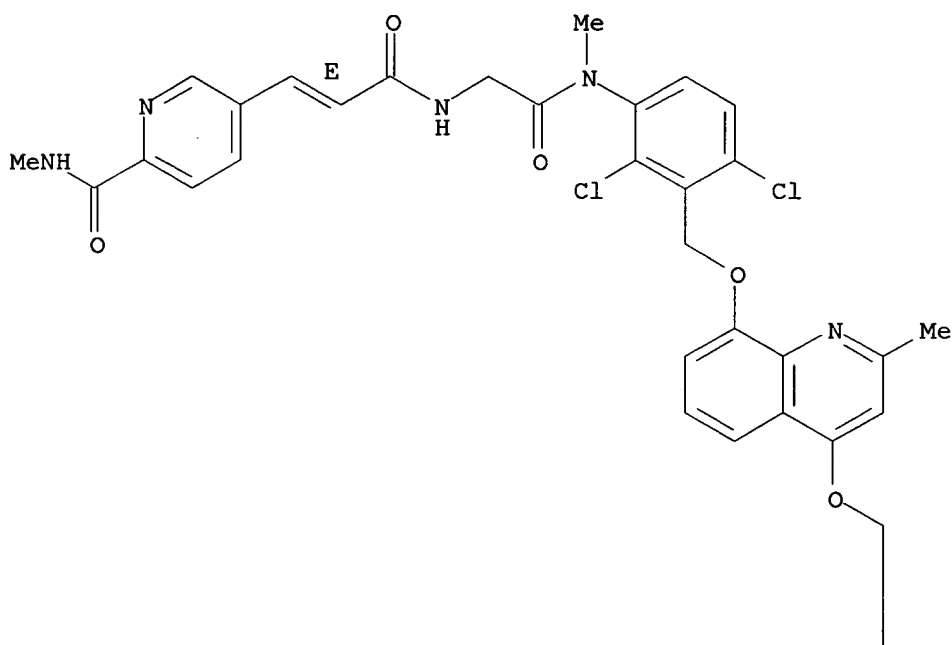


RN 194928-50-2 CAPLUS

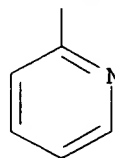
CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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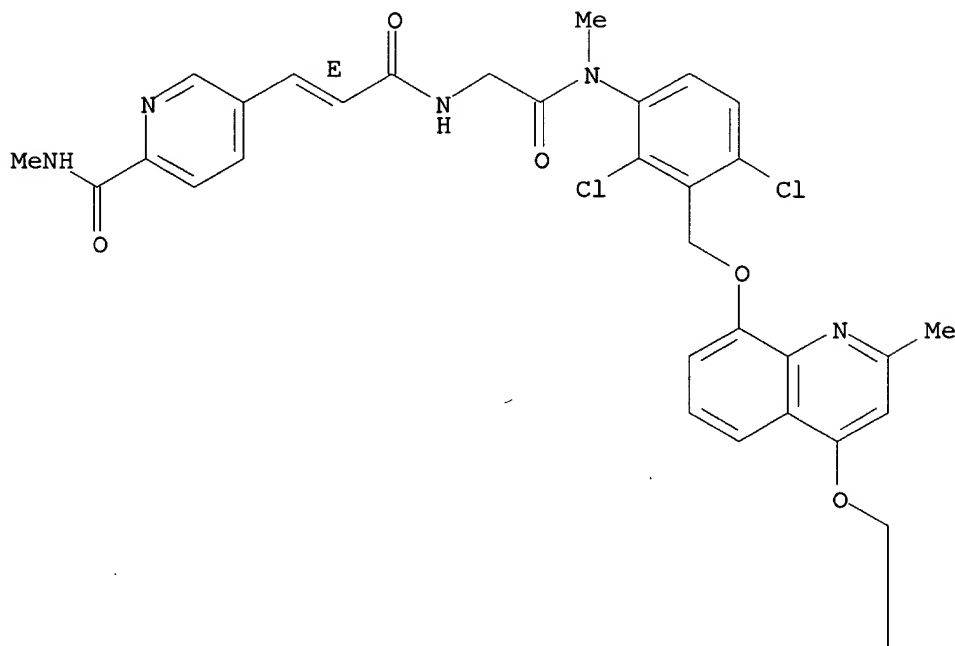
RN 194928-51-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-

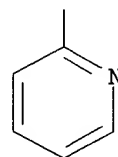
oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, trihydrochloride, (E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A



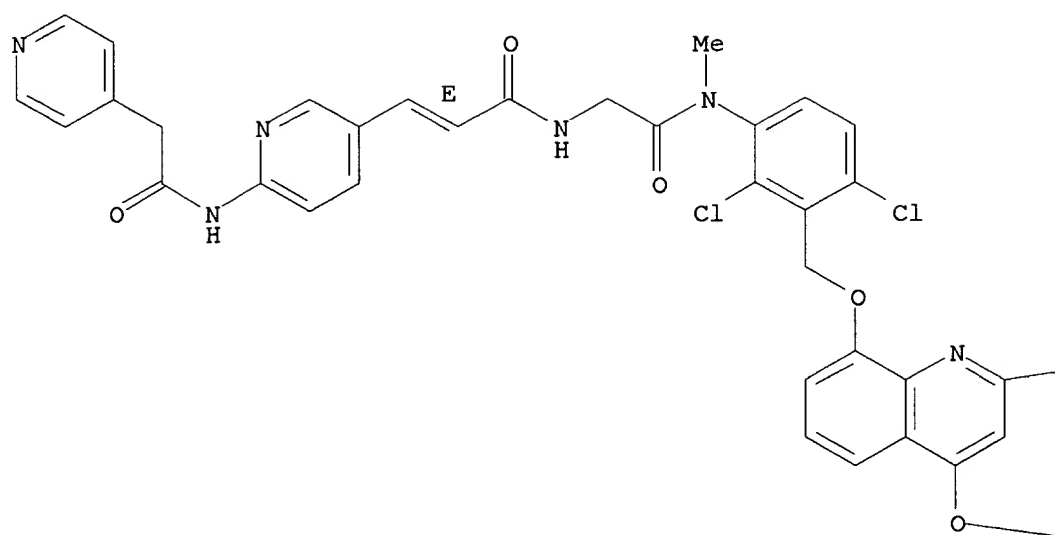
● 3 HCl

RN 194928-52-4 CAPLUS

CN 4-Pyridineacetamide, N-[5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

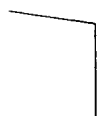
Double bond geometry as shown.

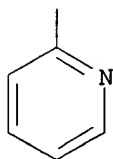
PAGE 1-A



PAGE 1-B

Me

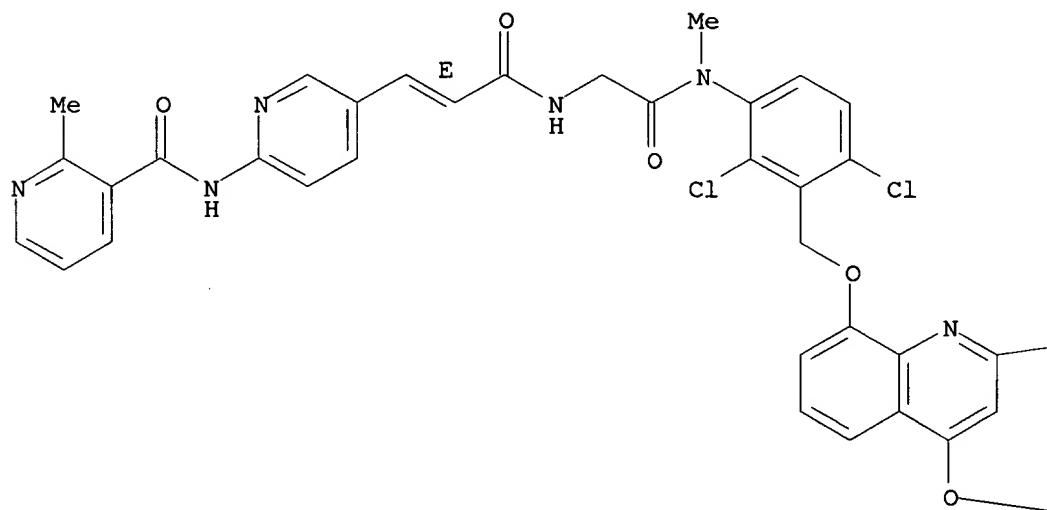


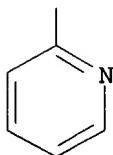
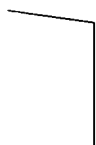


RN 194928-53-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(2-pyridinylmethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

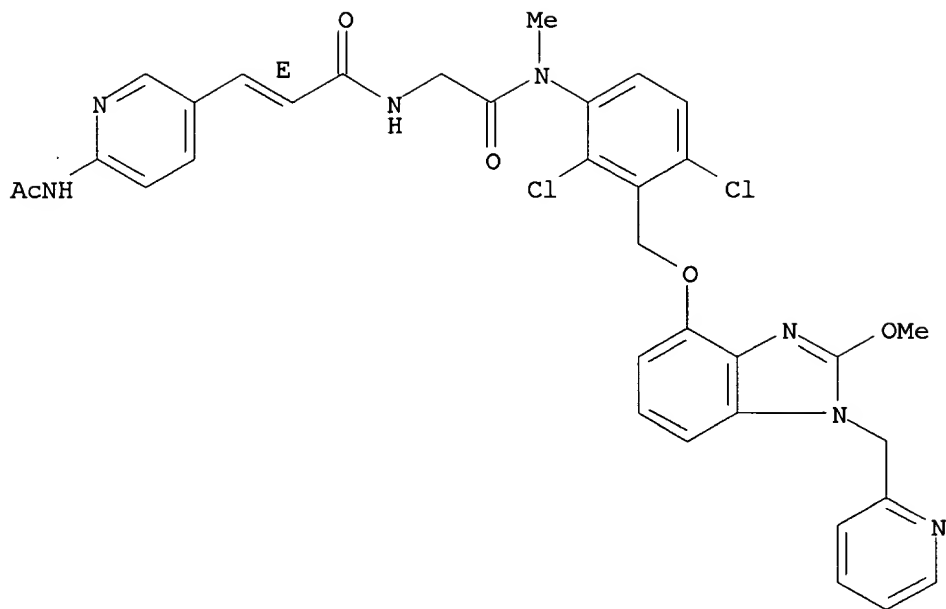
Double bond geometry as shown.





RN 194928-55-7 CAPLUS
CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methoxy-1-(2-pyridinylmethyl)-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

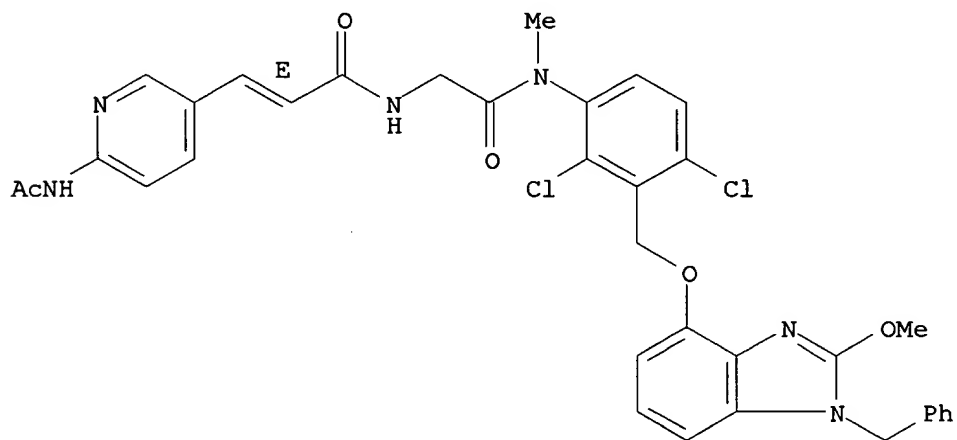
Double bond geometry as shown.



RN 194928-56-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methoxy-1-(phenylmethyl)-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

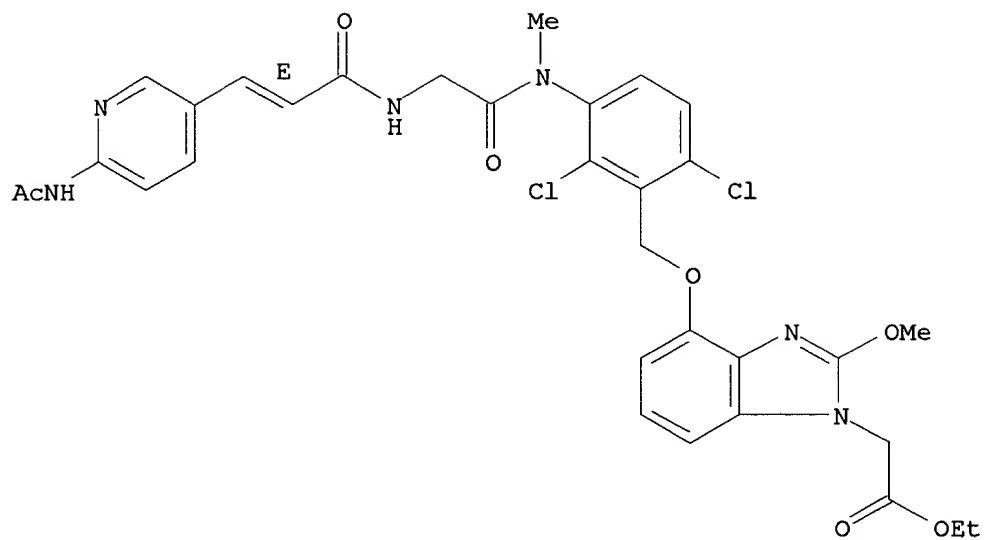
Double bond geometry as shown.



RN 194928-57-9 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 4-[[[3-[[[(2E)-3-[6-(acetylamino)-3-pyridinyl]-1-oxo-2-propenyl]amino]acetyl]methylamino]-2,6-dichlorophenyl]methoxy]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



126 ANSWER 116 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:332365 CAPLUS

DOCUMENT NUMBER: 126:305539

TITLE: Preparation of N-[(heteroaryloxy)alkylphenyl]-2-(acylaminoalkyl)pyrroles and analogs as bradykinin antagonists

INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

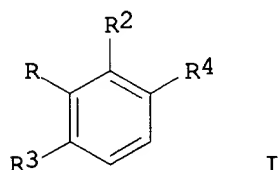
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711069	A1	19970327	WO 1996-JP2669	19960918
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9669997	A1	19970409	AU 1996-69997	19960918
EP 861243	A1	19980902	EP 1996-931226	19960918
EP 861243	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000515848	T2	20001128	JP 1997-512588	19960918
AT 254121	E	20031115	AT 1996-931226	19960918
ES 2205058	T3	20040501	ES 1996-931226	19960918
US 6008229	A	19991228	US 1998-29852	19980313
US 6100284	A	20000808	US 1999-419684	19991015
US 6344462	B1	20020205	US 2000-604526	20000627
PRIORITY APPLN. INFO.:			GB 1995-19077	A 19950918
			WO 1996-JP2669	W 19960918
			US 1998-29852	A3 19980313
			US 1999-419684	A3 19991015

OTHER SOURCE(S): MARPAT 126:305539

GI



AB Title compds. [I; R = ZZOR1; R1 = quinolyl, benzimidazolyl, imidazopyridyl, etc.; R2 = H, halo, alkyl; R3 = halo or alkyl; R4 = (acyl)amino(alkyl)(hetero)aryl, piperazinylcarbonyl, etc.; Z = alkylene] were prepared Thus, 3-(tert-butyldiphenylsilyloxymethyl)-2,4-dichloroaniline was cyclocondensed with 2,5-dimethoxytetrahydrofuran to give, in 6 addnl. steps, I [R = 2-methyl-8-quinolyloxymethyl, R2 = R3 = Cl, R4 = 2-[[4-(methylcarbamoyl)cinnamoyl]aminomethyl]-1-pyrrolyl]. Data for biol. activity of I were given.

IT 189267-67-2P 189267-68-3P 189267-69-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

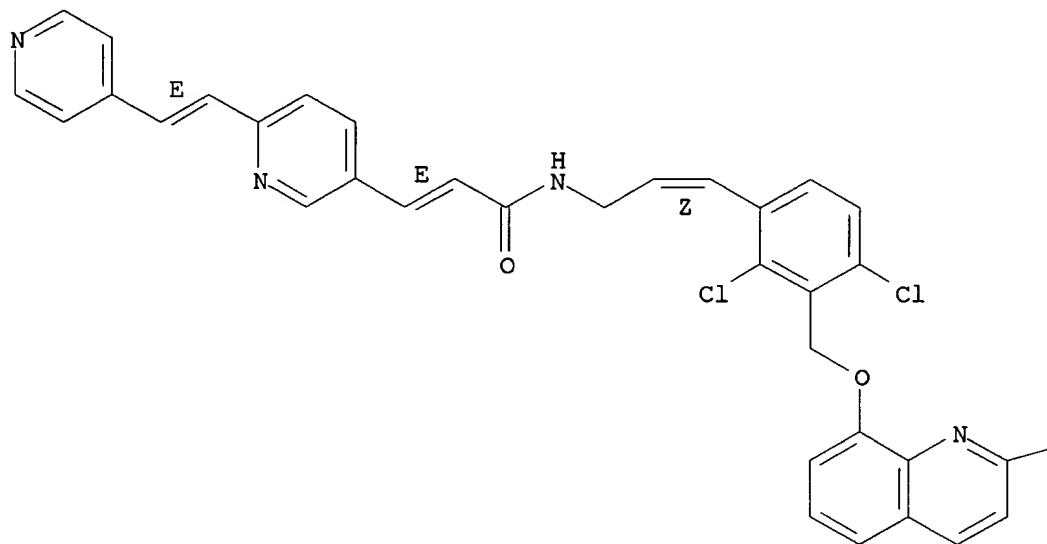
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-[(heteroaryloxy)alkylphenyl]-2-(acylaminoalkyl)pyrroles
 and analogs as bradykinin antagonists)

RN 189267-67-2 CAPLUS

CN 2-Propenamide, N-[3-[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]-2-propenyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, [1(Z),2E,3(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

—Me

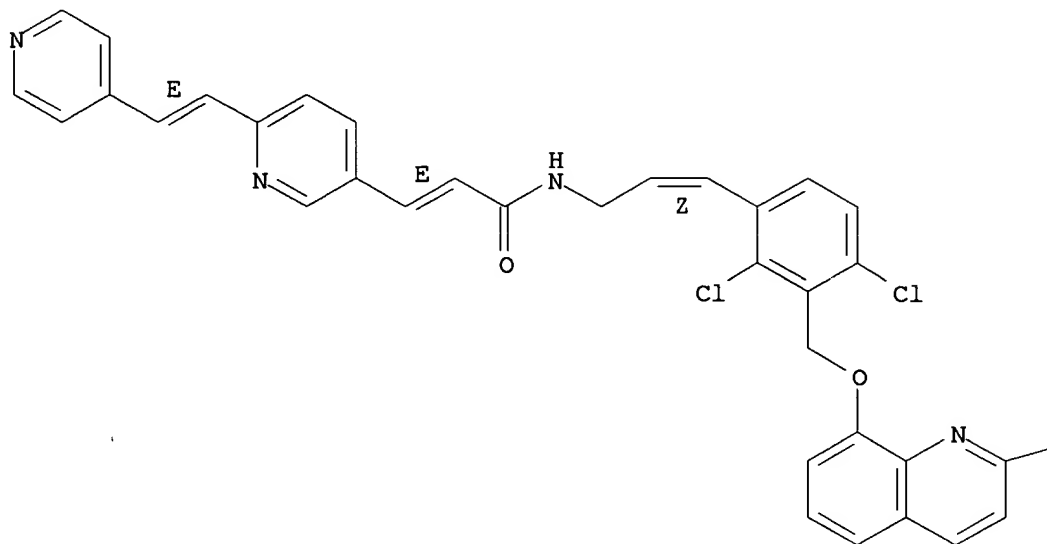
RN 189267-68-3 CAPLUS

CN 2-Propenamide, N-[3-[2,4-dichloro-3-[[2-methyl-8-

quinolinyl)oxy)methyl]phenyl]-2-propenyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, trihydrochloride, [1(Z),2E,3(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

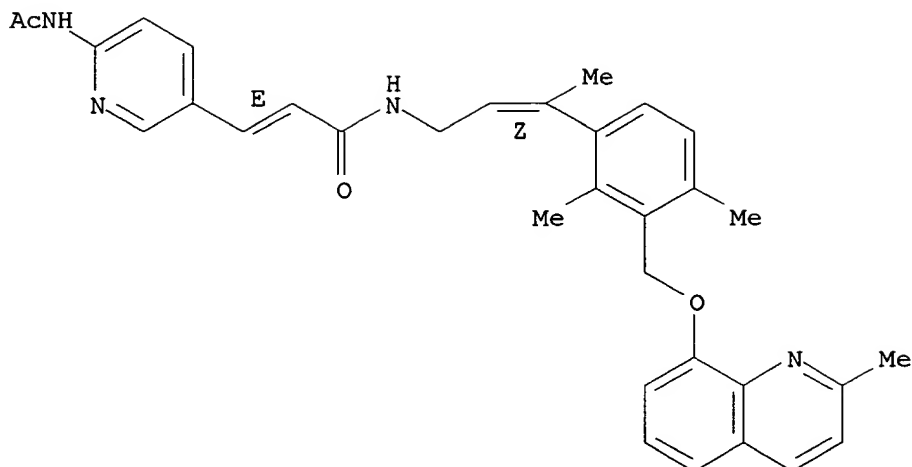
● 3 HCl

—Me

RN 189267-69-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 189269-29-2P 189269-30-5P 189269-31-6P

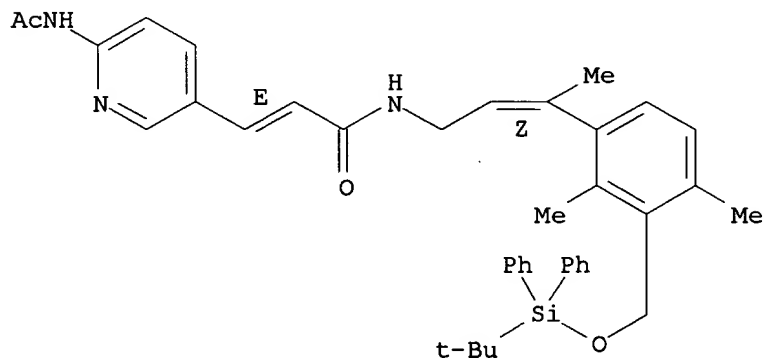
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-[(heteroaryloxy)alkylphenyl]-2-(acylaminoalkyl)pyrroles and analogs as bradykinin antagonists)

RN 189269-29-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

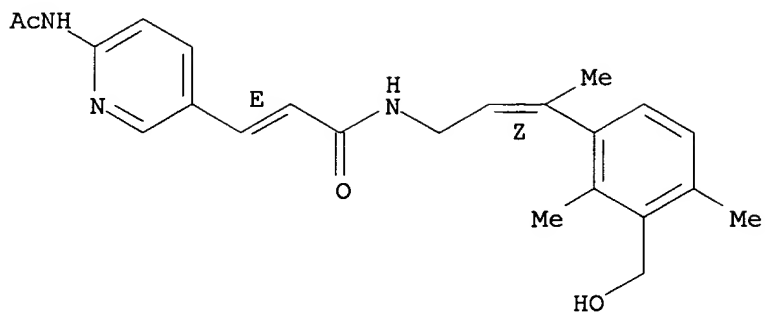
Double bond geometry as shown.



RN 189269-30-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(hydroxymethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

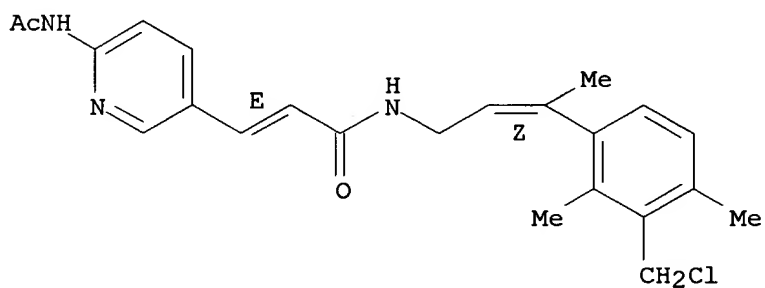
Double bond geometry as shown.



RN 189269-31-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(chloromethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



126 ANSWER 117 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:328690 CAPLUS

DOCUMENT NUMBER: 127:65563

TITLE: Design, synthesis, and in vitro activities of benzamide-core glycoprotein IIb/IIIa antagonists: 2,3-diaminopropanoic acid derivatives as surrogates of aspartic acid

AUTHOR(S): Xue, Chu-Biao; Roderick, John; Jackson, Sharon; Rafalski, Maria; Rockwell, Arlene; Mousa, Shaker; Olson, Richard E.; Degrado, William F.

CORPORATE SOURCE: Chemical and Physical Sciences and Cardiovascular Diseases, The DuPont Merck Pharmaceutical Company, Experimental Station, Wilmington, DE, 19880, USA

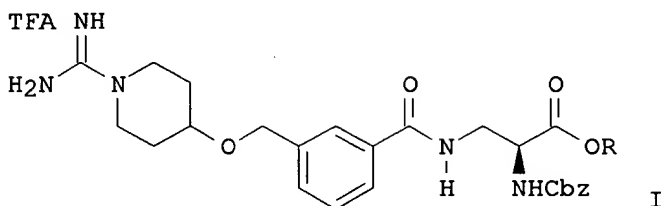
SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(4), 693-705
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB In an effort to discover novel nonpeptide glycoprotein IIb/IIIa (GPIIb/IIIa, α IIb/ β 3) inhibitors, RGD mimetics featuring a 3-substituted benzoic acid as the core, benzamidine as the basic moiety, and a series of β - and α -substituted β -alanine derivs. as aspartic acid surrogates were investigated. It was found that the use of β -Me β -alanine slightly improved the anti-aggregant potency in human platelet-rich plasma over the unsubstituted β -alanine compound, while β -substitution with a trifluoromethyl group resulted in considerable loss in activity. Significant enhancement (up to 100-fold) in potency was obtained when the β -alanine was replaced with N2-substituted L-2,3-diaminopropanoic acid derivs. Among the three types of α -substituents (carbamate, amide, and sulfonamide) investigated, no apparent preference was observed with respect to in vitro potency. However, alkyl groups were more favorable than arylalkyl groups (Cbz) in the carbamate analogs. Piperidine, piperazine, and N-formamidinopiperidine as replacements for the benzamidine moiety were also investigated. The former two replacements led to a drop in potency while the latter replacement resulted in maintenance of activity as compared with the corresponding benzamidine analog. An example compound was I.

IT 191483-34-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and glycoprotein IIb/IIIa antagonistic structure-activity relationship of diaminopropanoate derivs. as surrogates of aspartic acid)

RN 191483-34-8 CAPLUS

09/596,086

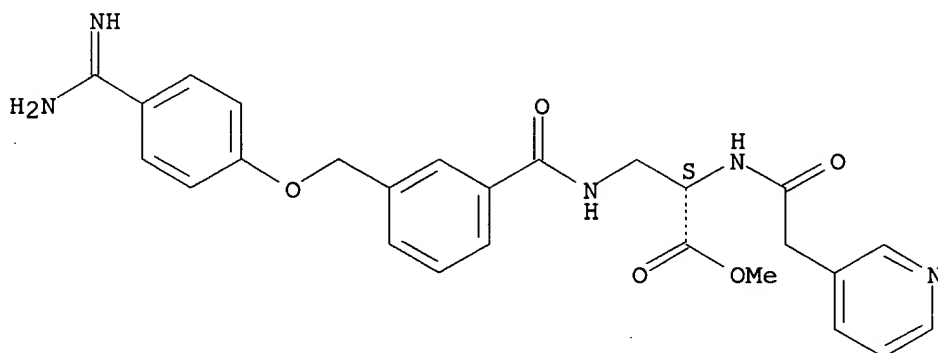
CN L-Alanine, 3-[[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-pyridinylacetyl)-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 169605-54-3

CMF C26 H27 N5 O5

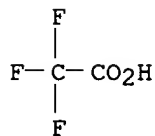
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



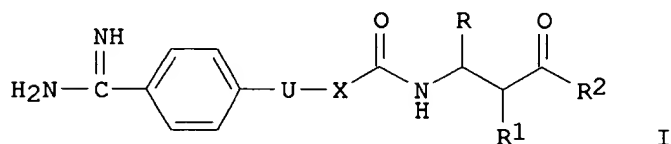
REFERENCE COUNT:

34

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L26~~ ANSWER 118 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:653632 CAPLUS
 DOCUMENT NUMBER: 125:329475
 TITLE: Aromatic compounds containing basic and acidic termini
 useful as fibrinogen receptor antagonists
 INVENTOR(S): Degrado, William F.; Xue, Chu-biao
 PATENT ASSIGNEE(S): The Dupont Merck Pharmaceutical Company, USA
 SOURCE: U.S., 83 pp., Cont.-in-part of U.S. Ser. No. 174,552,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5563158	A	19961008	US 1994-343159	19941122
WO 9518111	A1	19950706	WO 1994-US14244	19941221
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9514000	A1	19950717	AU 1995-14000	19941221
US 5691329	A	19971125	US 1996-694043	19960808
PRIORITY APPLN. INFO.:			US 1993-174552	B2 19931228
			US 1994-343159	A 19941122
			WO 1994-US14244	W 19941221
OTHER SOURCE(S):		MARPAT 125:329475		
GI				



AB Title compds., such as I [U = OCH₂, CH₂O; X = m-C₆H₄, 3,5-isoxazolediyl; R = H, Me; R₁ = (un)substituted amino; R₂ = H, Me, Et] were prepared for use as platelet aggregation inhibitors. Thus, L-H₂NCH₂CH(NH₂)CO₂Me was N-butanesulfonylated, treated with 3-ClC₆H₄COC₁ and 4-NCC₆H₄OH to give L-3-(4-NCC₆H₄OCH₂)C₆H₄CONHCH₂CH(NHSO₂Bu)CO₂Me which was subjected to aminolysis and ester hydrolysis to give L-3-[4-H₂NC(:NH)C₆H₄OCH₂]C₆H₄CONHCH₂CH(NHSO₂Bu)CO₂H.CF₃CO₂H (II). II had an IC₅₀ of <10 μM in the fibrinogen binding assay for platelet aggregation.

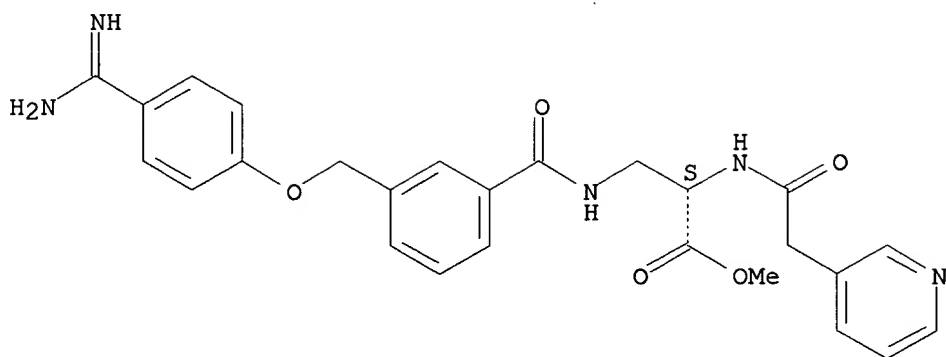
IT **169605-54-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aroyldiaminopropionic acids as platelet aggregation inhibitors)

RN 169605-54-3 CAPLUS

CN L-Alanine, 3-[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



26 ANSWER 119 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:593835 CAPLUS

DOCUMENT NUMBER: 125:248489

TITLE: Preparation of dipeptide derivatives as cell adhesion inhibitors

INVENTOR(S): Adams, Steven P.; Lin, Ko-Chung; Lee, Wen-Cherng; Castro, Alfredo C.; Zimmerman, Craig N.; Hammond, Charles E.; Liao, Yu-Sheng; Cuervo, Julio Hernan; Singh, Juswinder

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

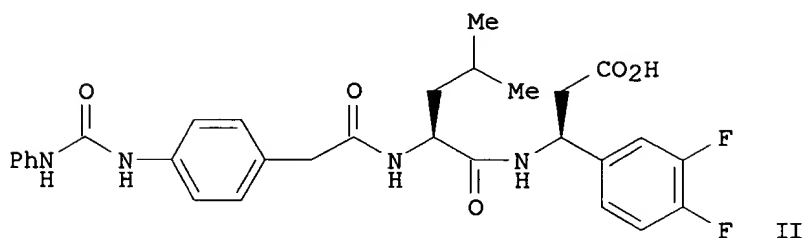
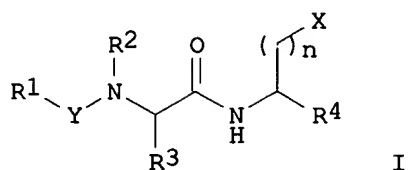
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622966	A1	19960801	WO 1996-US1349	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
US 6306840	B1	20011023	US 1995-376372	19950123
CA 2211181	AA	19960801	CA 1996-2211181	19960118
AU 9649115	A1	19960814	AU 1996-49115	19960118
AU 718926	B2	20000504		
EP 805796	A1	19971112	EP 1996-905316	19960118
EP 805796	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
BR 9606778	A	19980106	BR 1996-6778	19960118
CN 1177343	A	19980325	CN 1996-192270	19960118
JP 10513160	T2	19981215	JP 1996-523071	19960118
EP 1142867	A2	20011010	EP 2001-107877	19960118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
AT 229498	E	20021215	AT 1996-905316	19960118
ES 2183937	T3	20030401	ES 1996-905316	19960118
CZ 291556	B6	20030416	CZ 1997-2340	19960118
PT 805796	T	20030430	PT 1996-905316	19960118
EE 4111	B1	20030815	EE 1997-172	19960118
SK 283724	B6	20031202	SK 1997-987	19960118
PL 187313	B1	20040630	PL 1996-321848	19960118
RO 119885	B1	20050530	RO 1997-1369	19960118
TW 500714	B	20020901	TW 1996-85100690	19960122
IL 116846	A1	20021110	IL 1996-116846	19960122
NO 9703384	A	19970919	NO 1997-3384	19970722
FI 9703087	A	19970922	FI 1997-3087	19970722
BG 63383	B1	20011231	BG 1997-101841	19970821
US 6376538	B1	20020423	US 1997-875321	19970919
HK 1005241	A1	20030822	HK 1998-104006	19980508
AU 766538	B2	20031016	AU 2000-62432	20001002
US 2003083267	A1	20030501	US 2001-935461	20010822
US 6624152	B2	20030923		

US 2003018016	A1	20030123	US 2001-2341	20011023
US 6630512	B2	20031007		
US 7001921	B1	20060221	US 2003-625626	20030724
PRIORITY APPLN. INFO.:			US 1995-376372	A2 19950123
			AU 1996-49115	A3 19960118
			EP 1996-905316	A3 19960118
			WO 1996-US1349	W 19960118
			US 1997-875321	A3 19970919
			US 2001-935461	A1 20010822

OTHER SOURCE(S): MARPAT 125:248489

GI



- AB Novel dipeptide analogs I [X = CO₂H, PO₃H⁻, SO₂R₅, SO₃H, OPO₃H⁻, CO₂R₄, CONR₄; Y = CO, SO₂, PO₂; n = 0-2; R₁ = optionally substituted alkyl, alkenyl, alkynyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aralkenyl, aralkynyl, alkoxy, alkenyloxy, aralkoxy, alkylamino, alkenylamino, alkynylamino, aryloxy, arylamino, N-alkylurea-substituted alkyl, heterocyclyl; R₂ = H, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl-substituted alkyl; R₂NCR₃ = heterocyclic ring; R₃ = natural, unnatural, modified, or substituted amino acid side chain; R₄ = optionally substituted aryl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl-substituted alkyl, H, heterocyclyl, heterocyclylcarbonyl, aminocarbonyl, amido, alkylaminocarbonyl, arylaminocarbonyl, acylaminocarbonyl, acyl; R₅ = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, aralkenyl, aralkynyl] are prepared as compds. useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical compns. of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many inflammatory and autoimmune diseases. Thus, β-amino acid-containing dipeptide II, prepared by standard methods, displayed an IC₅₀ of <50 nM in a cell adhesion inhibition assay.
- IT **181519-80-2P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

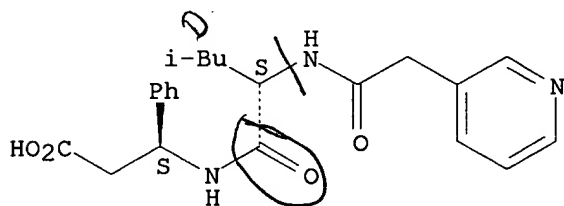
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of β -amino acid dipeptide derivs. as cell adhesion inhibitors)

RN 181519-80-2 CAPLUS

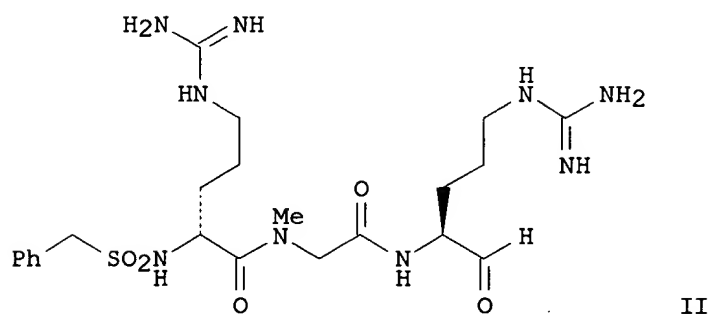
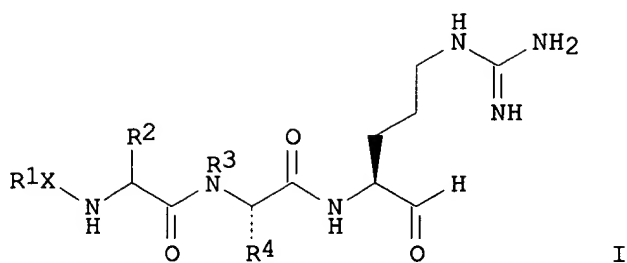
CN Benzenepropanoic acid, β -[[[(2S)-4-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]pentyl]amino]-, (β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 120 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:527345 CAPLUS
 DOCUMENT NUMBER: 125:196382
 TITLE: Preparation of peptide aldehydes as inhibitors of factor Xa.
 INVENTOR(S): Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche
 PATENT ASSIGNEE(S): Corvas International, Inc., USA
 SOURCE: PCT Int. Appl., 76 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619493	A1	19960627	WO 1995-US16866	19951221
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5696231	A	19971209	US 1994-361794	19941221
US 6025472	A	20000215	US 1995-484509	19950607
AU 9646086	A1	19960710	AU 1996-46086	19951221
AU 716995	B2	20000316		
EP 801654	A1	19971022	EP 1995-944234	19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9510264	A	19971104	BR 1995-10264	19951221
JP 10512550	T2	19981202	JP 1995-520031	19951221
NZ 300829	A	20010330	NZ 1995-300829	19951221
PRIORITY APPLN. INFO.:			US 1994-361794	A 19941221
			US 1995-484509	A 19950607
			WO 1995-US16866	W 19951221
OTHER SOURCE(S):	MARPAT 125:196382			
GI				



AB Title compds. [I; X = SO₂, NR'SO₂, CO, O₂C, NHCO, P(O)R'', bond; R' = H, alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R₁ = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, heterocyclyl, alkenyl, aryl, heteroaryl, aralkyl, aralkenyl, CHF₂, perfluoroalkyl, perfluoroaryl, etc.; R₂ = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl, pyridin-3-ylalkyl, guanidinoalkyl, methylsulfonylalkyl, etc.; R₃ = H, (substituted) alkyl, cycloalkyl, aryl; R₄ = H, (substituted) alkyl; with provisos], were prepared Thus, title compound (II), prepared by solution phase methods, inhibited factor Xa catalytic activity with IC₅₀ = 1.7 nM.

IT **180312-87-2P 180312-88-3P 180312-89-4P**

180312-93-0P

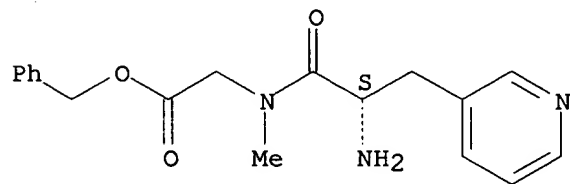
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide aldehydes as inhibitors of factor Xa)

RN 180312-87-2 CAPLUS

CN Glycine, N-methyl-N-[3-(3-pyridinyl)-L-alanyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

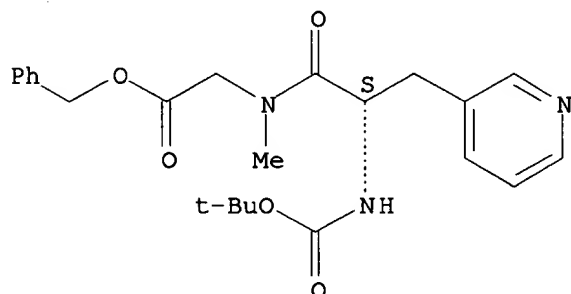


● HCl

RN 180312-88-3 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

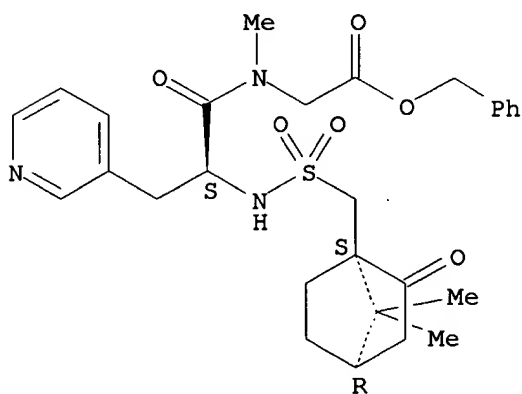
Absolute stereochemistry.



RN 180312-89-4 CAPLUS

CN Glycine, N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

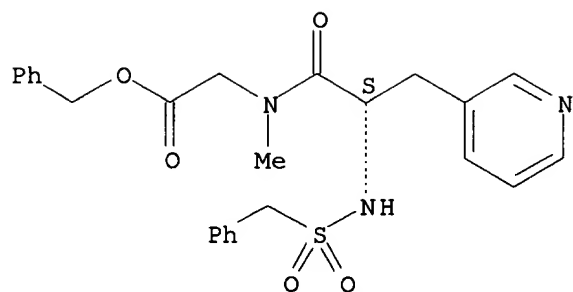
Absolute stereochemistry.



RN 180312-93-0 CAPLUS

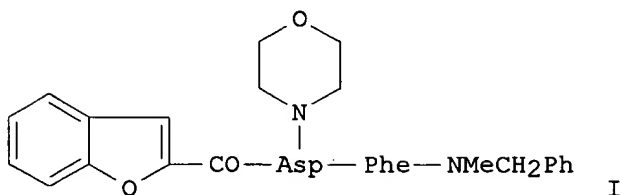
CN Glycine, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 X ACCESSION NUMBER: 1996:494173 CAPLUS
 DOCUMENT NUMBER: 125:143330
 TITLE: Peptide compounds for prevention and/or treatment of
 nitric oxide (NO)-mediated diseases
 INVENTOR(S): Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi;
 Hamashima, Hitoshi; Inoue, Takayuki; Hashimoto, Seiji;
 Oku, Teruo
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 739 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616981	A2	19960606	WO 1995-JP2428	19951129
WO 9616981	A3	19960906		
W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539937	A1	19960619	AU 1995-39937	19951129
EP 796270	A2	19970924	EP 1995-938602	19951129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9510201	A	19960625	ZA 1995-10201	19951130
US 5932737	A	19990803	US 1997-849076	19970530
PRIORITY APPLN. INFO.:			GB 1994-24408	A 19941202
			GB 1995-4891	A 19950310
			GB 1995-10042	A 19950518
			WO 1995-JP2428	W 19951129
OTHER SOURCE(S):		MARPAT 125:143330		
GI				



AB Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO2; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH2C6H4CH2-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO2H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepared for use as medicaments. Thus, dipeptide I was prepared by acylation of aspartylphenylalaninamide derivative with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO production in tests of murine macrophage cells.

IT **179875-72-0P 179876-17-6P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

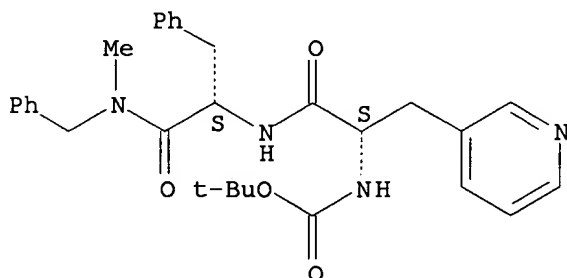
(Reactant or reagent)

(preparation of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179875-72-0 CAPLUS

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

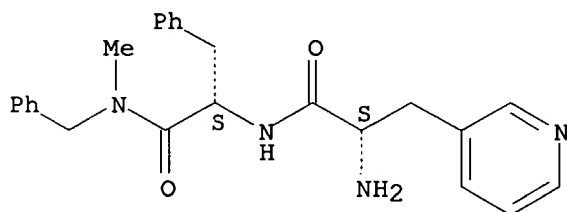
Absolute stereochemistry.



RN 179876-17-6 CAPLUS

CN L-Phenylalaninamide, 3-(3-pyridinyl)-L-alanyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179881-23-3P 179881-24-4P

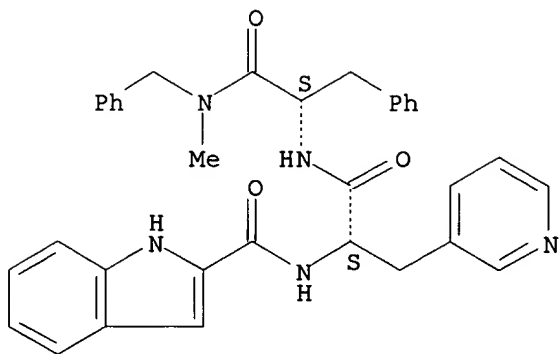
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179881-23-3 CAPLUS

CN L-Phenylalaninamide, N-(1H-indol-2-ylcarbonyl)-3-(3-pyridinyl)-L-alanyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

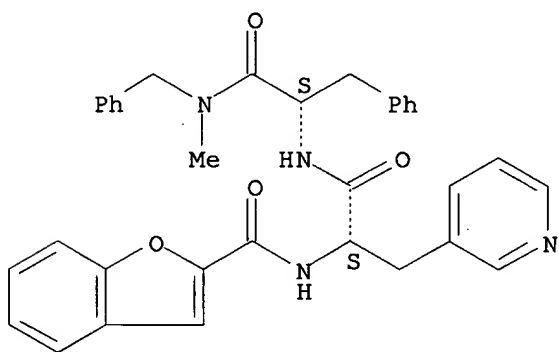
Absolute stereochemistry.



RN 179881-24-4 CAPLUS

CN L-Phenylalaninamide, N-(2-benzofuranylcarbonyl)-3-(3-pyridinyl)-L-alanyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 122 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:476652 CAPLUS
 DOCUMENT NUMBER: 125:142578
 TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles
 as bradykinin antagonists.
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe,
 Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka,
 Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 263 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	AA	19960509	CA 1995-2203659	19951025
AU 9537536	A1	19960523	AU 1995-37536	19951025
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025
JP 10507764	T2	19980728	JP 1996-514166	19951025
JP 3697486	B2	20050921		
AT 269310	E	20040715	AT 1995-935563	19951025
ES 2218554	T3	20041116	ES 1995-935563	19951025
US 5994368	A	19991130	US 1997-809416	19970425
PRIORITY APPLN. INFO.:			GB 1994-21684	A 19941027
			GB 1995-12339	A 19950616
			WO 1995-JP2192	W 19951025
OTHER SOURCE(S):	MARPAT 125:142578			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-

methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [preps. given] using EDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10⁻⁶ M.

IT **177478-44-3P 177478-45-4P 177478-46-5P**

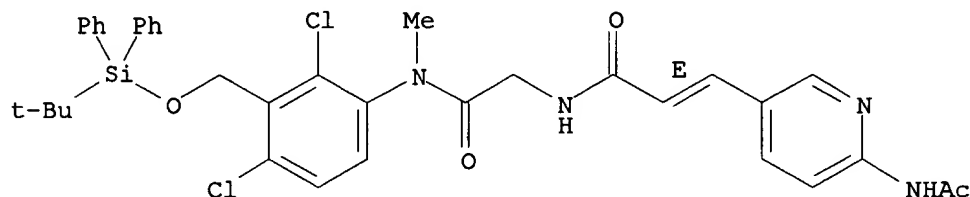
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

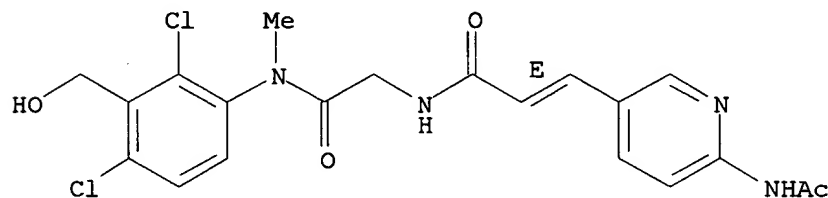
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

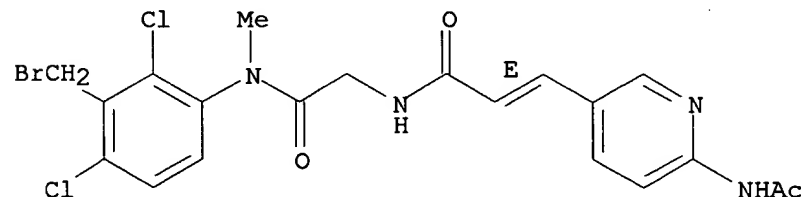
Double bond geometry as shown.



RN 177478-46-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **167838-45-1P 167838-46-2P 167838-69-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

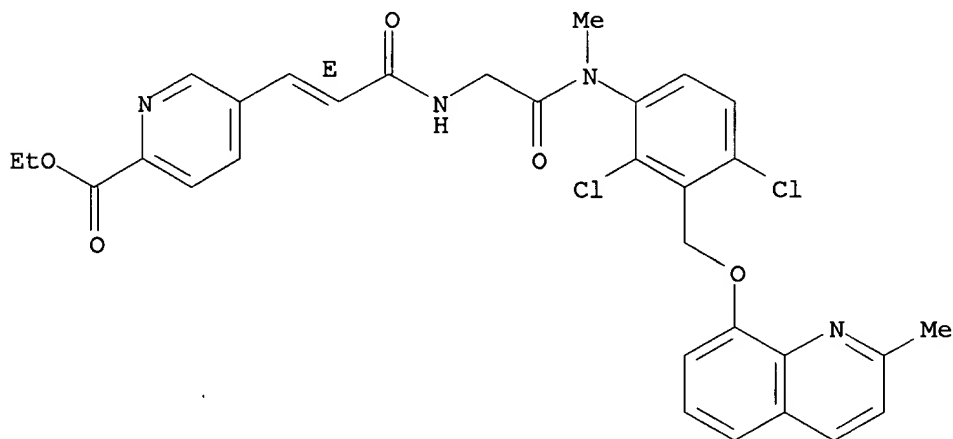
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

RN 167838-45-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

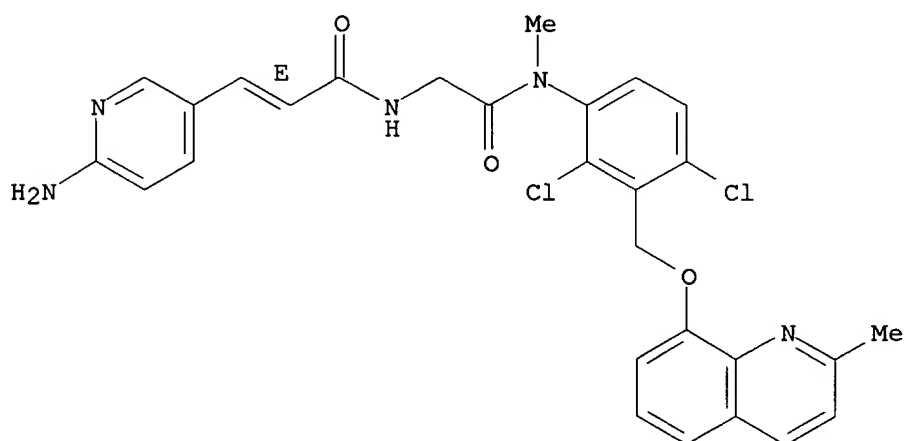
Double bond geometry as shown.



RN 167838-46-2 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

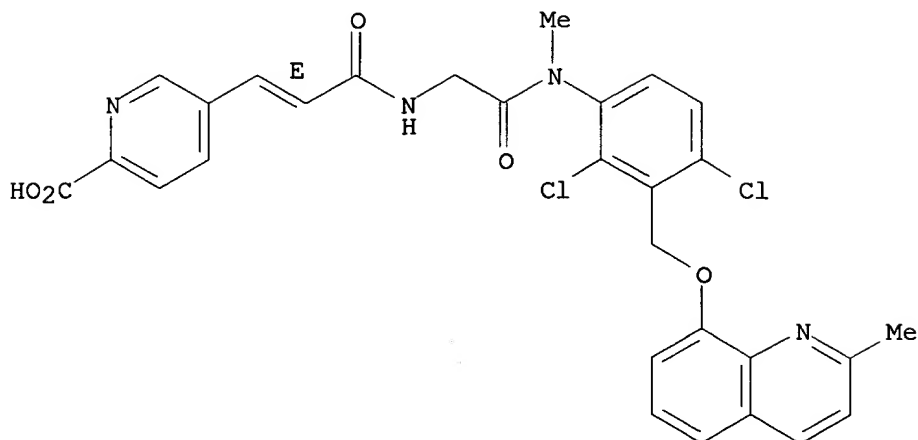
Double bond geometry as shown.



RN 167838-69-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 179621-18-2P 179621-19-3P 179621-20-6P
 179621-21-7P 179621-24-0P 179621-25-1P
 179621-26-2P 179621-27-3P 179621-28-4P
 179621-29-5P 179621-30-8P 179621-31-9P
 179621-32-0P 179621-33-1P 179621-34-2P
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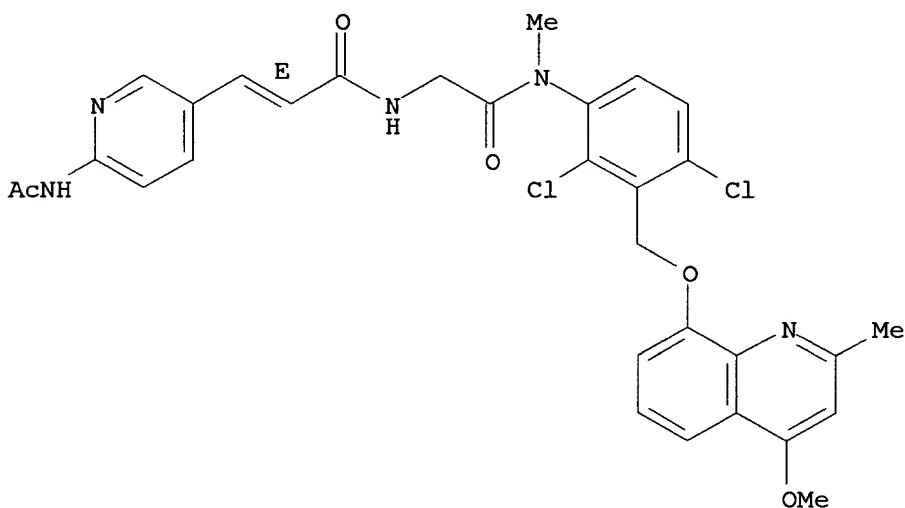
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

RN 179621-18-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[4-methoxy-2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

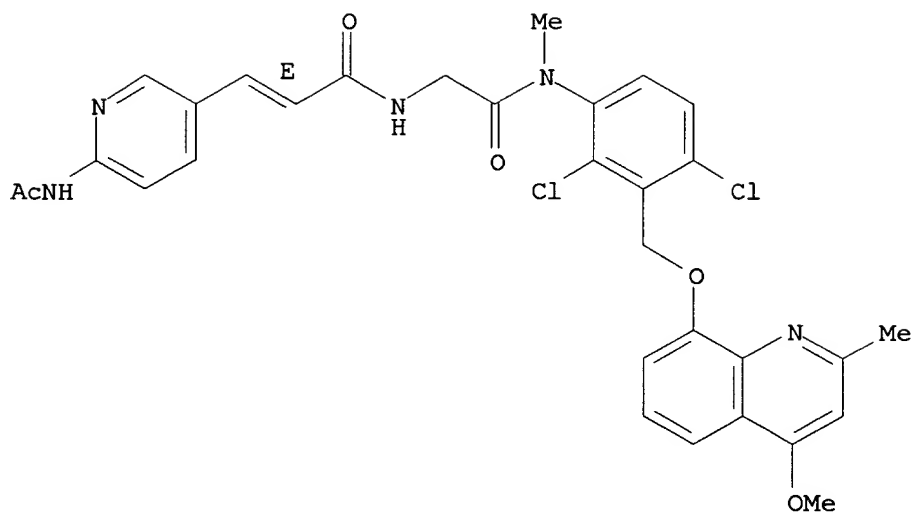


RN 179621-19-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[4-methoxy-2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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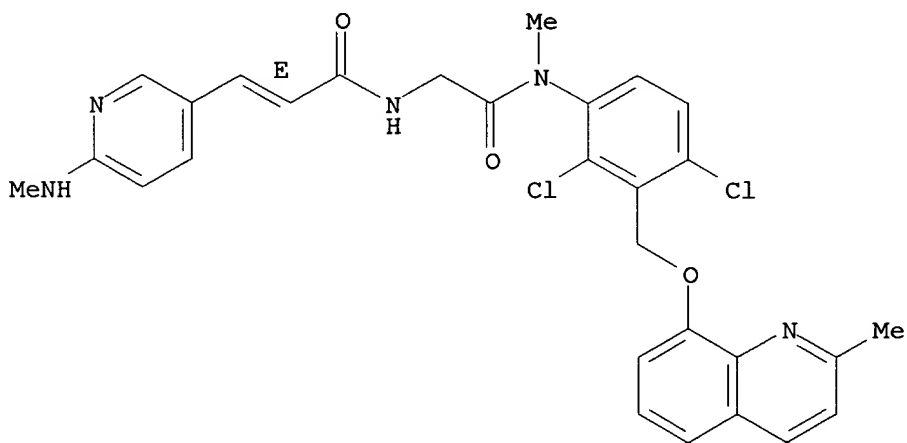
PAGE 2-A

● 2 HCl

RN 179621-20-6 CAPLUS

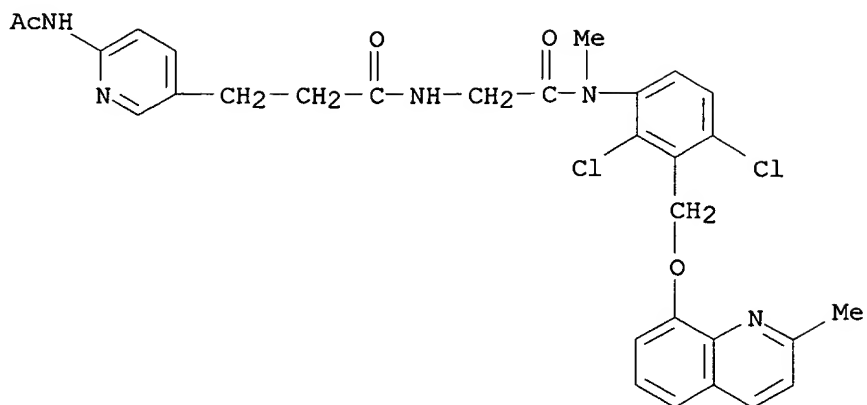
CN 2-Propenamide, N-[2-[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(methylamino)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 179621-21-7 CAPLUS

CN 3-Pyridinepropanamide, 6-(acetylamino)-N-[2-[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

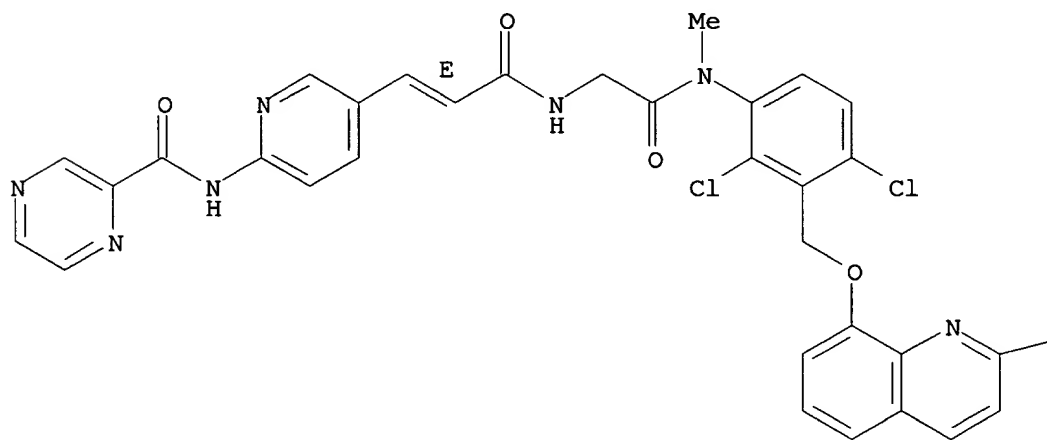


RN 179621-24-0 CAPLUS

CN Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



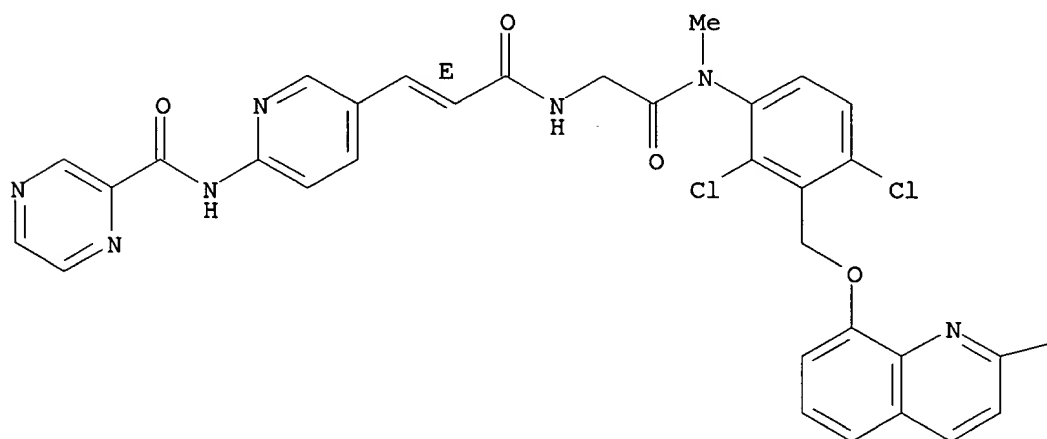
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RN 179621-25-1 CAPLUS

CN Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



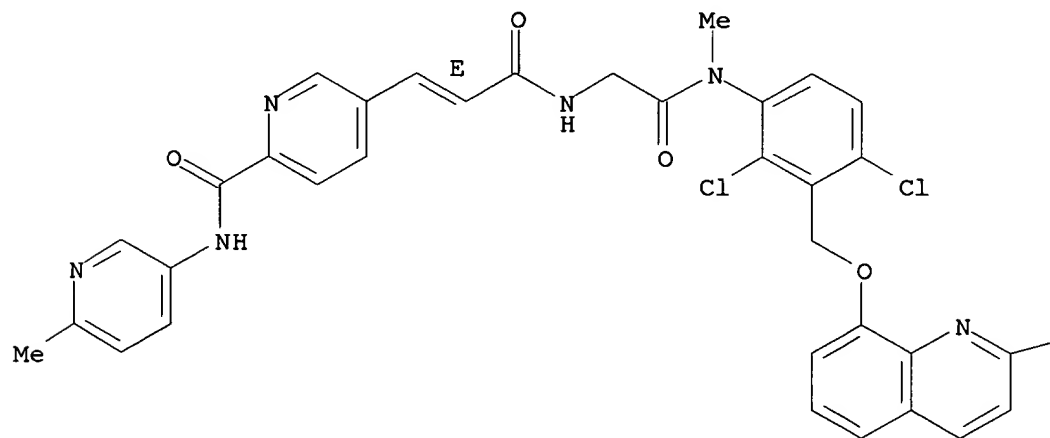
● 3 HCl

—Me

RN 179621-26-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(6-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



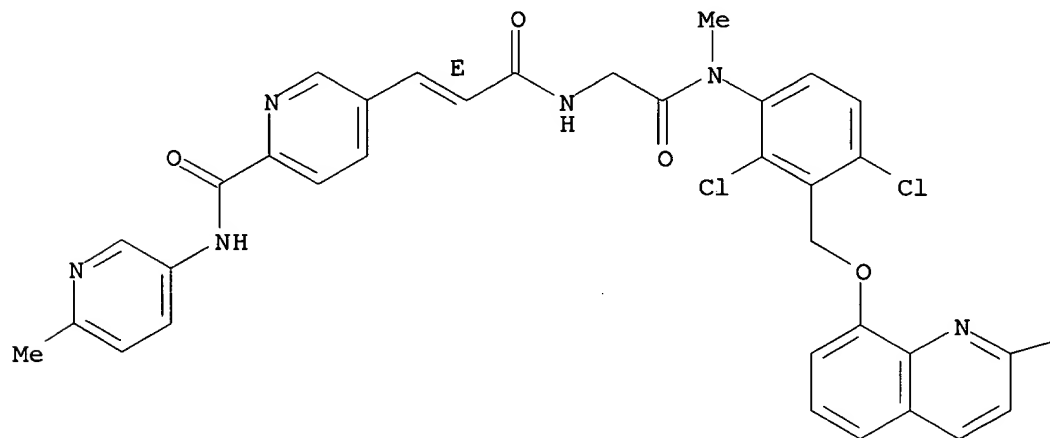
—Me

RN 179621-27-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(6-methyl-3-pyridinyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



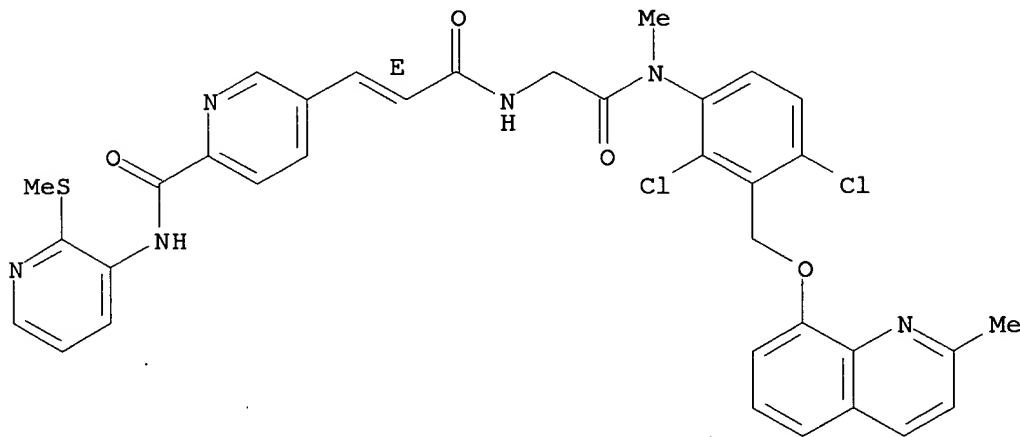
● 3 HCl

Me

RN 179621-28-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-[2-(methylthio)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

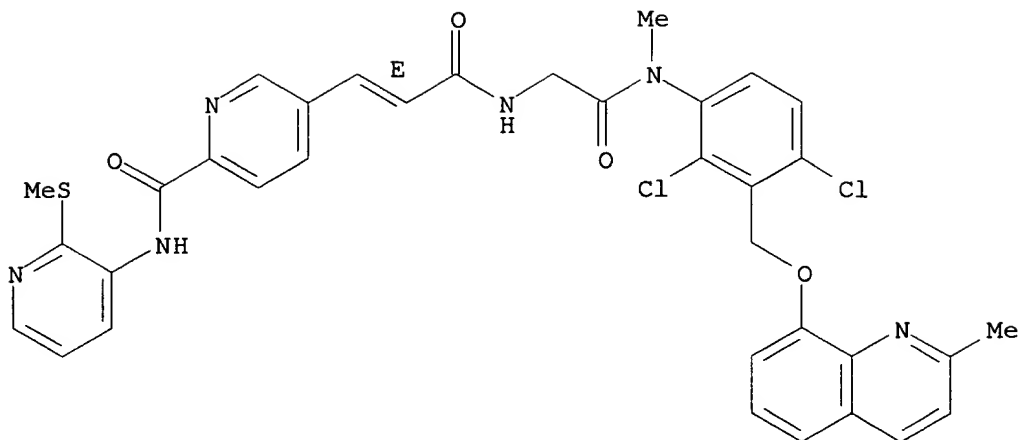
Double bond geometry as shown.



RN 179621-29-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-[2-(methylthio)-3-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



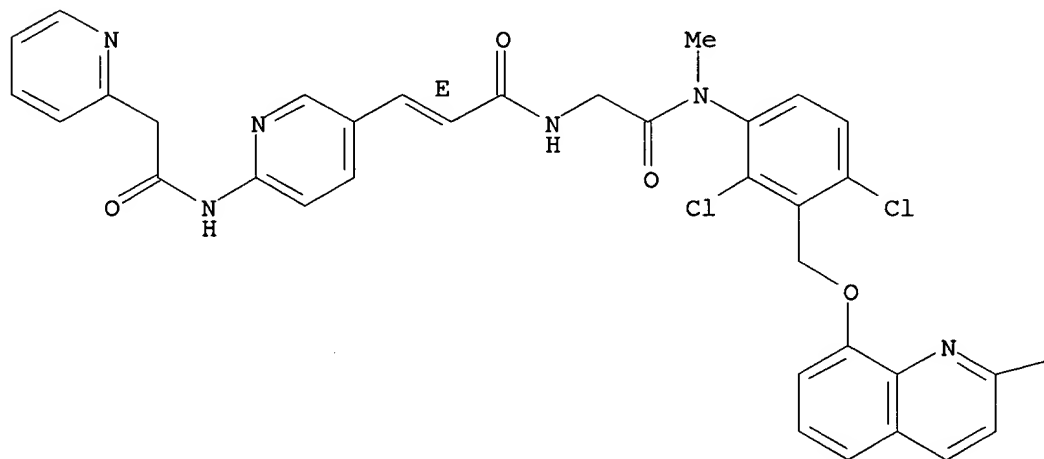
● 3 HCl

RN 179621-30-8 CAPLUS

CN 2-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



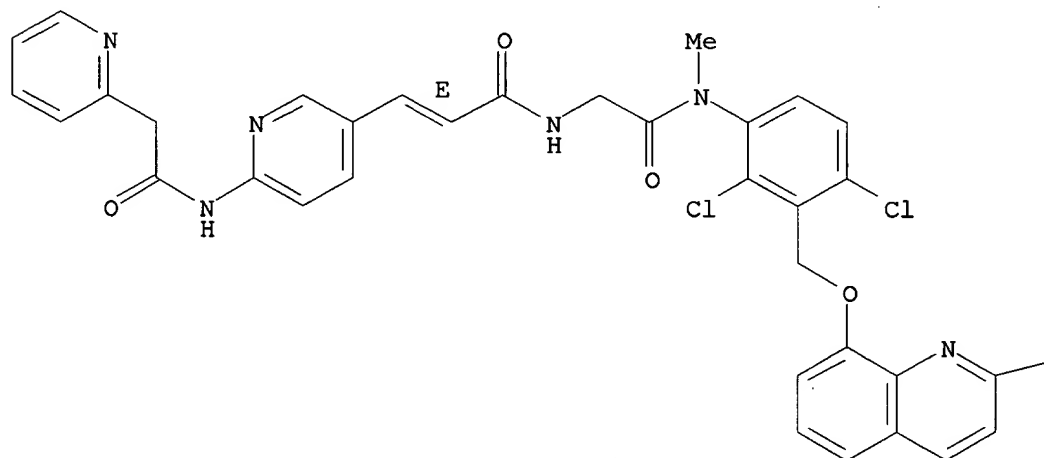
—Me

RN 179621-31-9 CAPLUS

CN 2-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



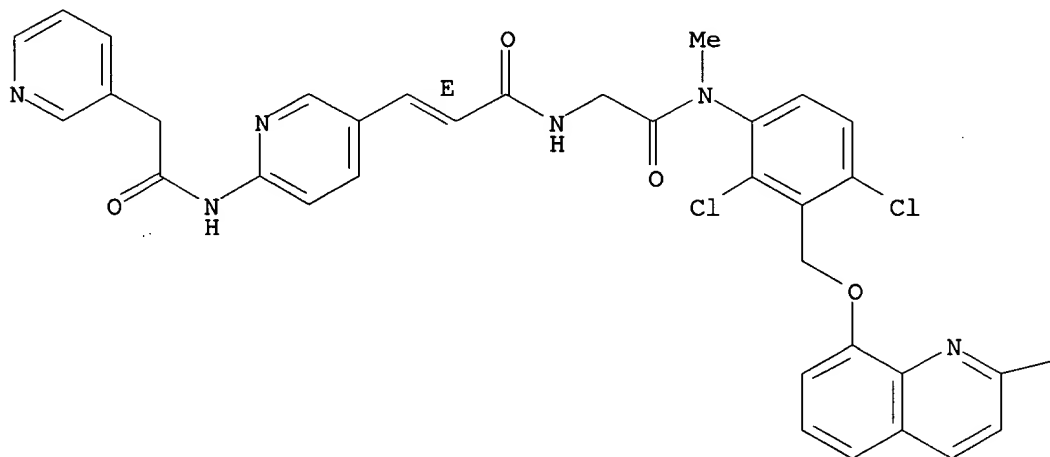
● 3 HCl

—Me

RN 179621-32-0 CAPLUS

CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



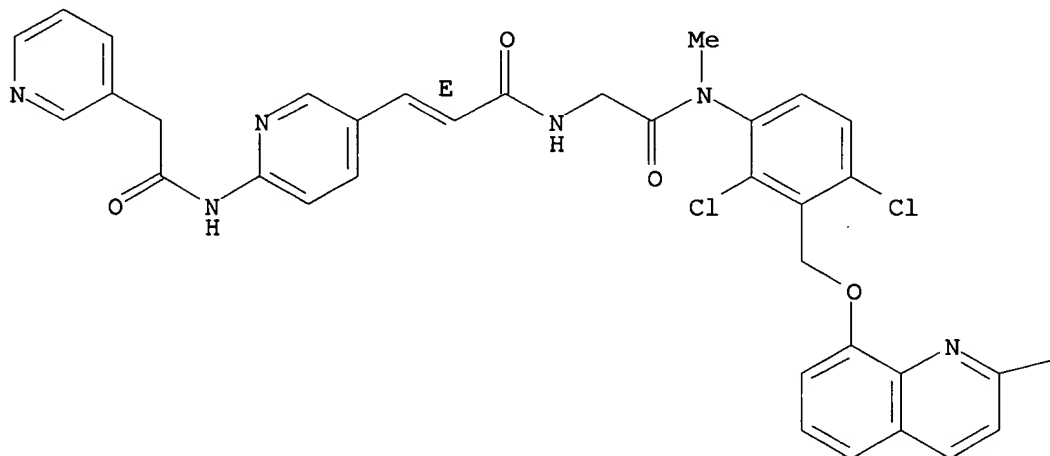
—Me

RN 179621-33-1 CAPLUS

CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



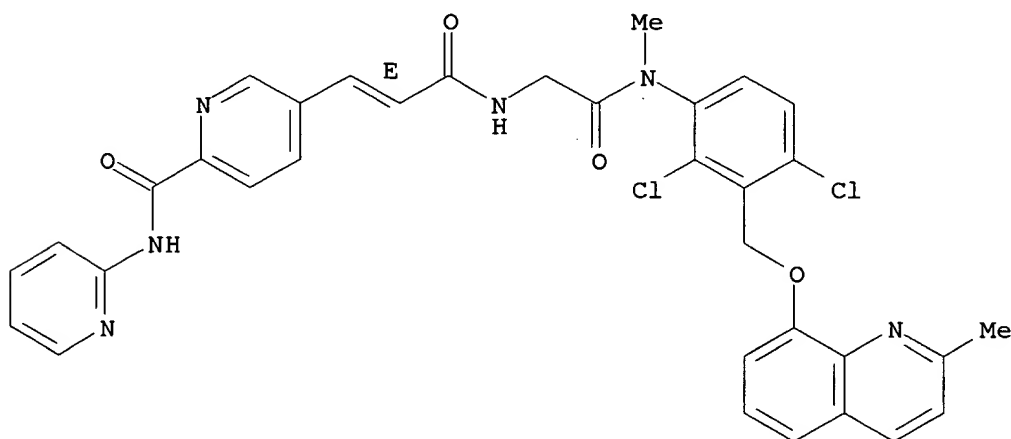
● 3 HCl

—Me

RN 179621-34-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

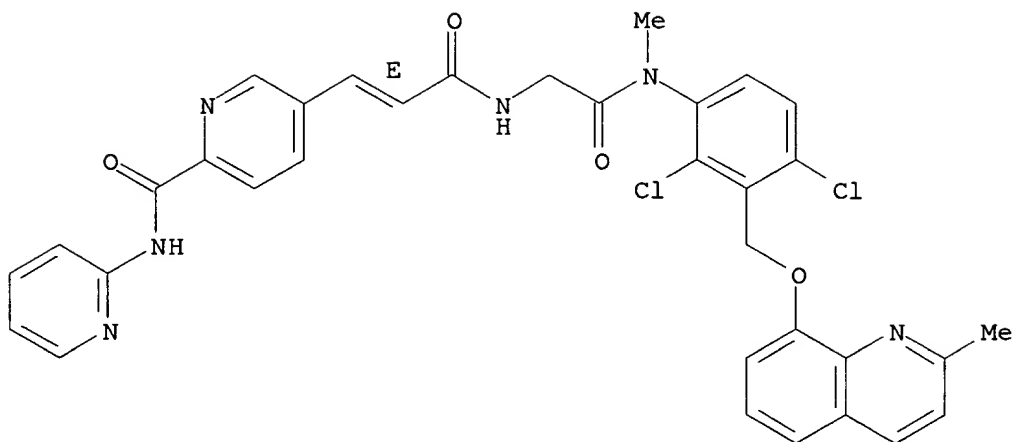
Double bond geometry as shown.



RN 179621-35-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-pyridinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

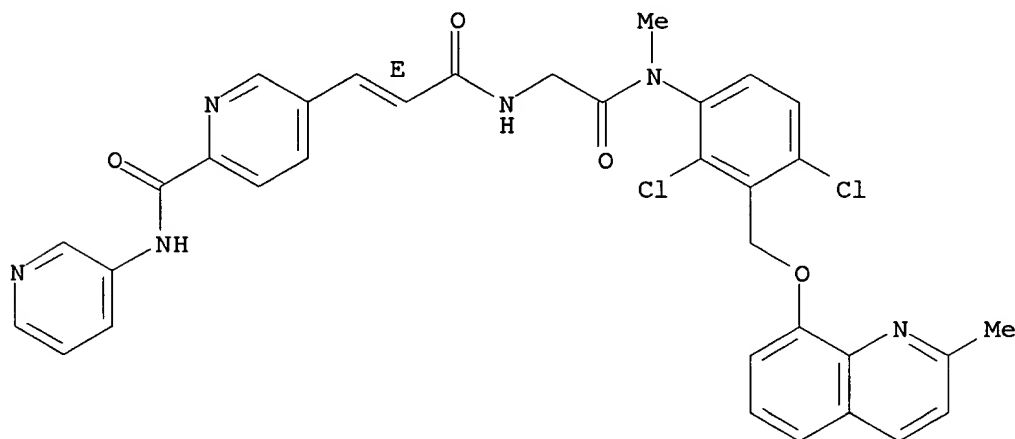


● 3 HCl

RN 179621-36-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-3-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

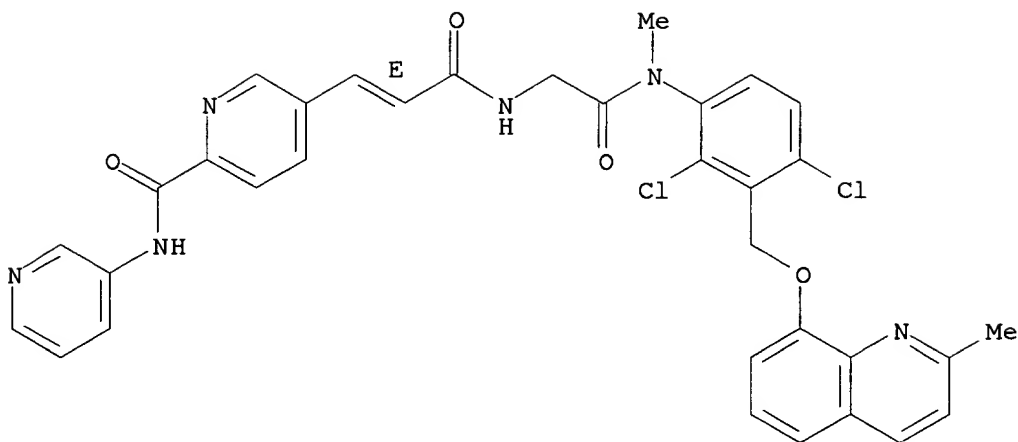
Double bond geometry as shown.



RN 179621-37-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-3-pyridinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

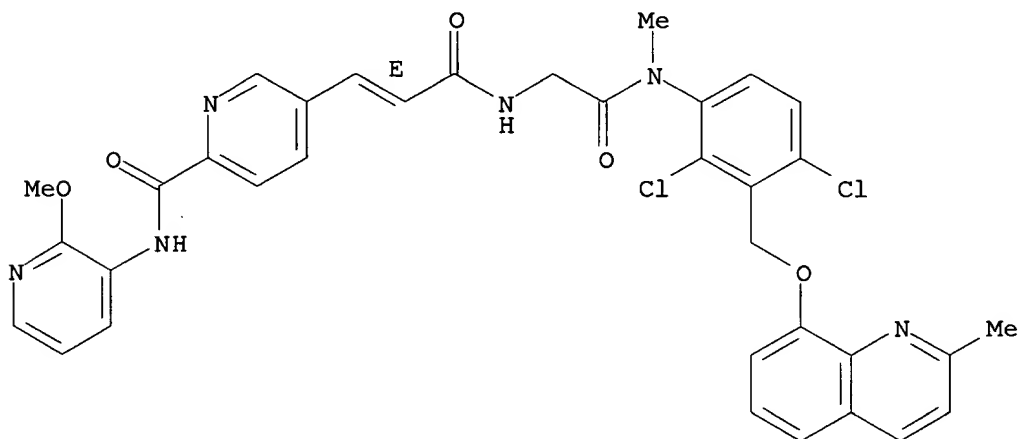


● 3 HCl

RN 179621-38-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-methoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

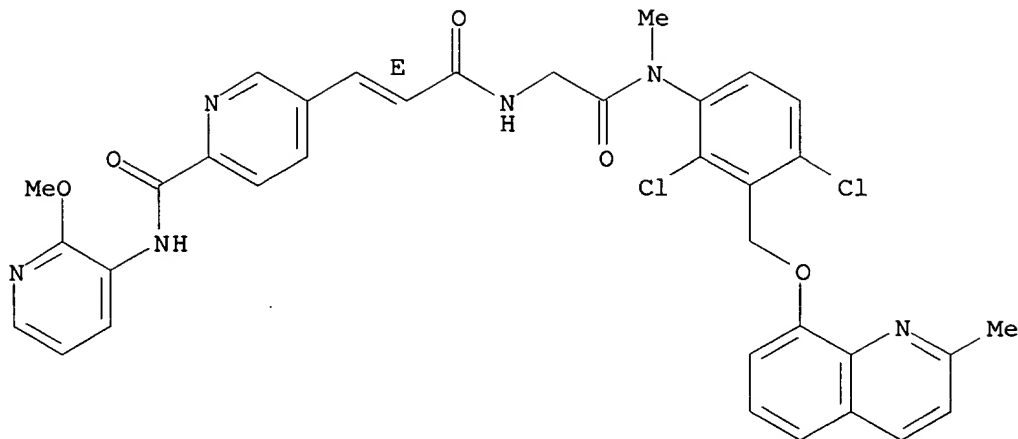
Double bond geometry as shown.



RN 179621-39-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-methoxy-3-pyridinyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

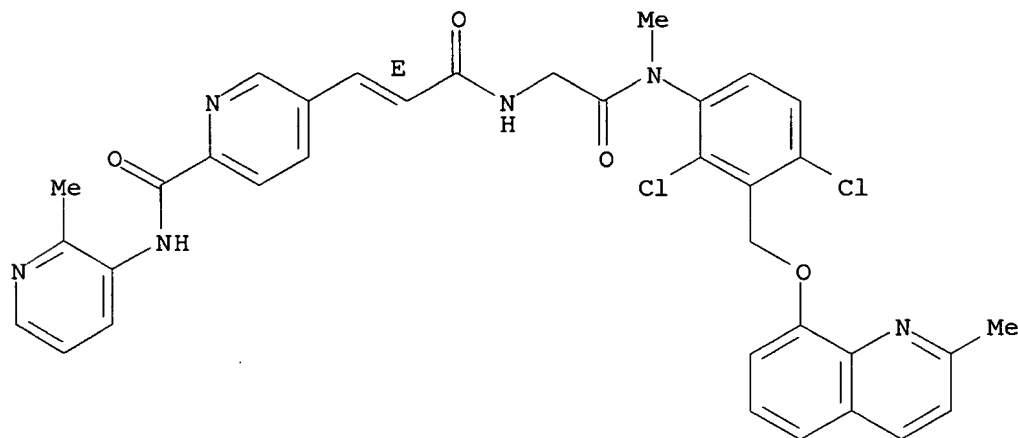


● 3 HCl

RN 179621-40-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-methyl-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

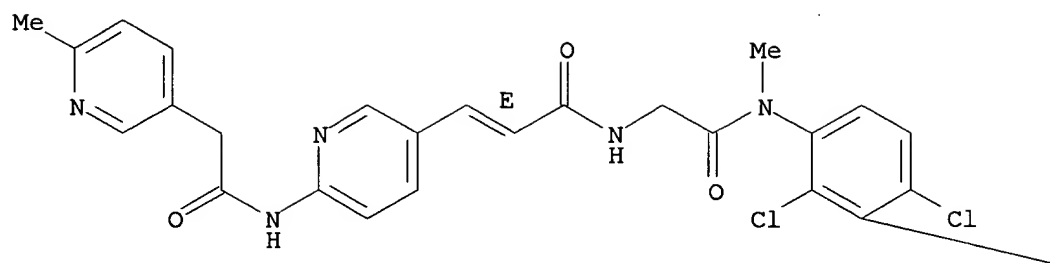


RN 179621-41-1 CAPLUS

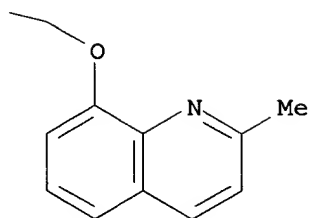
CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-6-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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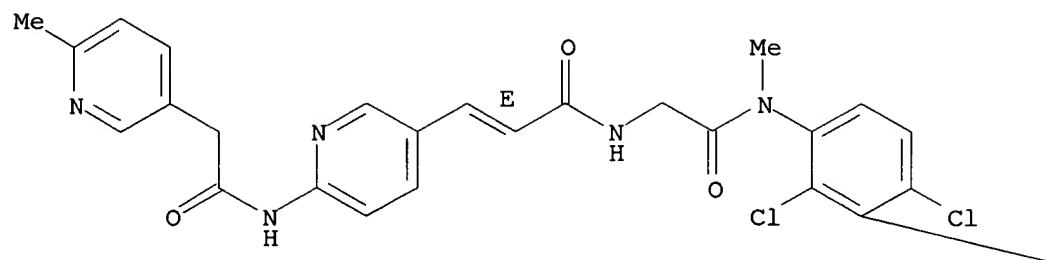
PAGE 1-B



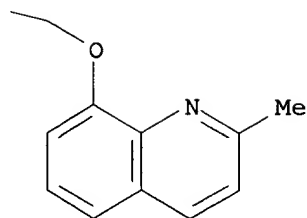
RN 179621-42-2 CAPLUS

CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-6-methyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 3 HCl

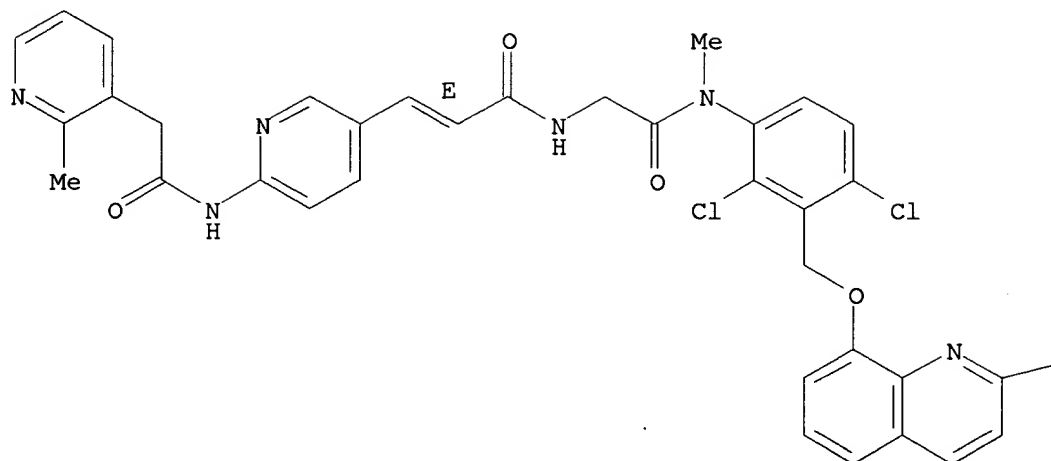


RN 179621-43-3 CAPLUS

CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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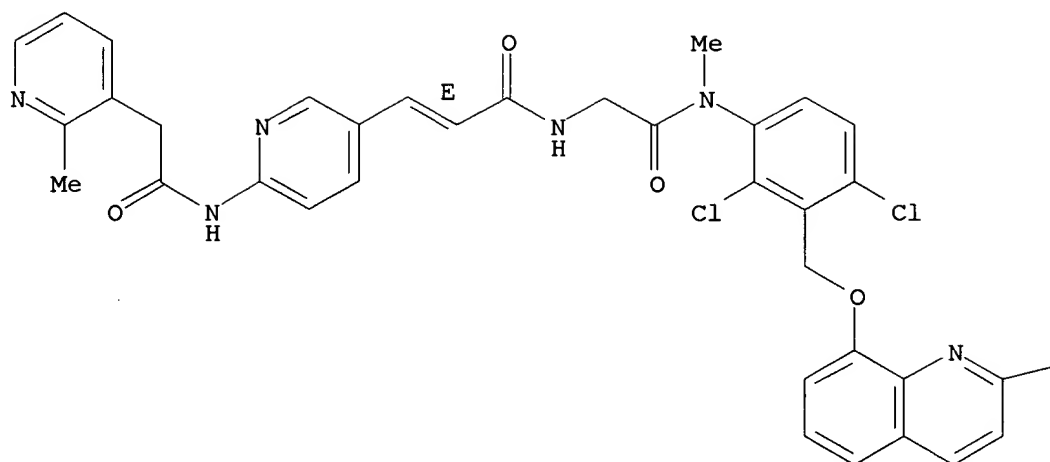
PAGE 1-B

— Me

RN 179621-44-4 CAPLUS

CN 3-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

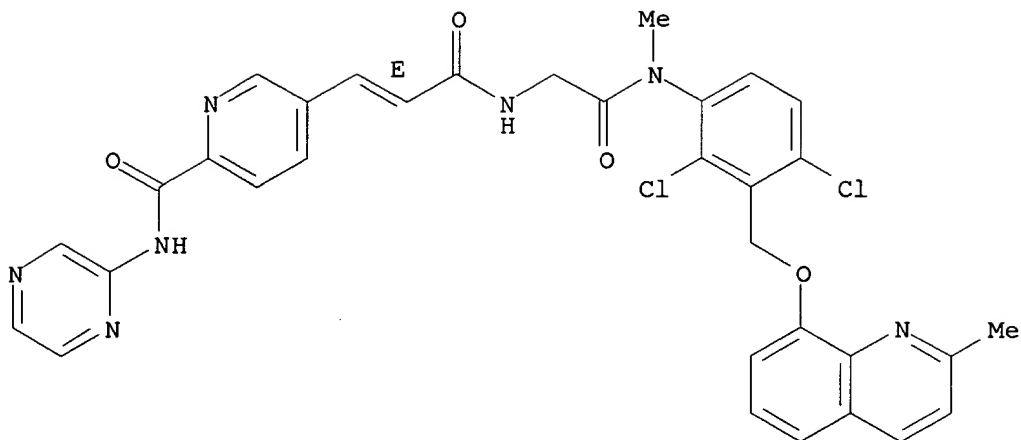


● 3 HCl

— Me

RN 179621-45-5 CAPLUS
 CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-pyrazinyl-, (E)- (9CI) (CA INDEX NAME)

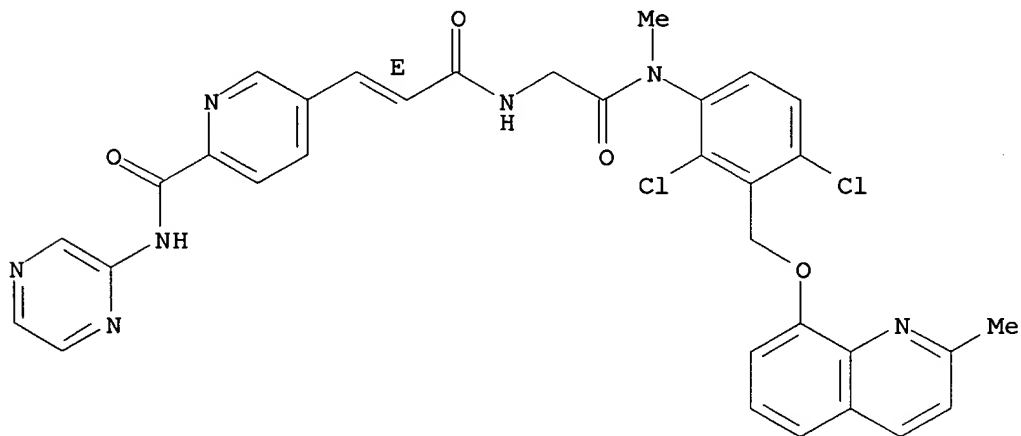
Double bond geometry as shown.



RN 179621-46-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-pyrazinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

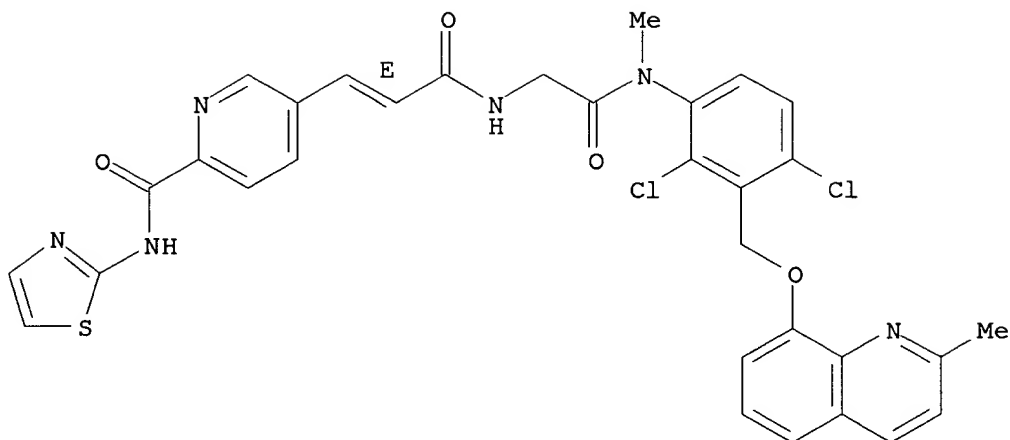


● 3 HCl

RN 179621-47-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-thiazolyl-, (E)- (9CI) (CA INDEX NAME)

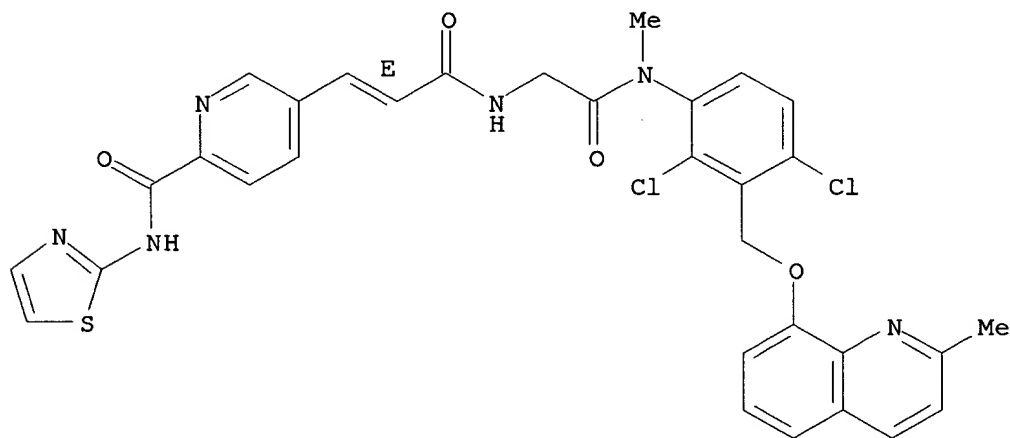
Double bond geometry as shown.



RN 179621-48-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-thiazolyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



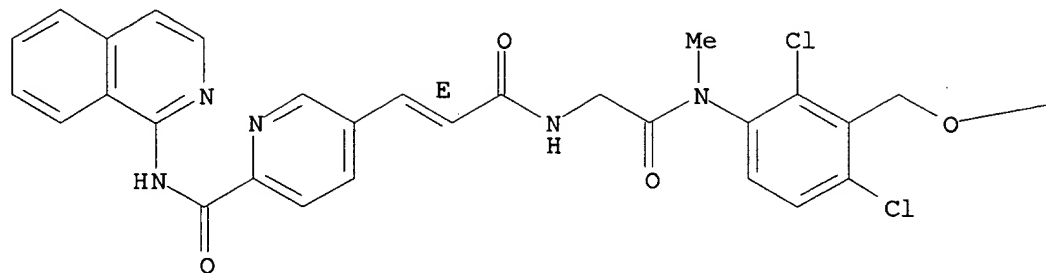
● 3 HCl

RN 179621-49-9 CAPLUS

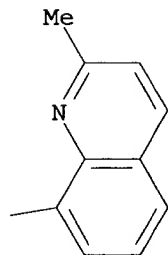
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-1-isoquinolinyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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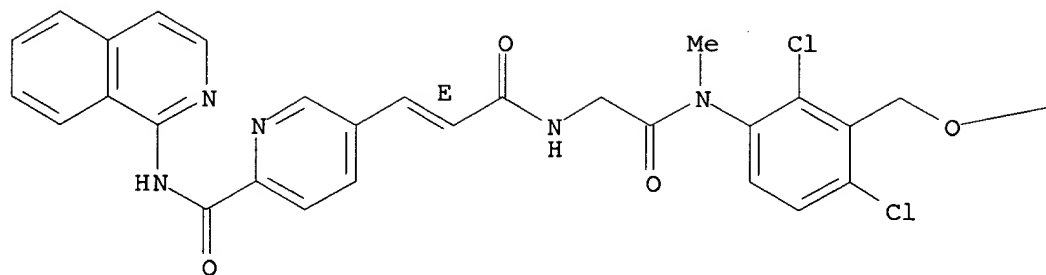
PAGE 1-B



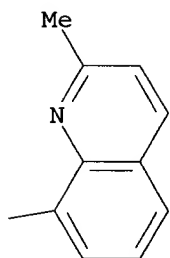
RN 179621-50-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-1-isoquinolinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 3 HCl

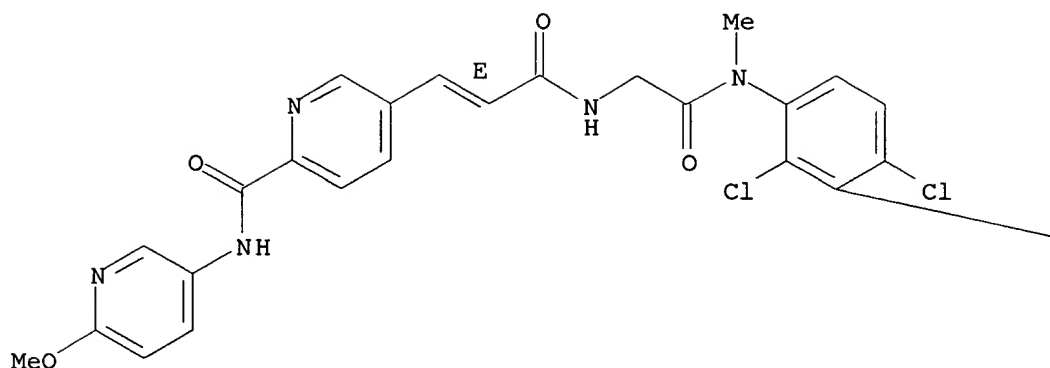


RN 179621-52-4 CAPLUS

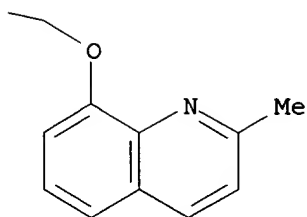
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(6-methoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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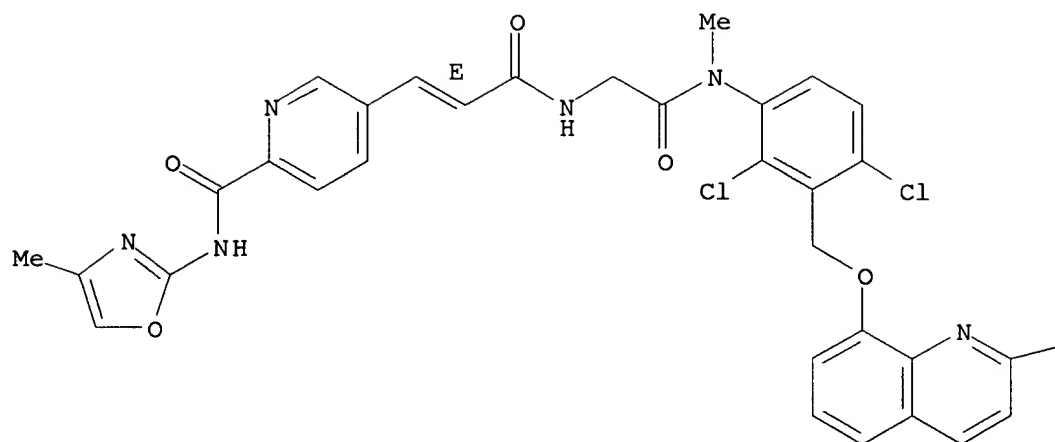


RN 179621-53-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(4-methyl-2-oxazolyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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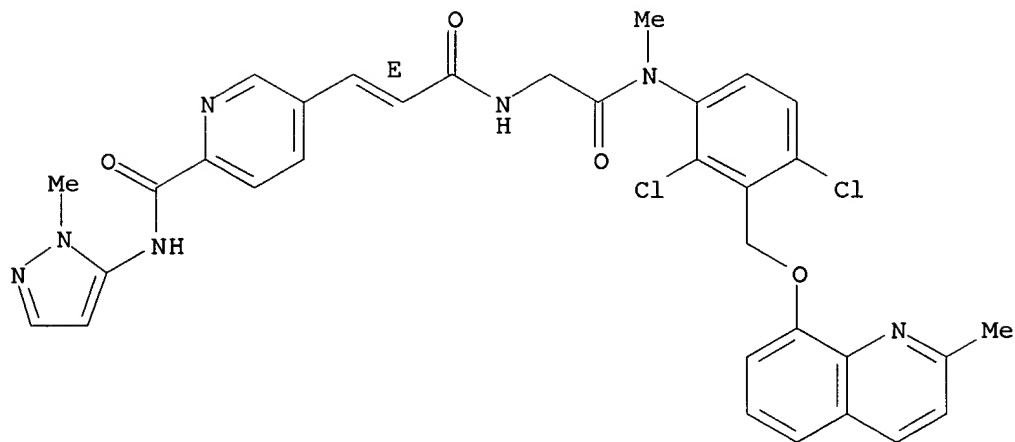
PAGE 1-B

—Me

RN 179621-54-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyloxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(1-methyl-1H-pyrazol-5-yl)-, (E)- (9CI) (CA INDEX NAME)

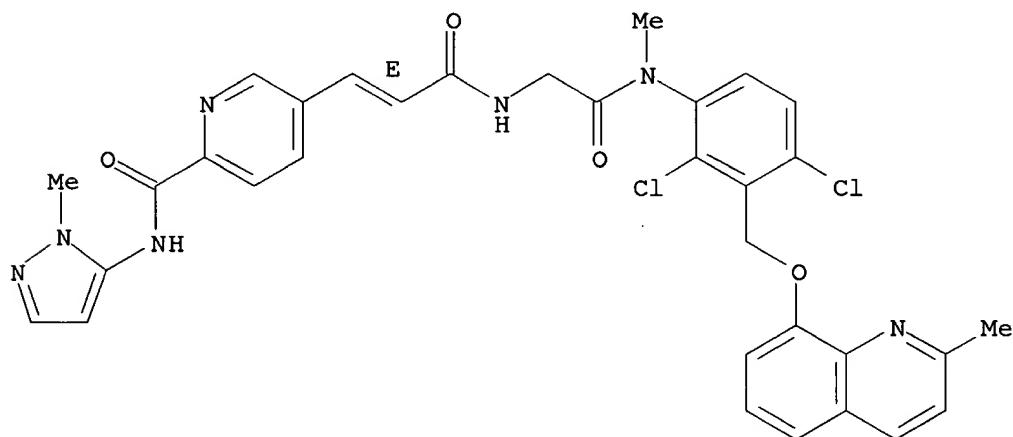
Double bond geometry as shown.



RN 179621-55-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(1-methyl-1H-pyrazol-5-yl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

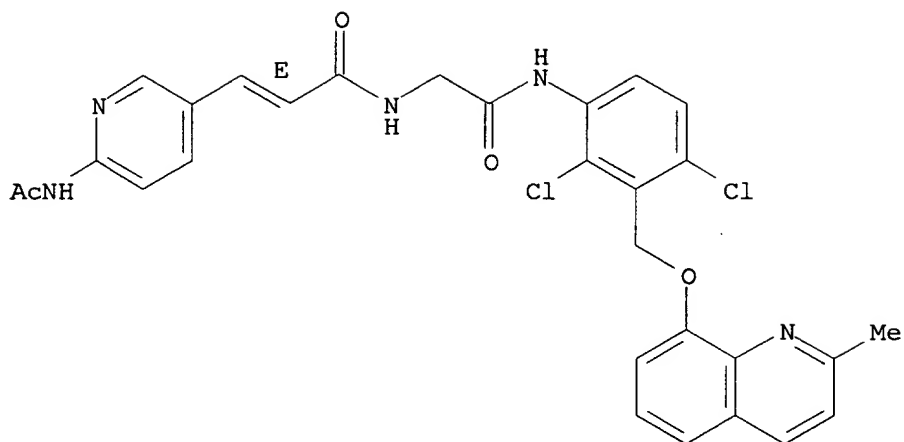


● 3 HCl

RN 179621-83-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]amino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

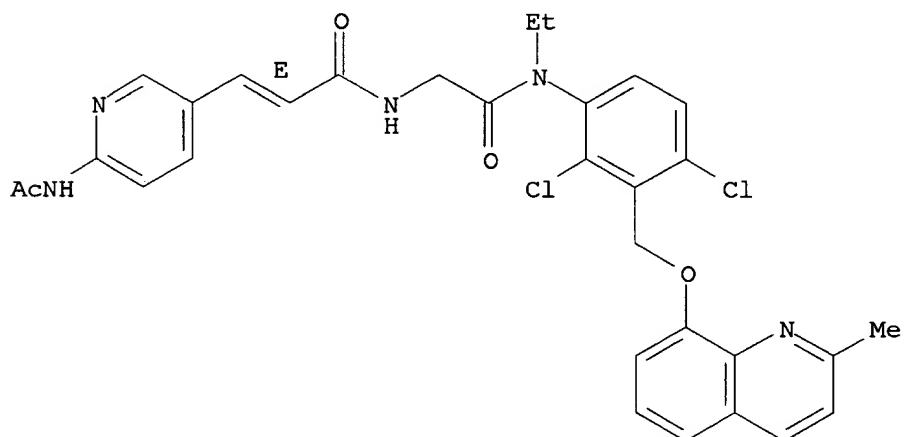
Double bond geometry as shown.



RN 179621-86-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]ethylamino]-2-oxoethyl]-, (E)- (9CI)
(CA INDEX NAME)

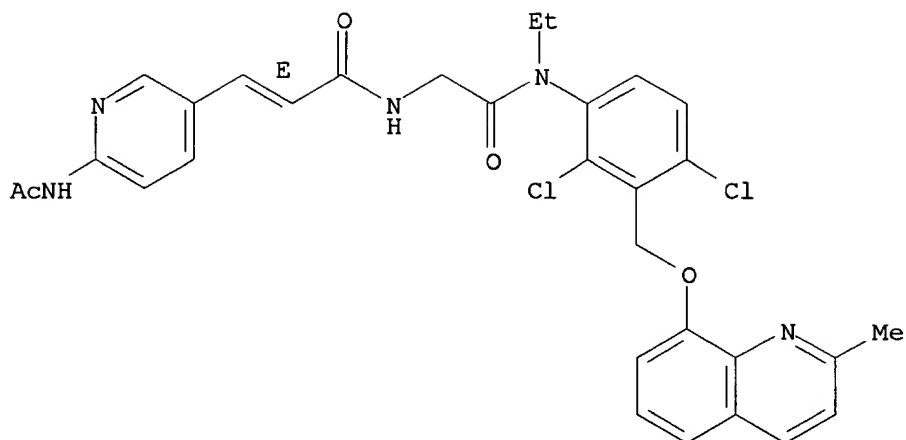
Double bond geometry as shown.



RN 179621-87-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]ethylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

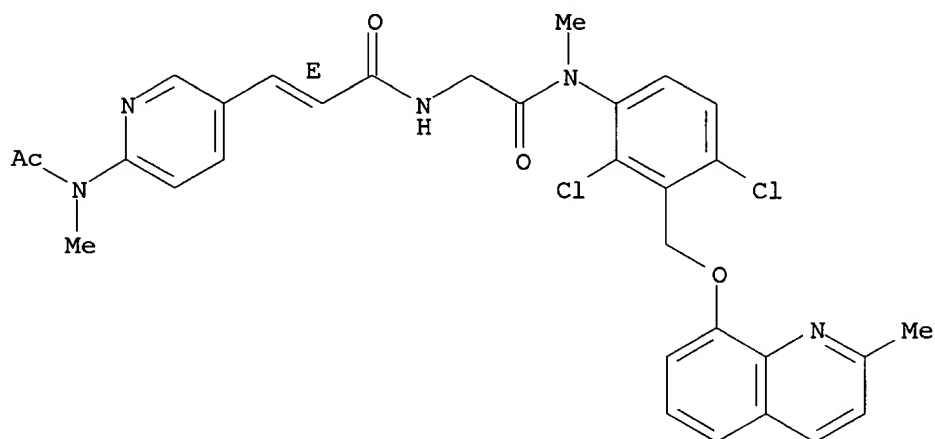


● 2 HCl

RN 179622-20-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylmethylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)-(9CI) (CA INDEX NAME)

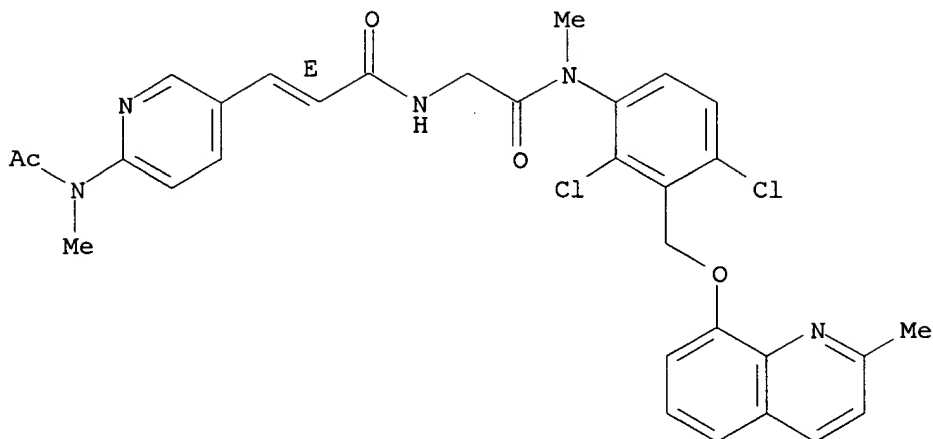
Double bond geometry as shown.



RN 179622-21-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylmethylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

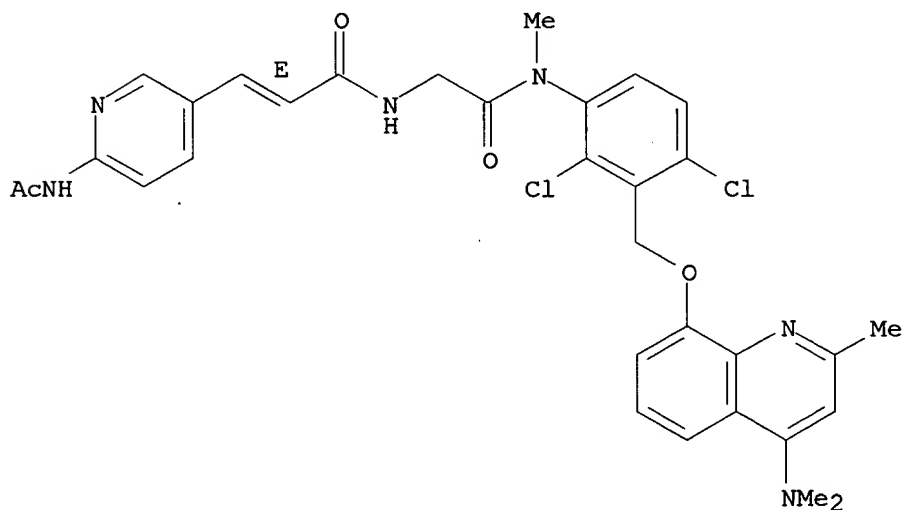


● 2 HCl

RN 179622-24-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

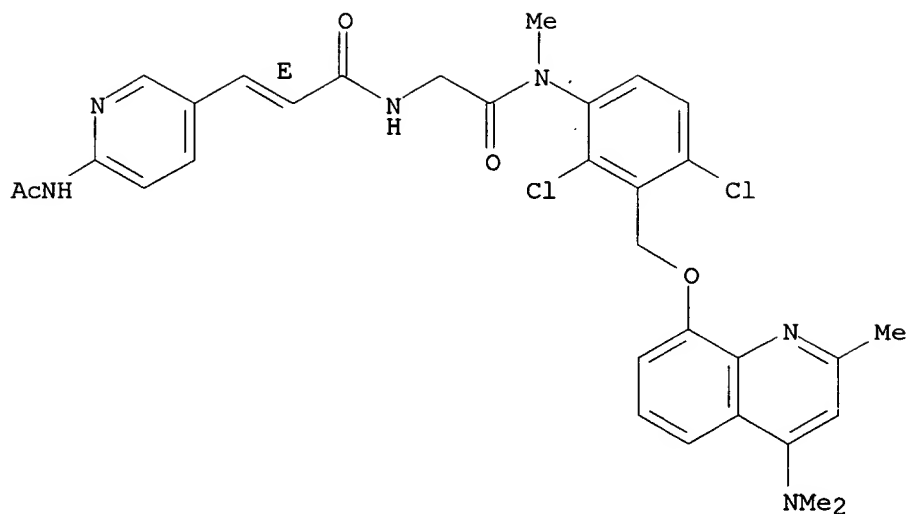


RN 179622-25-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, trihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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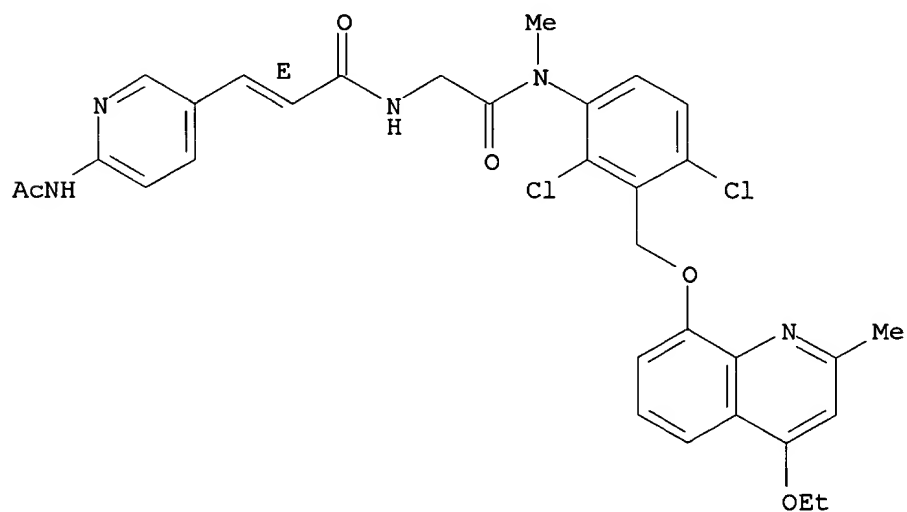
PAGE 2-A

● 3 HCl

RN 179622-26-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(4-ethoxy-2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



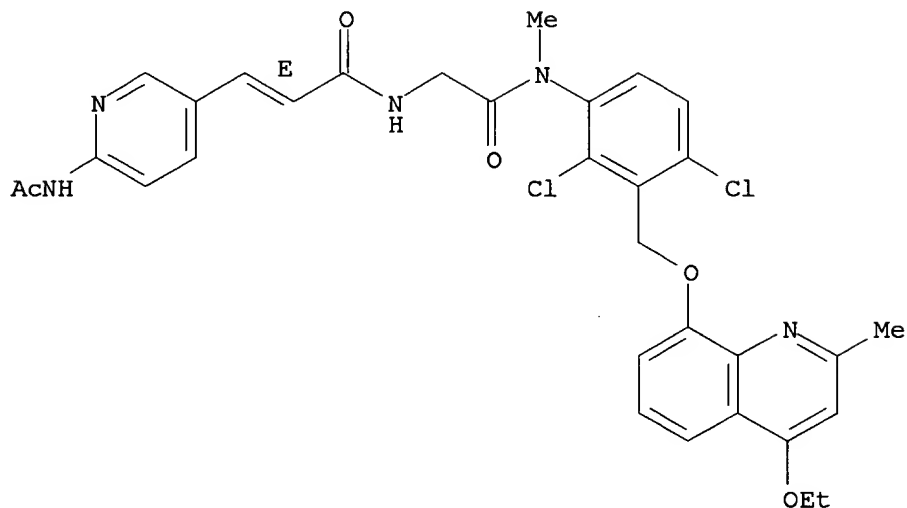
RN 179622-27-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(4-ethoxy-2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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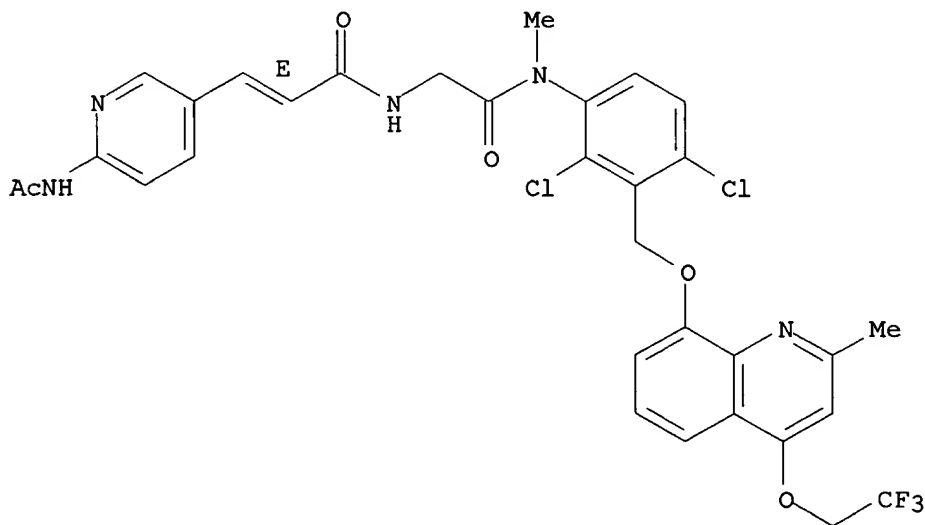
PAGE 2-A

●2 HCl

RN 179622-30-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-4-(2,2,2-trifluoroethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylaminol]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



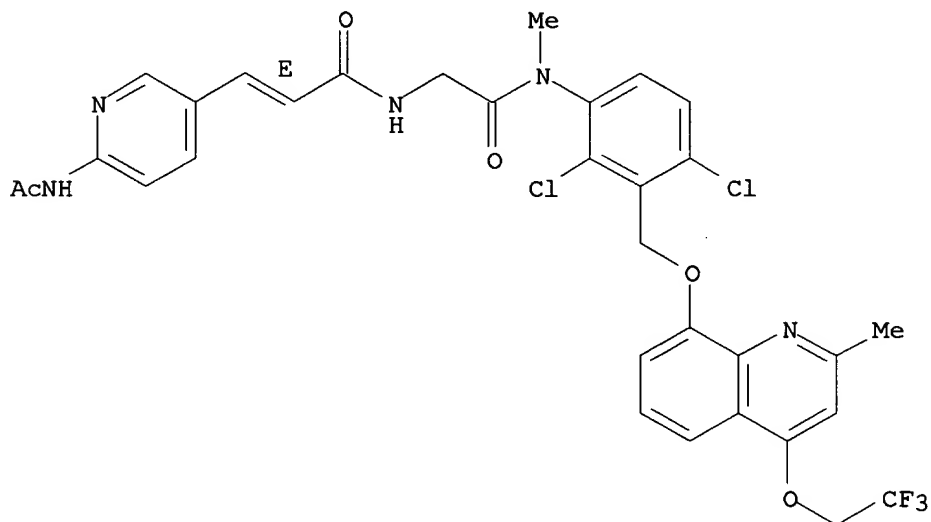
09/596,086

RN 179622-31-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(2,2,2-trifluoroethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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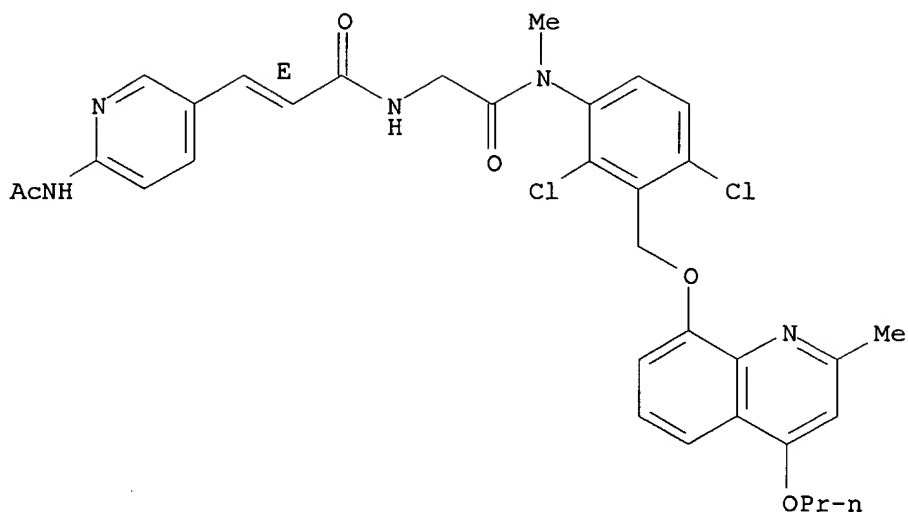
PAGE 2-A

● 2 HCl

RN 179622-34-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-propoxy-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

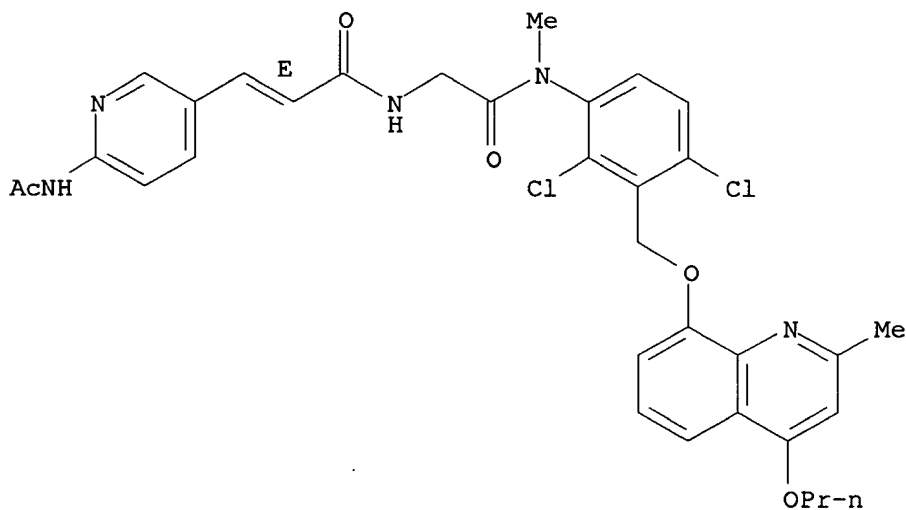


RN 179622-35-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-4-propoxy-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 2 HCl

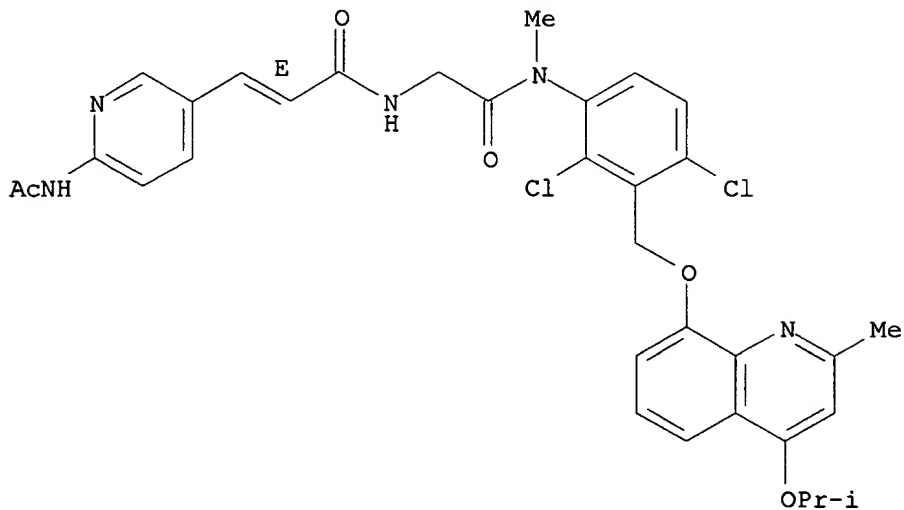
RN 179622-36-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-methylethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-

09/596,086

oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

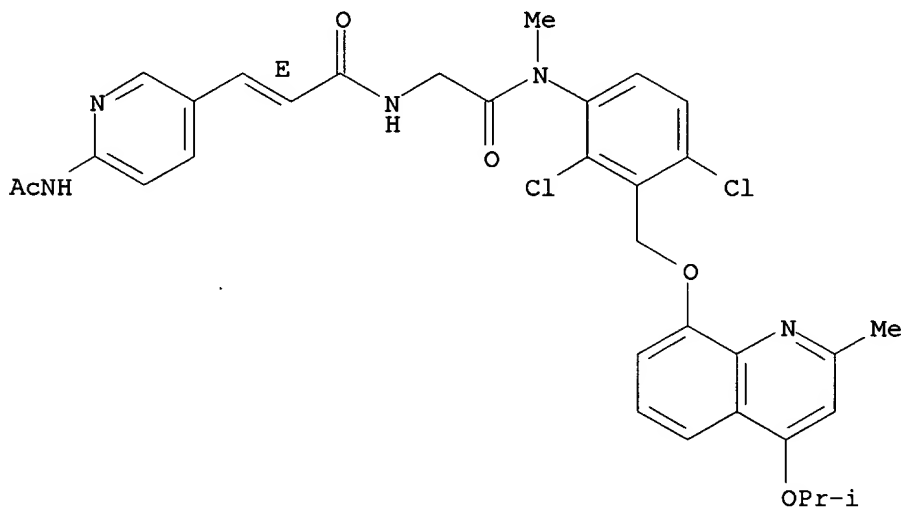


RN 179622-37-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-methylethoxy)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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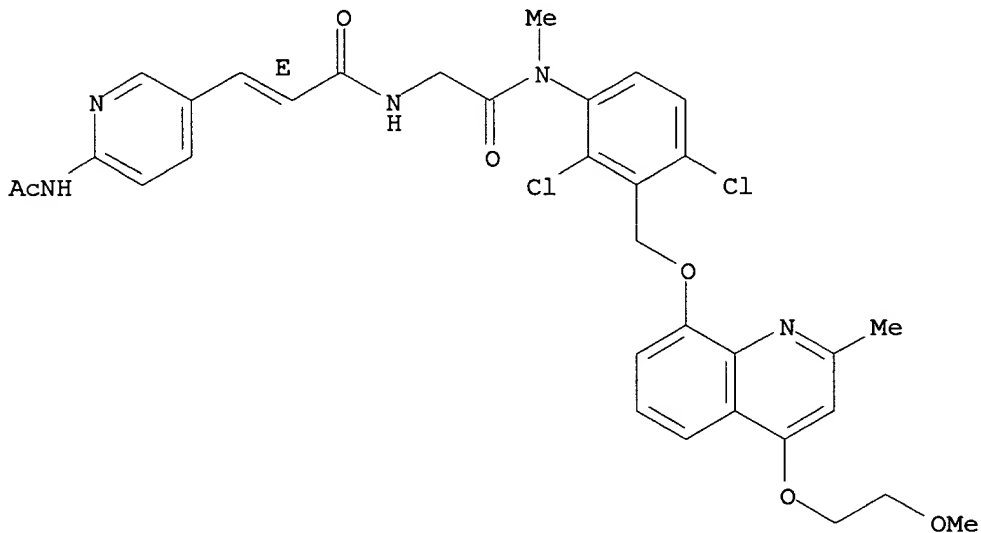
● 2 HCl

09/596,086

RN 179622-38-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[4-(2-methoxyethoxy)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

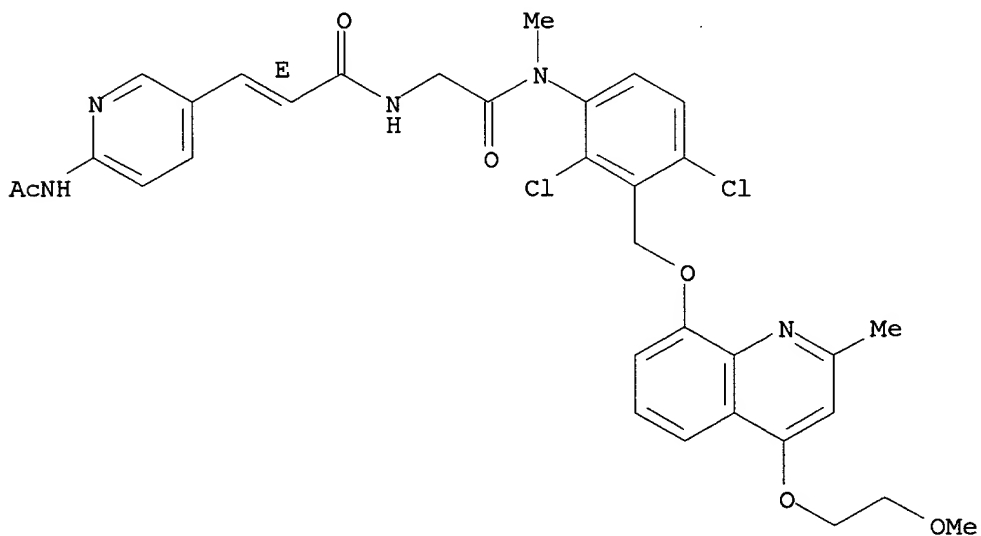


RN 179622-39-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[4-(2-methoxyethoxy)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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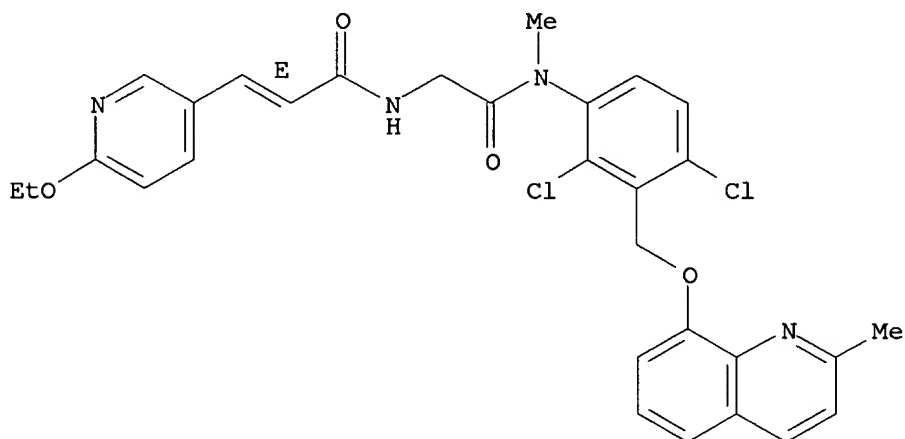


●2 HCl

RN 179622-44-7 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-(6-ethoxy-3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

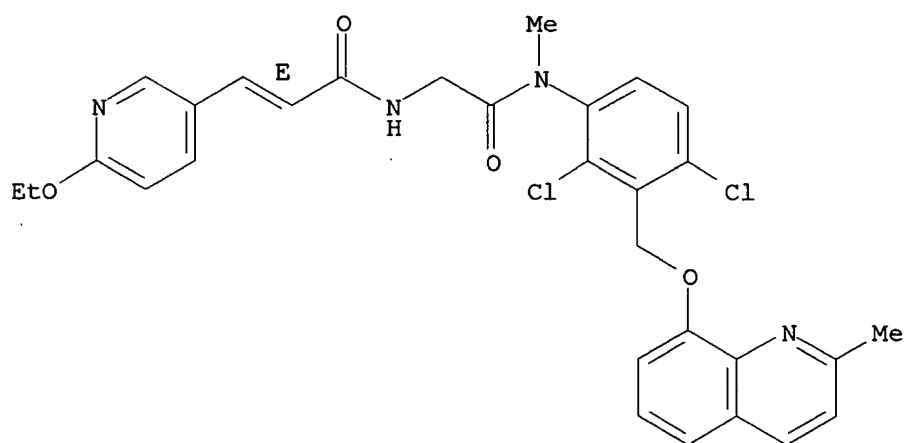
Double bond geometry as shown.



RN 179622-45-8 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-(6-ethoxy-3-pyridinyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



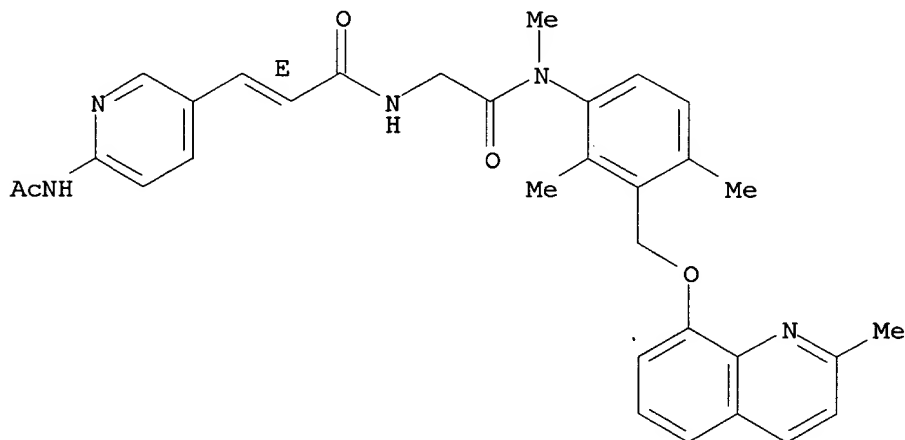
●2 HCl

09/596,086

RN 179622-48-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)-(9CI) (CA INDEX NAME)

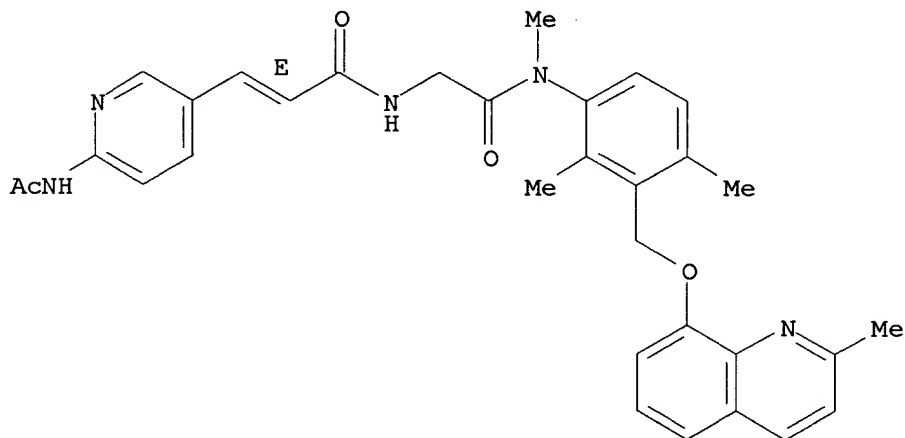
Double bond geometry as shown.



RN 179622-49-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



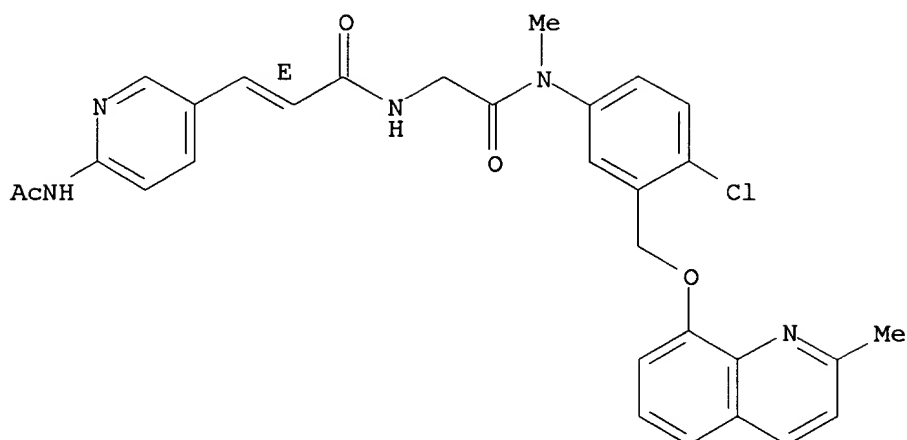
● 2 HCl

RN 179622-57-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[4-chloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)-(9CI) (CA INDEX NAME)

09/596,086

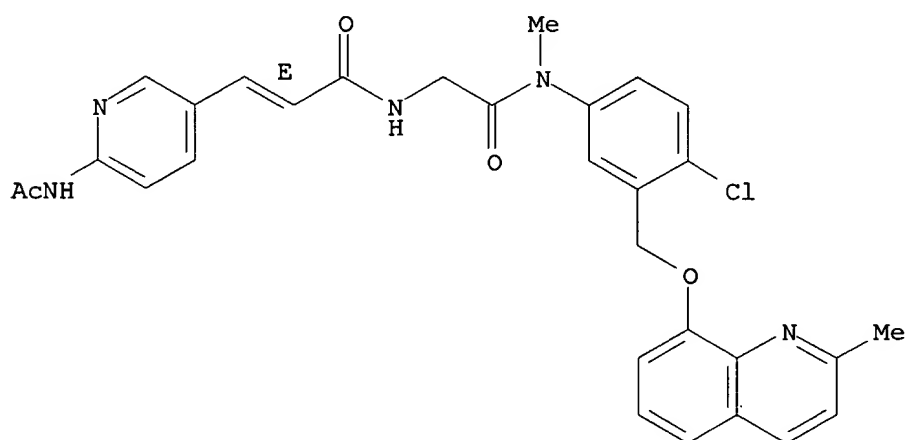
Double bond geometry as shown.



RN 179622-58-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetyl-amino)-3-pyridinyl]-N-[2-[[4-chloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

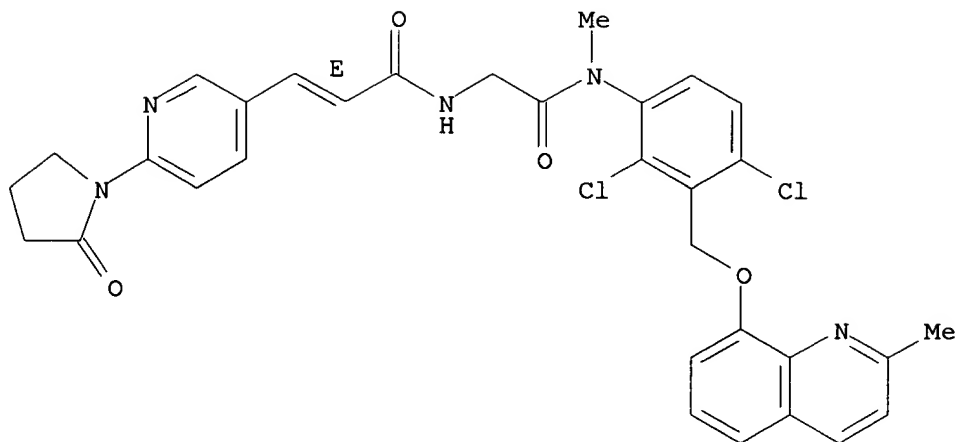


● 2 HCl

RN 179622-62-9 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

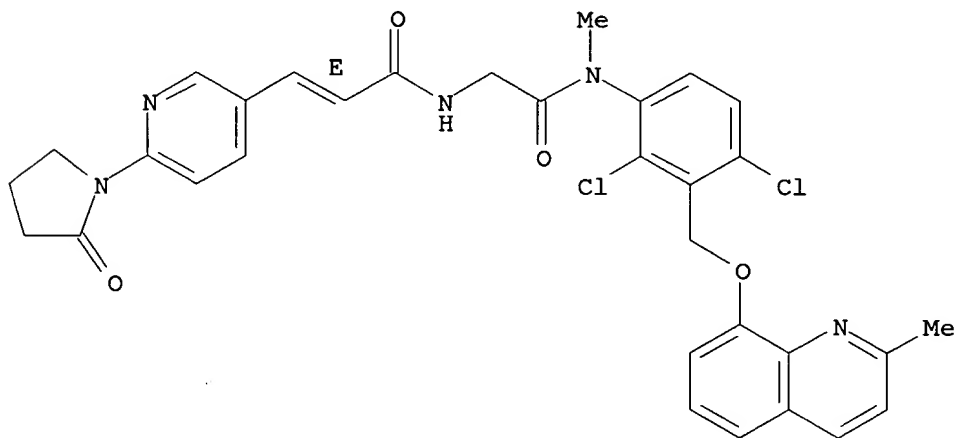
Double bond geometry as shown.



RN 179622-63-0 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

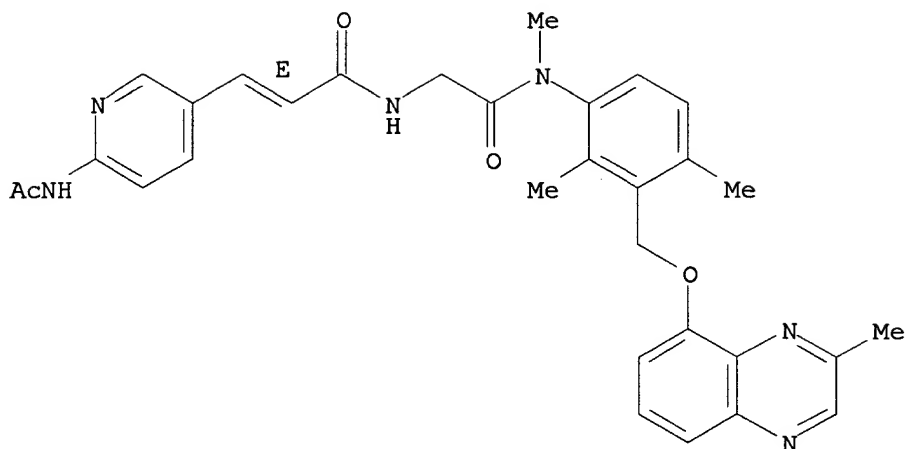


●2 HCl

RN 179622-95-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[3-methyl-5-quinoxalinyloxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

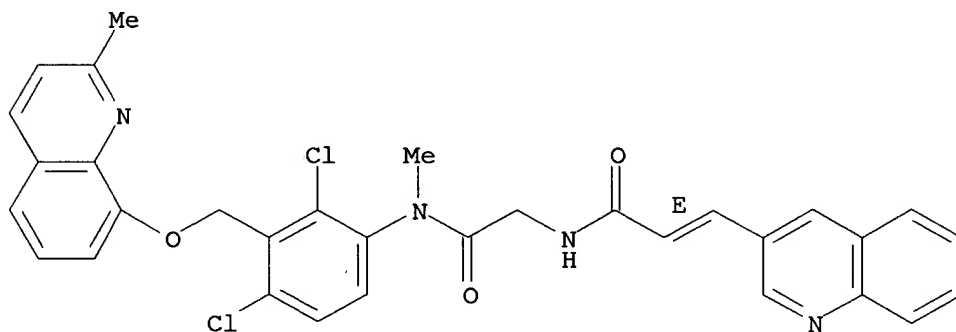
Double bond geometry as shown.



RN 179623-03-1 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-quinolinyl)-, (E)- (9CI) (CA INDEX NAME)

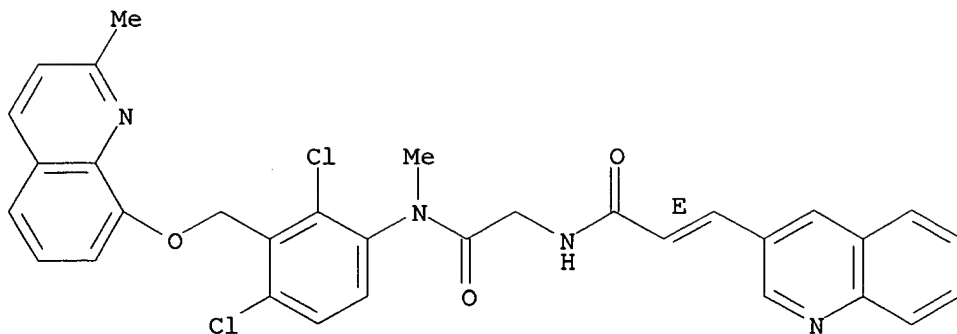
Double bond geometry as shown.



RN 179623-04-2 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-quinolinyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



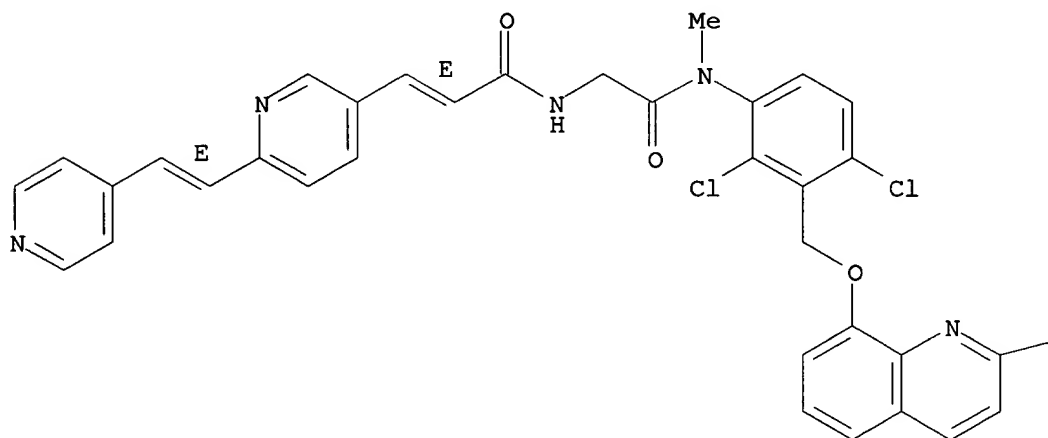
● 2 HCl

RN 179623-05-3 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1E)-2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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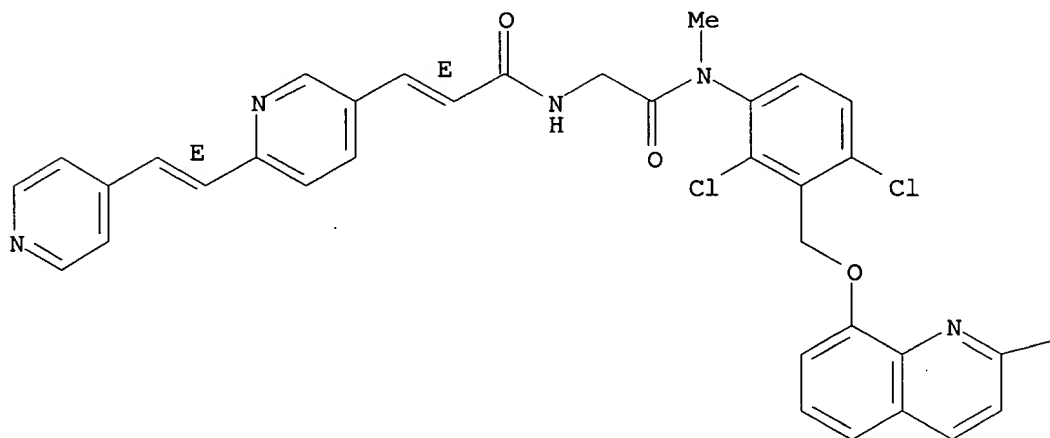
—Me

RN 179623-06-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, trihydrochloride, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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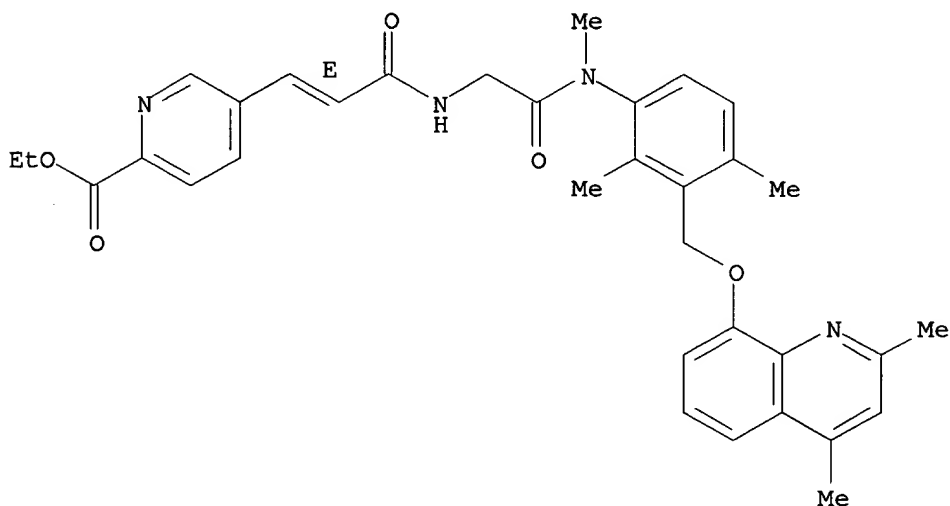
●3 HCl

—Me

RN 179623-31-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[3-[[2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

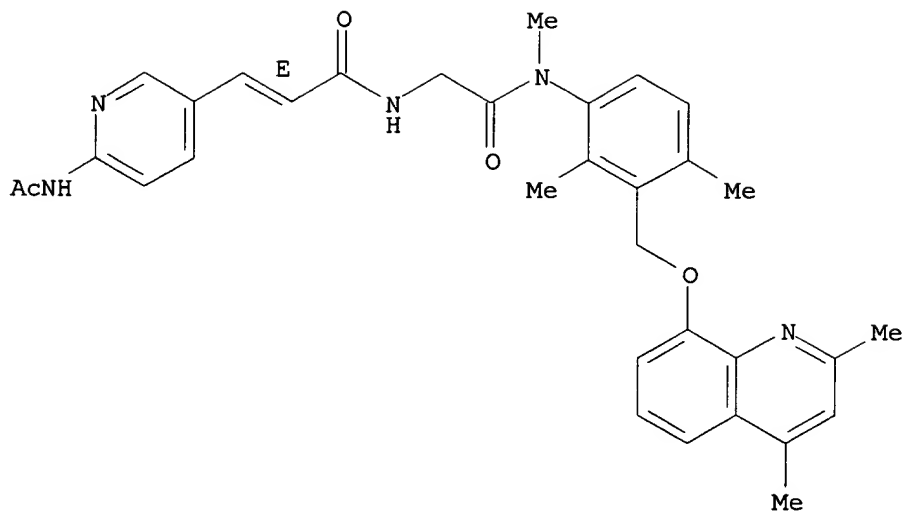
Double bond geometry as shown.



RN 179623-32-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[3-[[2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

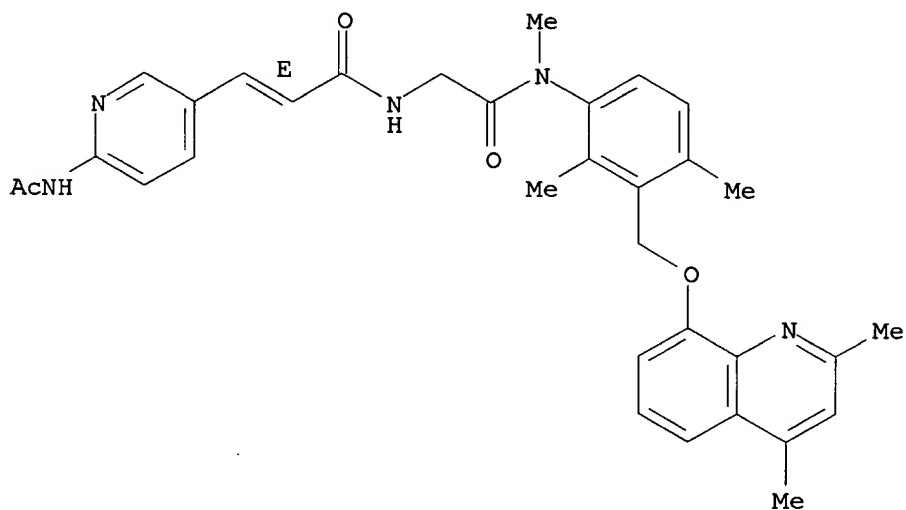


RN 179623-33-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 2 HCl

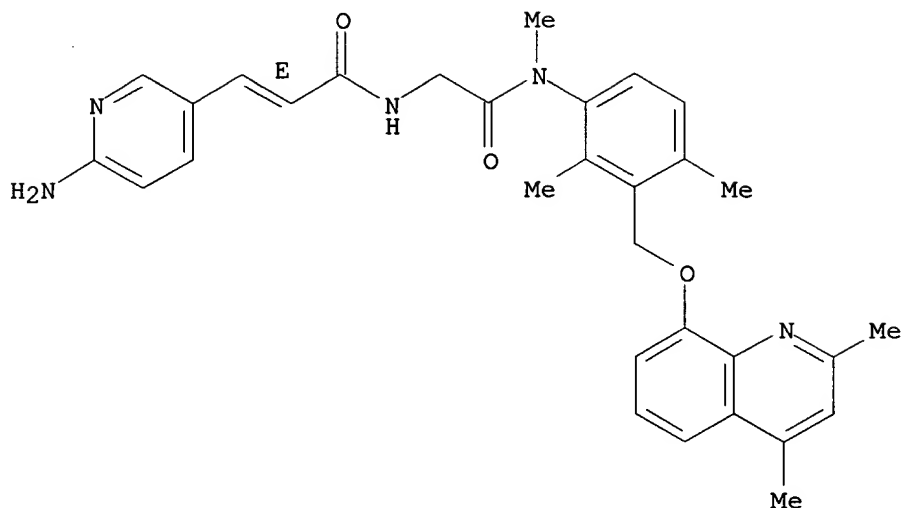
RN 179623-34-8 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[3-[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (E)-(9CI) (CA INDEX NAME)

09/596,086

(9CI) (CA INDEX NAME)

Double bond geometry as shown.

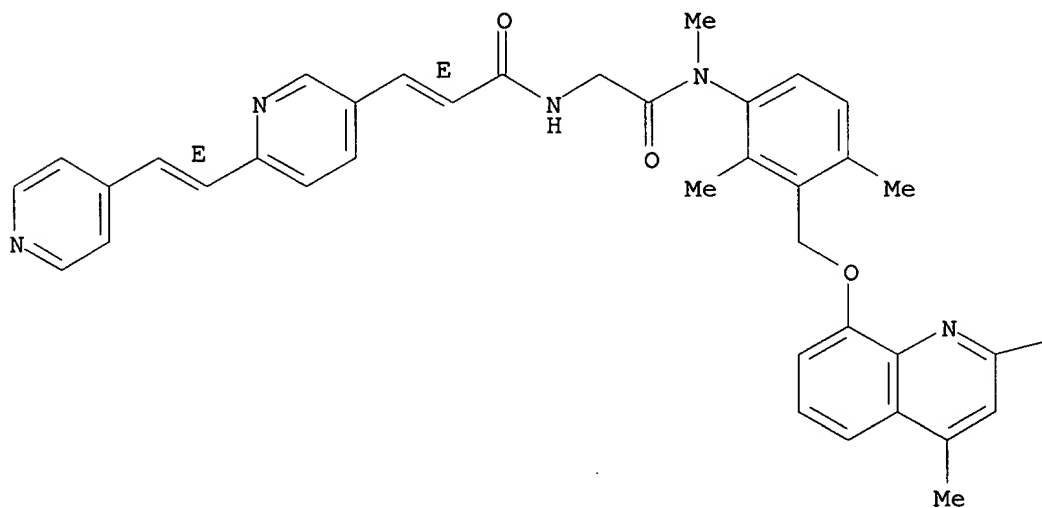


RN 179623-35-9 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[2,4-dimethyl-8-quinolinyl]oxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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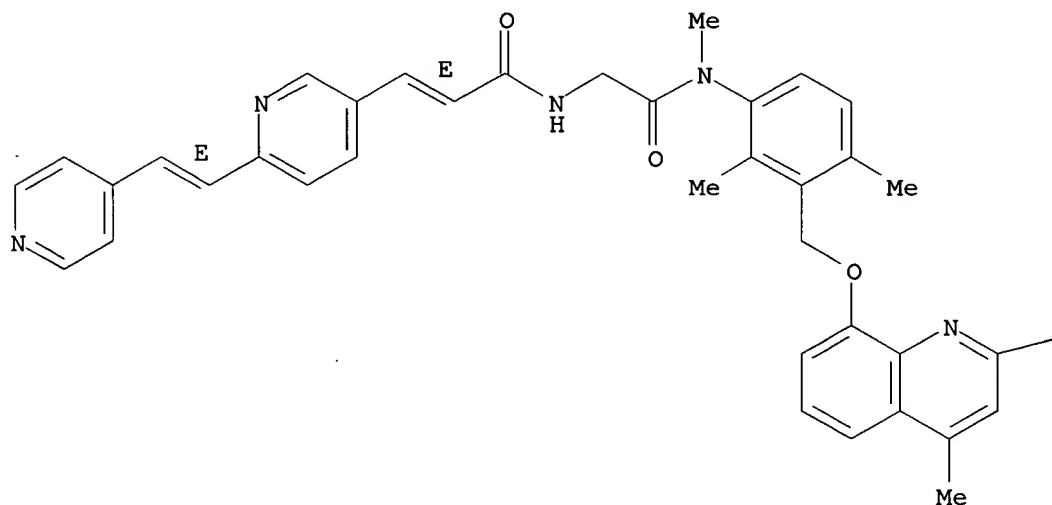
—Me

RN 179623-36-0 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, trihydrochloride, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 3 HCl

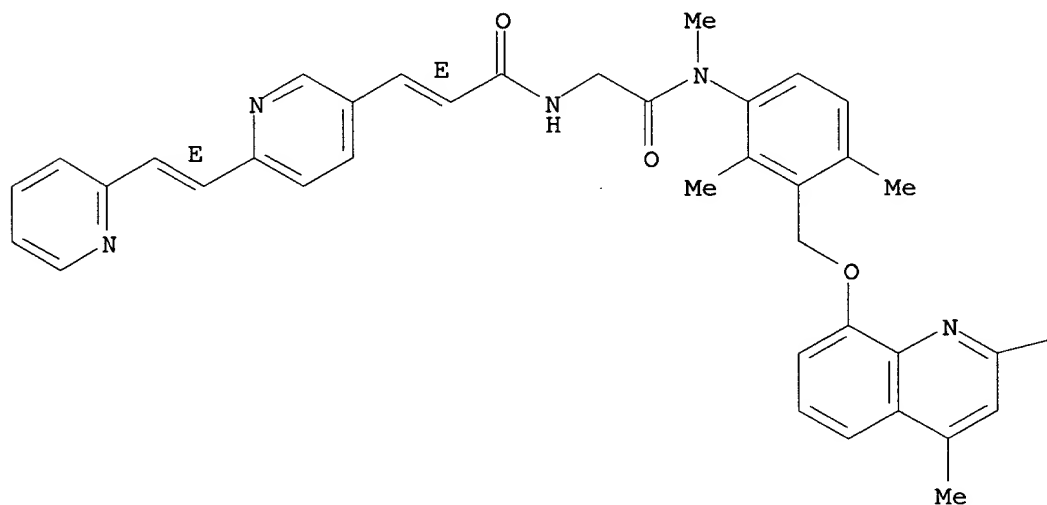
— Me

RN 179623-37-1 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[(2,4-dimethyl-8-quinolinyloxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(2-pyridinyl)ethenyl]-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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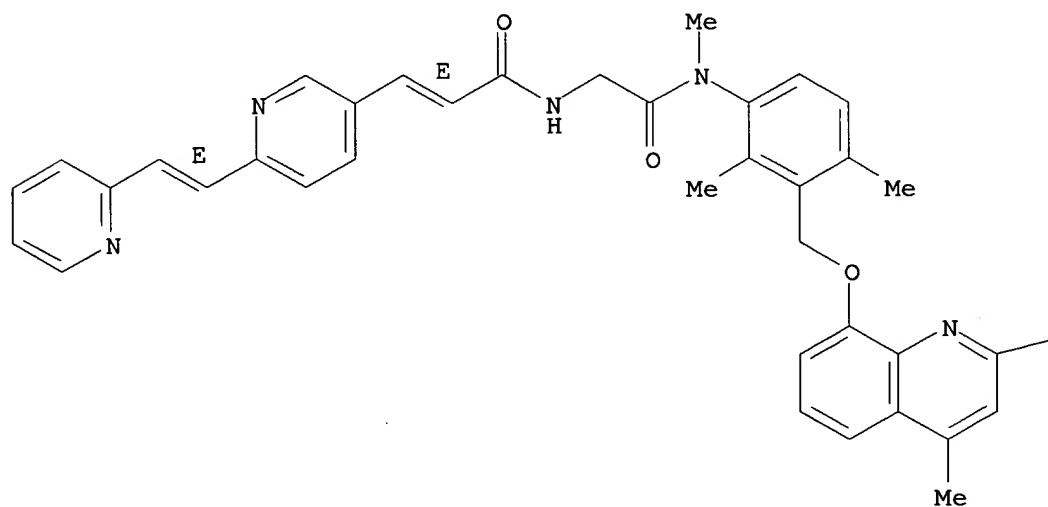
—Me

RN 179623-38-2 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(2-pyridinyl)ethenyl]-3-pyridinyl]-, trihydrochloride, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 3 HCl

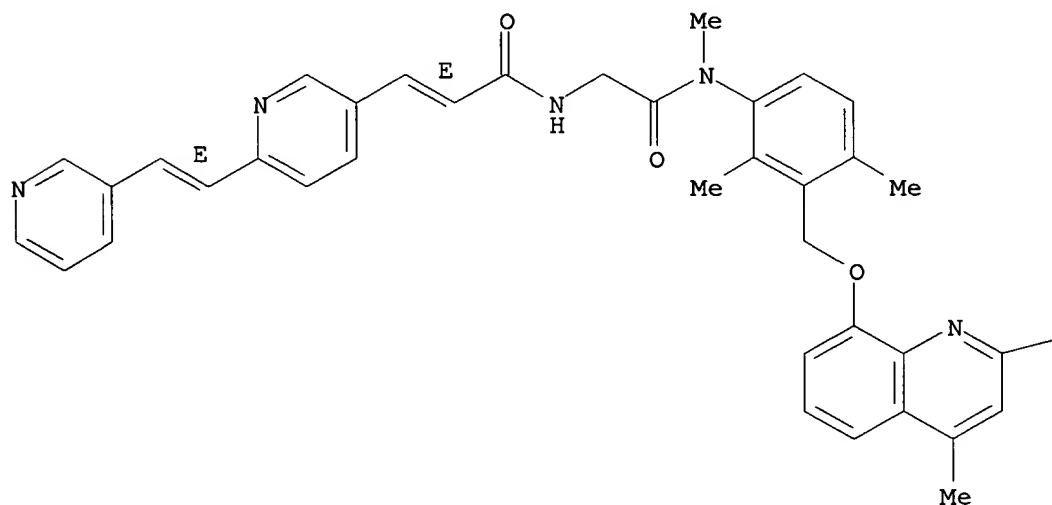
— Me

RN 179623-39-3 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(3-pyridinyl)ethenyl]-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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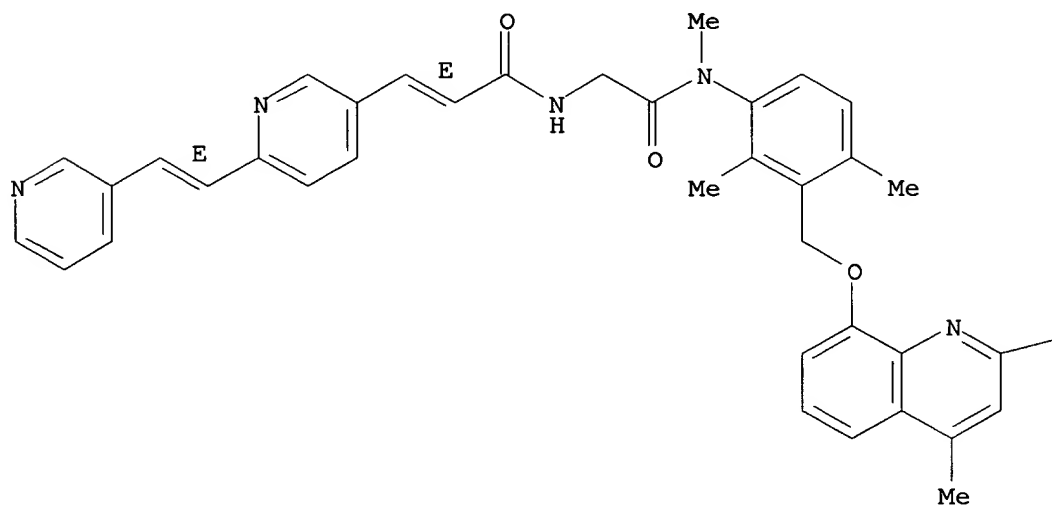


—Me

RN 179623-40-6 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[2-(3-pyridinyl)ethenyl]-3-pyridinyl]-, trihydrochloride, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



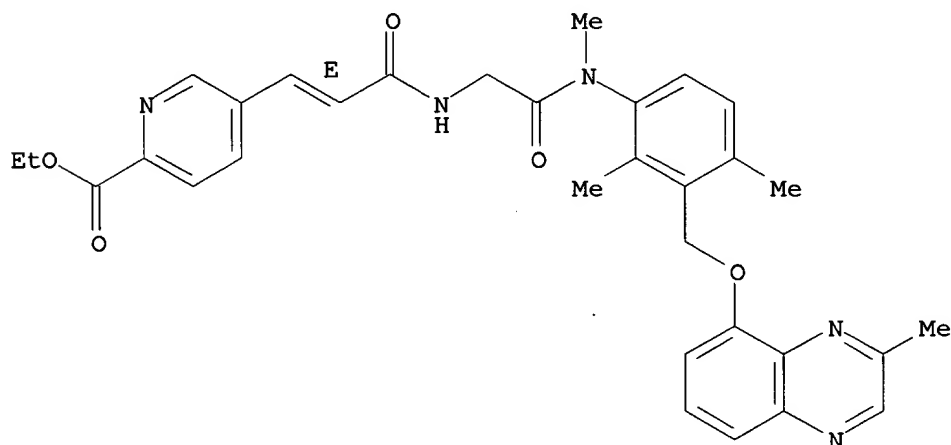
● 3 HCl

— Me

RN 179623-54-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

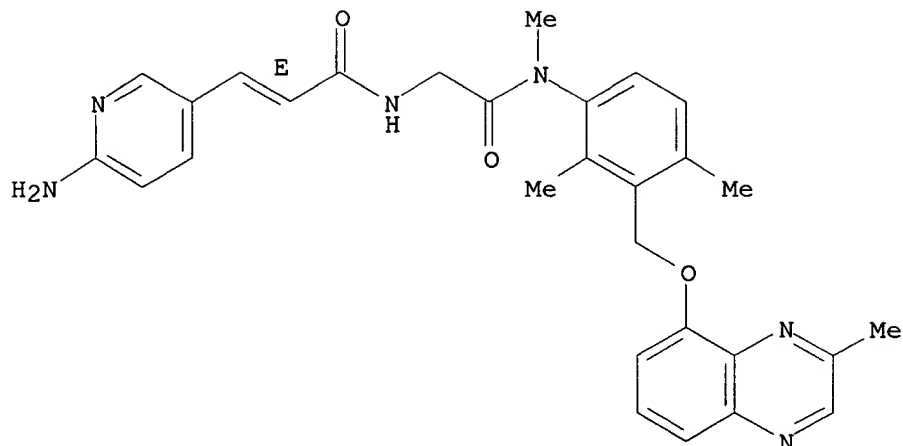
Double bond geometry as shown.



RN 179623-55-3 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

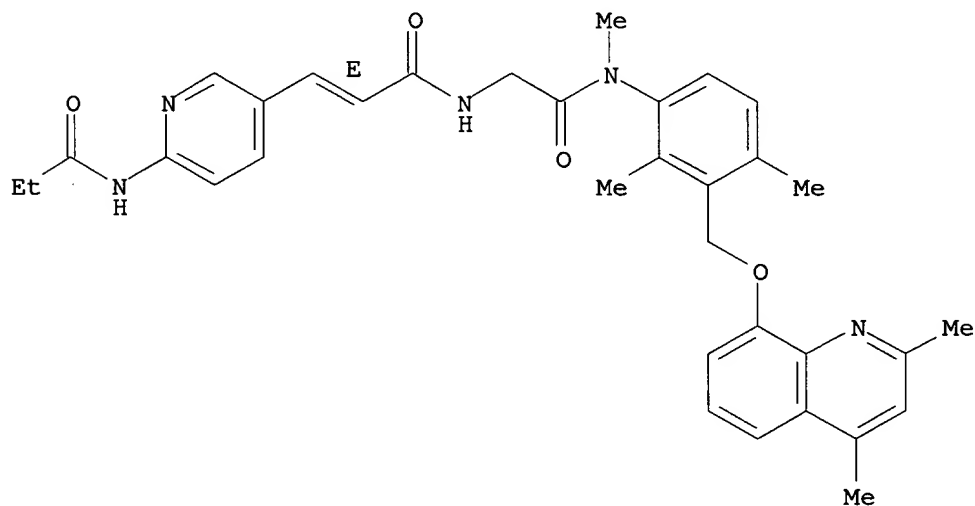
Double bond geometry as shown.



RN 179623-61-1 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[(1-oxopropyl)amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

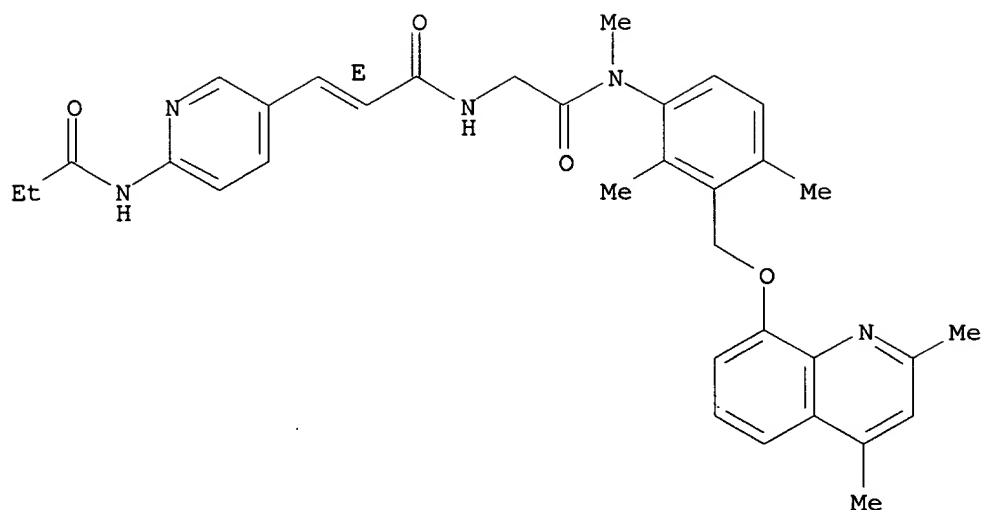


RN 179623-62-2 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[(1-oxopropyl)amino]-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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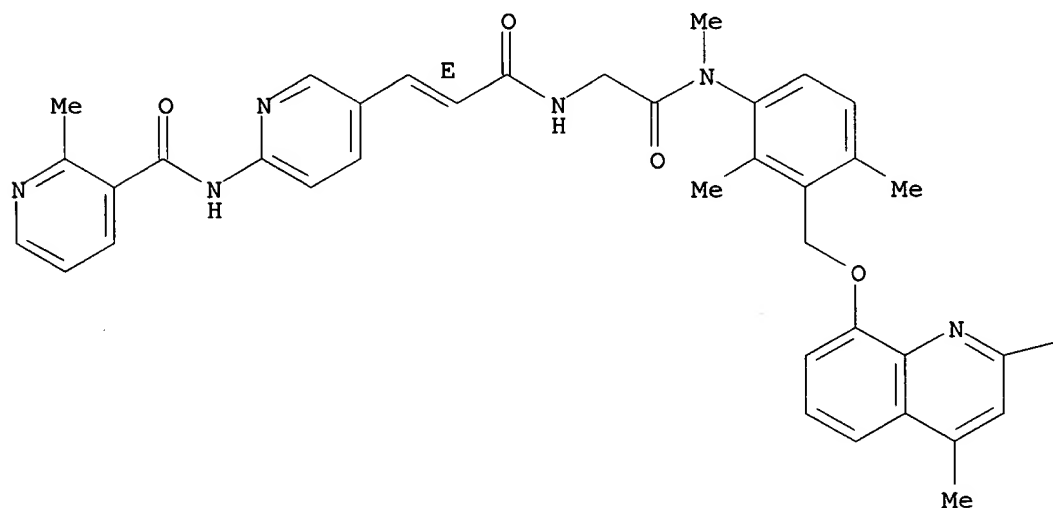
● 2 HCl

RN 179623-63-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[3-[[2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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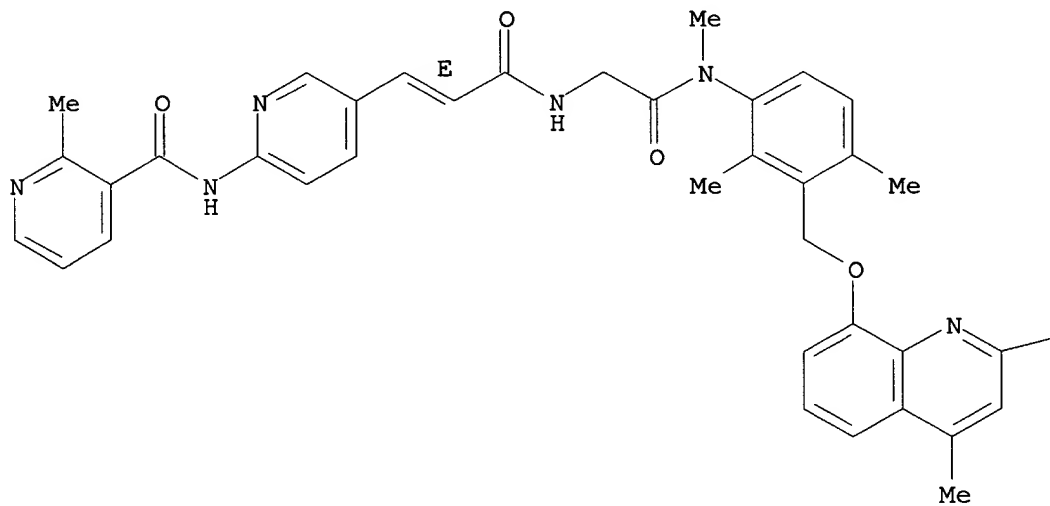
—Me

RN 179623-64-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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●3 HCl

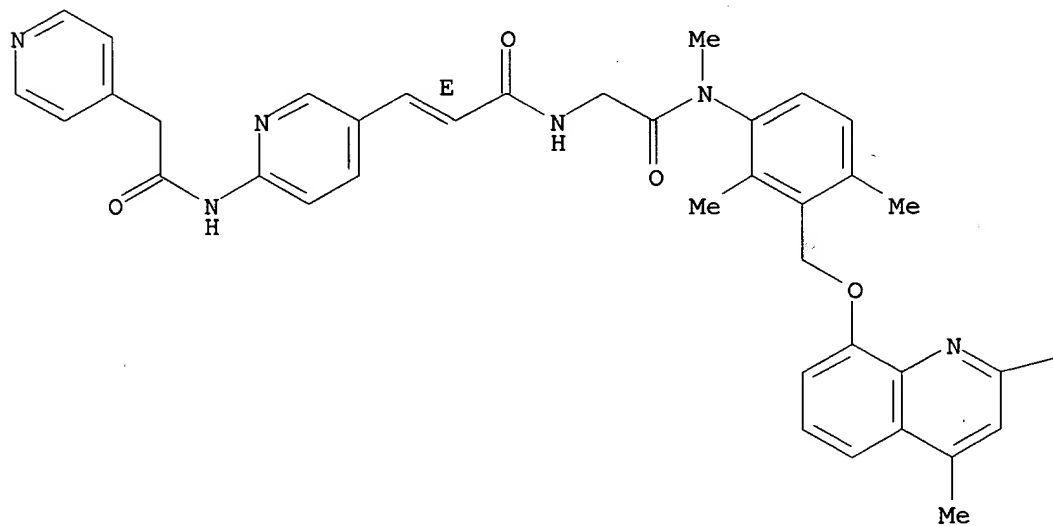
—Me

RN 179623-65-5 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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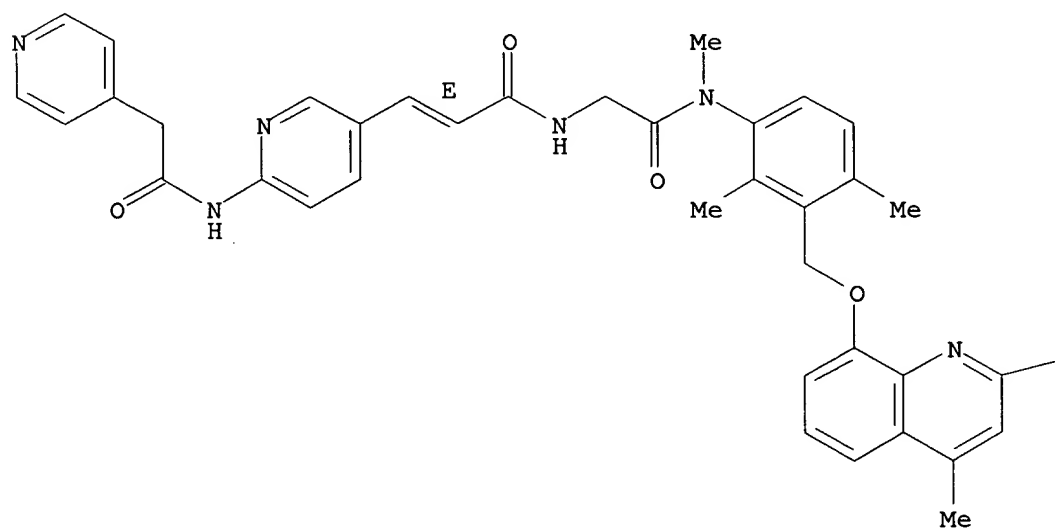


— Me

RN 179623-66-6 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 3 HCl

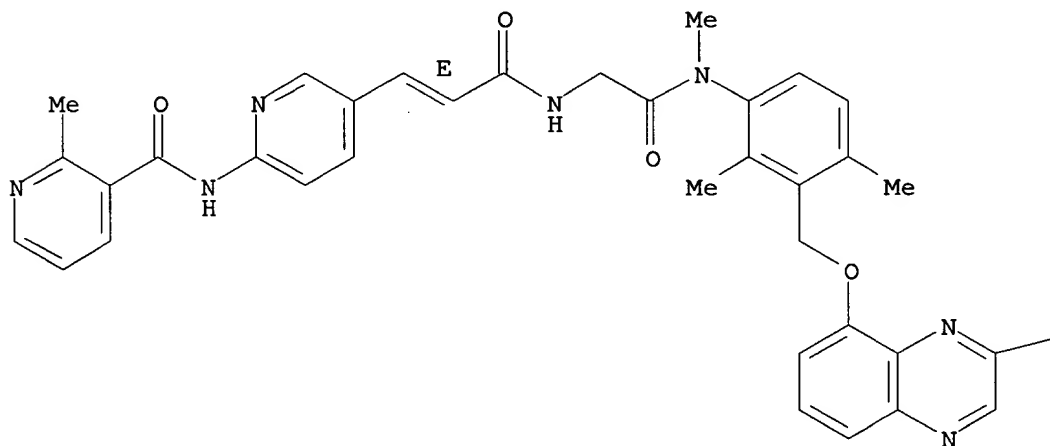
—Me

RN 179623-67-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[2,4-dimethyl-3-[[3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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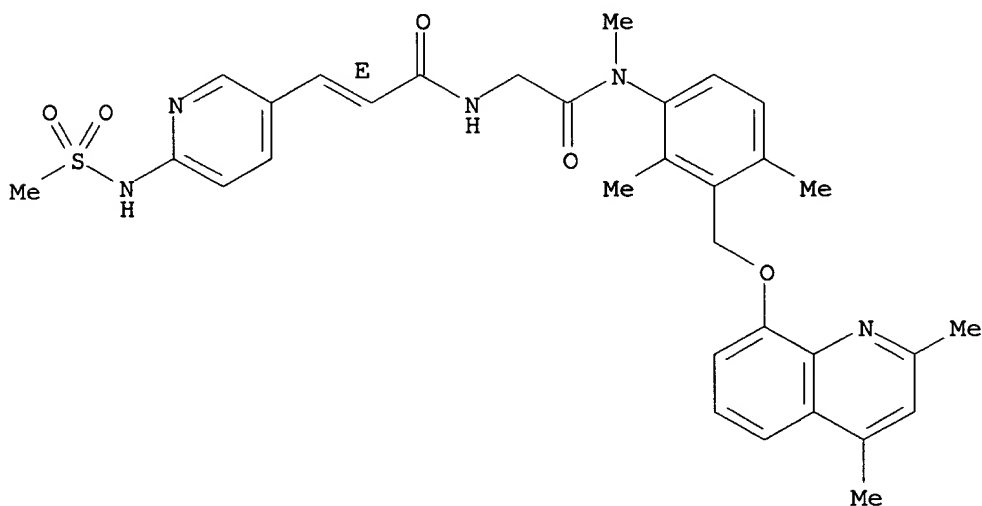


— Me

RN 179623-70-2 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[(methylsulfonyl)amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

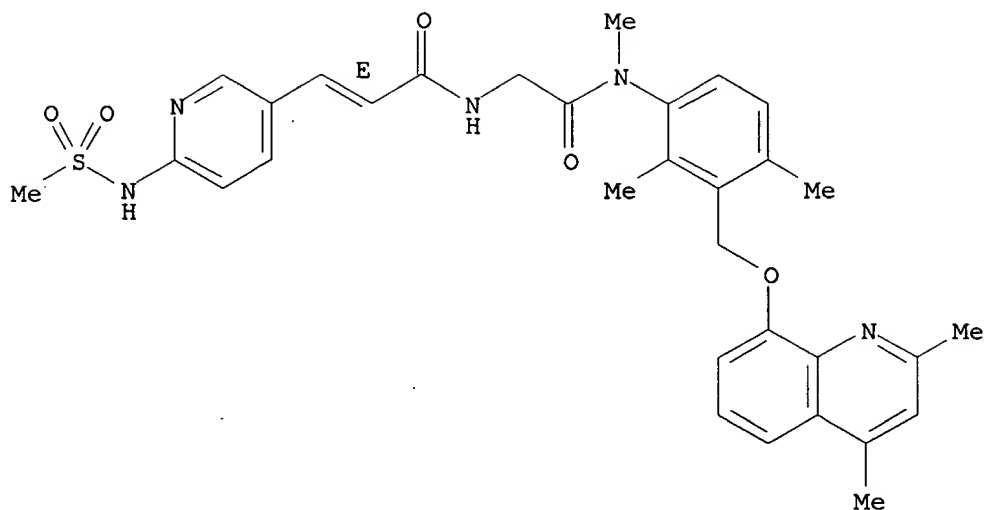


RN 179623-71-3 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[(methylsulfonyl)amino]-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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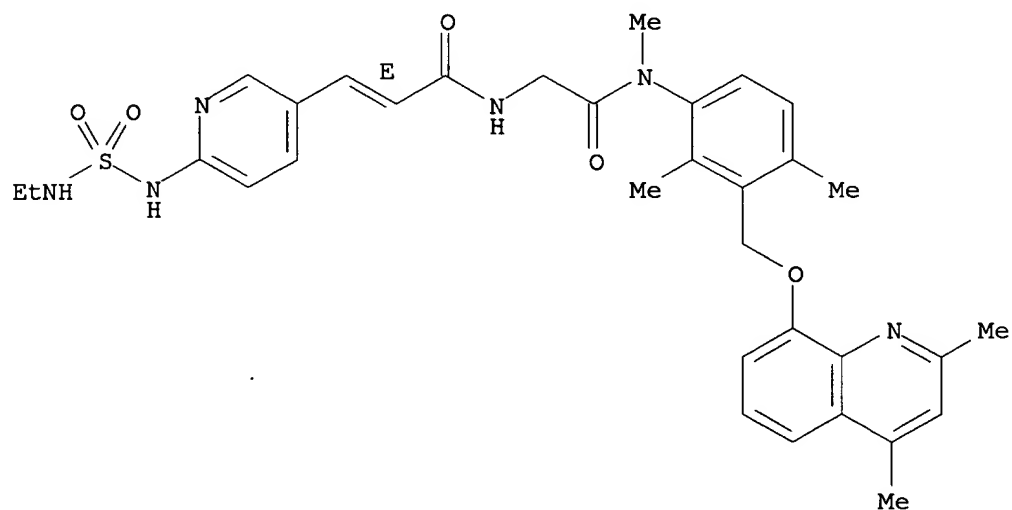
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● 2 HCl

RN 179623-74-6 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[[[(ethylamino)sulfonyl]amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



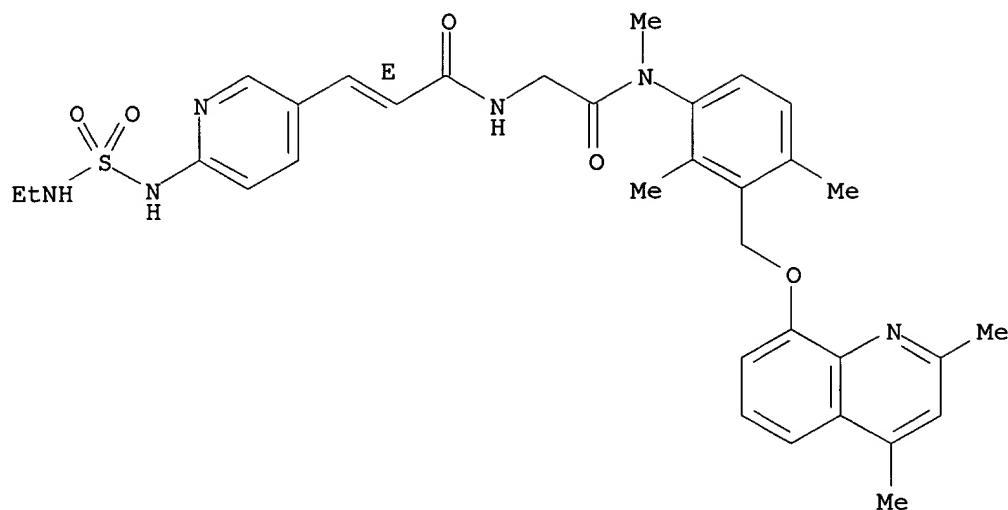
RN 179623-75-7 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-[[[(ethylamino)sulfonyl]amino]-

3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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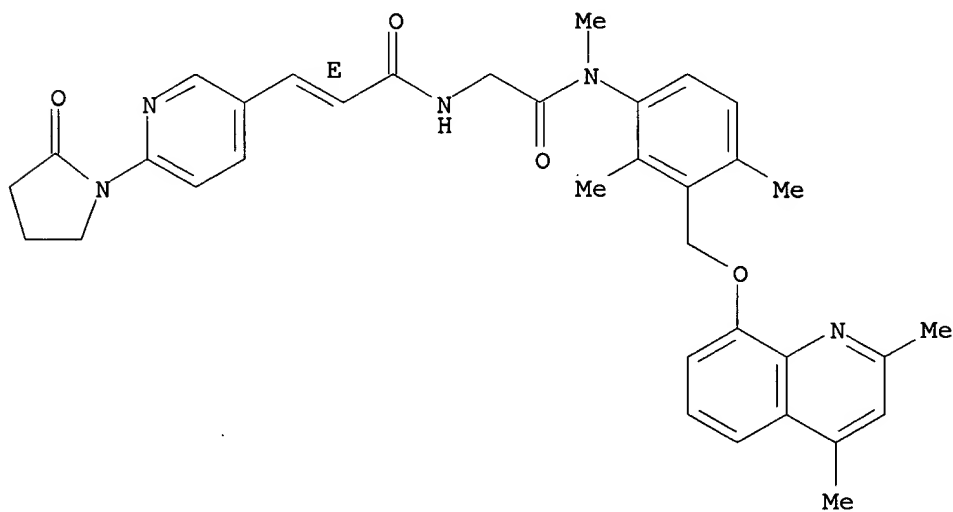
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● 2 HCl

RN 179623-78-0 CAPLUS

CN 2-Propenamide, N-[2-[[3-[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



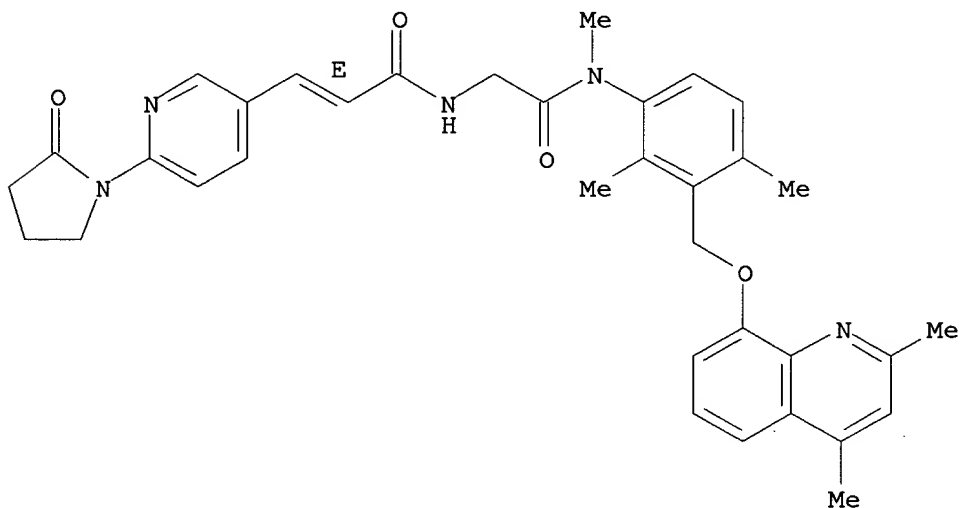
09/596,086

RN 179623-79-1 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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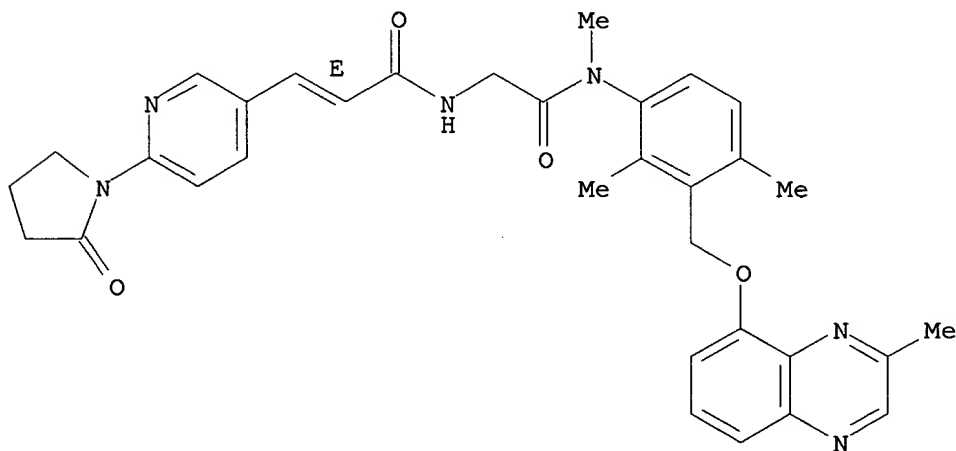
PAGE 2-A

● 2 HCl

RN 179623-80-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dimethyl-3-[[(3-methyl-5-quinoxalinyloxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

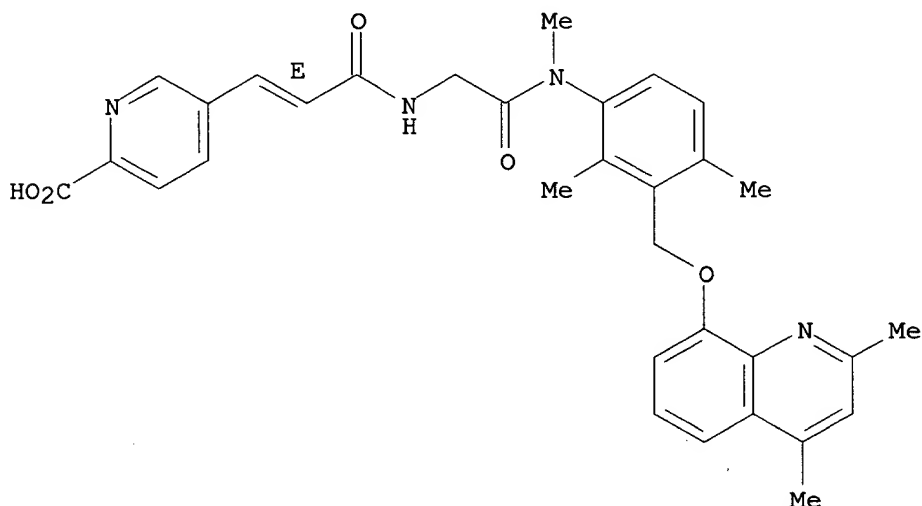
Double bond geometry as shown.



RN 179623-81-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[3-[[2,4-dimethyl-8-quinolinyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

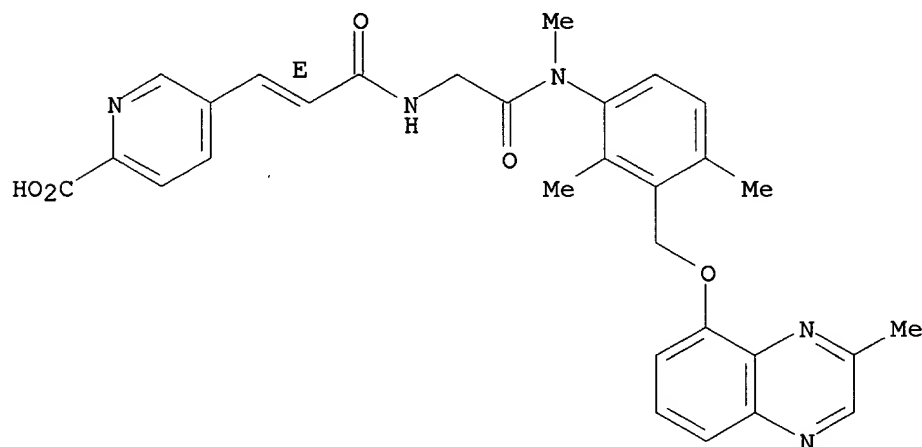
Double bond geometry as shown.



RN 179623-82-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

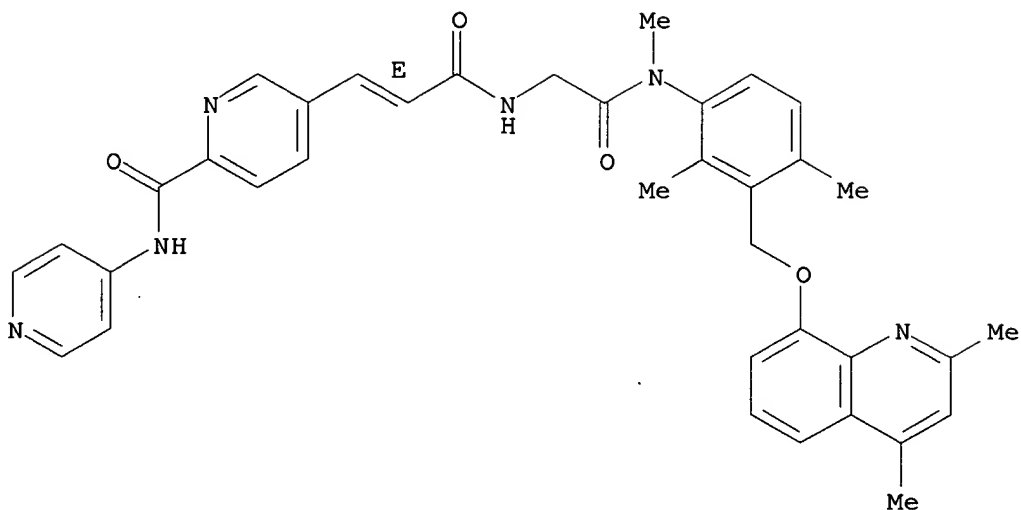


RN 179623-83-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[2,4-dimethyl-8-quinolinyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

09/596,086

Double bond geometry as shown.

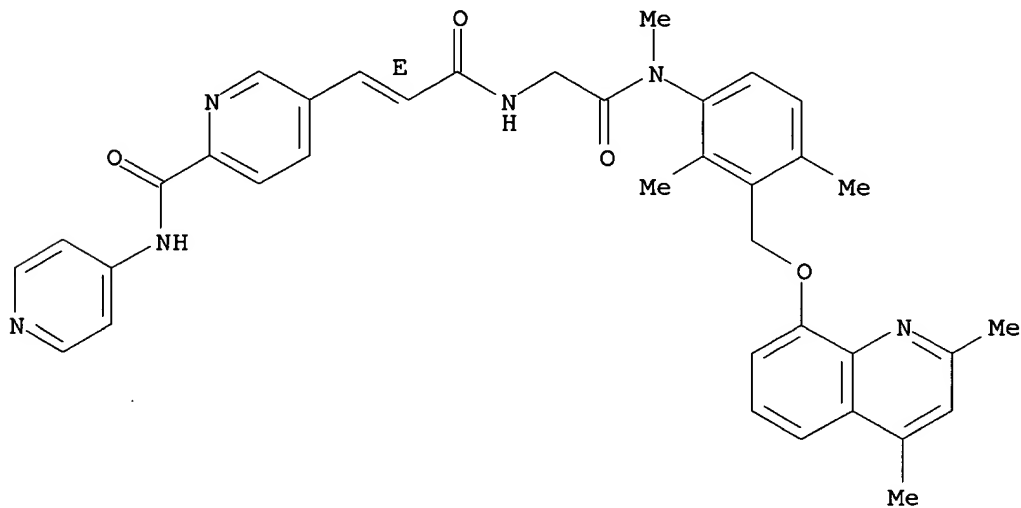


RN 179623-84-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[{(2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 3 HCl

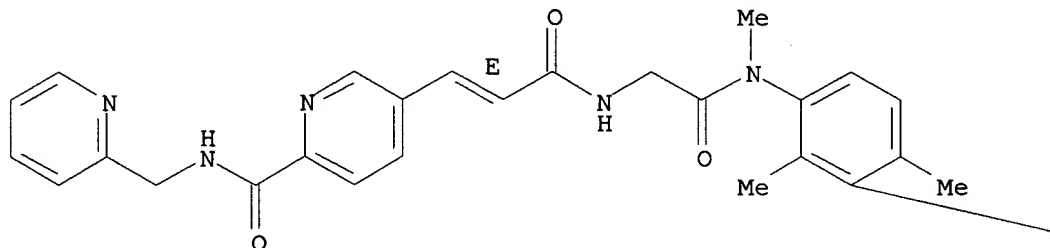
RN 179623-85-9 CAPLUS

09/596,086

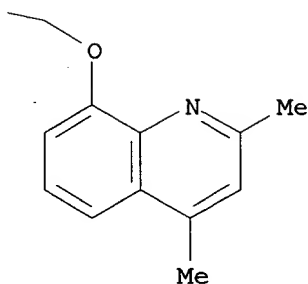
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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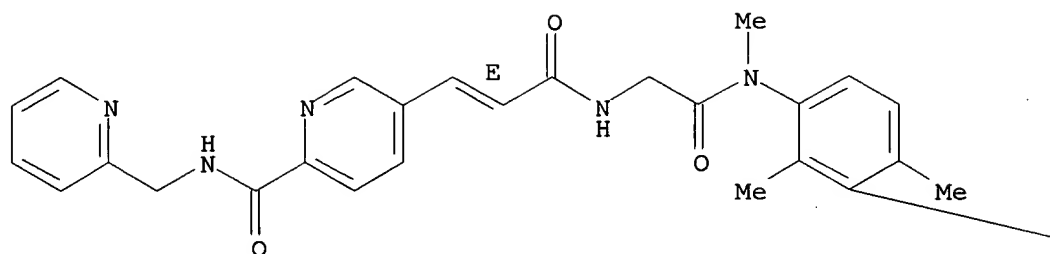


RN 179623-86-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[(2,4-dimethyl-8-quinolinyl)oxy)methyl]-2,4-dimethylphenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

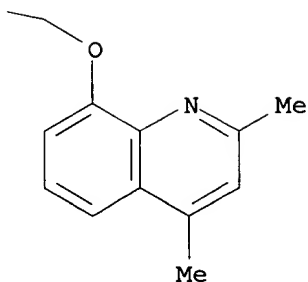
Double bond geometry as shown.

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PAGE 1-B

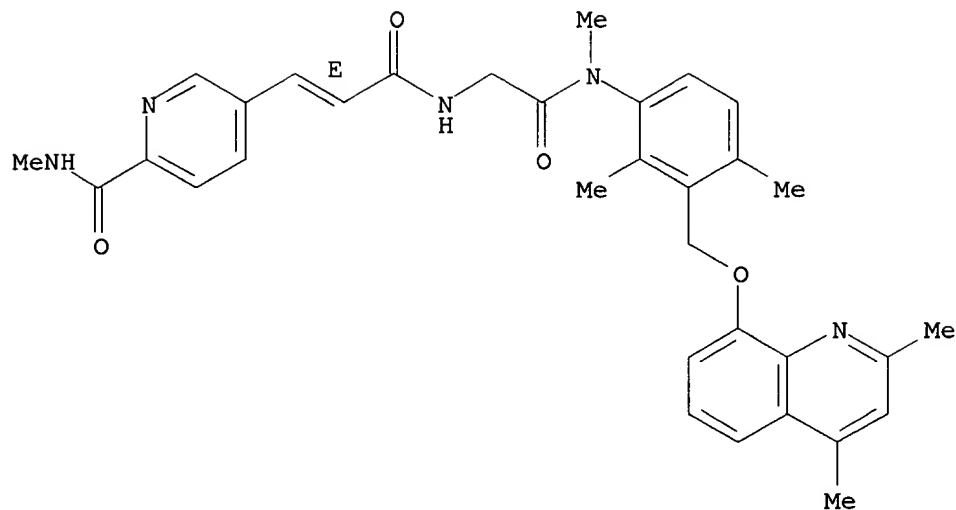
● 3 HCl



RN 179623-87-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

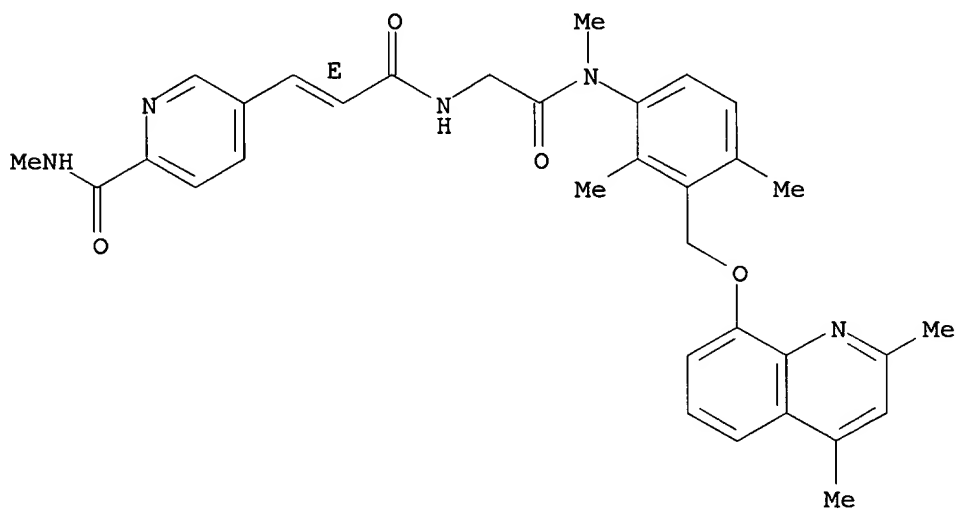


RN 179623-88-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[2,4-dimethyl-8-quinolinyl]oxy)methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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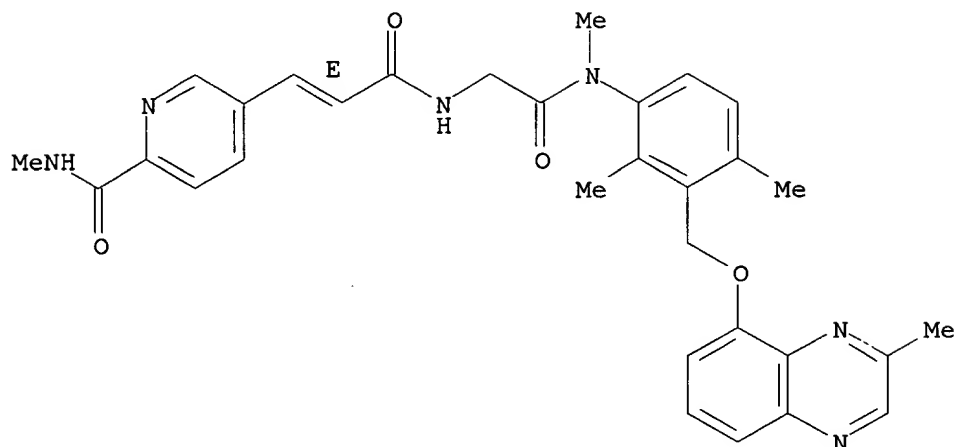
● 2 HCl

RN 179623-89-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(3-methyl-5-quinoxalinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-

propenyl]-N-methyl- (9CI) (CA INDEX NAME)

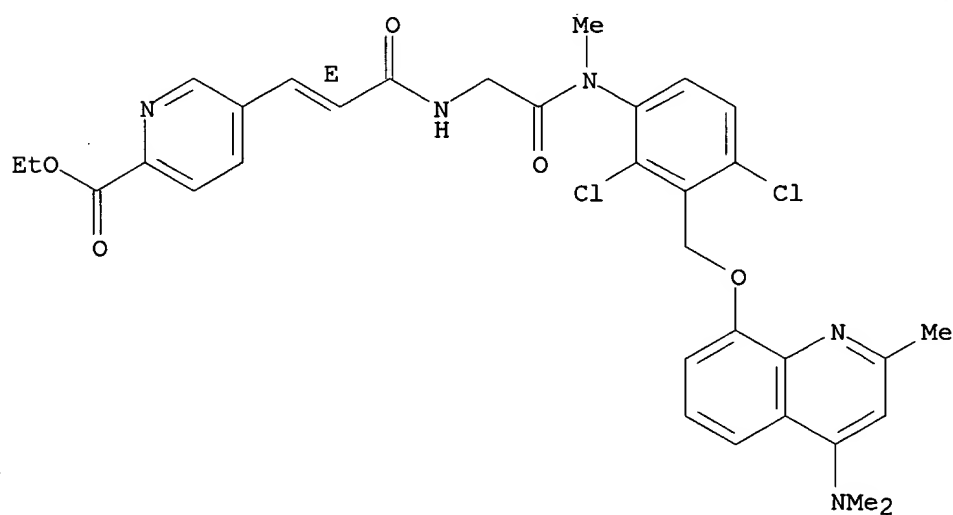
Double bond geometry as shown.



RN 179624-26-1 CAPLUS

~ CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

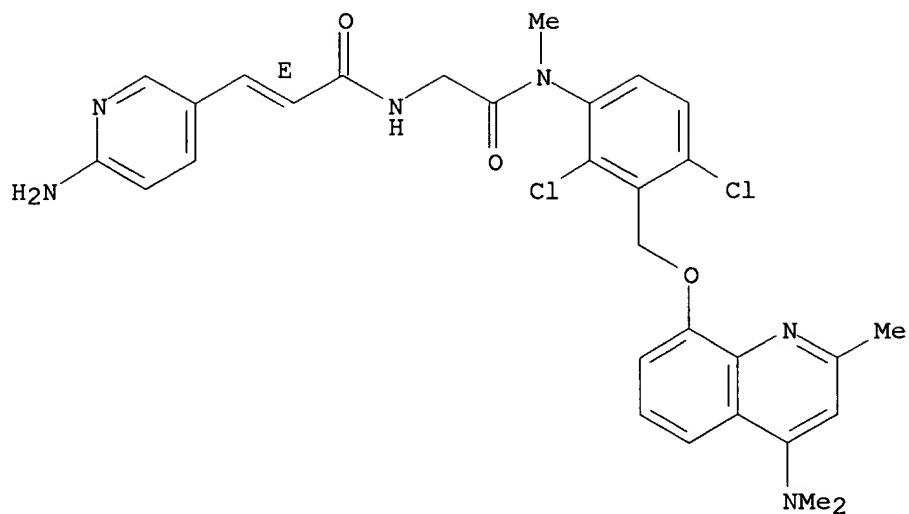
Double bond geometry as shown.



RN 179624-27-2 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

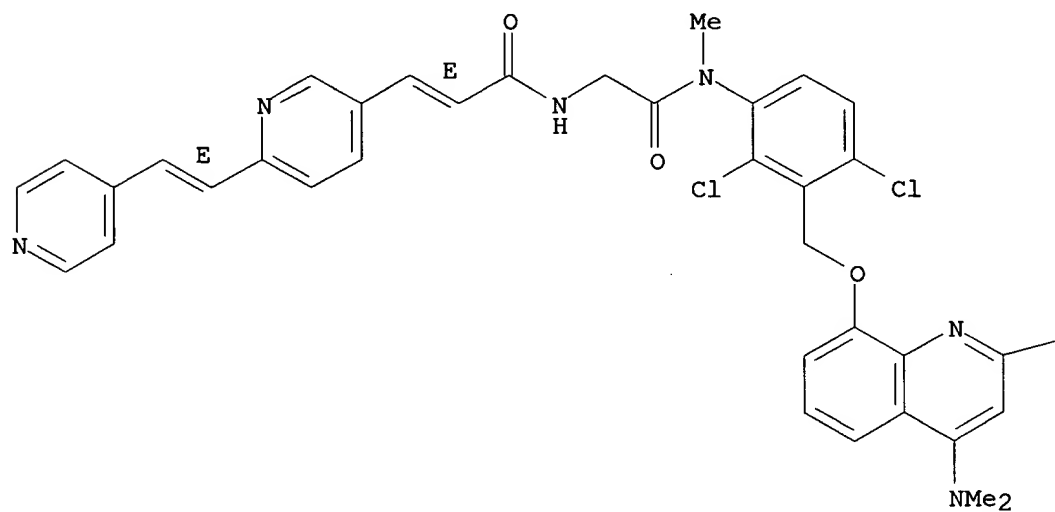


RN 179624-28-3 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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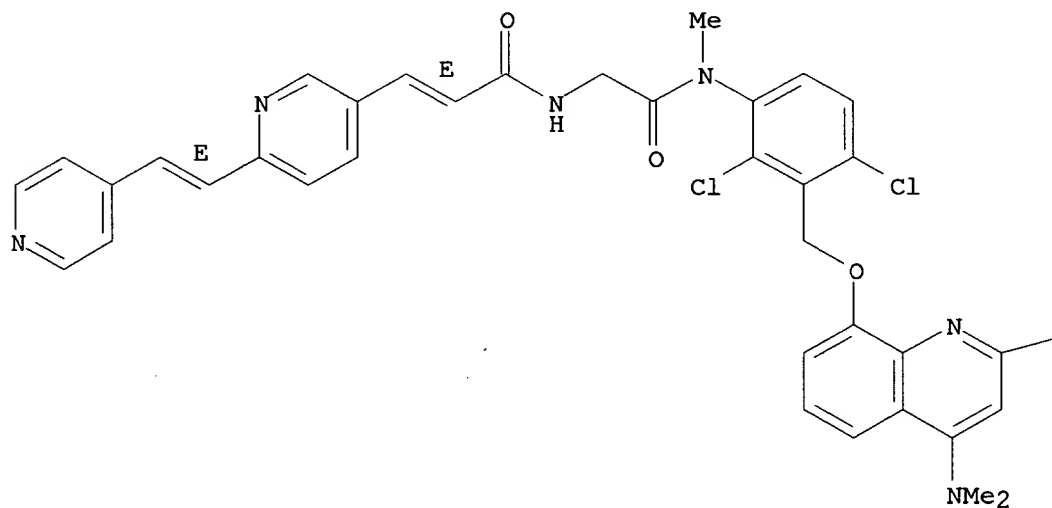
—Me

RN 179624-29-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, tetrahydrochloride, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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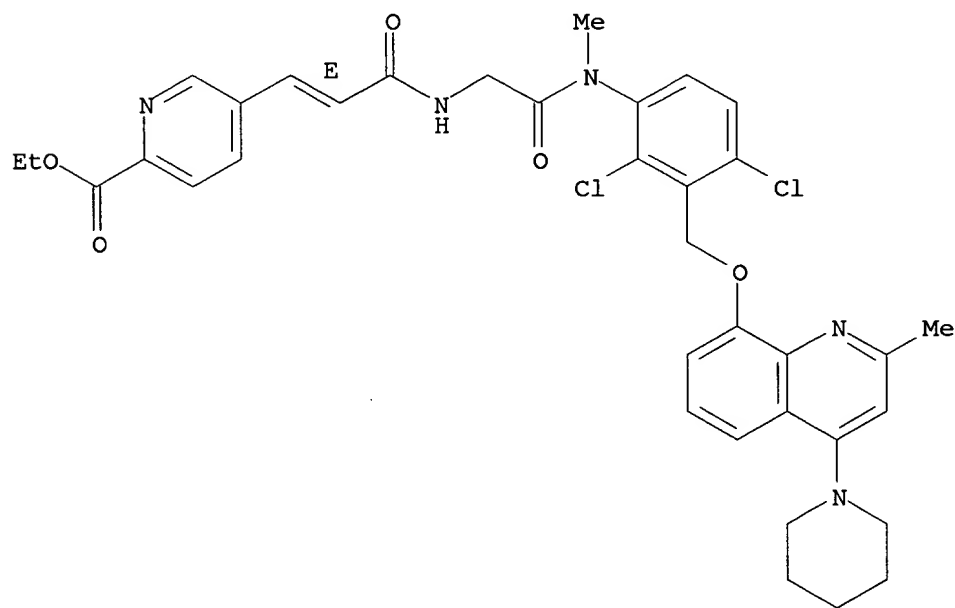
● 4 HCl

—Me

RN 179624-40-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

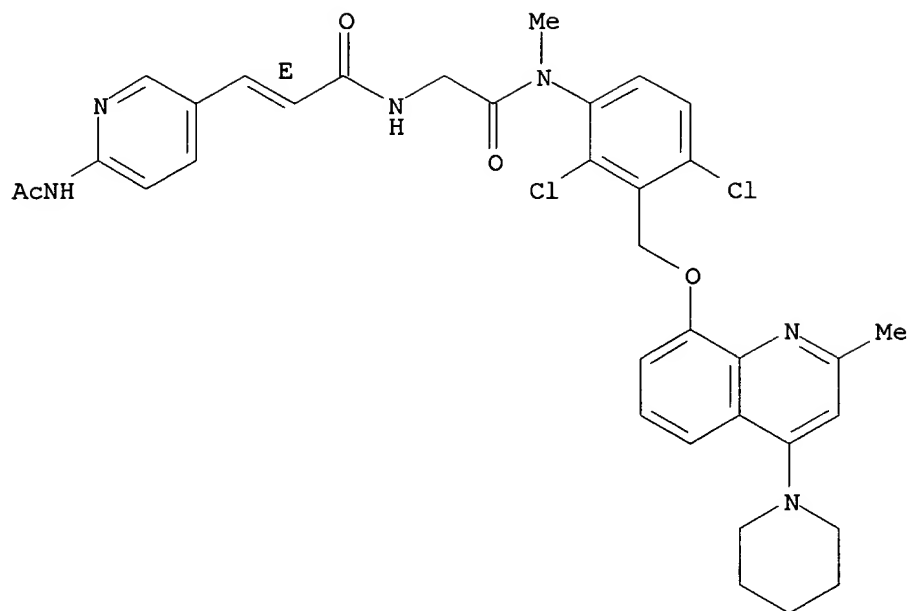
Double bond geometry as shown.



RN 179624-41-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

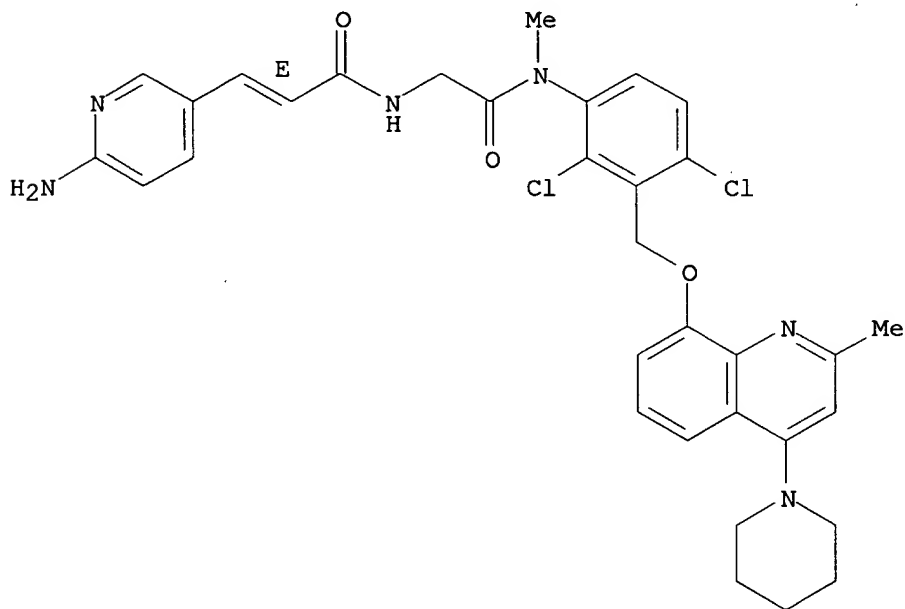
Double bond geometry as shown.



RN 179624-42-1 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

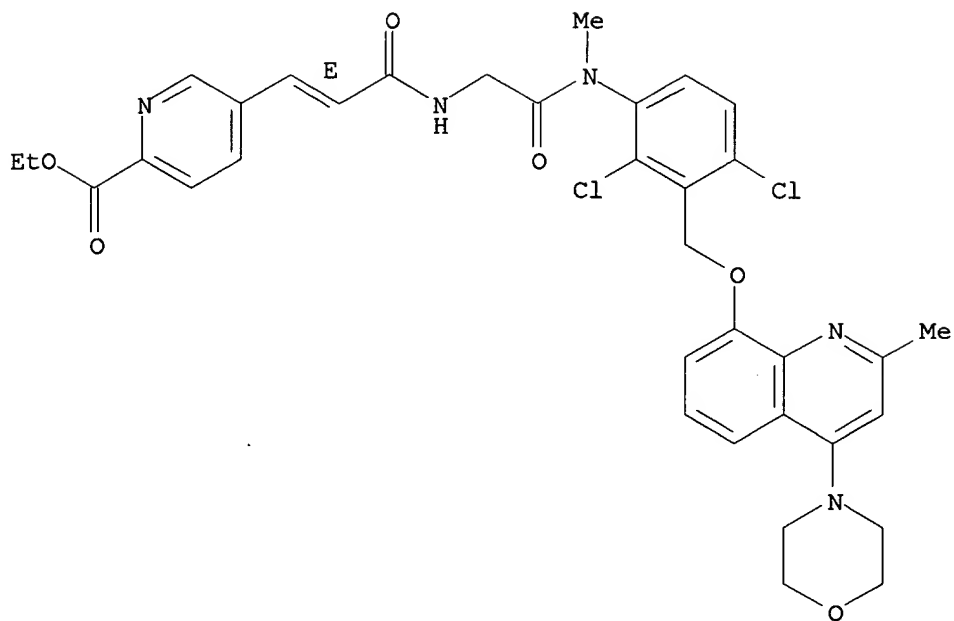
Double bond geometry as shown.



RN 179624-53-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

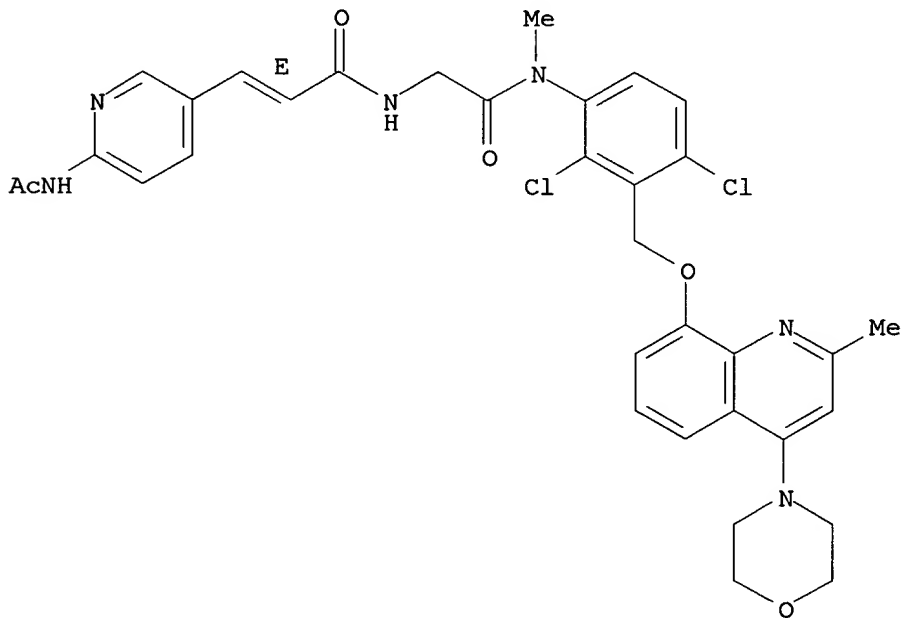
Double bond geometry as shown.



RN 179624-54-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

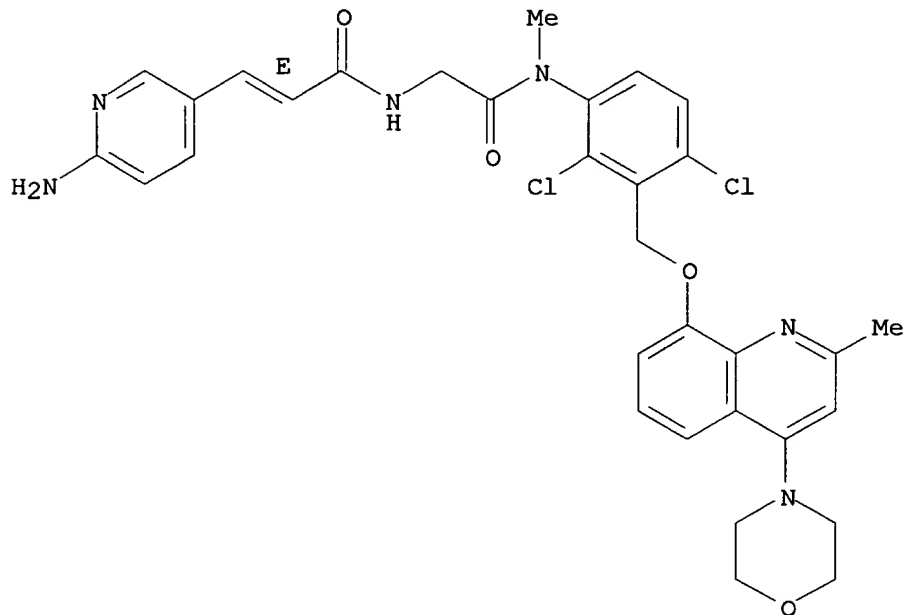


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RN 179624-55-6 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

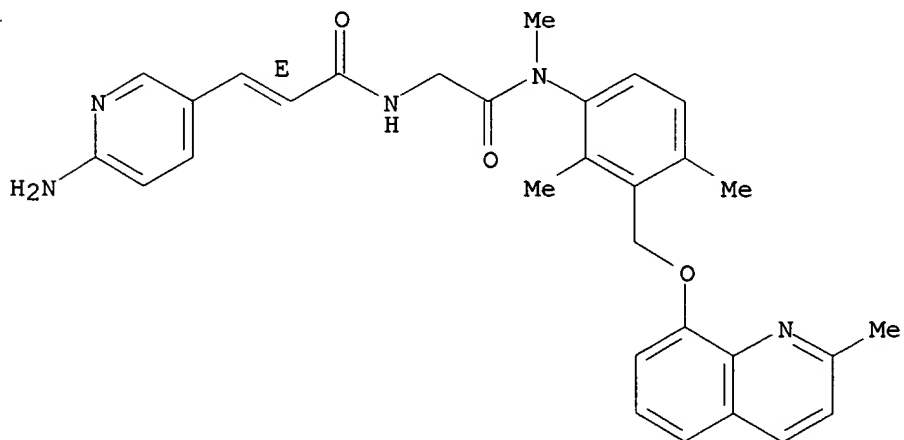
Double bond geometry as shown.



RN 179624-61-4 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dimethyl-3-[[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



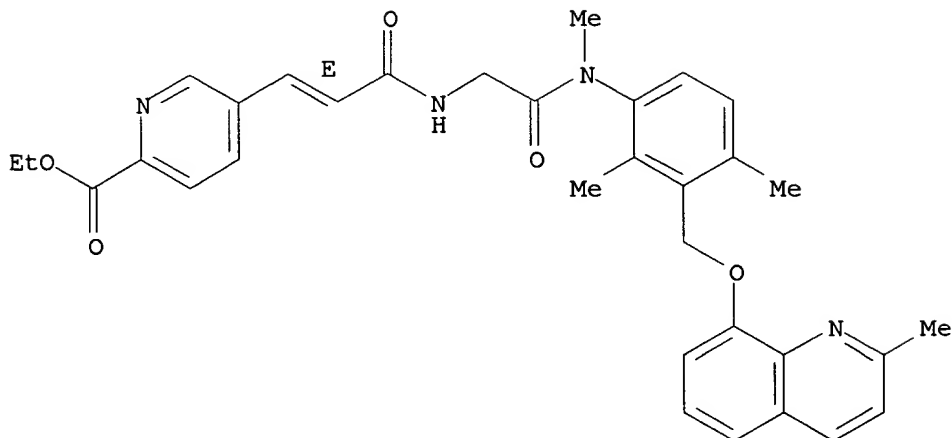
RN 179624-62-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-

09/596,086

propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

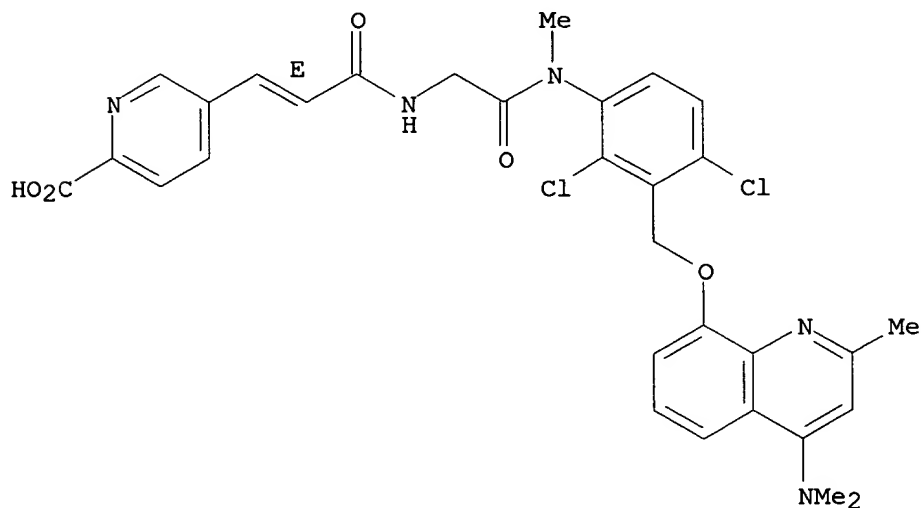
Double bond geometry as shown.



RN 179624-67-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

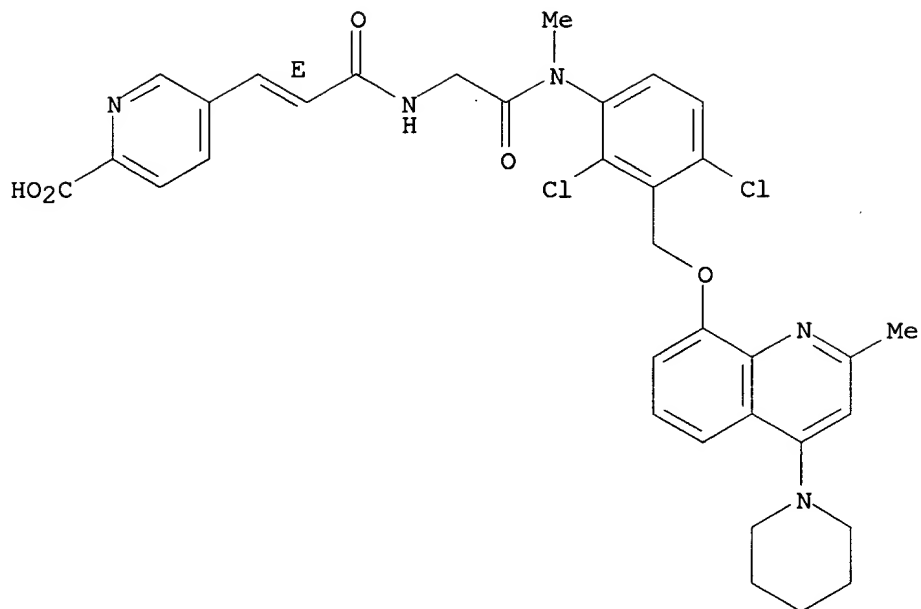
Double bond geometry as shown.



RN 179624-68-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

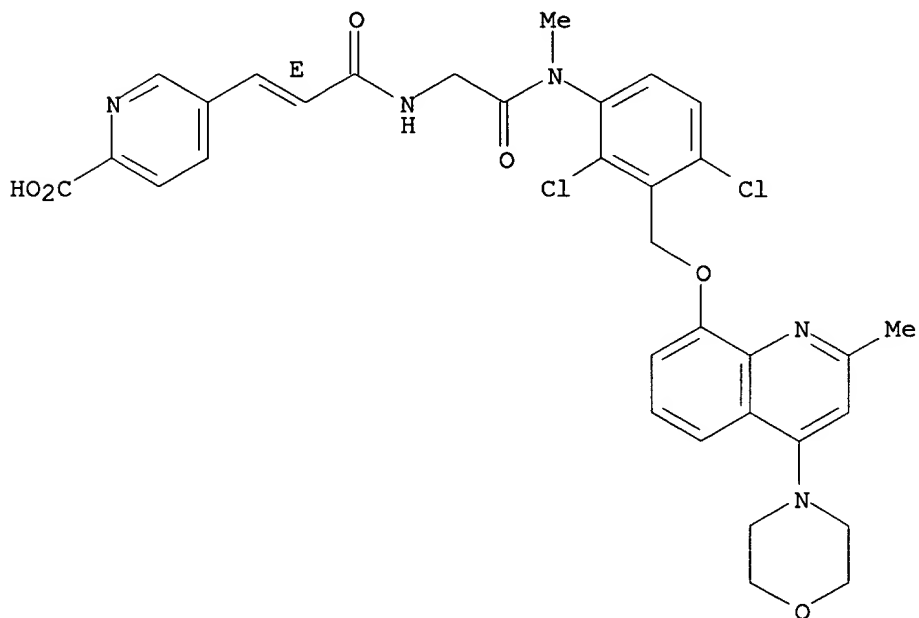
Double bond geometry as shown.



RN 179624-69-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

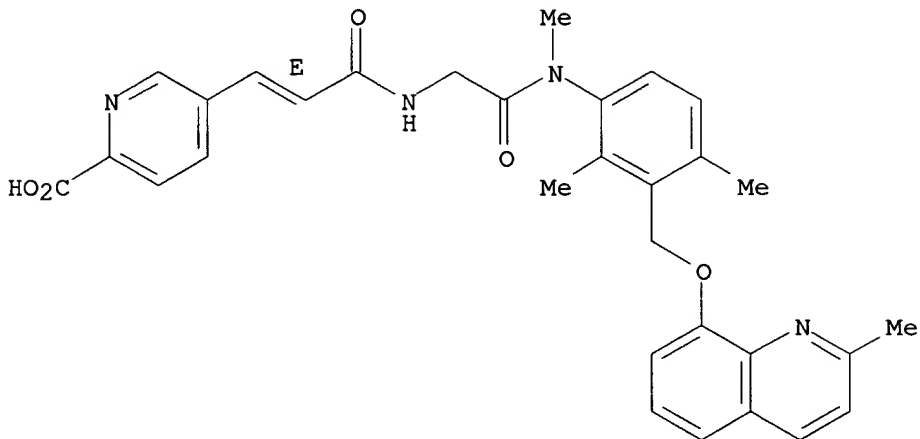


RN 179624-70-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-

propenyl]- (9CI) (CA INDEX NAME)

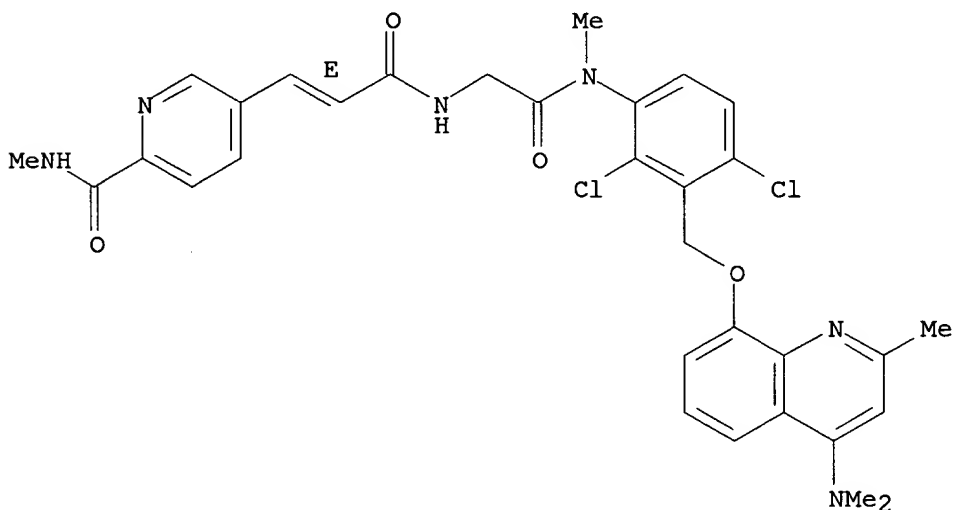
Double bond geometry as shown.



RN 179624-71-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

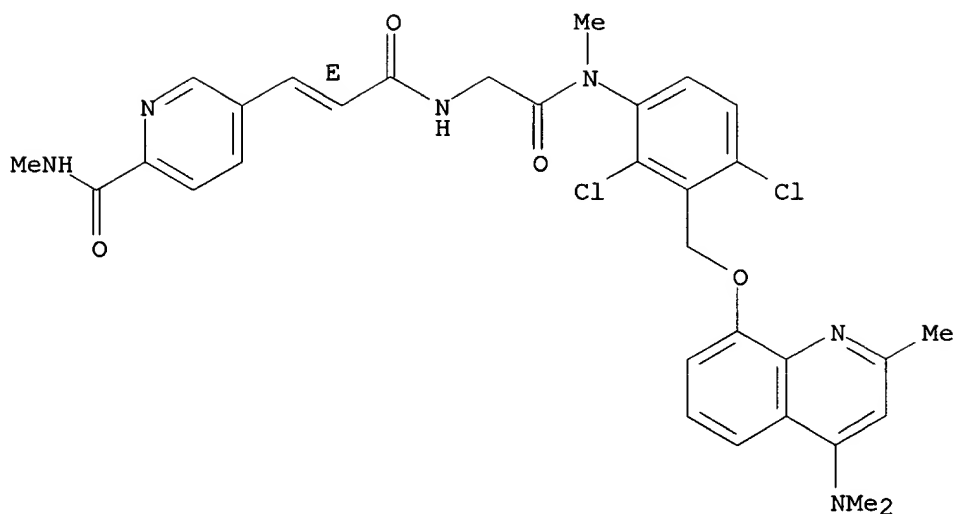


RN 179624-72-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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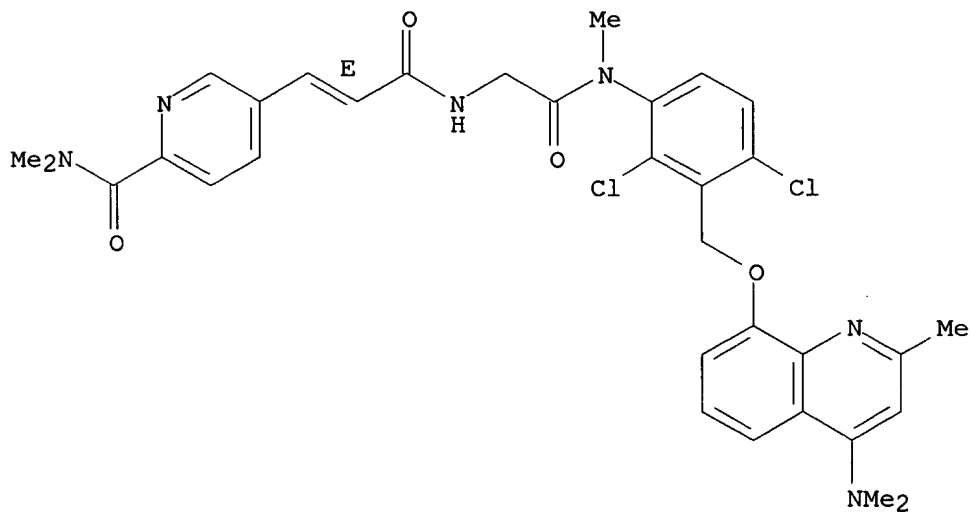
PAGE 2-A

● 3 HCl

RN 179624-73-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



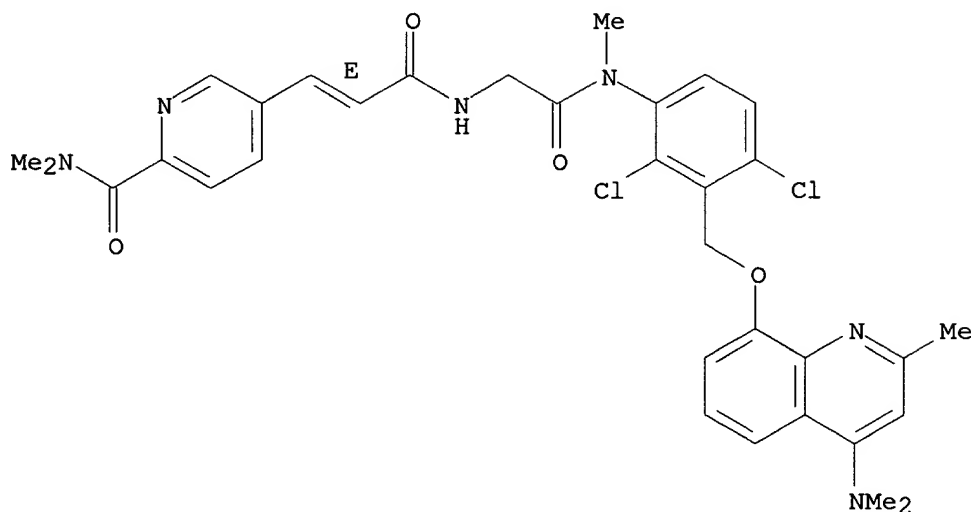
RN 179624-74-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-

oxo-1-propenyl]-N,N-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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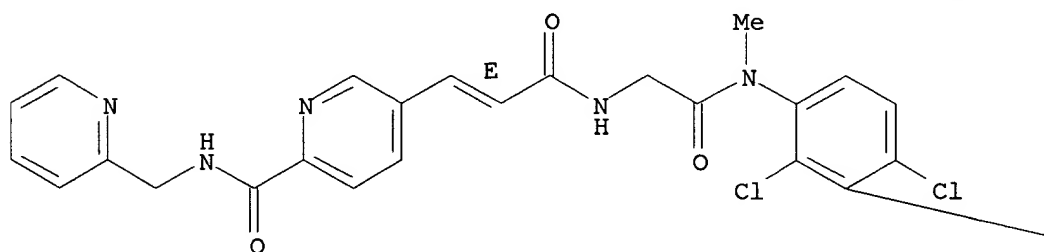
● 3 HCl

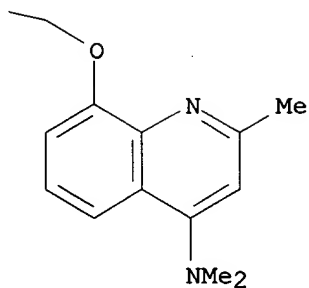
RN 179624-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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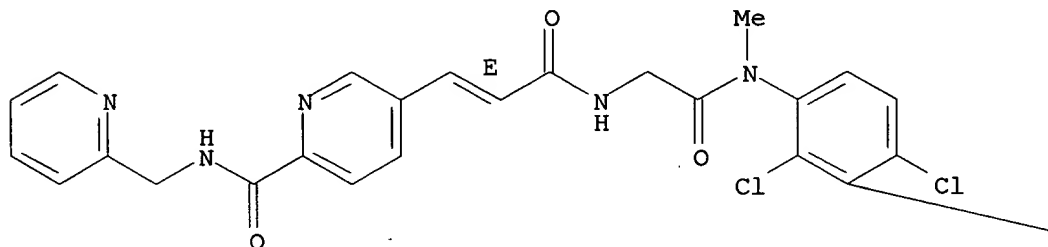


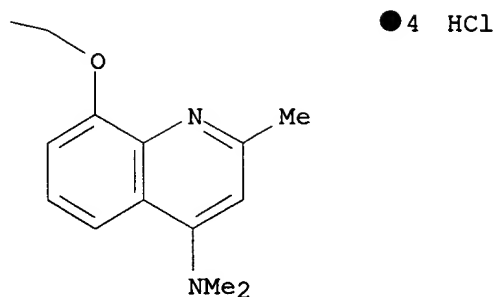


RN 179624-76-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyloxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, tetrahydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

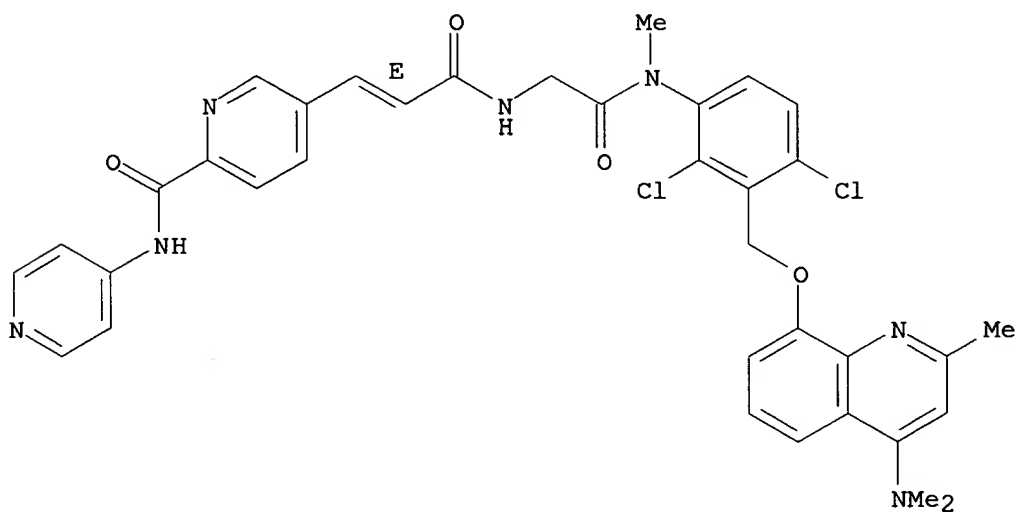




RN 179624-77-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

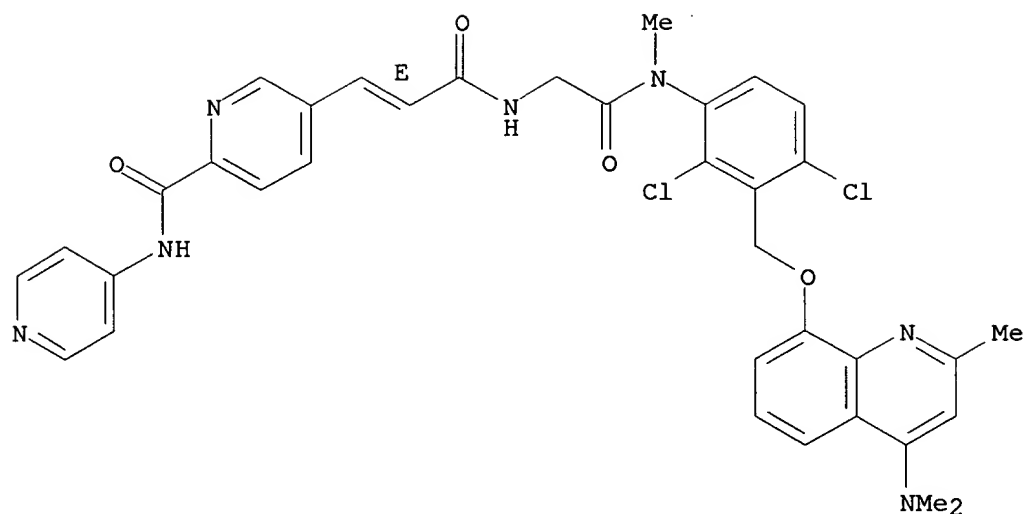


RN 179624-78-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, tetrahydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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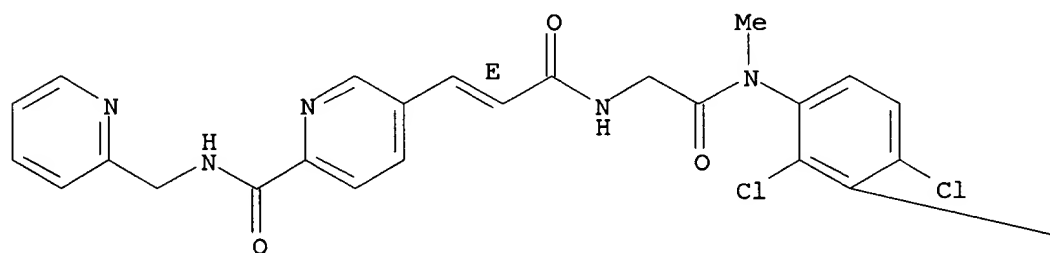
● 4 HCl

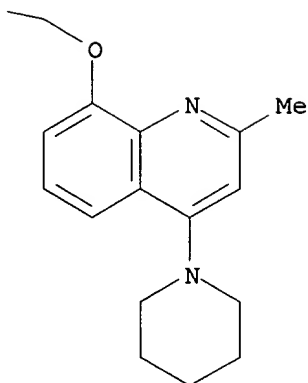
RN 179624-79-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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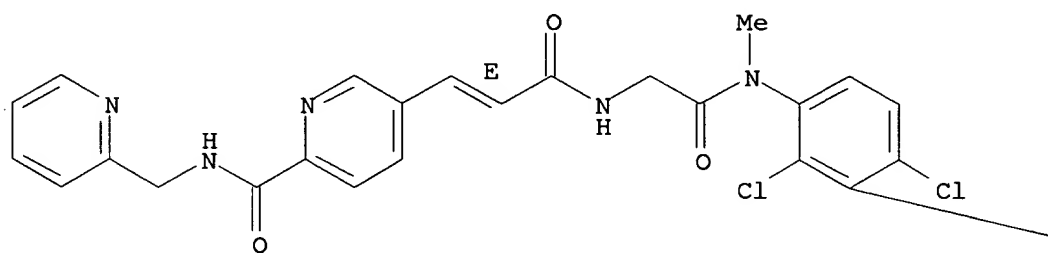


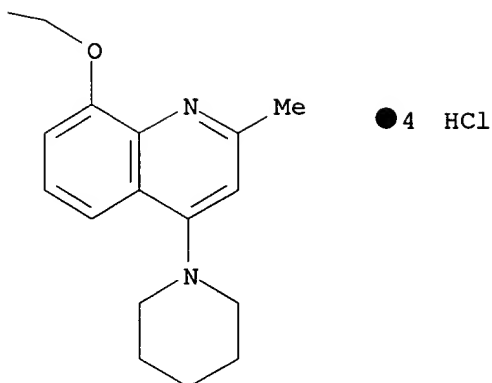


RN 179624-80-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, tetrahydrochloride, (E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

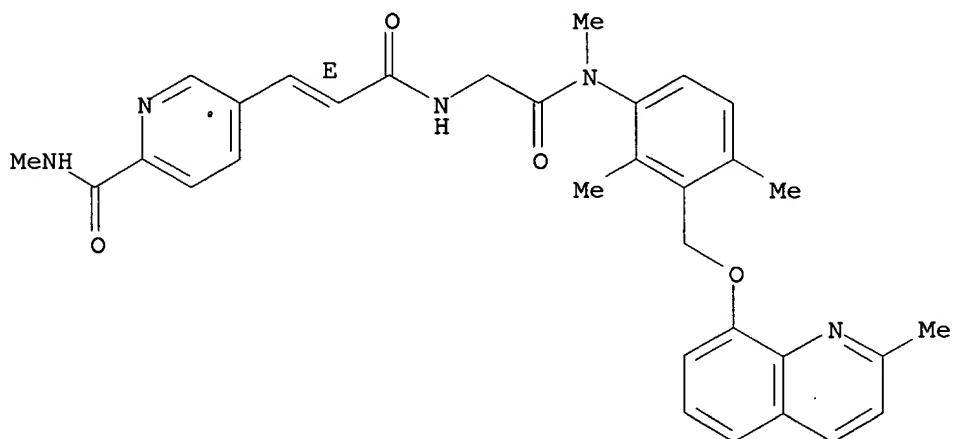




RN 179624-81-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

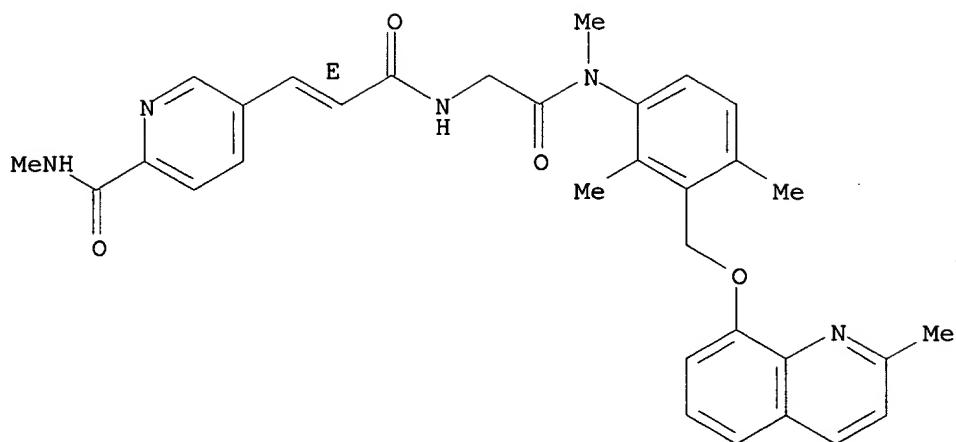
Double bond geometry as shown.



RN 179624-82-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dimethyl-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

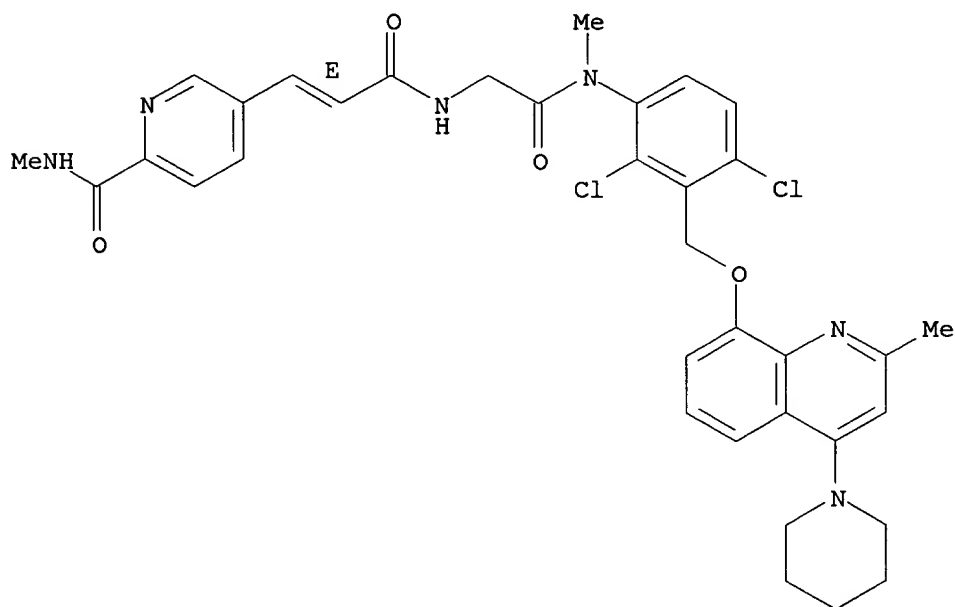


●2 HCl

RN 179624-83-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



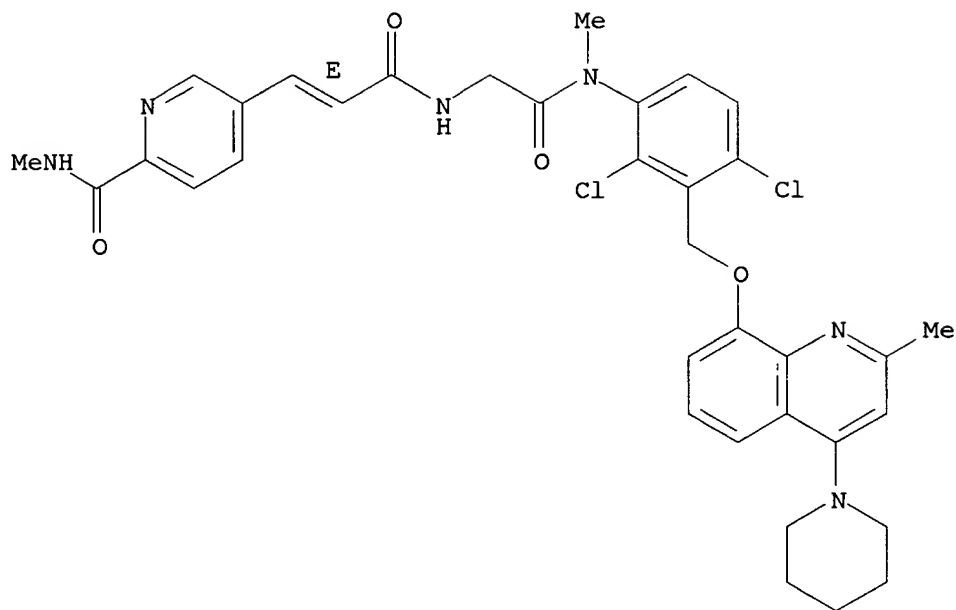
RN 179624-84-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

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Double bond geometry as shown.

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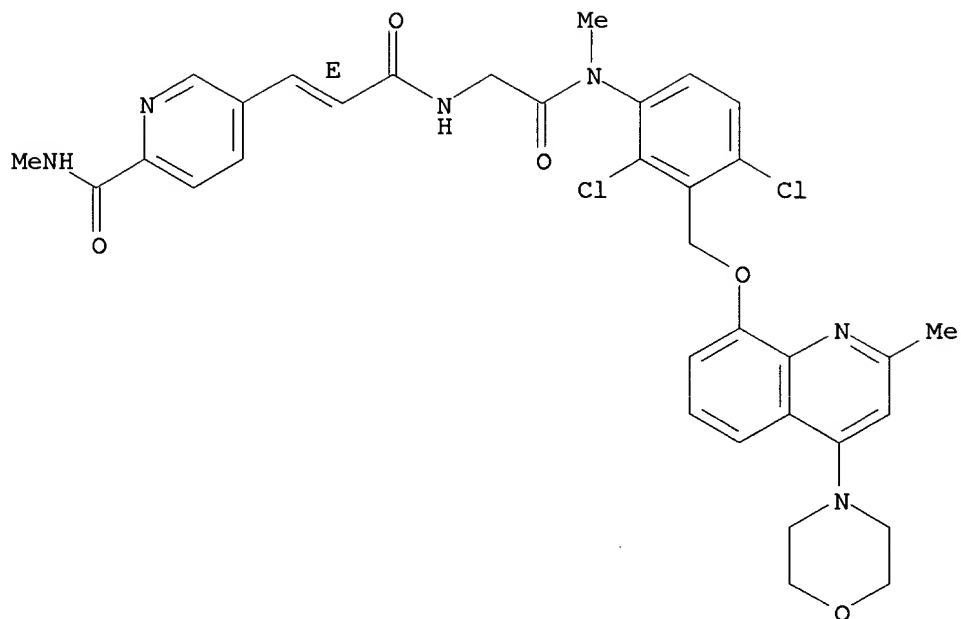
PAGE 2-A

● 3 HCl

RN 179624-85-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

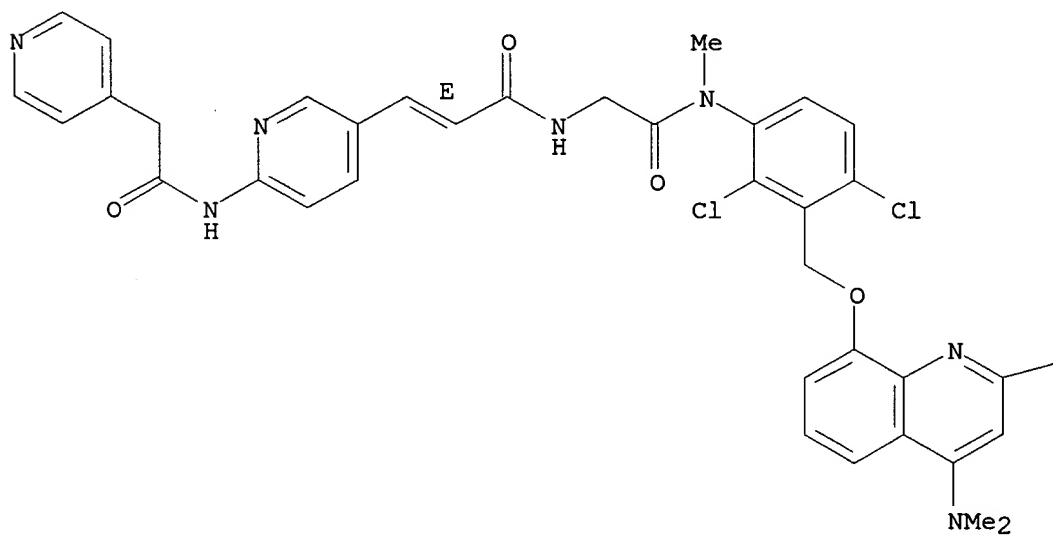


RN 179624-86-3 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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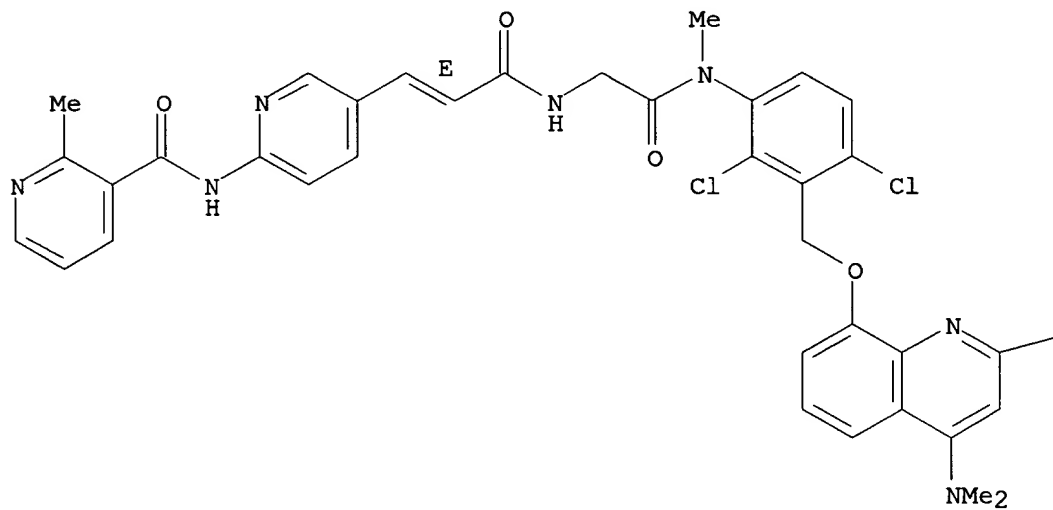
—Me

RN 179624-87-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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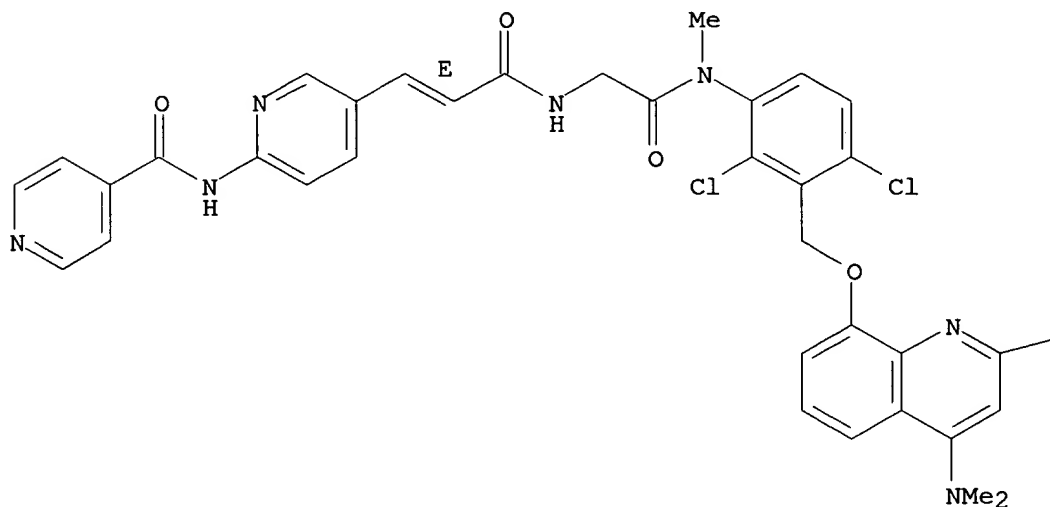
—Me

RN 179624-88-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[4-(dimethylamino)-2-methyl-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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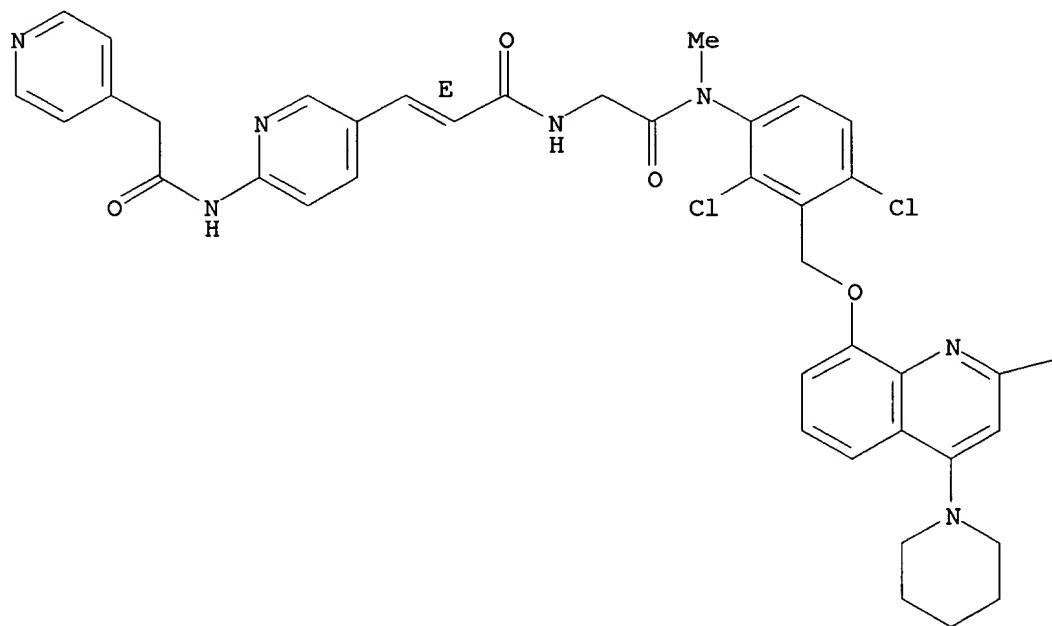
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RN 179624-89-6 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(1-piperidinyl)-8-quinolinyl]oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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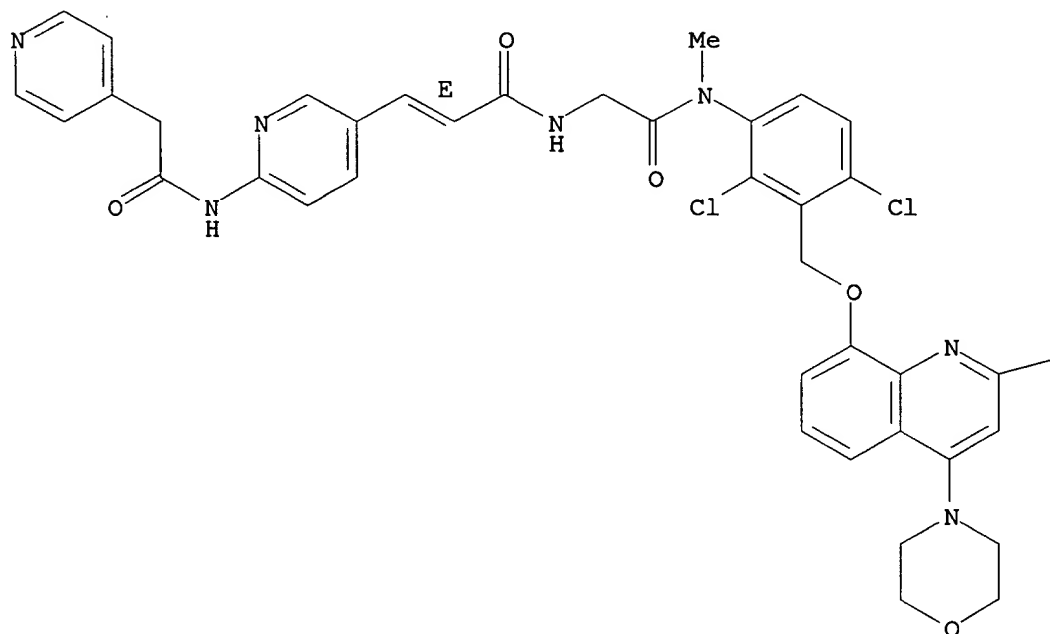
— Me

RN 179624-90-9 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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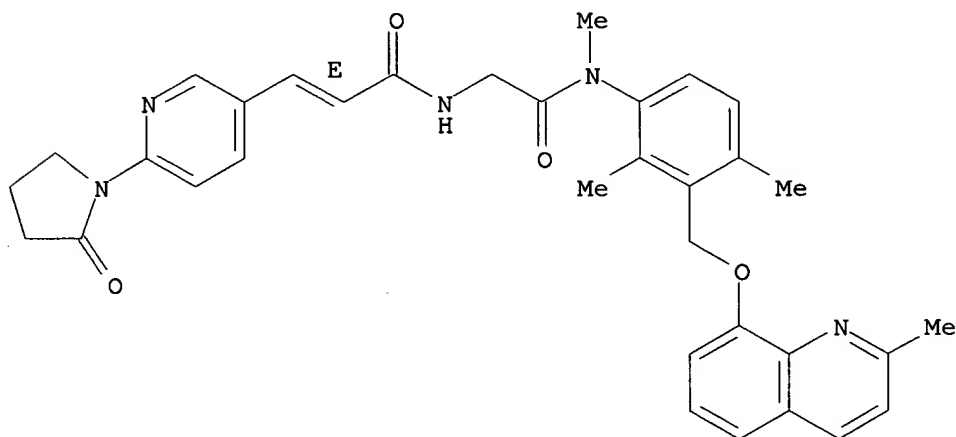


—Me

RN 179625-18-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dimethyl-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

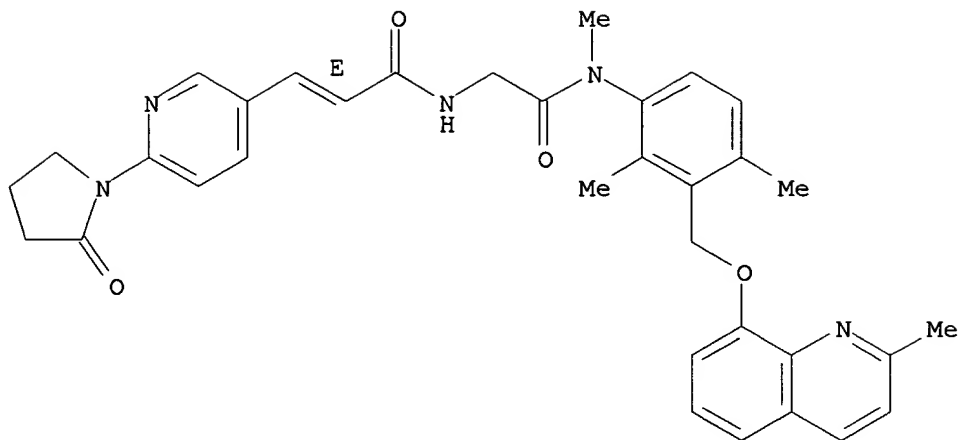
Double bond geometry as shown.



RN 179625-19-5 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dimethyl-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-(2-oxo-1-pyrrolidinyl)-3-pyridinyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



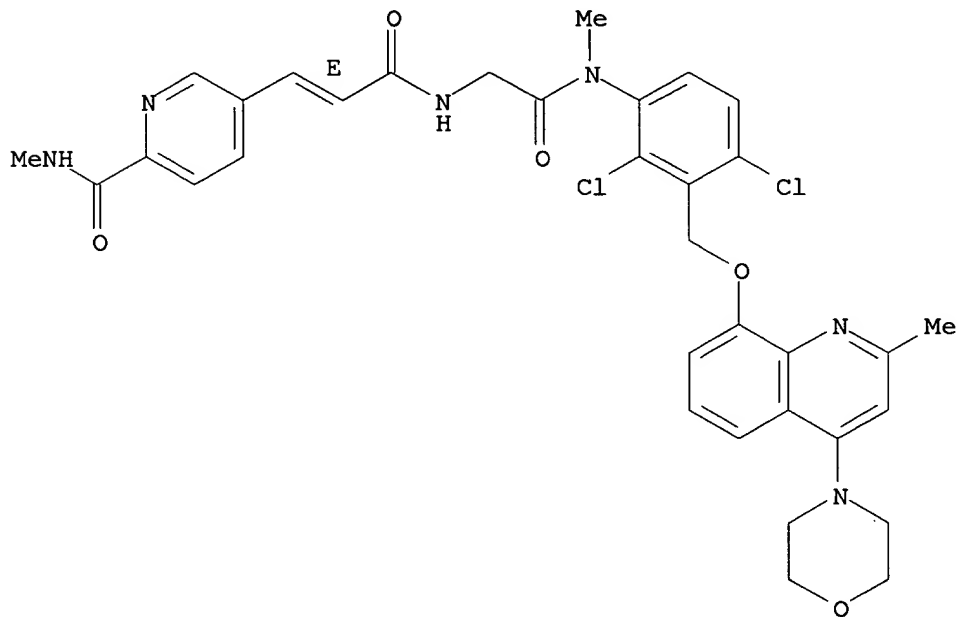
●2 HCl

RN 179626-51-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[[2-methyl-4-(4-morpholinyl)-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



● 3 HCl

126 ANSWER 123 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:345794 CAPLUS
 DOCUMENT NUMBER: 125:33636
 TITLE: Preparation of benzimidazoles and analogs as bradykinin antagonists
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 220 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604251	A1	19960215	WO 1995-JP1478	19950725
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9529915	A1	19960304	AU 1995-29915	19950725
EP 774462	A1	19970521	EP 1995-926025	19950725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6083961	A	20000704	US 1997-776518	19970203
US 6194396	B1	20010227	US 1999-425207	19991022
PRIORITY APPLN. INFO.:			JP 1994-182541	A 19940803
			JP 1995-57427	A 19950316
			WO 1995-JP1478	W 19950725

OTHER SOURCE(S): MARPAT 125:33636

GI For diagram(s), see printed CA Issue.

AB The title compds. I [Q = Q1, etc.; X represents O, S or NR5; R1 represents lower alkyl, etc.; R5 represents hydrogen, lower alkyl, etc.; R2 represents hydrogen, halogen, lower alkyl, etc.; R3 represents halogen, lower alkyl, etc.; R4 represents amino which may appropriately be substituted; and A represents lower alkylene] are prepared 4-[2,6-Dichloro-3-[N-methyl-N-[4-(methylcarbamoyl)cinnaoylglycyl]amino]benzyloxy]-1,2-dimethyl-1H-benzimidazole (preparation given) in vitro at 1×10^{-5} M gave 99% inhibition of 3H-bradykinin binding to homogenized guinea pig ileum membranes.

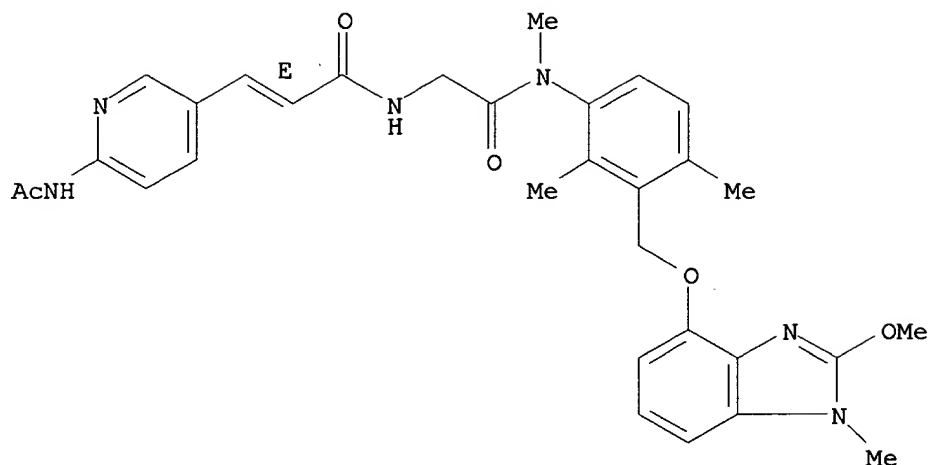
IT 177477-07-5P 177477-09-7P 177477-17-7P
 177477-18-8P 177477-19-9P 177477-20-2P
 177477-21-3P 177477-22-4P 177477-23-5P
 177477-34-8P 177477-36-0P 177477-37-1P
 177477-38-2P 177477-41-7P 177477-42-8P
 177477-43-9P 177477-45-1P 177477-48-4P
 177477-49-5P 177477-50-8P 177477-51-9P
 177477-52-0P 177477-53-1P 177477-54-2P
 177477-55-3P 177477-56-4P 177477-57-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzimidazoles and analogs as bradykinin antagonists)

RN 177477-07-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

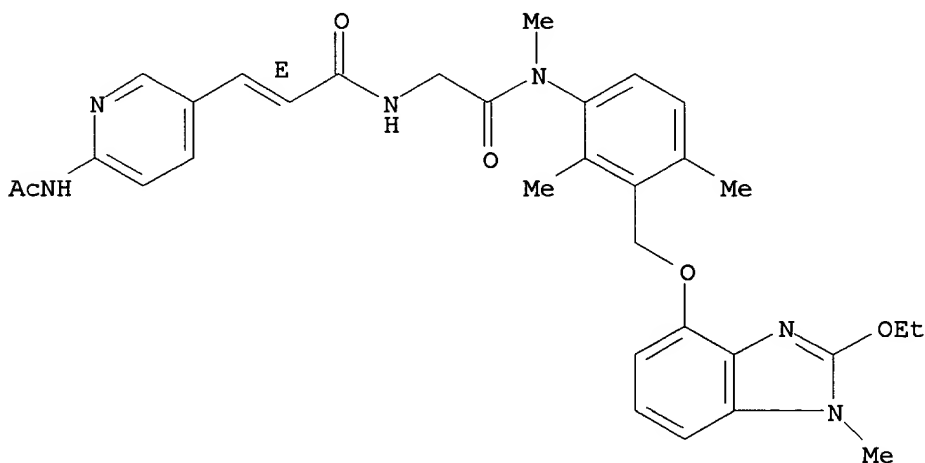
Double bond geometry as shown.



RN 177477-09-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[2-ethoxy-1-methyl-1H-benzimidazol-4-yl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

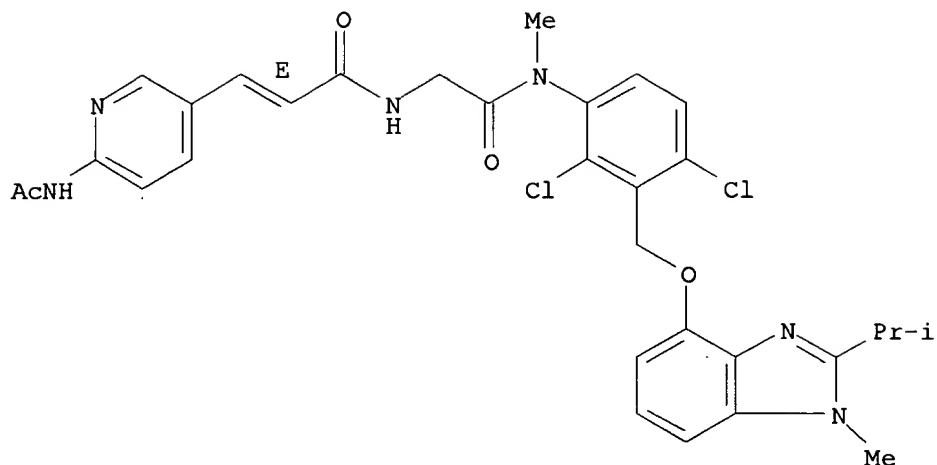
Double bond geometry as shown.



RN 177477-17-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-methyl-2-(1-methylethyl)-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

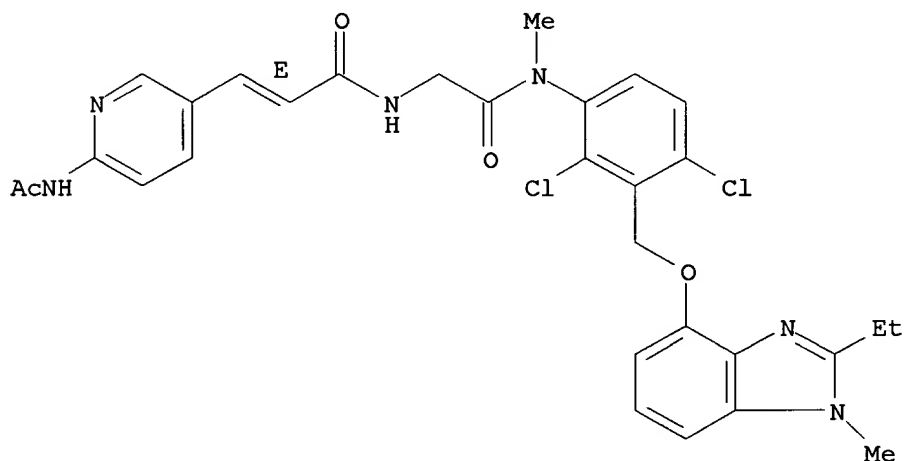
Double bond geometry as shown.



RN 177477-18-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-ethyl-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

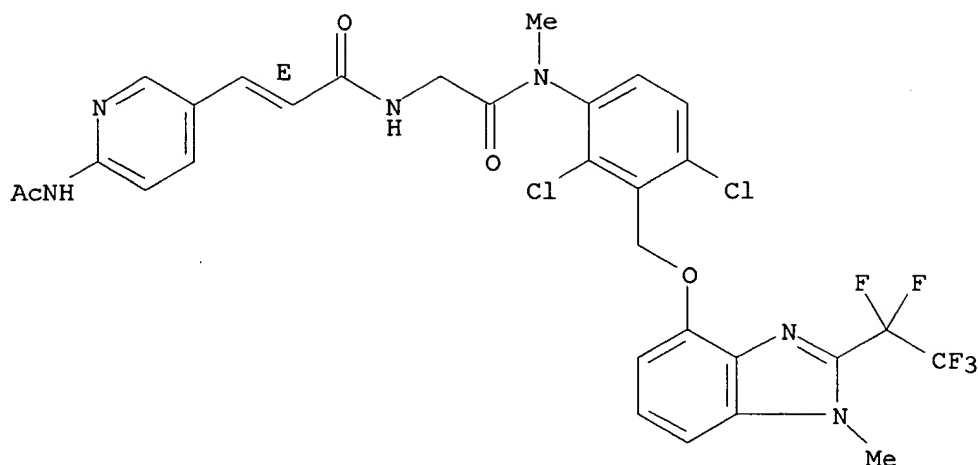
Double bond geometry as shown.



RN 177477-19-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-methyl-2-(pentafluoroethyl)-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

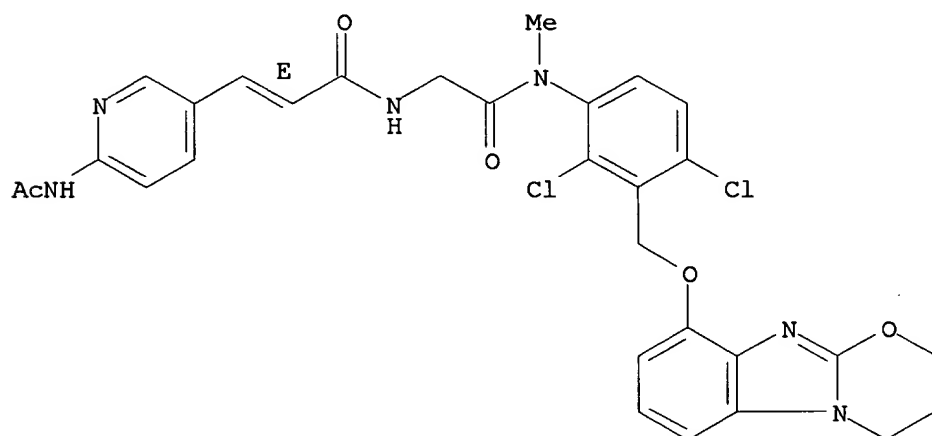
Double bond geometry as shown.



RN 177477-20-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(3,4-dihydro-2H-[1,3]oxazino[3,2-a]benzimidazol-9-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

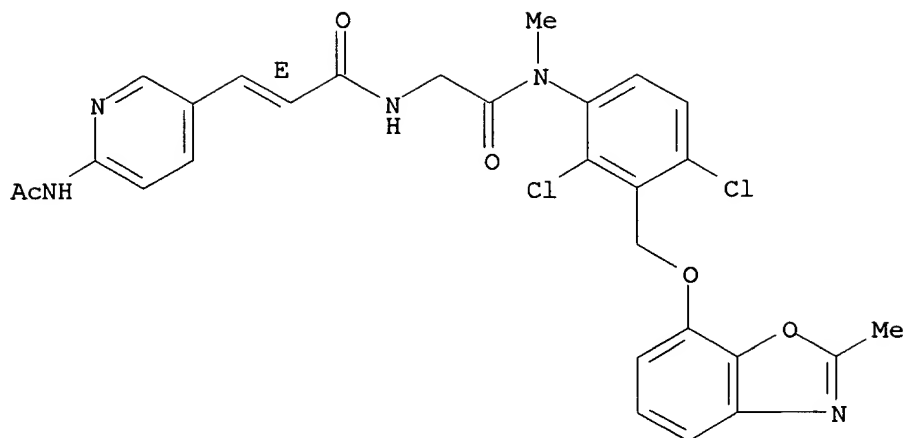
Double bond geometry as shown.



RN 177477-21-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(2-methyl-7-benzoxazolyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

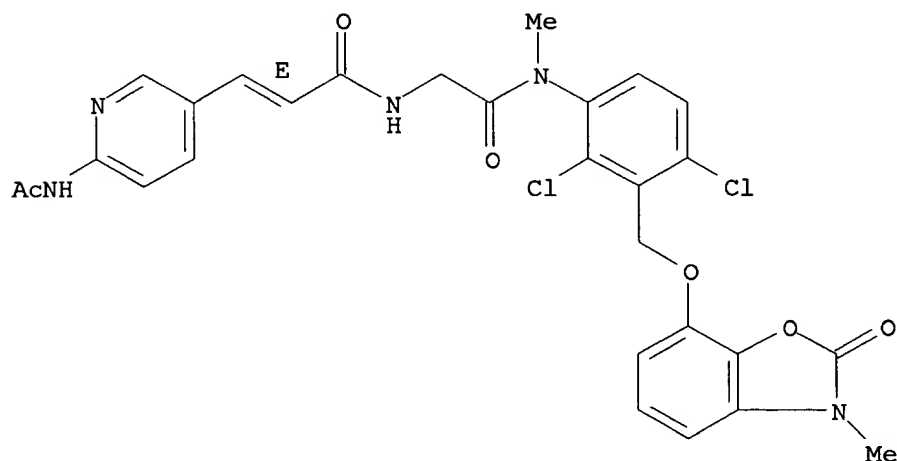
Double bond geometry as shown.



RN 177477-22-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(2,3-dihydro-3-methyl-2-oxo-7-benzoxazolyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

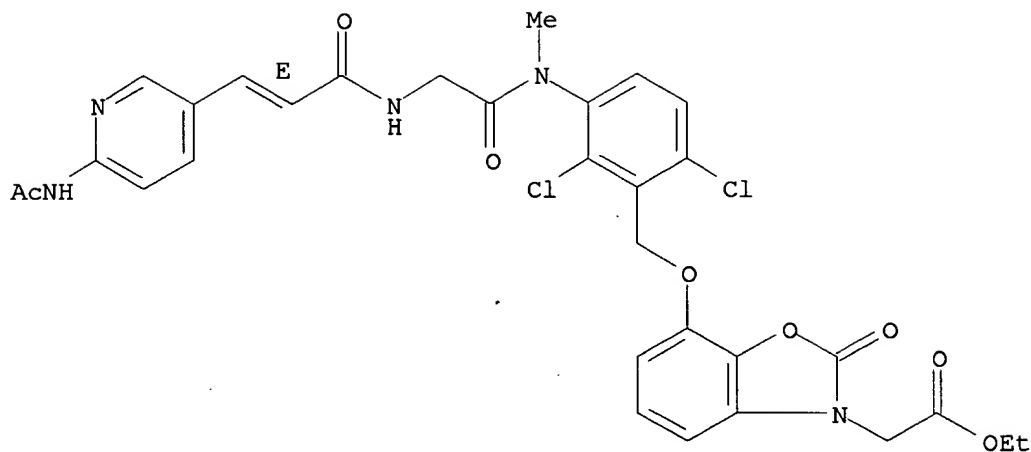
Double bond geometry as shown.



RN 177477-23-5 CAPLUS

CN 3(2H)-Benzoxazoleacetic acid, 7-[[[3-[[[3-[6-(acetylamino)-3-pyridinyl]-1-oxo-2-propenyl]amino]acetyl]methylamino]-2,6-dichlorophenyl]methoxy]-2-oxo-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

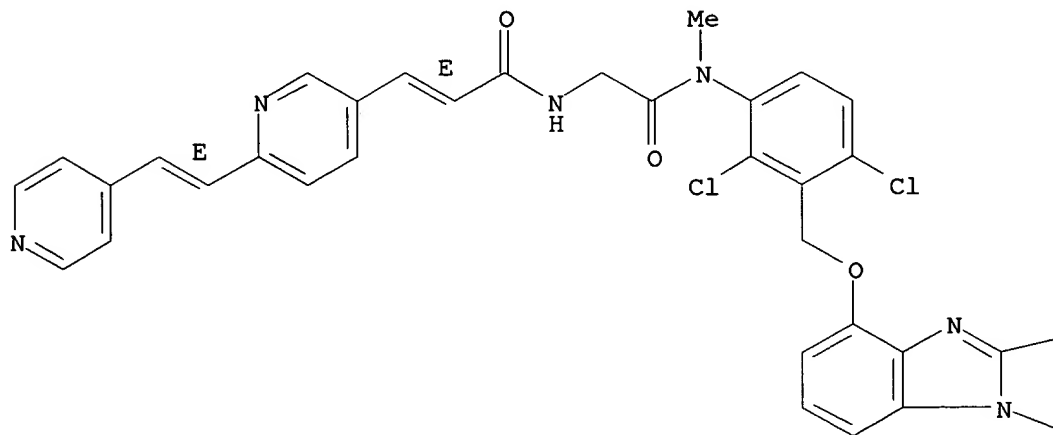


RN 177477-34-8 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[2-(4-pyridinyl)ethenyl]-3-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



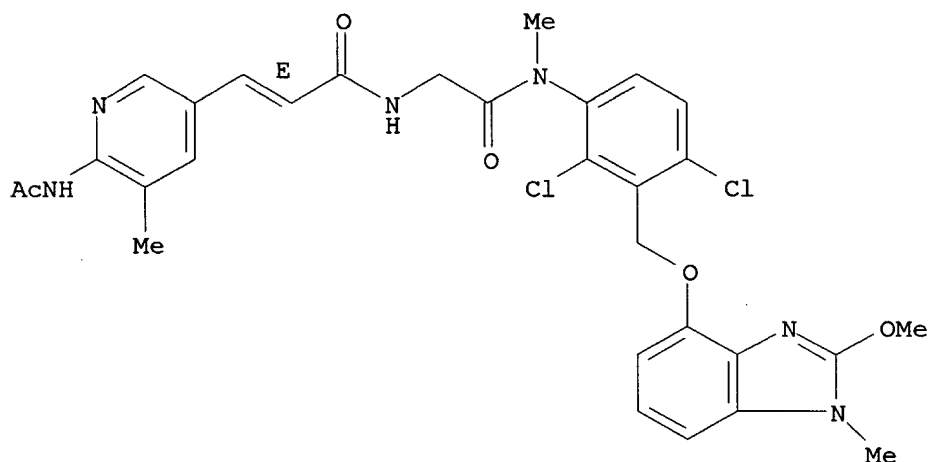
— OMe

— Me

RN 177477-36-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-5-methyl-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

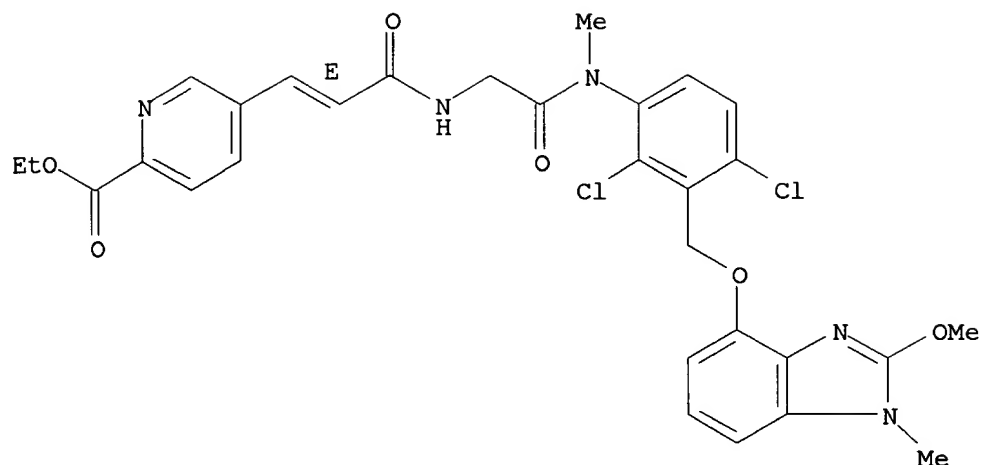
Double bond geometry as shown.



RN 177477-37-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

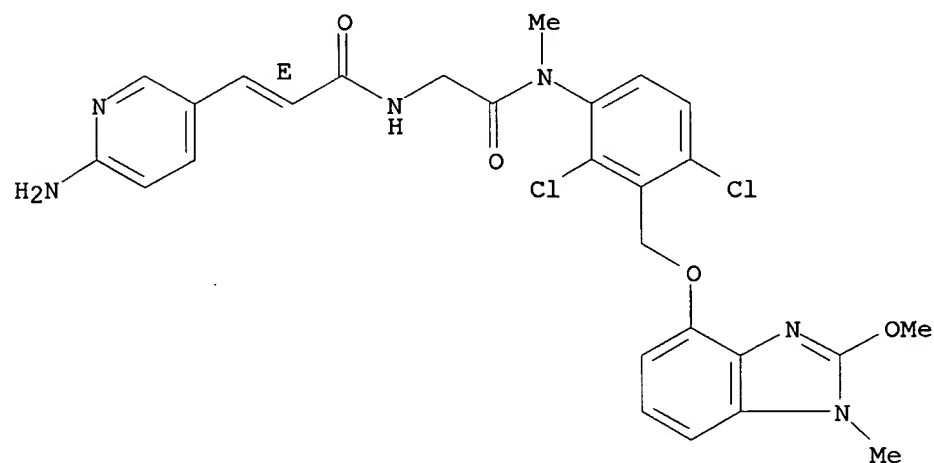
Double bond geometry as shown.



RN 177477-38-2 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

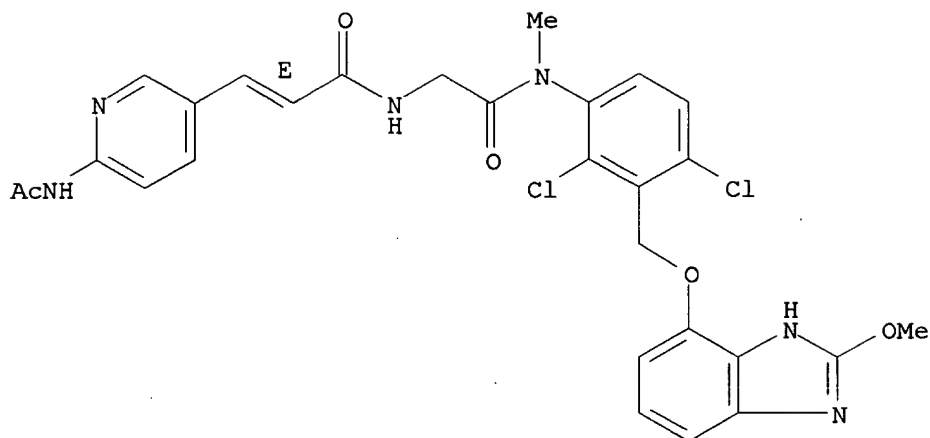
Double bond geometry as shown.



RN 177477-41-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methoxy-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

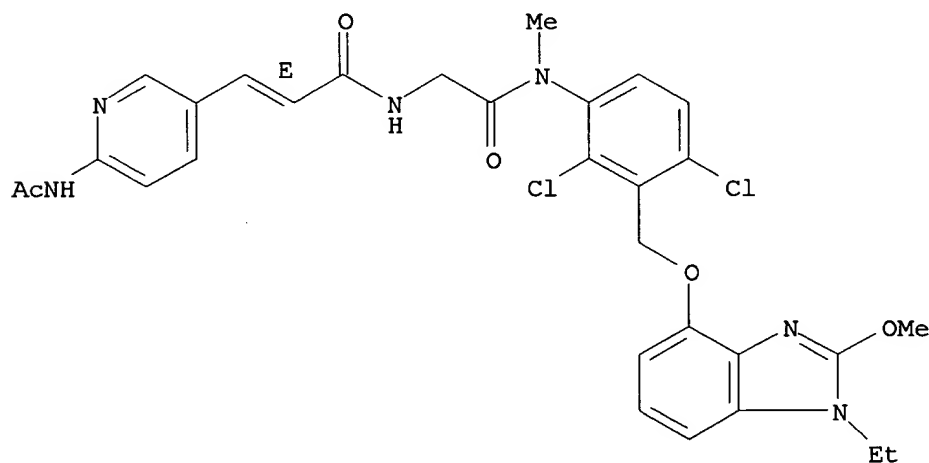
Double bond geometry as shown.



RN 177477-42-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(1-ethyl-2-methoxy-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

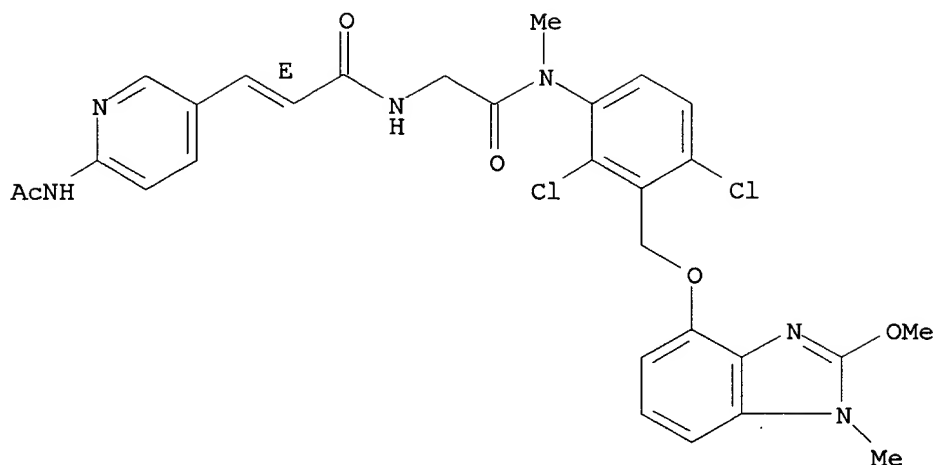
Double bond geometry as shown.



RN 177477-43-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

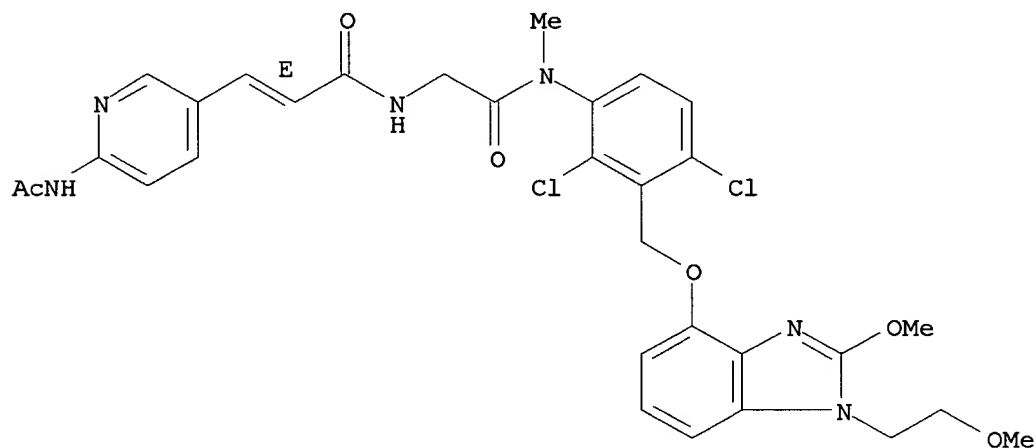
Double bond geometry as shown.



RN 177477-45-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[2-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

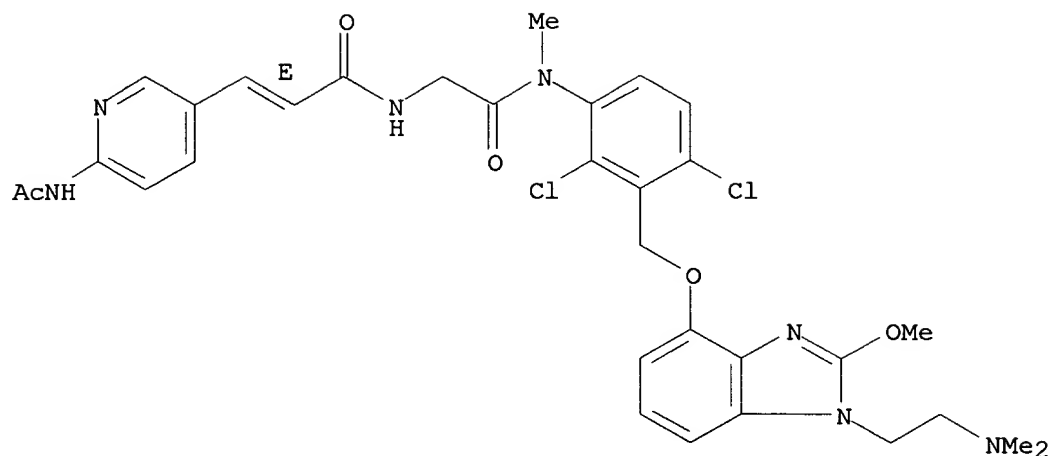
Double bond geometry as shown.



RN 177477-48-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-[2-(dimethylamino)ethyl]-2-methoxy-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

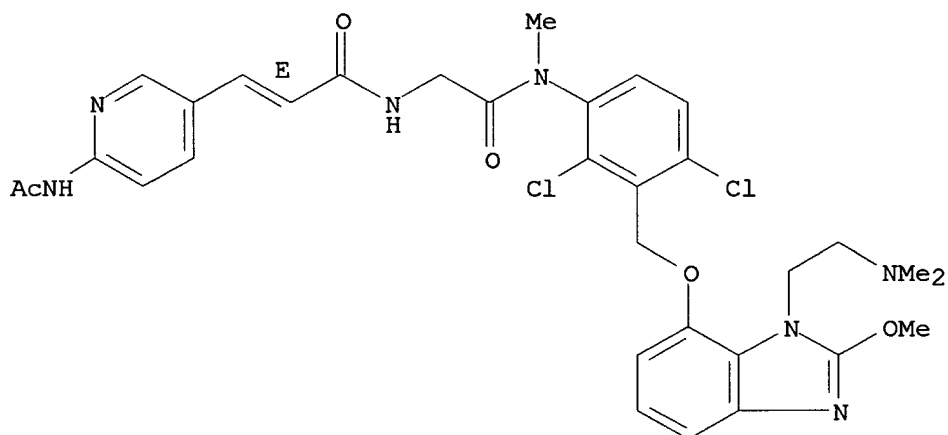
Double bond geometry as shown.



RN 177477-49-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-[2-(dimethylamino)ethyl]-2-methoxy-1H-benzimidazol-7-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

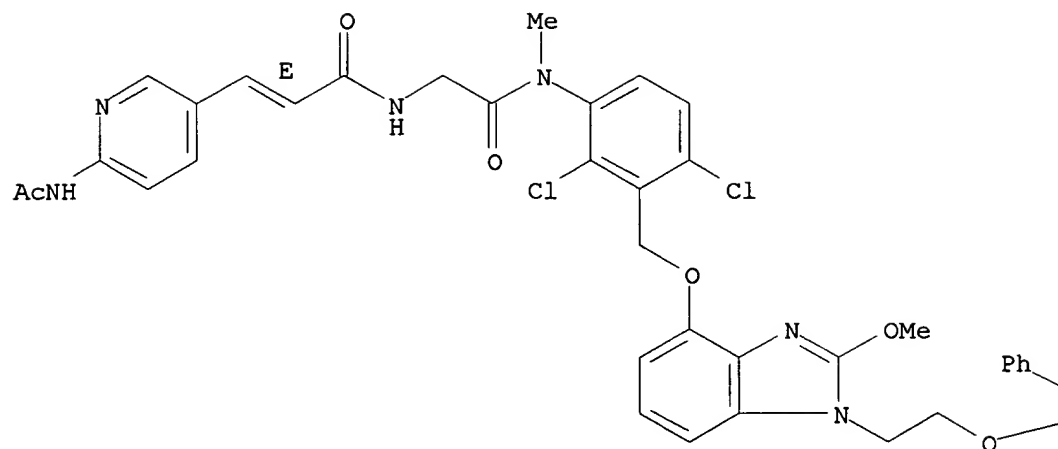


RN 177477-50-8 CAPLUS

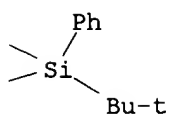
CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-[2-[[[1,1-dimethylethyl]diphenylsilyl]oxy]ethyl]-2-methoxy-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



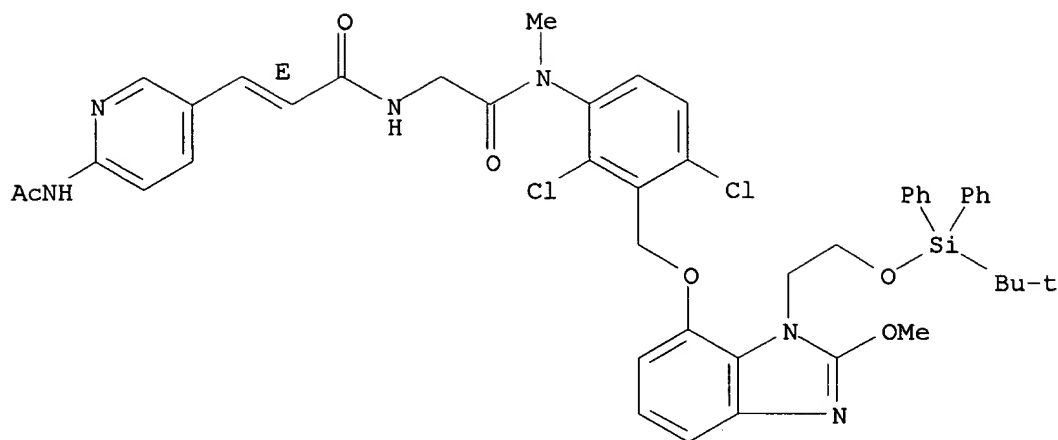
PAGE 1-B



RN 177477-51-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetilamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethyl]-2-methoxy-1H-benzimidazol-7-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

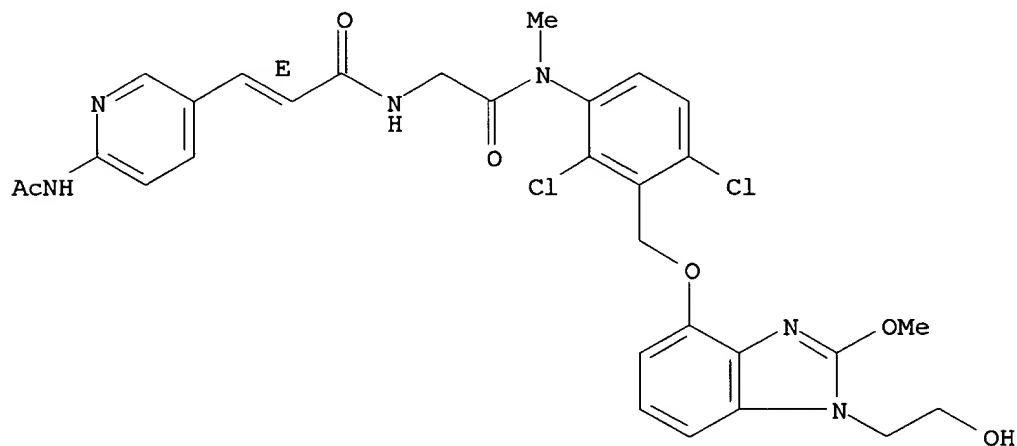
Double bond geometry as shown.



RN 177477-52-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-(2-hydroxyethyl)-2-methoxy-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

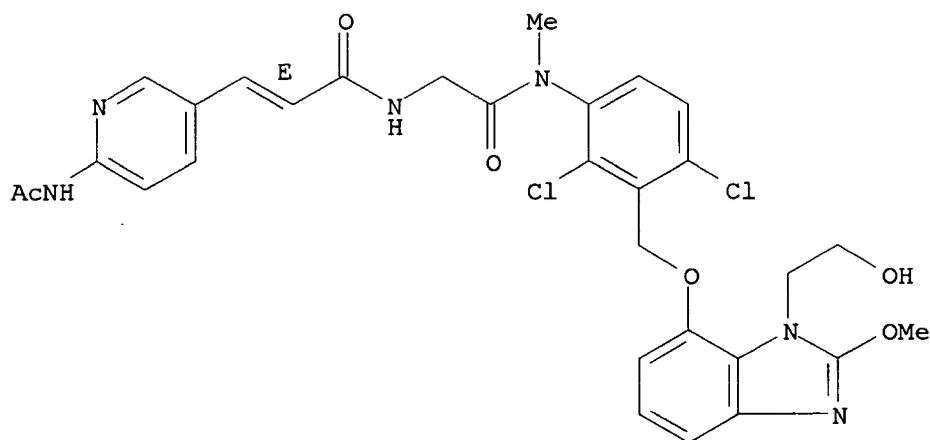
Double bond geometry as shown.



RN 177477-53-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[1-(2-hydroxyethyl)-2-methoxy-1H-benzimidazol-7-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

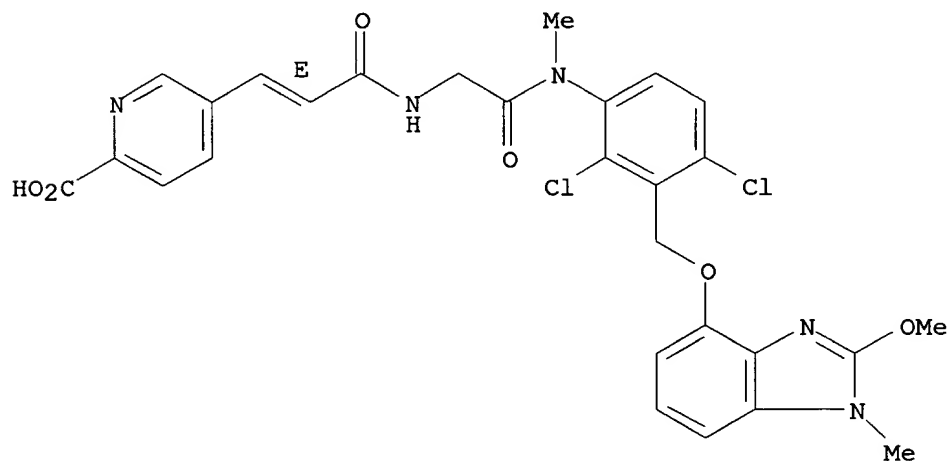
Double bond geometry as shown.



RN 177477-54-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

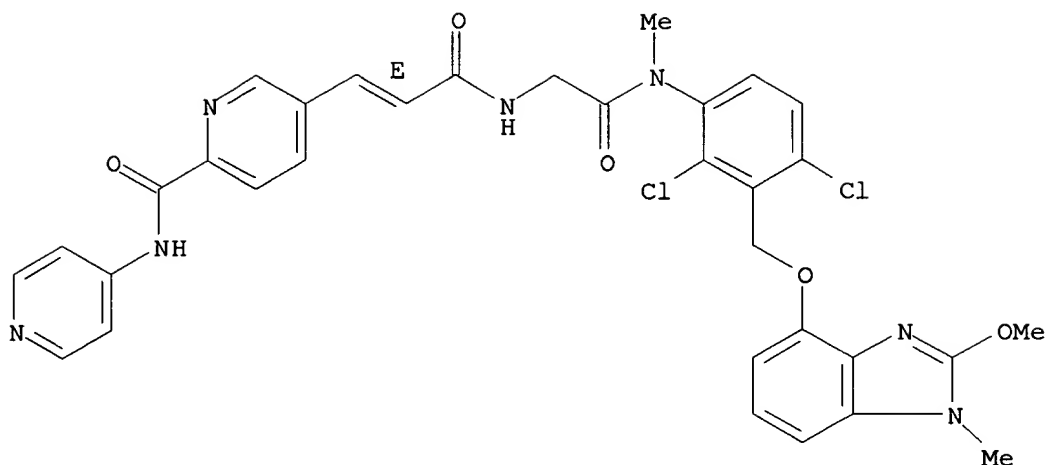
Double bond geometry as shown.



RN 177477-55-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

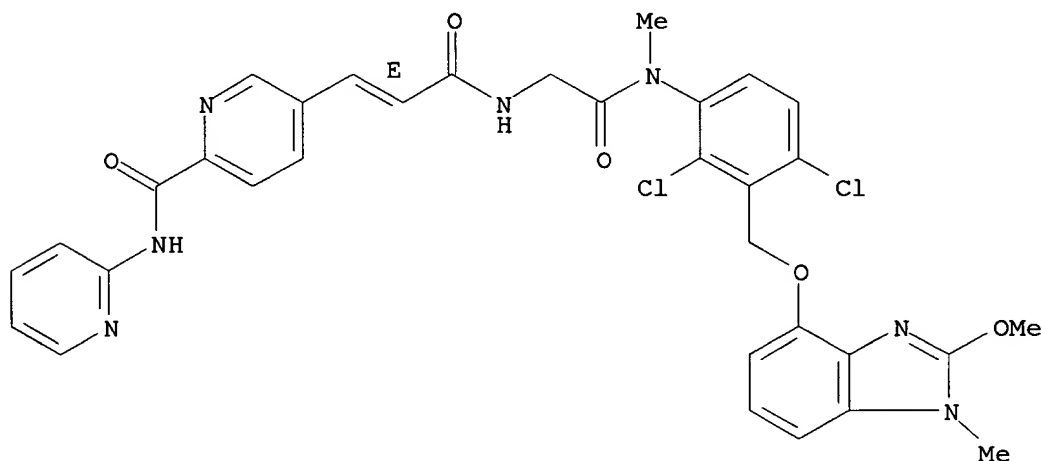
Double bond geometry as shown.



RN 177477-56-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-pyridinyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

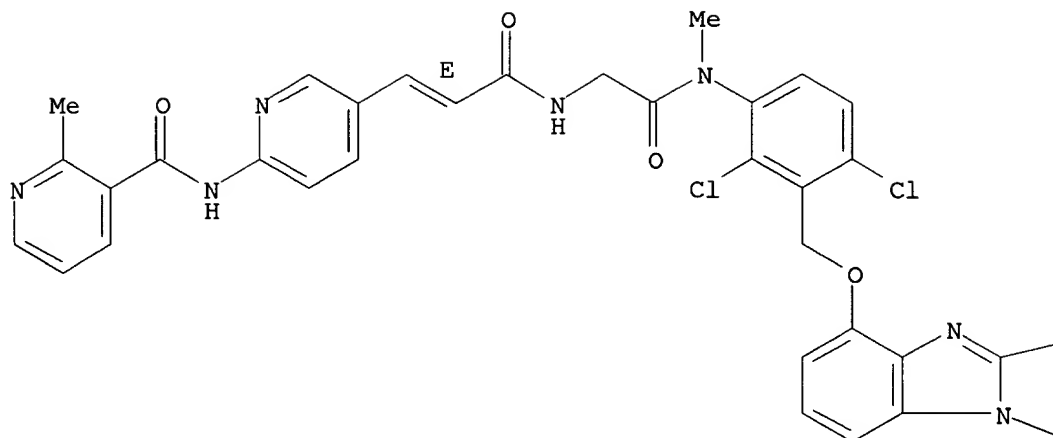


RN 177477-57-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

— OMe

— Me

IT 174298-73-8P 174298-74-9P 174298-75-0P
 177477-94-0P 177477-95-1P 177478-41-0P
 177478-42-1P 177478-43-2P 177478-44-3P
 177478-45-4P 177478-46-5P 177478-62-5P

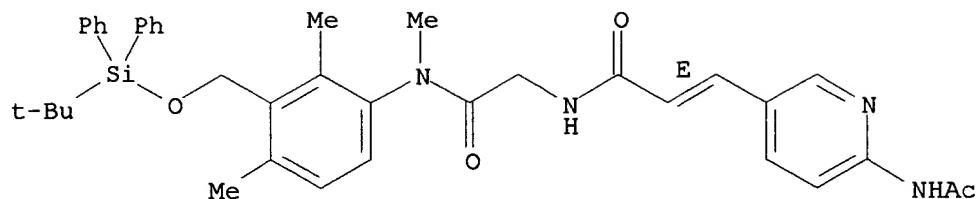
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of benzimidazoles and analogs as bradykinin antagonists)

RN 174298-73-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-
 dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-
 oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

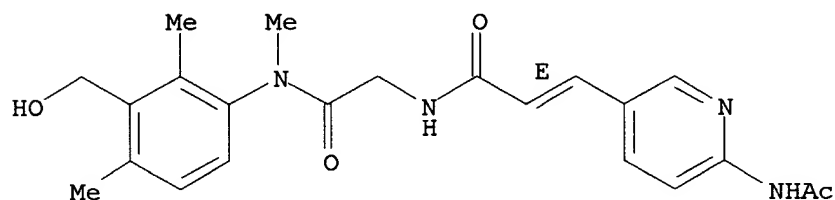
Double bond geometry as shown.



RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

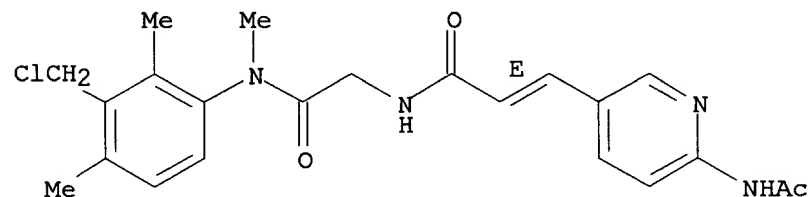
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

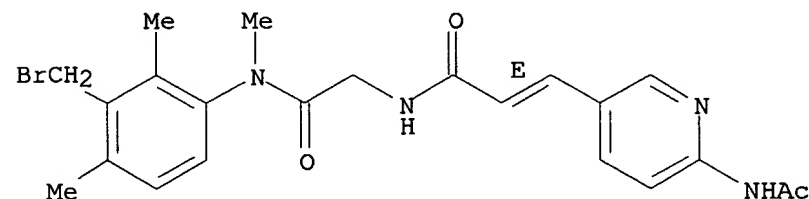
Double bond geometry as shown.



RN 177477-94-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

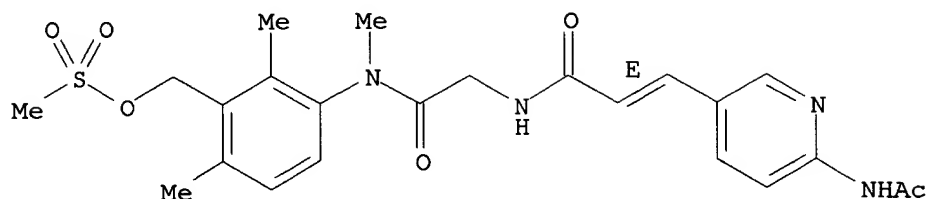


RN 177477-95-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[methylsulfonyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI)

(CA INDEX NAME)

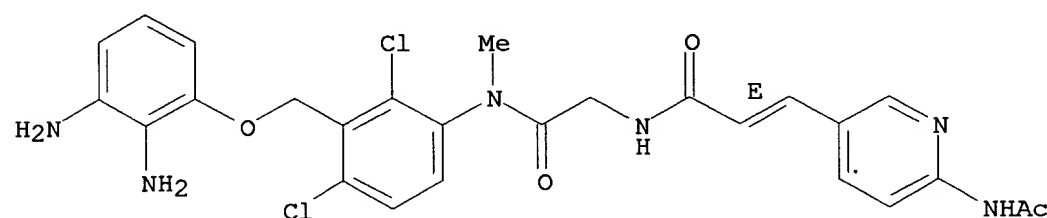
Double bond geometry as shown.



RN 177478-41-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2,3-diaminophenoxy)methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

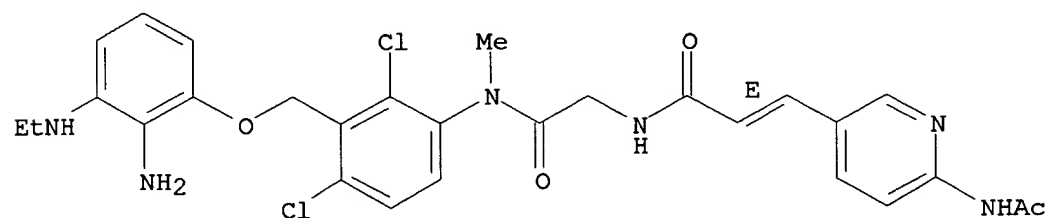
Double bond geometry as shown.



RN 177478-42-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[2-amino-3-(ethylamino)phenoxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

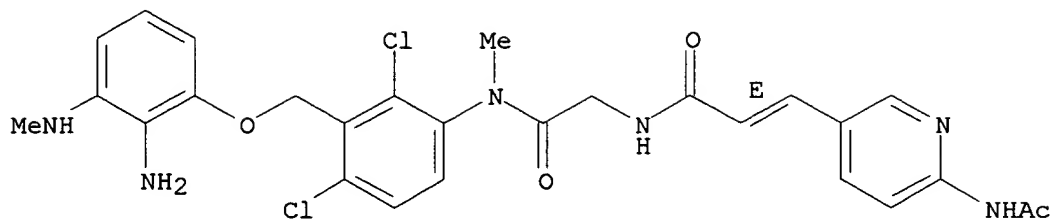
Double bond geometry as shown.



RN 177478-43-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[2-amino-3-(methylamino)phenoxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

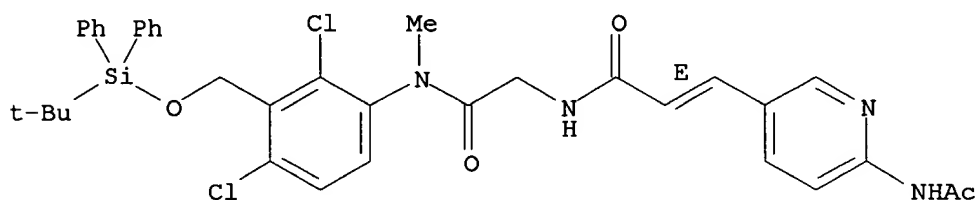
Double bond geometry as shown.



RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

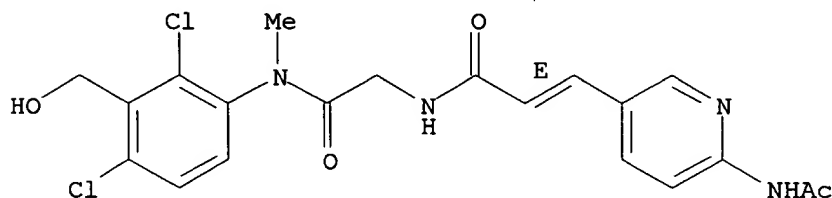
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

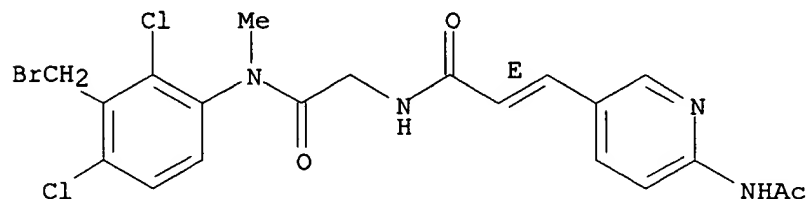
Double bond geometry as shown.



RN 177478-46-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



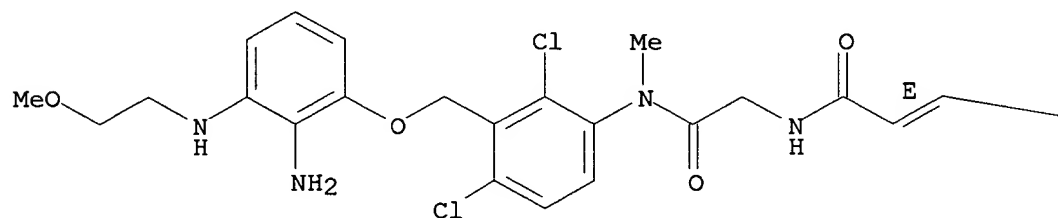
09/596,086

RN 177478-62-5 CAPLUS

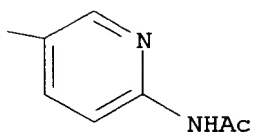
CN 2-Propenamide, 3-[6-(acetlamino)-3-pyridinyl]-N-[2-[[3-[[2-amino-3-[(2-methoxyethyl)amino]phenoxy)methyl]-2,4-dichlorophenyl)methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



~~L28~~ ANSWER 124 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:153398 CAPLUS
 DOCUMENT NUMBER: 124:202256
 TITLE: Preparation of 8-(phenylalkoxy)imidazo[1,2a]pyridine derivatives as bradykinin antagonists
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07300477	A2	19951114	JP 1995-93663	19950419
US 5574042	A	19961112	US 1995-441786	19950516
US 5750699	A	19980512	US 1996-662198	19960612
PRIORITY APPLN. INFO.:			US 1994-235632	A 19940429
			GB 1992-22947	A 19921102
			GB 1993-4249	A 19930303
			US 1993-142967	B2 19931029
			US 1995-441786	A3 19950516
OTHER SOURCE(S):		MARPAT 124:202256		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = halo; R2 = alkyl; R3 = H, halo, alkyl; R4 = halo, alkyl; R5 = H, alkyl; R6 = amino acid residue substituted by a substituent selected from heterocyclic alkylcarbamoylalkenoyl, alkenoylcarbamoylalkenoyl, alkenol, alkylcarbamoylalkenoyl, alkylcarbamoyl(alkylcarbamoyl)alkenoyl, alkenoylaminoalkenoyl, cyclic carbonylaminoalkenoyl, (un)substituted alkanoylaminoalkenoyl, alkylcarbamoylalkenoyl, alkanoylaminoalkenoyl, heterocyclic alkanoylaminoalkenoyl], which are useful for the treatment of allergy, inflammation, autoimmune disease, shock, and pain, are prepared Thus, 3-bromo-8-[3-[N-(4-carboxycinnamoyl)glycyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylimidazo[1,2-a]pyridine was dissolved in DMF, followed by adding (2-pyridylmethyl)amine 19.2, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 35.6, and 1-hydroxybenzotriazole 27.2 mg under ice-cooling, and the resulting mixture was stirred at room temperature for 18 h to give the title compound (II). I in vitro inhibited the binding of [3H]bradykinin to homogenized guinea pig ileum membrane by 50% at 1 + 10⁻⁵ M.

IT **174298-73-8P 174298-74-9P 174298-75-0P**

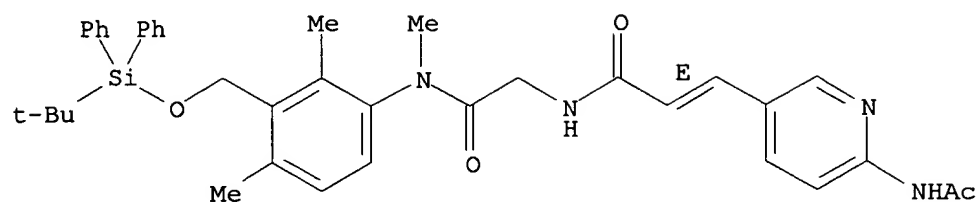
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (phenylalkoxy)imidazopyridine' derivs. as bradykinin antagonists for treating allergy, inflammation, autoimmune disease, shock, and pain)

RN 174298-73-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

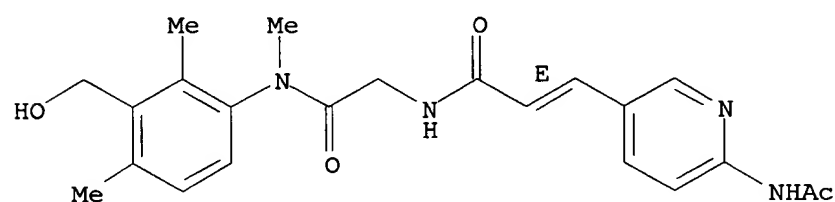
Double bond geometry as shown.



RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

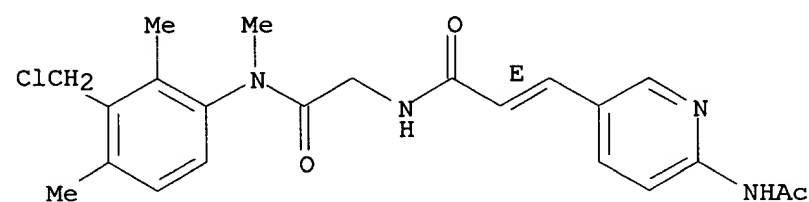
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



09/596,086

~~126~~ ANSWER 125 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:926187 CAPLUS

DOCUMENT NUMBER: 123:339392

TITLE: Preparation of phthalic acid derivatives as squalene synthetase inhibitors

INVENTOR(S): Nomoto, Takashi; Hayashi, Masahiro; Shibata, Atsushi; Iwazawa, Zenichi; Mitsuya, Morihiro; Iida, Yoshiaki; Nonoshita, Katsumasa; Osada, Yasushi

PATENT ASSIGNEE(S): Banyu Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 07173120	A2	19950711	JP 1994-270241	19941007
PRIORITY APPLN. INFO.:			JP 1994-270241	A 19941007
			JP 1993-277840	19931008

OTHER SOURCE(S): MARPAT 123:339392

GI For diagram(s), see printed CA Issue.

AB The title compds. I [Ar1, Ar2, Ar3 = aryl, etc.; Q = single bond, OCO, etc.; R1 - R3 = H, halo, etc.; R4 = H, alkyl, etc.; R5 - R9 = H, alkyl; R10 = H, alkyl, etc.] are prepared 4-[N-[(1S*,2S*)-3-(3,4-Dichlorophenyl)-2-(2-fluoro-4-biphenyl)-1-methylpropyl]carbamoylmethyl]phthalic acid in vitro showed IC50 of 0.46 nM against squalene synthetase.

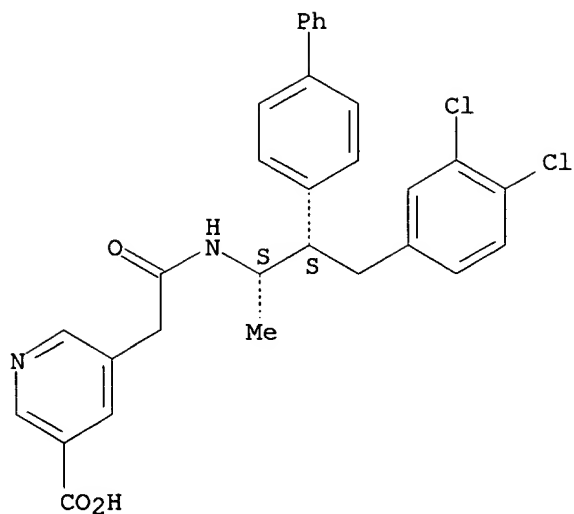
IT **170433-44-0P 170433-46-2P 170433-48-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalic acid derivs. as squalene synthetase inhibitors)

RN 170433-44-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[2-[1,1'-biphenyl]-4-yl-3-(3,4-dichlorophenyl)-1-methylpropyl]amino]-2-oxoethyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

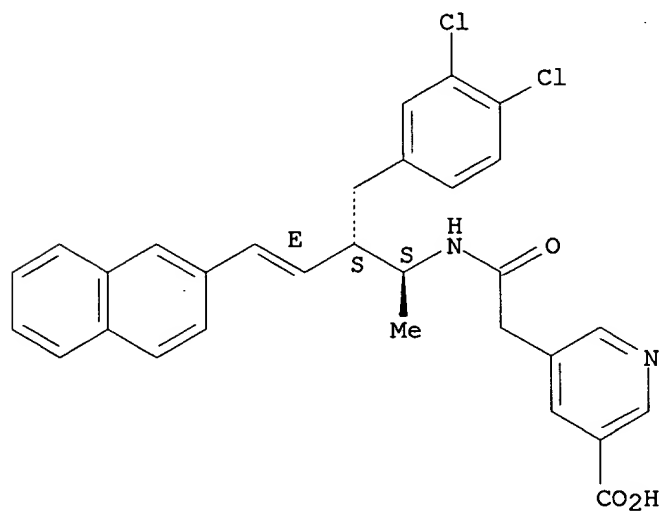
Relative stereochemistry.



RN 170433-46-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[2-[(3,4-dichlorophenyl)methyl]-1-methyl-4-(2-naphthalenyl)-3-butenyl]amino]-2-oxoethyl]-, [S-[R*,R*-(E)]]- (9CI)
(CA INDEX NAME)

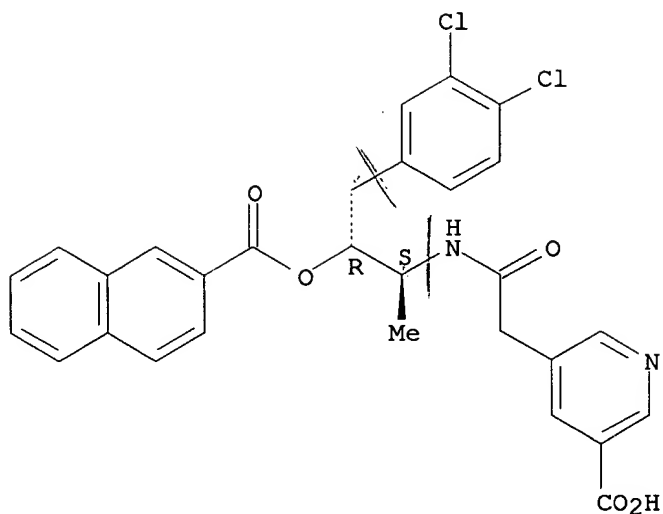
Absolute stereochemistry.
Double bond geometry as shown.



RN 170433-48-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[3-(3,4-dichlorophenyl)-1-methyl-2-[(2-naphthalenylcarbonyl)oxy]propyl]amino]-2-oxoethyl]-, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



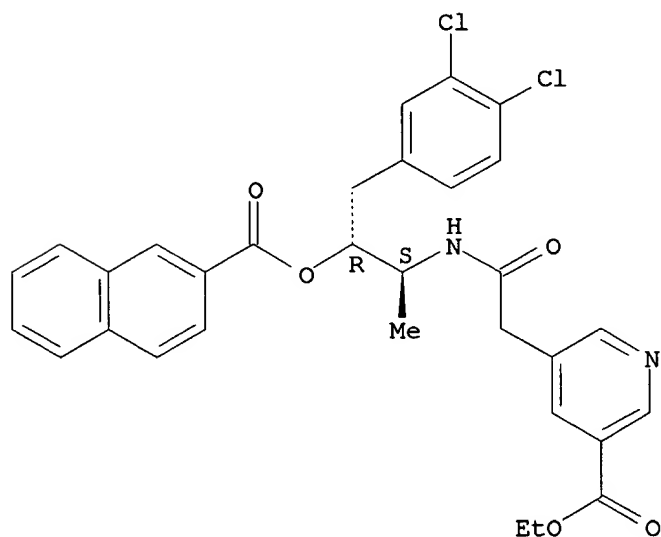
IT 170433-56-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phthalic acid derivs. as squalene synthetase inhibitors)

RN 170433-56-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[3-(3,4-dichlorophenyl)-1-methyl-2-[(2-naphthalenylcarbonyl)oxy]propyl]amino]-2-oxoethyl]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 126 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:890154 CAPLUS
 DOCUMENT NUMBER: 123:285548
 TITLE: Preparation of compounds containing basic and acidic
 termini useful as fibrinogen receptor antagonists
 INVENTOR(S): Degrado, William Frank; Xue, Chu-Biao
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
 SOURCE: PCT Int. Appl., 201 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518111	A1	19950706	WO 1994-US14244	19941221
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5563158	A	19961008	US 1994-343159	19941122
AU 9514000	A1	19950717	AU 1995-14000	19941221
PRIORITY APPLN. INFO.:			US 1993-174552	A 19931228
			US 1994-343159	A 19941122
			WO 1994-US14244	W 19941221

OTHER SOURCE(S): MARPAT 123:285548

AB The title compds. R1UVN(R6e)C(R7)(R8)C(R7a)(R9)R10 [R1 = (un)substituted
 amidinophenyl, (un)substituted amidinocyclohexyl, (un)substituted
 amidinoheterocyclyl, etc.; R6e = H, alkyl, alkenyl, cycloalkyl, aryl,
 etc.; R7, R7a = H, C1-4 alkyl; R8 = (un)substituted alkyl, (un)substituted
 alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl,
 (un)substituted aryl, etc.; R9 = H, (un)substituted alkenyl,
 (un)substituted alkynyl, etc.; R10 = tetrazolyl, (un)substituted CO₂H,
 SO₃H, PO₃H, etc.; U = (un)substituted (CH₂)₃, (un)substituted CH₂CH:CH,
 (un)substituted CH:CHCH₂, etc.; V = heterocyclylcarbonyl or -sulfonyl
 bridging group], useful for the inhibition of platelet aggregation and/or
 for the treatment of thromboembolic disorders, are prepared Thus,
 N-[3-(4-amidinophenyloxymethyl)benzoyl]-DL--3-aminobutyric acid
 trifluoroacetic acid salt was prepared in 4 steps from 3-
 (chloromethyl)benzoyl chloride, and demonstrated a IC₅₀ of <10 µM in a
 thrombolytic assay based on human venous blood.

IT 169605-54-3P

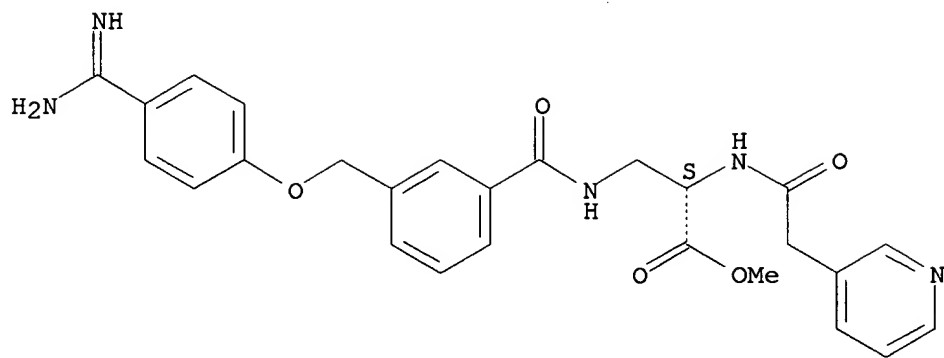
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of compds. containing basic and acidic termini useful as
 fibrinogen
 receptor antagonists)

RN 169605-54-3 CAPLUS

CN L-Alanine, 3-[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-
 pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 127 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:890017 CAPLUS

DOCUMENT NUMBER: 124:30401

TITLE: Preparation of tryptophan esters and amides as tachykinin receptor antagonists

INVENTOR(S): Dorn, Conrad P.; Maccoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Brit. UK Pat. Appl., 58 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2282807	A1	19950419	GB 1994-20262	19941007
PRIORITY APPLN. INFO.:			US 1993-138515	A 19931015

OTHER SOURCE(S): MARPAT 124:30401

AB RCH₂CH(NR₂COR₁)COZCHR₄R₅ [I; R = 3-indolyl; R₁ = (un)substituted alkyl; R₂, R₄ = H, alkyl; R₅ = (un)substituted Ph; Z = O or NR₈; R₈ = H or Me] were prepared as tachykinin receptor antagonists (no data). Thus, L-tryptophen benzyl ester was N-acylated with Ph₂CHCH₂CO₂H and the saponified product amidated with MeNHCH₂Ph to give (S)-Ph₂CH₂CONHCH(CH₂R)CONMeCH₂Ph (R = 3-indolyl).

IT 169673-20-5P 169673-22-7P 169673-23-8P

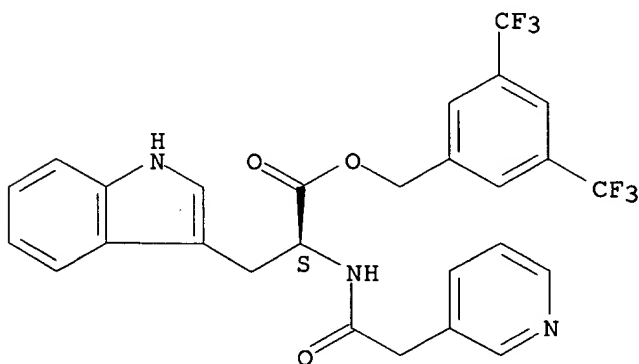
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tryptophan esters and amides as tachykinin receptor antagonists)

RN 169673-20-5 CAPLUS

CN L-Tryptophan, N-(3-pyridinylacetyl)-, [3,5-bis(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



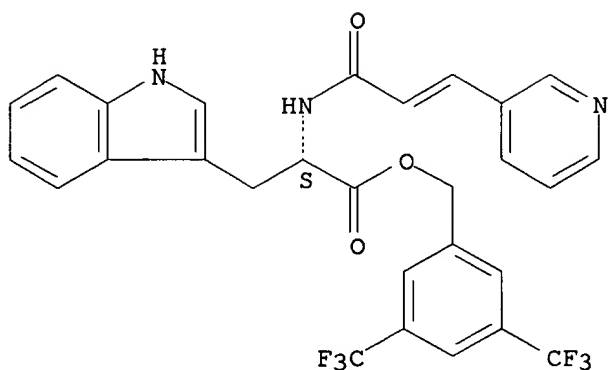
RN 169673-22-7 CAPLUS

CN L-Tryptophan, N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, [3,5-bis(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/596,086

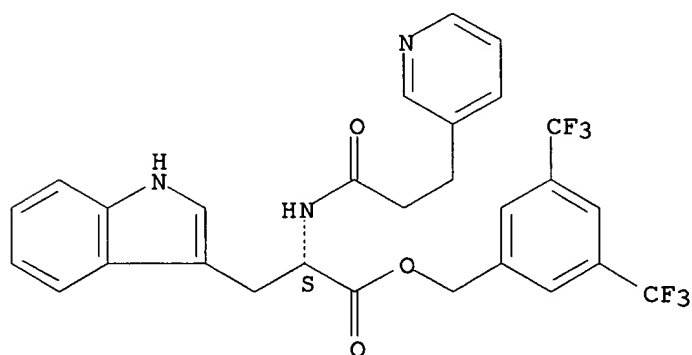
Double bond geometry unknown.



RN 169673-23-8 CAPLUS

CN L-Tryptophan, N-[1-oxo-3-(3-pyridinyl)propyl]-, [3,5-bis(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

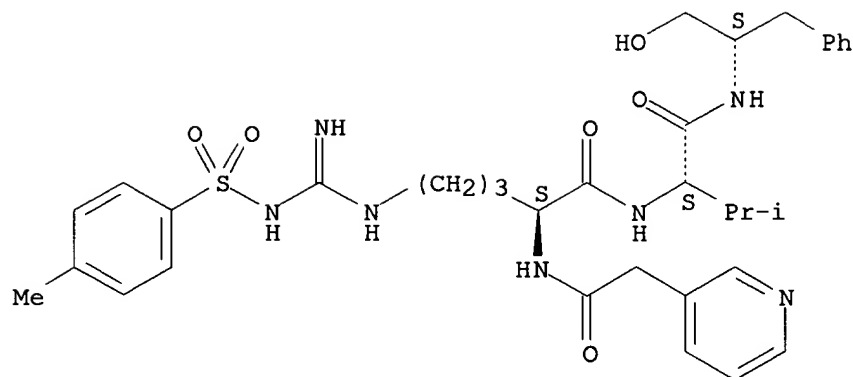
ACCESSION NUMBER: 1995:820571 CAPLUS
 DOCUMENT NUMBER: 123:228911
 TITLE: Preparation of valine-containing antiviral peptides.
 INVENTOR(S): Haebich, Dieter; Schulze, Thomas; Reefschlaeger, Juergen; Hansen, Jutta; Neumann, Rainer; Streissle, Arnold; Paessens, Arnold
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 62 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4331135	A1	19950316	DE 1993-4331135	19930914
EP 646598	A1	19950405	EP 1994-113568	19940831
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5633231	A	19970527	US 1994-301506	19940907
CA 2131759	AA	19950315	CA 1994-2131759	19940909
JP 07089988	A2	19950404	JP 1994-240861	19940909
PRIORITY APPLN. INFO.:			DE 1993-4331135	A 19930914
OTHER SOURCE(S):	MARPAT 123:228911			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; a = 2, 3; b = 0, 1; R1 = H, protecting group, defined acyl; R2, R3, R5, R6 = H, alkyl, protecting group; R4 = H, NO2, protecting group, (substituted) MeSO2, PhSO2, naphthylsulfonyl, etc.; R7 = CHO, CO2H, alkoxy carbonyl, CH2OH, etc.], were prepared Thus, title compound (II), (solution phase preparation given) inhibited human cytomegalovirus with IC50 = 0.0011 µM.
 IT **168263-11-4P 168263-66-9P 168397-89-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of valine-containing antiviral peptides)
 RN 168263-11-4 CAPLUS
 CN L-Valinamide, N5-[imino[[(4-methylphenyl) sulfonyl] amino]methyl]-N2-(3-pyridinylacetyl)-L-ornithyl-N-[1-(hydroxymethyl)-2-phenylethyl]-, (S)-(9CI) (CA INDEX NAME)

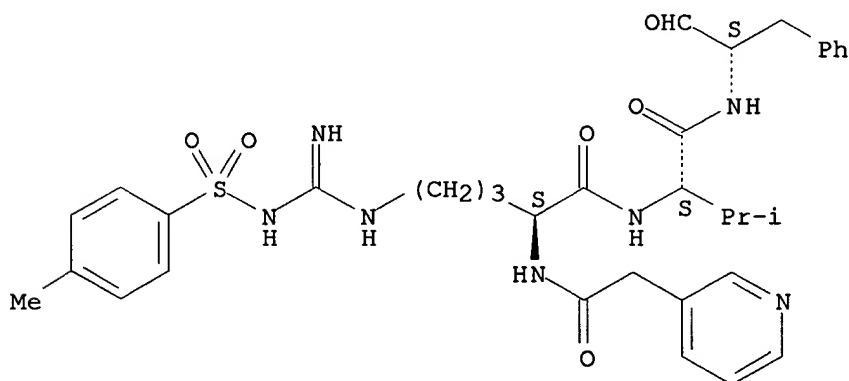
Absolute stereochemistry.



RN 168263-66-9 CAPLUS

CN L-Valinamide, N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-(3-pyridinylacetyl)-L-ornithyl-N-(1-formyl-2-phenylethyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

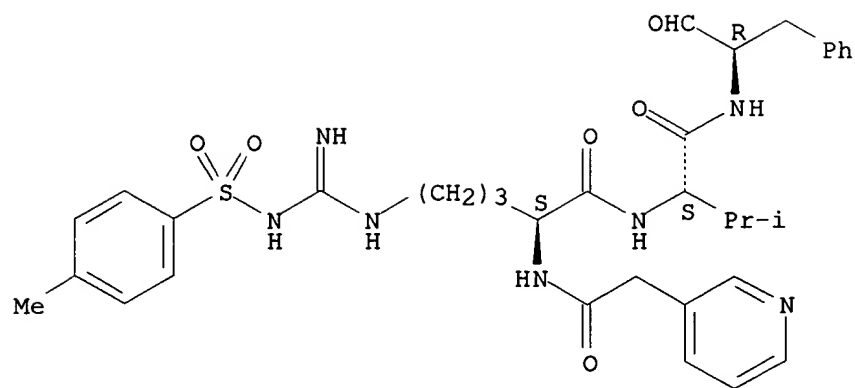


● 2 HCl

RN 168397-89-5 CAPLUS

CN L-Valinamide, N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-(3-pyridinylacetyl)-L-ornithyl-N-(1-formyl-2-phenylethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

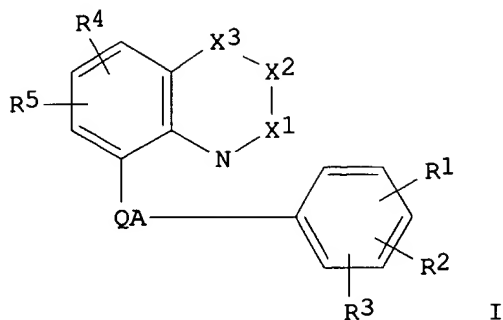
Absolute stereochemistry.



● 2 HCl

~~126~~ ANSWER 129 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:794874 CAPLUS
 DOCUMENT NUMBER: 123:285807
 TITLE: Preparation of heterocyclic compounds as bradykinin antagonists.
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 123 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 622361	A1	19941102	EP 1994-106486	19940426
EP 622361	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9460525	A1	19941103	AU 1994-60525	19940419
AU 680870	B2	19970814		
ZA 9402780	A	19950109	ZA 1994-2780	19940421
IL 109395	A1	19980924	IL 1994-109395	19940422
RU 2135478	C1	19990827	RU 1994-13439	19940422
CA 2122236	AA	19941029	CA 1994-2122236	19940426
JP 07002780	A2	19950106	JP 1994-88897	19940426
JP 3346437	B2	20021118		
US 5563162	A	19961008	US 1994-233771	19940426
AT 206412	E	20011015	AT 1994-106486	19940426
ES 2161231	T3	20011201	ES 1994-106486	19940426
PT 622361	T	20020328	PT 1994-106486	19940426
CN 1097417	A	19950118	CN 1994-105013	19940427
CN 1043344	B	19990512		
HU 70493	A2	19951030	HU 1994-1221	19940427
TW 381081	B	20000201	TW 1994-83103786	19940427
US 5708173	A	19980113	US 1996-660393	19960607
US 5922711	A	19990713	US 1997-933354	19970919
US 6169095	B1	20010102	US 1999-228973	19990112
PRIORITY APPLN. INFO.:			GB 1993-8804	A 19930428
			GB 1993-18929	A 19930913
			US 1994-233771	A3 19940426
			US 1996-660393	A3 19960607
			US 1997-933354	A1 19970919
OTHER SOURCE(S):	MARPAT	123:285807		
GI				



AB Title compds. I (X1 = N, R6C; X2 = N, R7C; X3 = N, R8C wherein R6, R8 = H, halo, alkyl, HO, alkylthio, (substituted)amino, etc., R7 = H, alkyl; R1 = H, halo; R2 = halo; R3 = H, O2N, (substituted)amino, (substituted)heterocyclyl; R4, R5 = H, halo; A = alkylene; Q = O, R9N wherein R9 = H, acyl) or a salt thereof, are prepared To 8[2,6-dichloro-3-[N-methyl-N-[N'-(3-nitrophenyl)ureidoacetyl]amino]benzyloxy]-2-methylquinoline was added SnCl₂ to give 8-[3-[N-[N'-(3-aminophenyl)ureidoacetyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylquinoline. A similar prepared compound 8-[2,6-dichloro-3-[N-methyl-N-[N'-(3-[N-methyl-N-(3-pyridyl) carbamoyl]phenyl)ureidoacetyl]amino]benzyloxy]-2-methylquinoline at 1 + 10⁻⁶M showed 100% inhibition of 3H-bradykinin binding to ileum membrane.

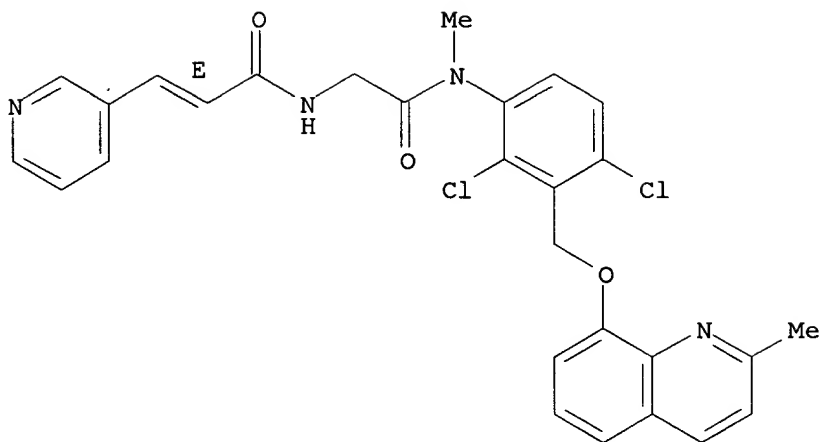
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 167839-38-5P 167839-39-6P 167839-40-9P
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 167839-44-3P 167839-45-4P 167839-46-5P
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 167839-56-7P 167839-57-8P 167839-58-9P
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 167840-51-9P 167840-54-2P 167840-55-3P
 167840-56-4P 167840-57-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic compds. as bradykinin antagonists.)

RN 167834-97-1 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

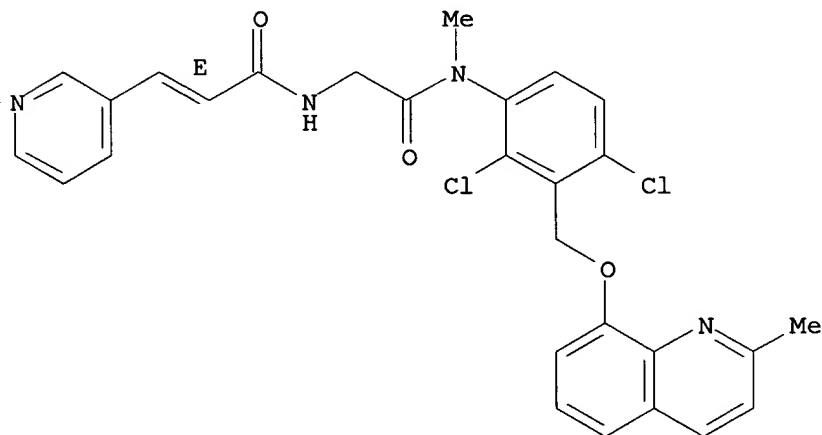
Double bond geometry as shown.



RN 167835-72-5 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methanimino]-2-oxoethyl]-3-(3-pyridinyl)-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

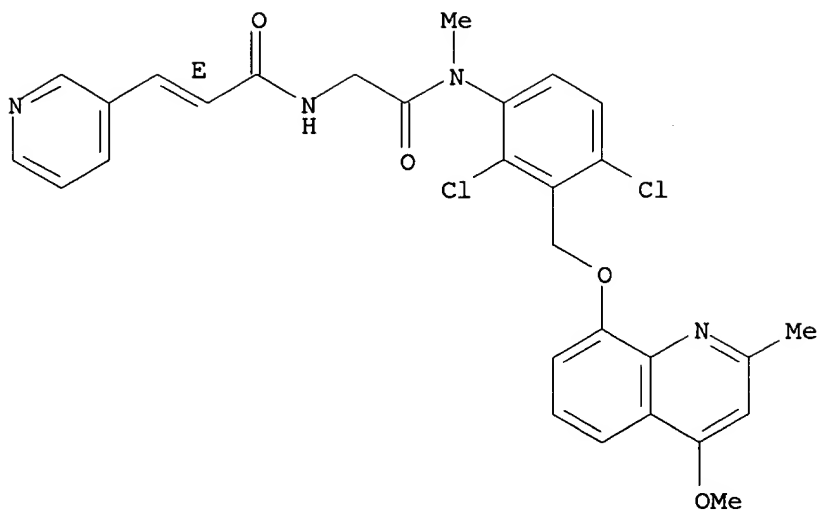


● 2 HCl

RN 167836-47-7 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(4-methoxy-2-methyl-8-quinolinyl)oxy]methyl]phenyl]methanimino]-2-oxoethyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

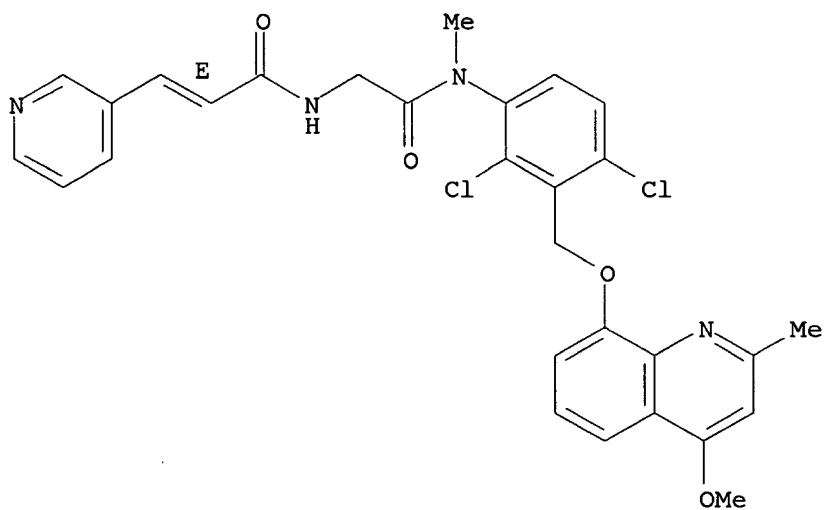


RN 167837-29-8 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[4-methoxy-2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-(3-pyridinyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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PAGE 2-A

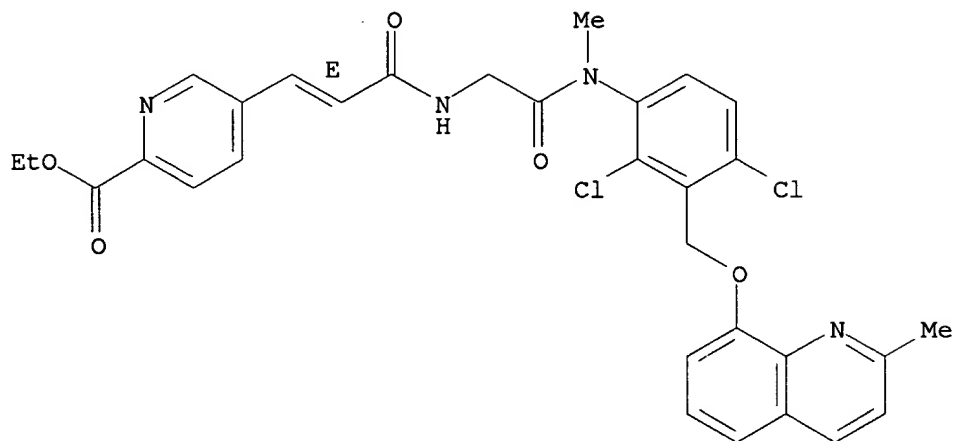
● 2 HCl

RN 167838-45-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-

propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

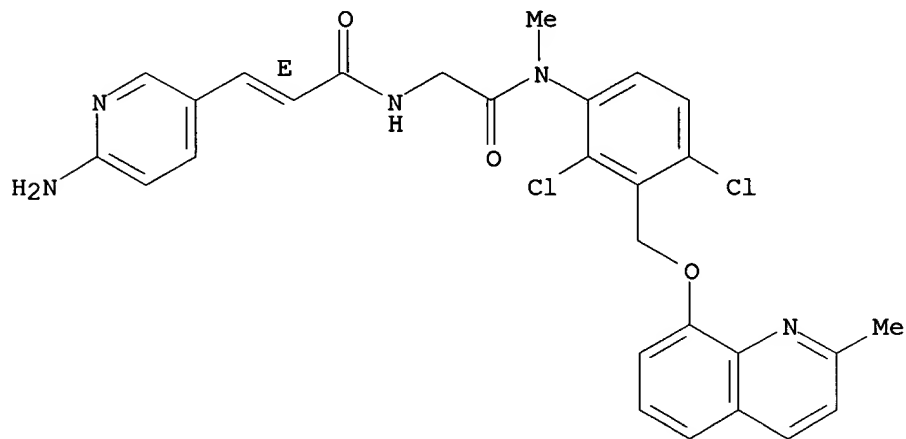
Double bond geometry as shown.



RN 167838-46-2 CAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

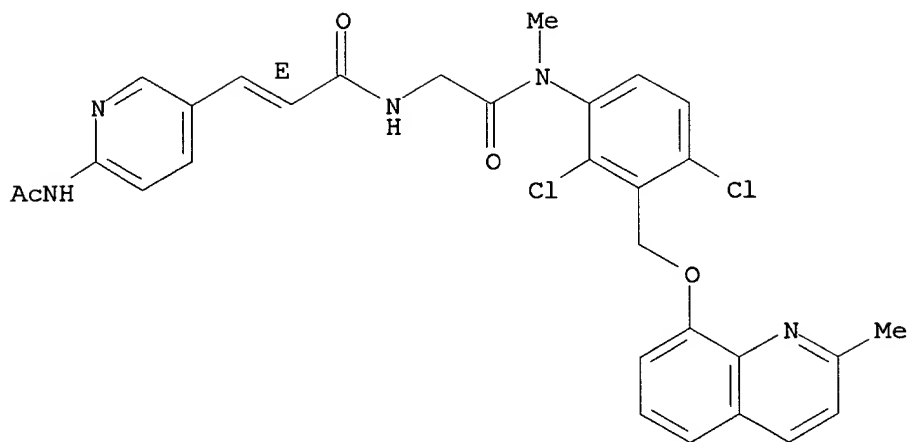
Double bond geometry as shown.



RN 167838-65-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

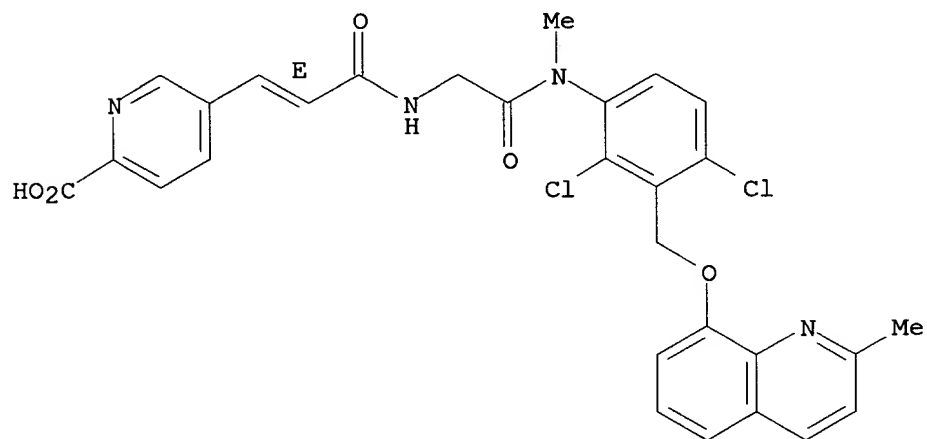


● 2 HCl

RN 167838-69-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI) (CA INDEX NAME)

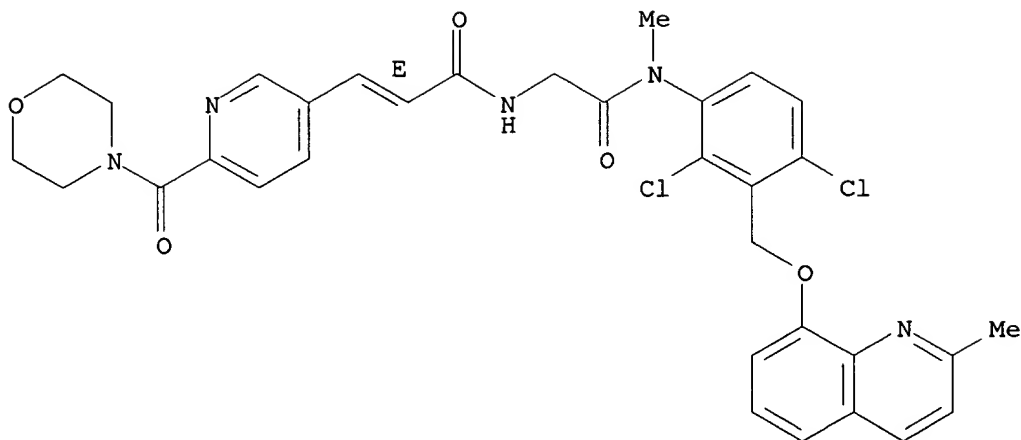
Double bond geometry as shown.



RN 167838-89-3 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(4-morpholinylcarbonyl)-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

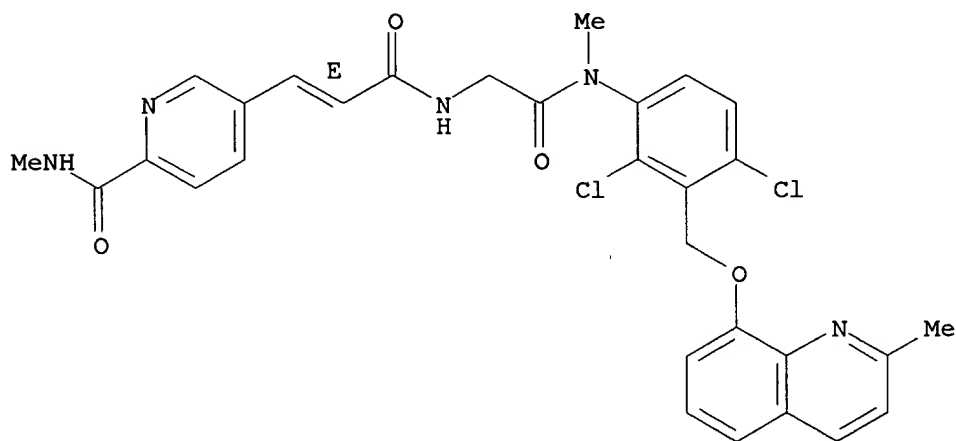


●2 HCl

RN 167839-38-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

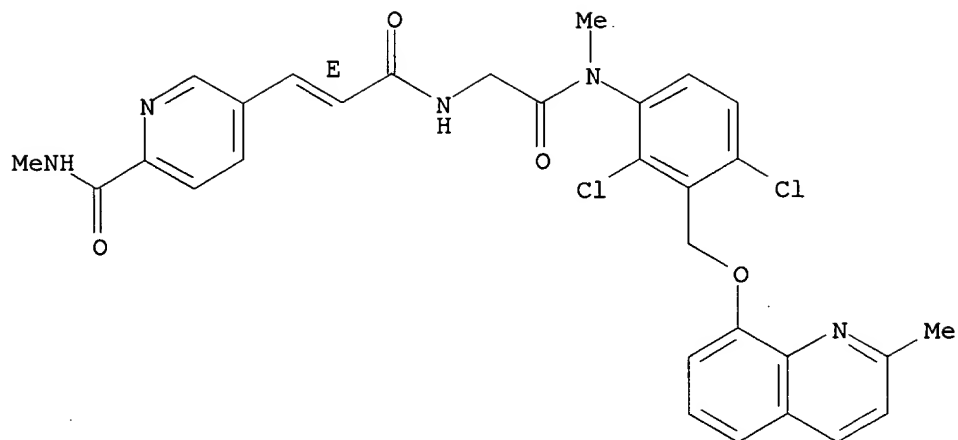
Double bond geometry as shown.



RN 167839-39-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

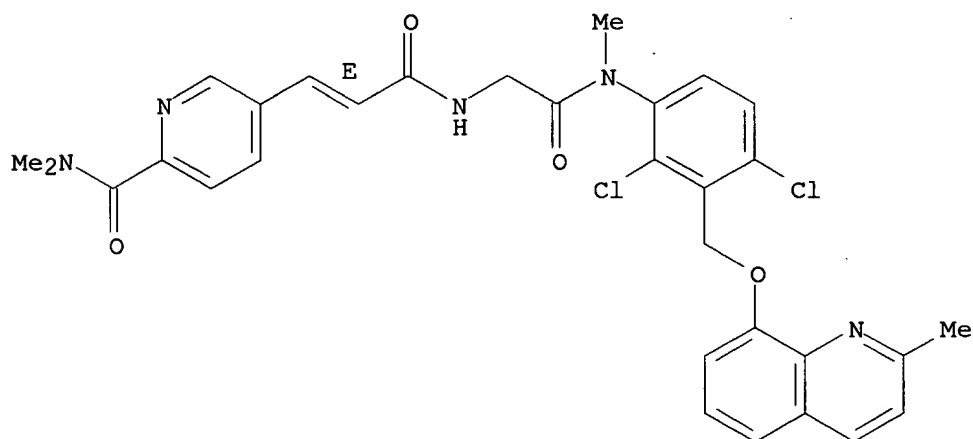


● 2 HCl

RN 167839-40-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl-, (E)- (9CI) (CA INDEX NAME)

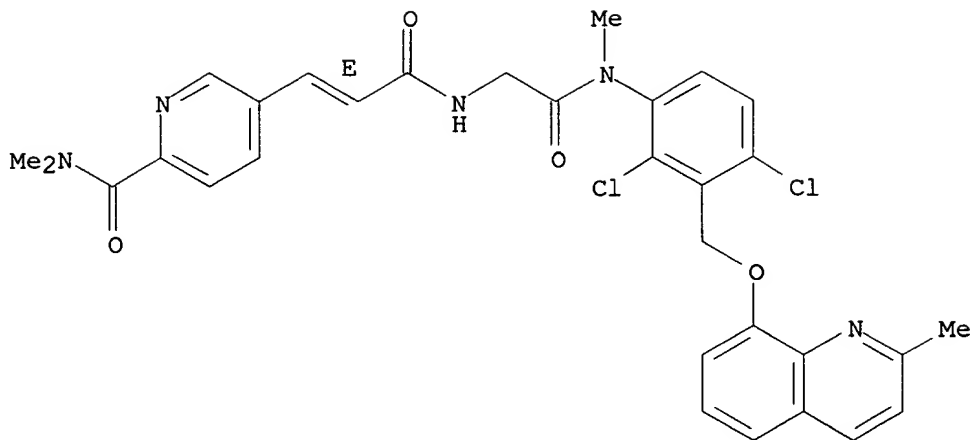
Double bond geometry as shown.



RN 167839-41-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

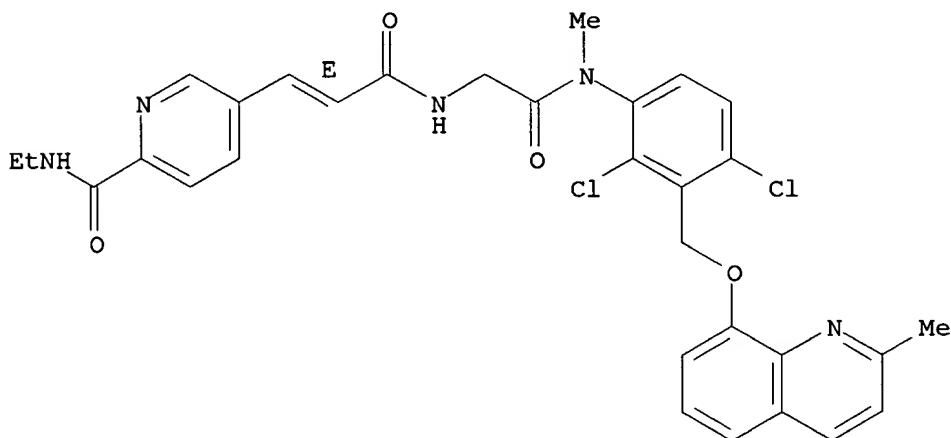


● 2 HCl

RN 167839-42-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-, (E)- (9CI) (CA INDEX NAME)

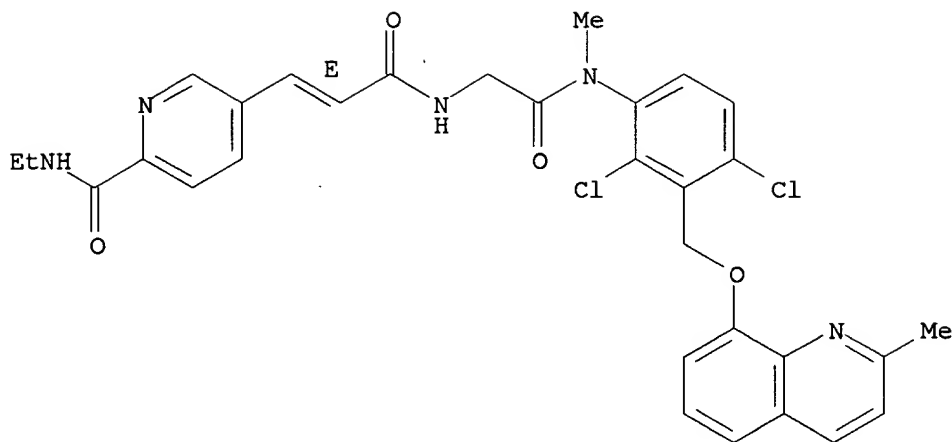
Double bond geometry as shown.



RN 167839-43-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

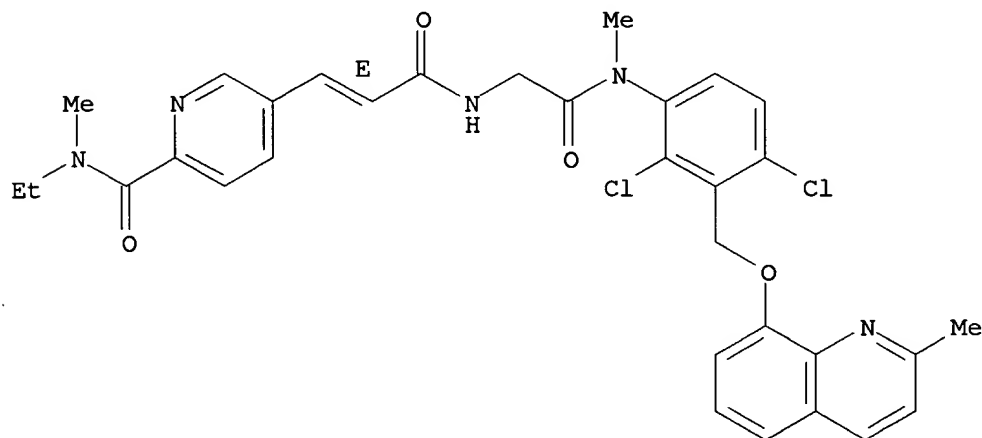


● 2 HCl

RN 167839-44-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-N-methyl-, (E)- (9CI) (CA INDEX NAME)

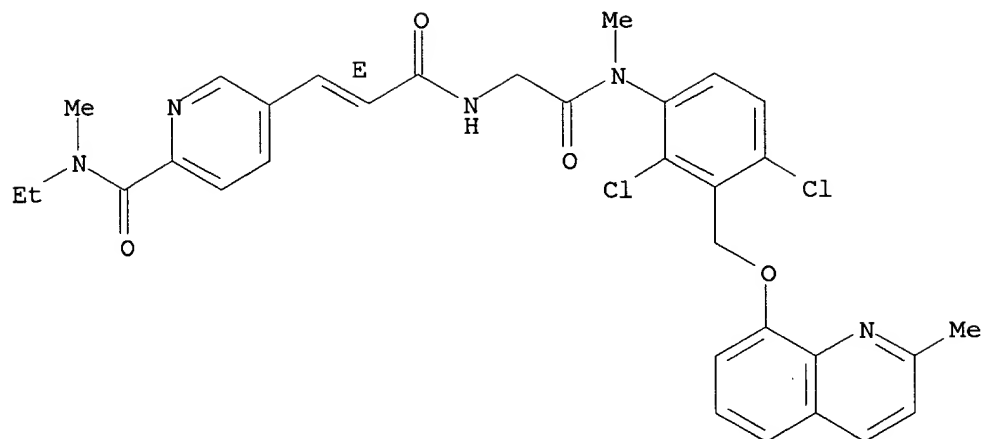
Double bond geometry as shown.



RN 167839-45-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-N-methyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



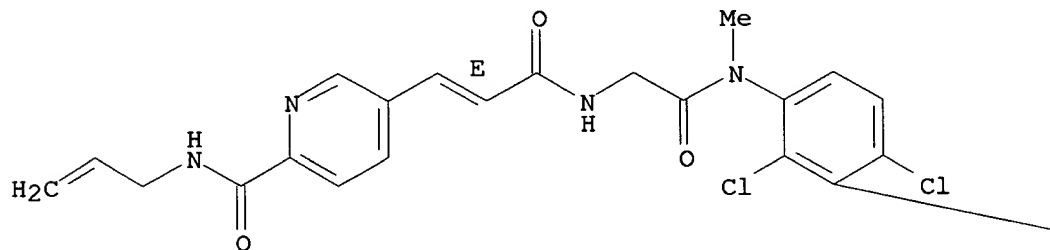
● 2 HCl

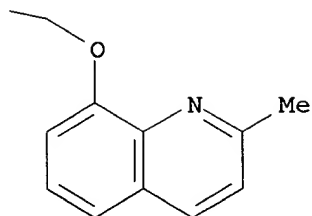
RN 167839-46-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-propenyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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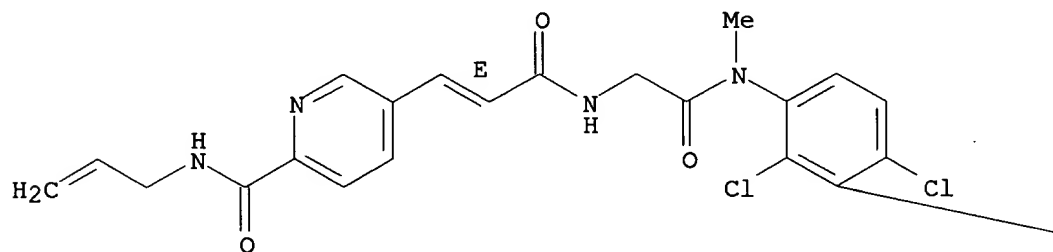


RN 167839-47-6 CAPLUS

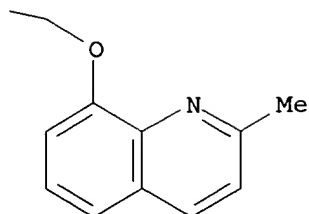
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-propenyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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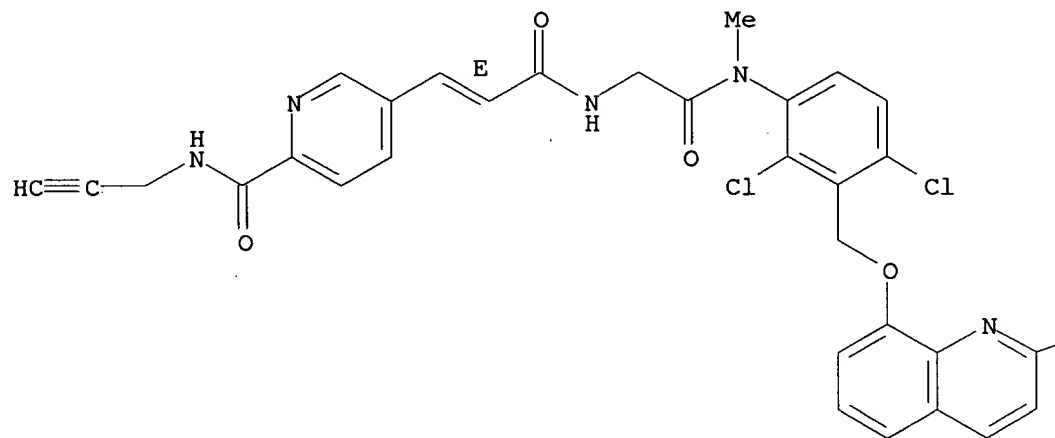
● 2 HCl



RN 167839-48-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-propynyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



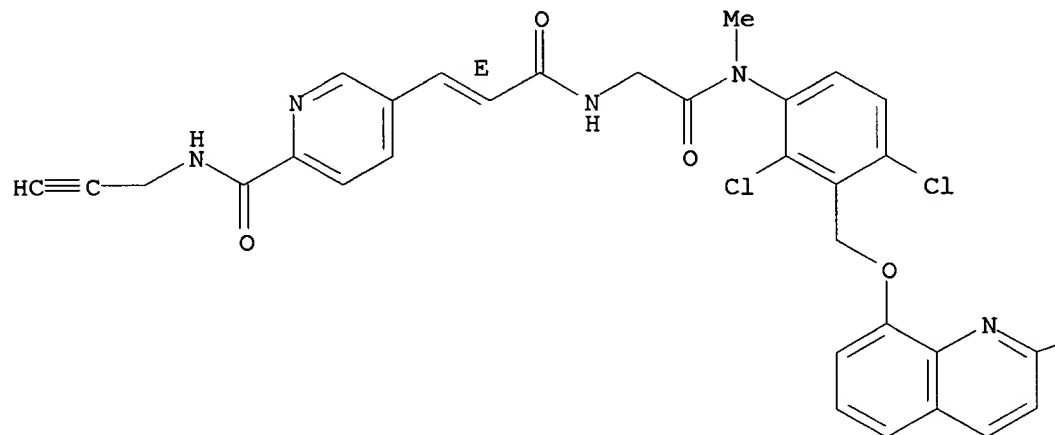
Me

RN 167839-49-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-2-propynyl-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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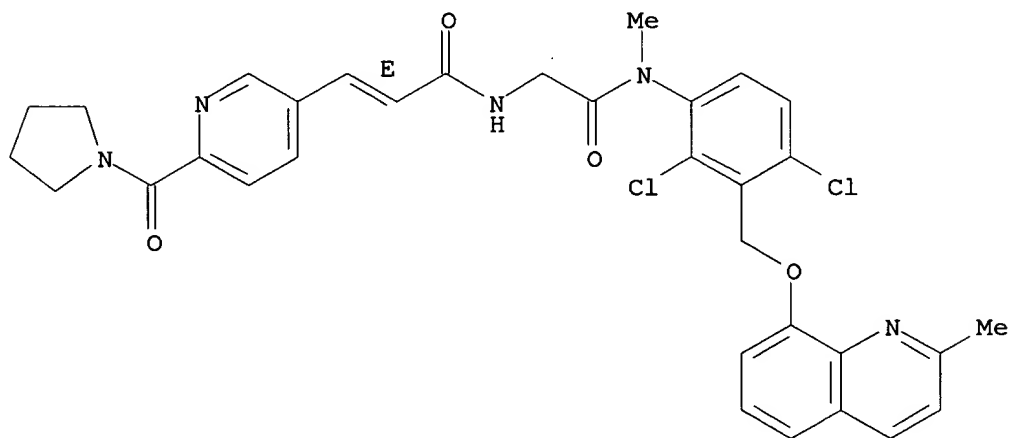


● 2 HCl

Me

RN 167839-50-1 CAPLUS
 CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(1-pyrrolidinylcarbonyl)-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

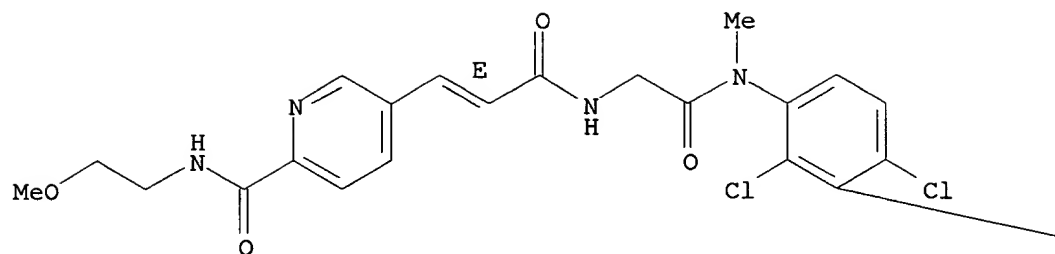


● 2 HCl

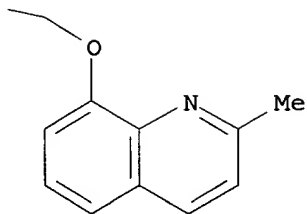
RN 167839-51-2 CAPLUS
 CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-methoxyethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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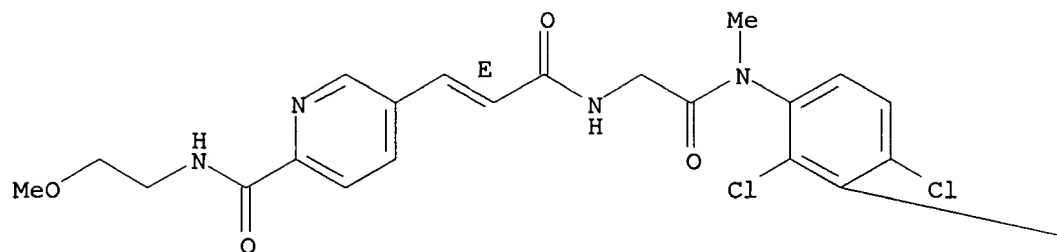
PAGE 1-B



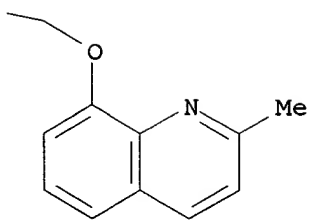
RN 167839-52-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-methoxyethyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 HCl

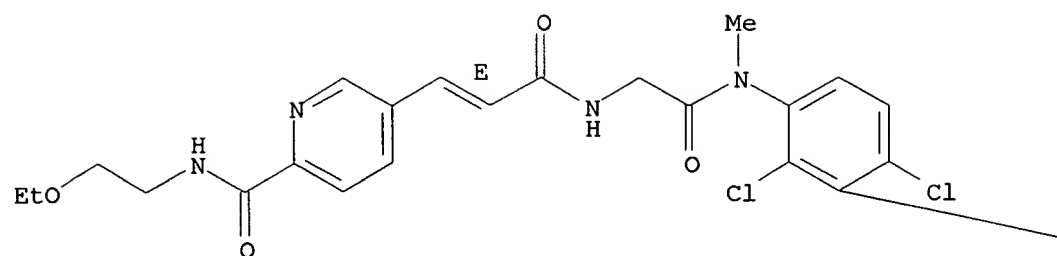


RN 167839-53-4 CAPLUS

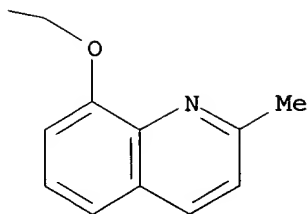
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-ethoxyethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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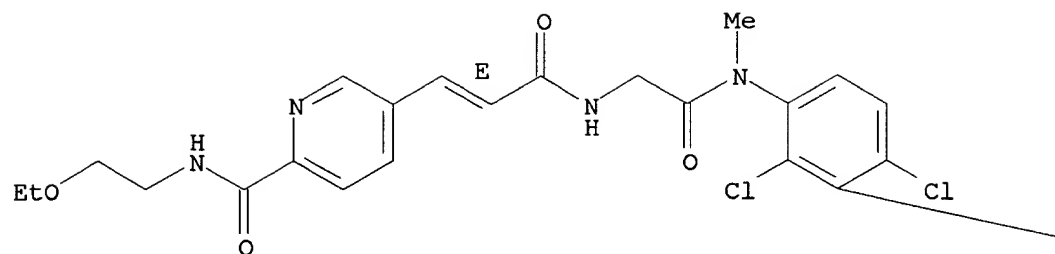


RN 167839-54-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-ethoxyethyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

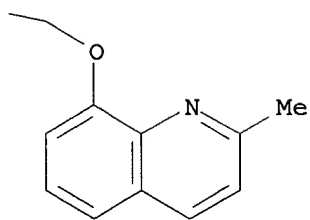
Double bond geometry as shown.

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● 2 HCl

PAGE 1-B

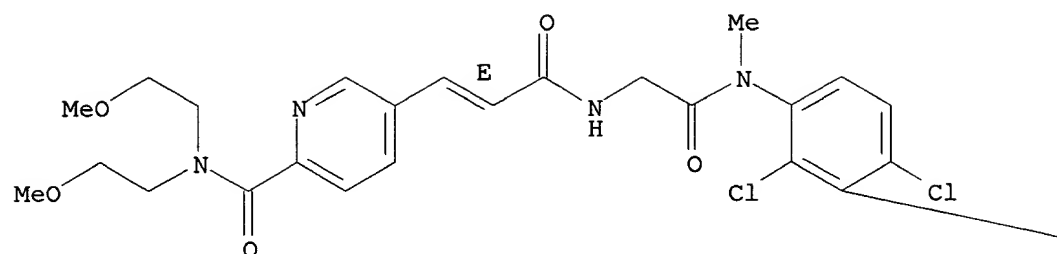


RN 167839-55-6 CAPLUS

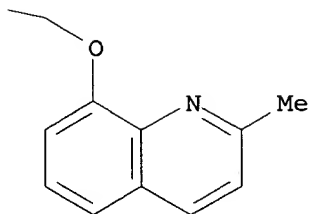
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-bis(2-methoxyethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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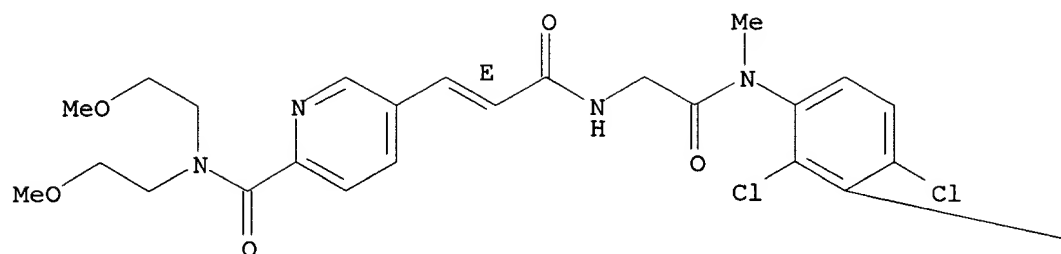
PAGE 1-B



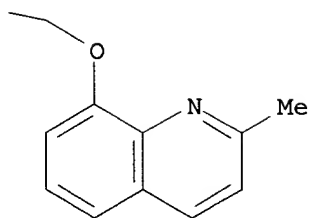
RN 167839-56-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-bis(2-methoxyethyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



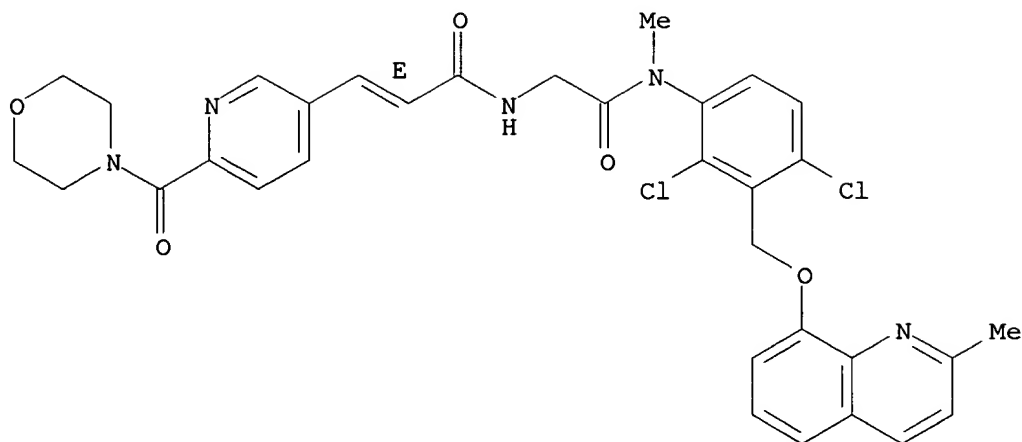
● 2 HCl



RN 167839-57-8 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-(4-morpholinylcarbonyl)-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

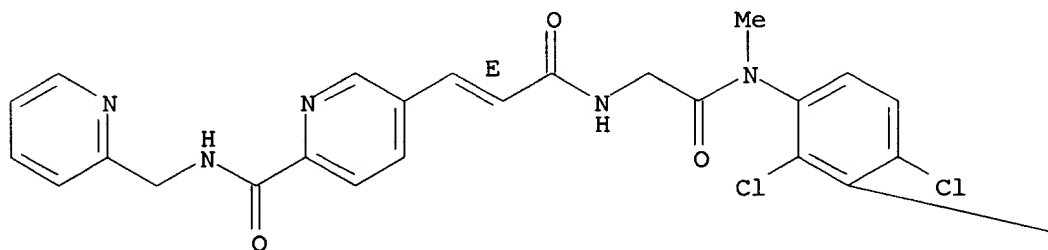


RN 167839-58-9 CAPLUS

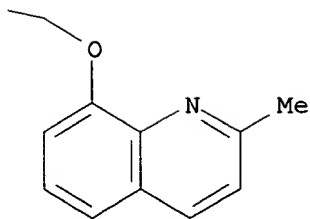
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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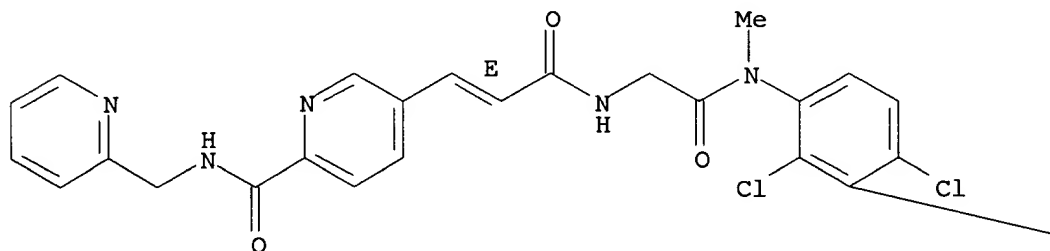
09/596,086

RN 167839-59-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(2-pyridinylmethyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

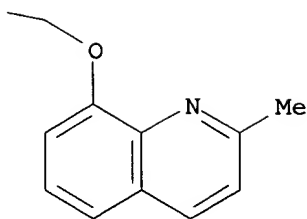
Double bond geometry as shown.

PAGE 1-A



● 3 HCl

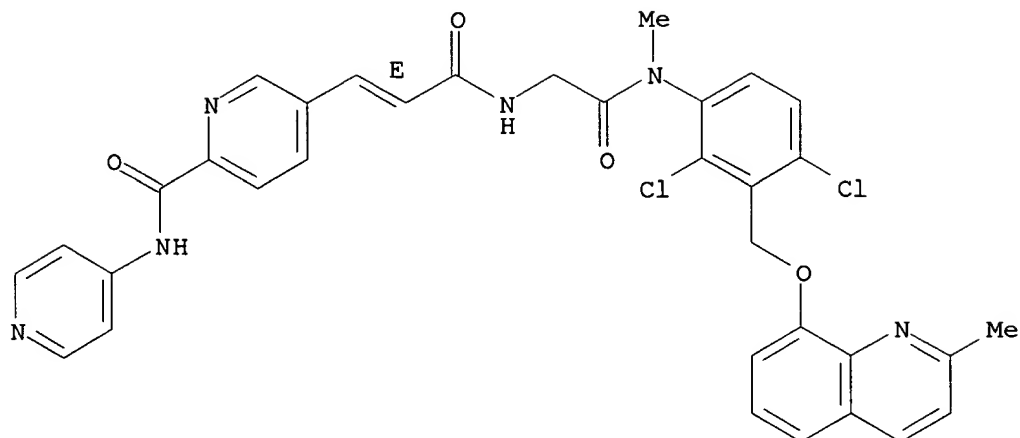
PAGE 1-B



RN 167839-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

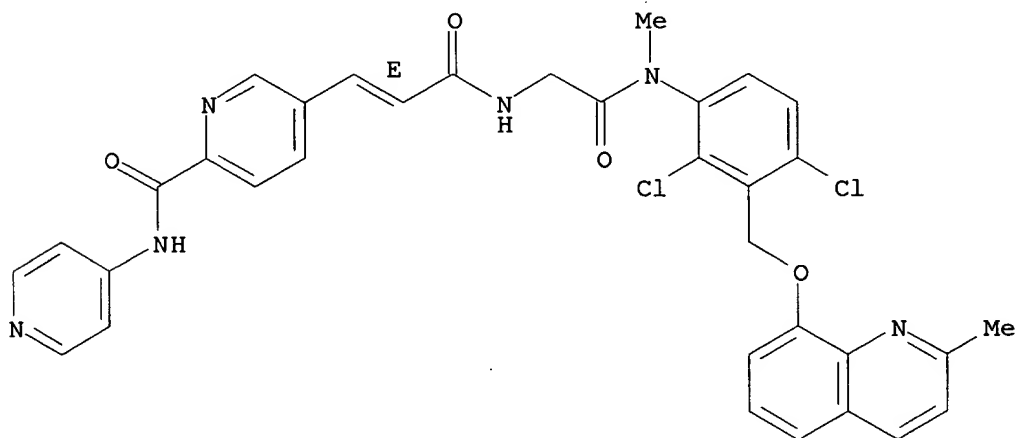
Double bond geometry as shown.



RN 167839-76-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-4-pyridinyl-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



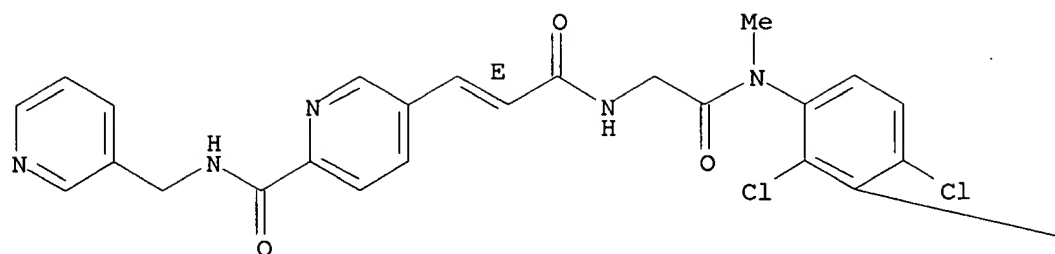
● 3 HCl

RN 167839-77-2 CAPLUS

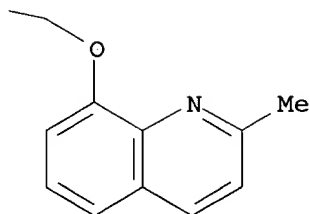
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(3-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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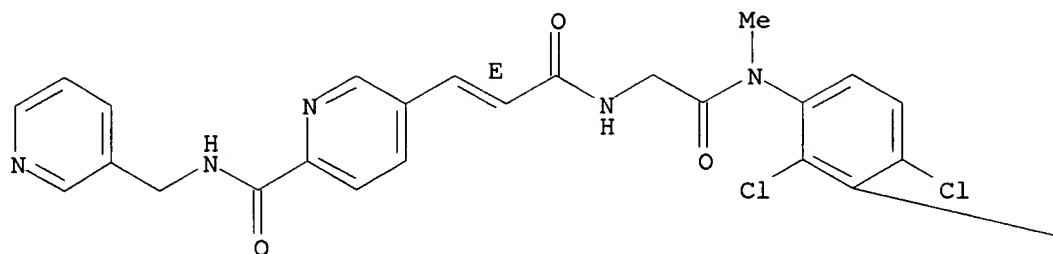
PAGE 1-B



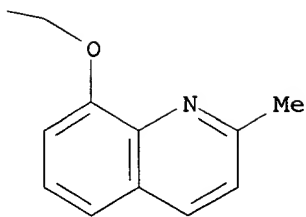
RN 167839-78-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(3-pyridinylmethyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 3 HCl

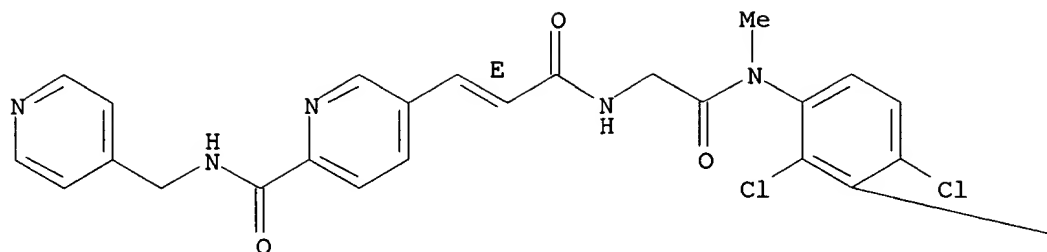


RN 167839-79-4 CAPLUS

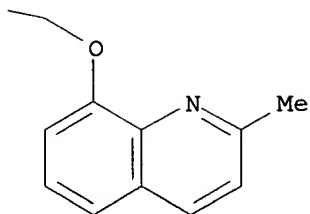
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(4-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



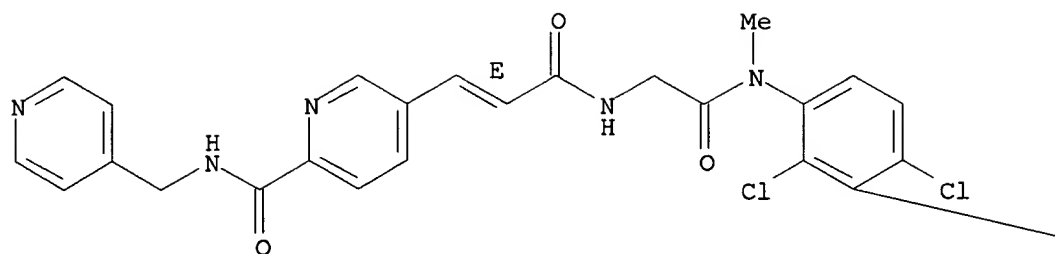
PAGE 1-B



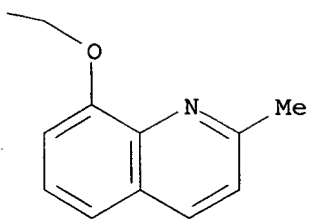
RN 167839-80-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(4-pyridinylmethyl)-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



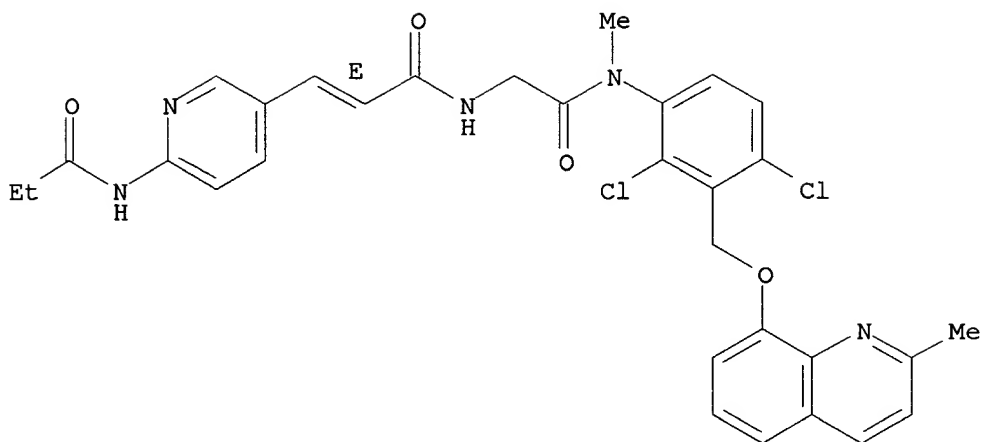
● 3 HCl



RN 167840-26-8 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl)methylamino]-2-oxoethyl]-3-[6-[(1-oxopropyl)amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

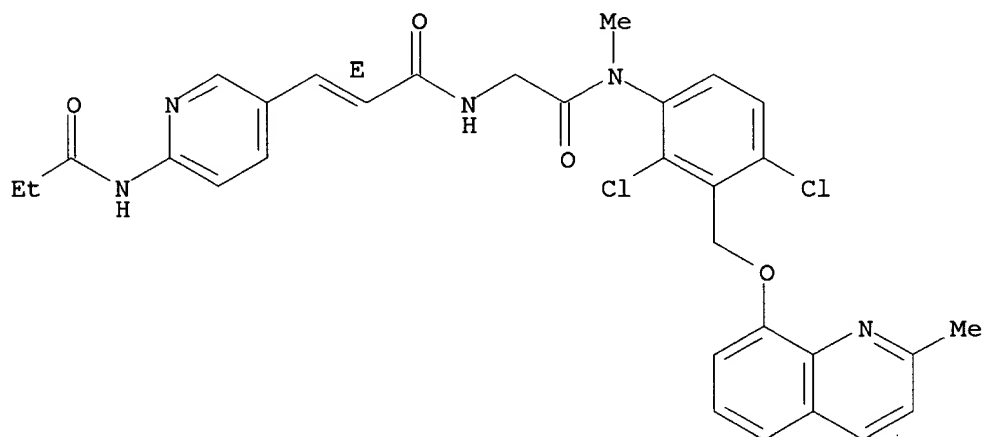
Double bond geometry as shown.



RN 167840-27-9 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1-oxopropyl)amino]-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

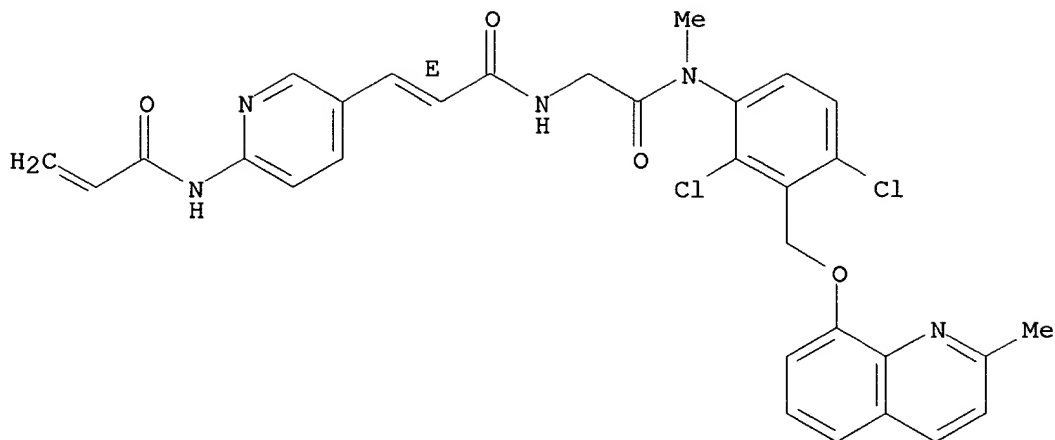


● 2 HCl

RN 167840-28-0 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy)methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1-oxo-2-propenyl)amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

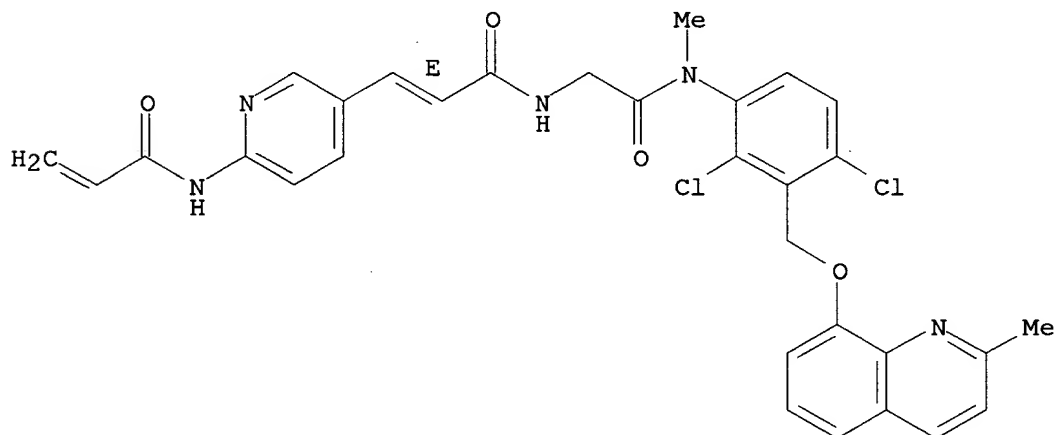
Double bond geometry as shown.



RN 167840-29-1 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(1-oxo-2-propenyl)amino]-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



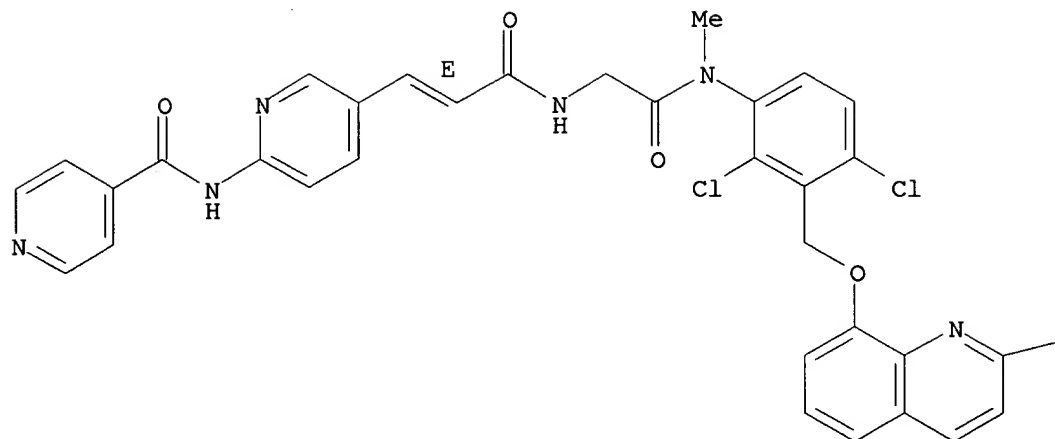
● 2 HCl

RN 167840-31-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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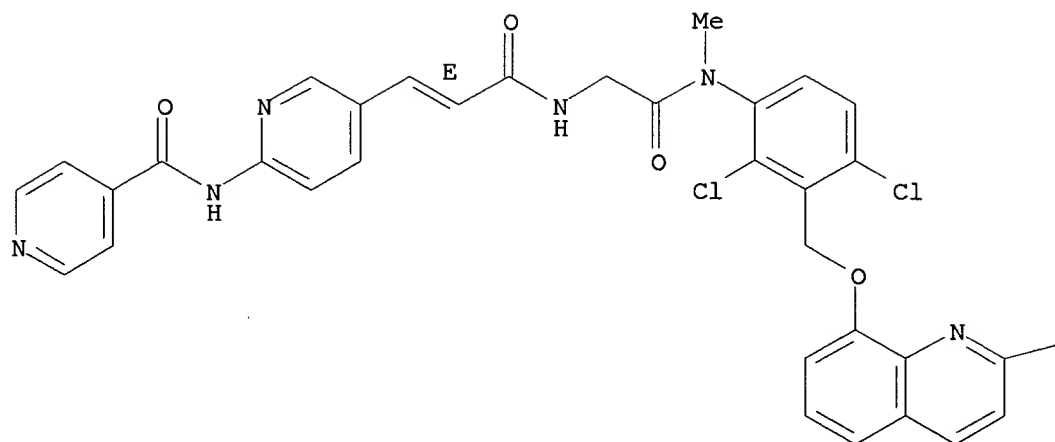
PAGE 1-B

— Me

RN 167840-32-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[5-[(1E)-3-[[2-[[2,4-dichloro-3-[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 3 HCl

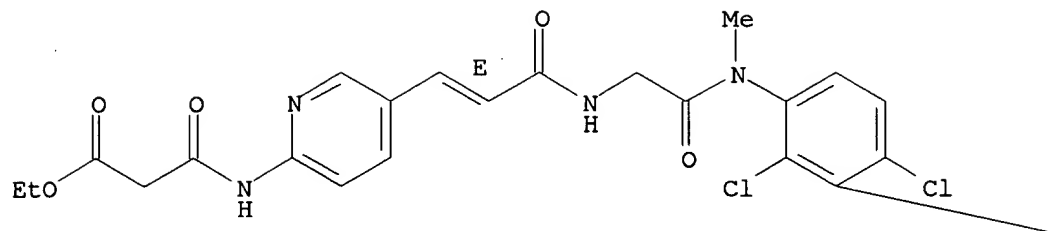
— Me

RN 167840-33-7 CAPLUS

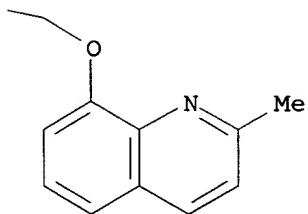
CN Propanoic acid, 3-[[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]amino]-3-oxo-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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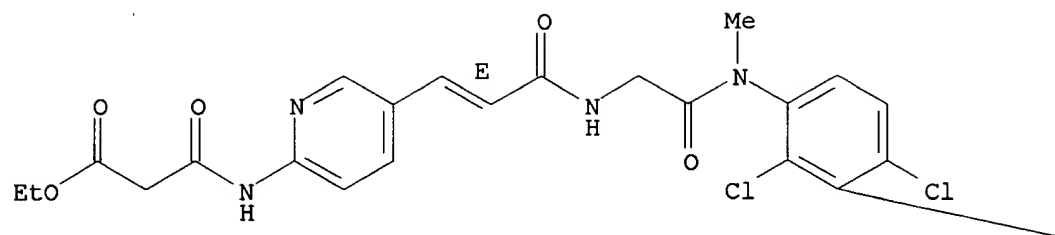


RN 167840-34-8 CAPLUS

CN Propanoic acid, 3-[[5-[[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]amino]-3-oxo-, ethyl ester, dihydrochloride, (E)-(9CI) (CA INDEX NAME)

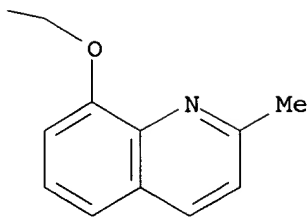
Double bond geometry as shown.

PAGE 1-A



● 2 HCl

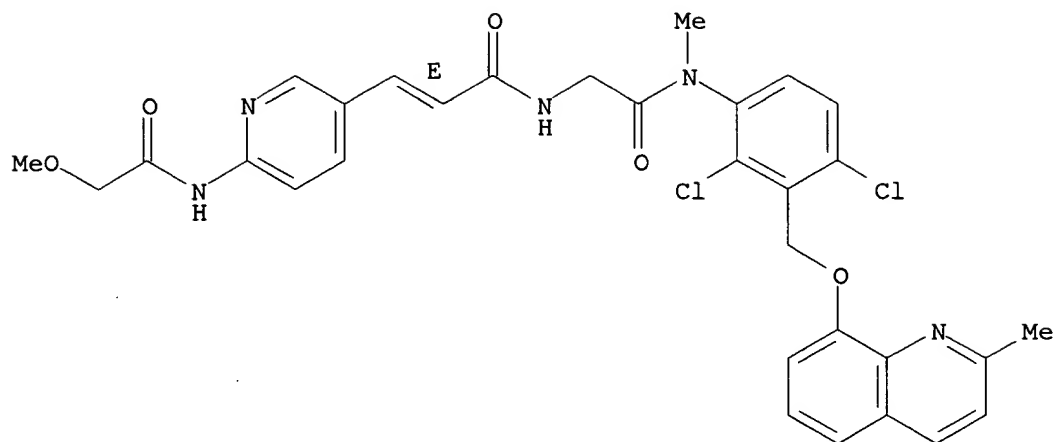
PAGE 1-B



RN 167840-48-4 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(methoxyacetyl)amino]-3-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

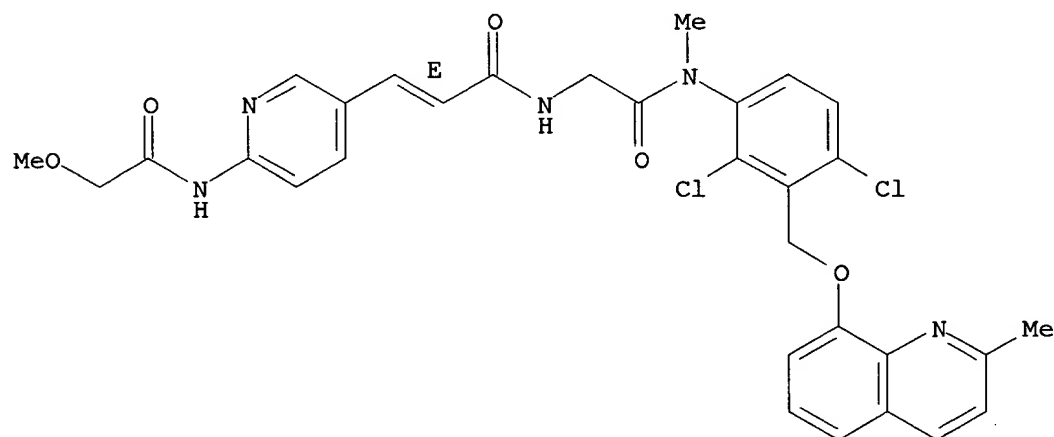
Double bond geometry as shown.



RN 167840-49-5 CAPLUS

CN 2-Propenamide, N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-3-[6-[(methoxyacetyl)amino]-3-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

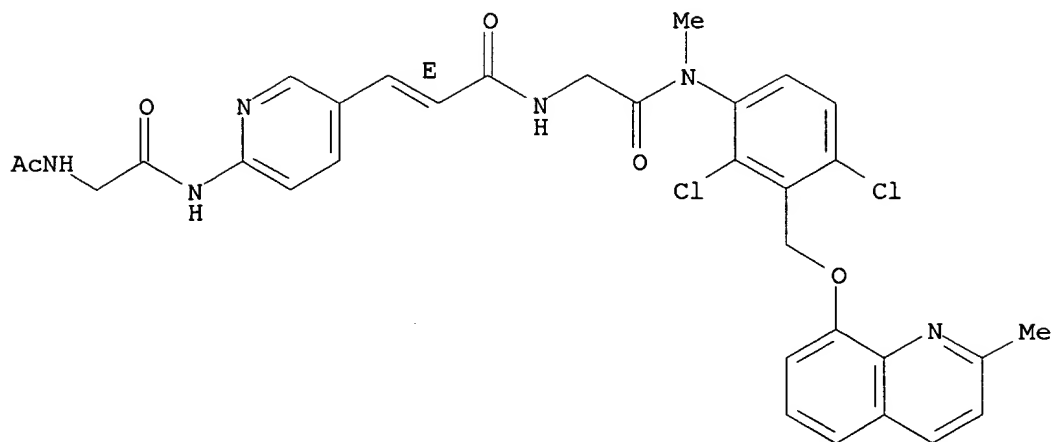


●2 HCl

RN 167840-50-8 CAPLUS

CN 2-Propenamide, 3-[6-[[(acetylamino)acetyl]amino]-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

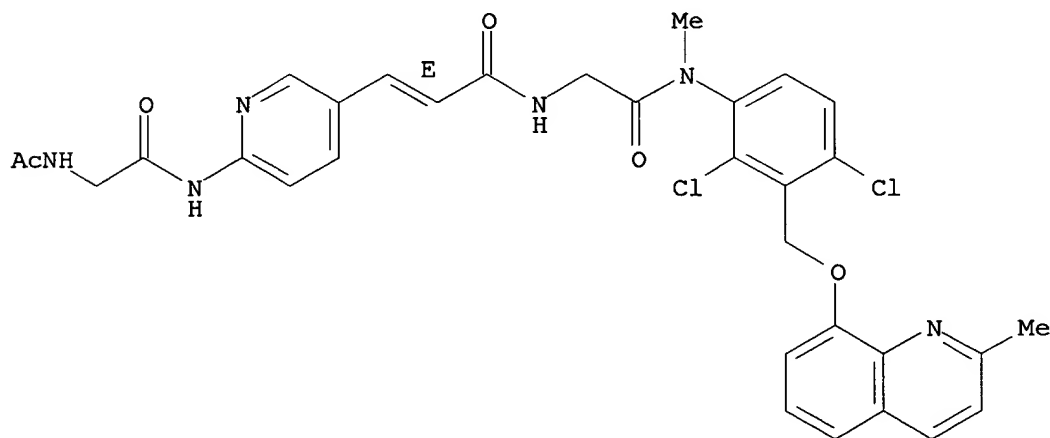
Double bond geometry as shown.



RN 167840-51-9 CAPLUS

CN 2-Propenamide, 3-[6-[[[(acetylamino)acetyl]amino]-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



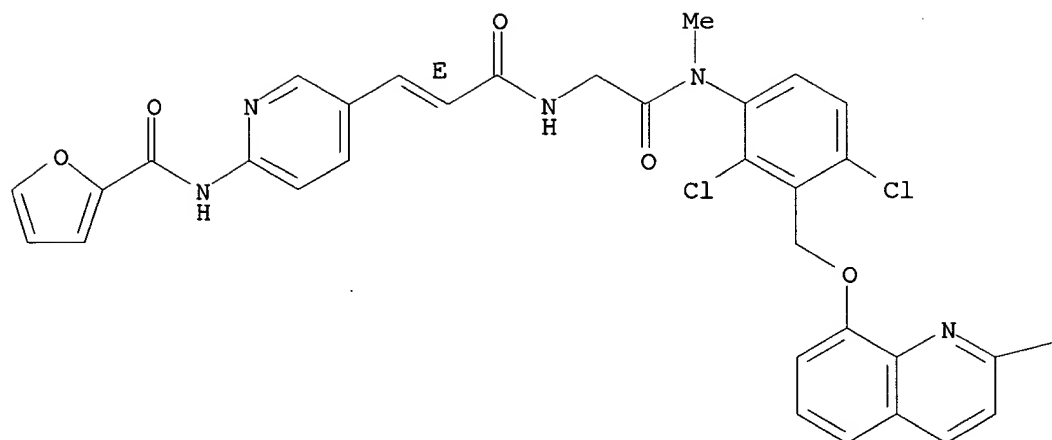
● 2 HCl

RN 167840-54-2 CAPLUS

CN 2-Furancarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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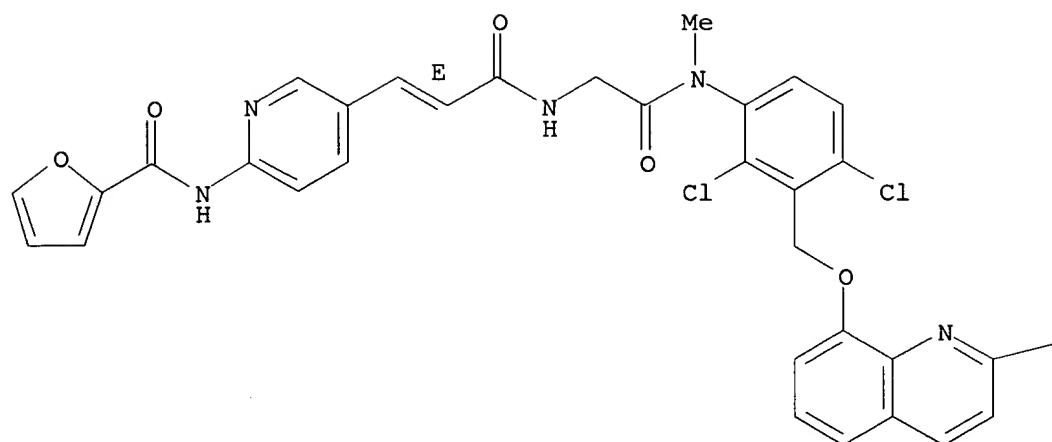
— Me

RN 167840-55-3 CAPLUS

CN 2-Furancarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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● 2 HCl

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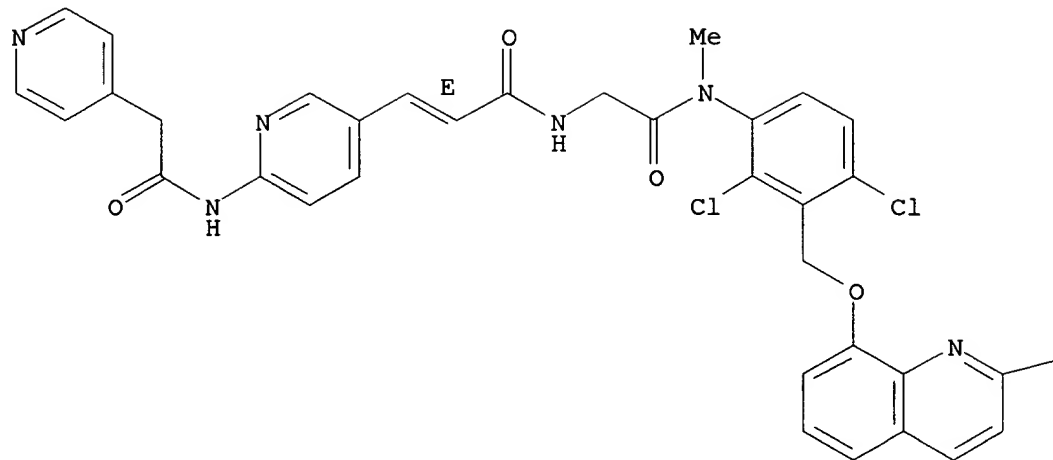
— Me

RN 167840-56-4 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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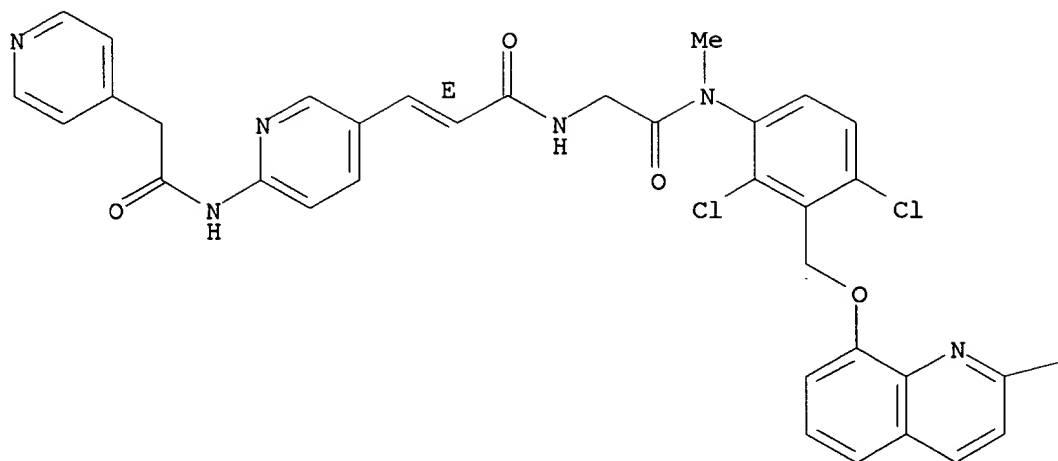
PAGE 1-B

—Me

RN 167840-57-5 CAPLUS

CN 4-Pyridineacetamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

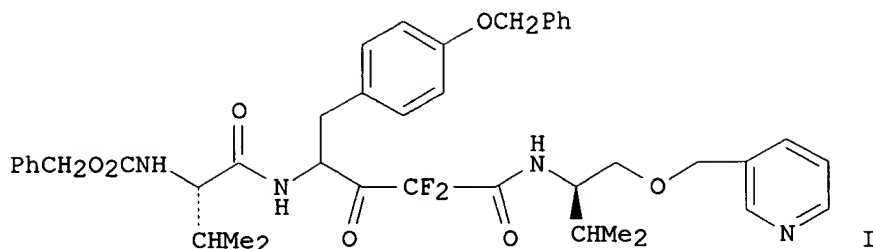


● 3 HCl

— Me

126 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:781780 CAPLUS
 DOCUMENT NUMBER: 123:199412
 TITLE: Preparation of difluoro statone analogs as antiviral agents
 INVENTOR(S): Schirlin, Daniel; Van Dorsselaer, Viviane; Tarnus, Celine
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501958	A1	19950119	WO 1994-US6376	19940607
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2166693	AA	19950109	CA 1994-2166693	19940607
CA 2166693	C	19990824		
CA 2249786	AA	19950109	CA 1994-2249786	19940607
CA 2249786	C	20031028		
AU 9471008	A1	19950206	AU 1994-71008	19940607
AU 680009	B2	19970717		
EP 707564	A1	19960424	EP 1994-920095	19940607
EP 707564	B1	20000920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1126988	A	19960717	CN 1994-192700	19940607
HU 73411	A2	19960729	HU 1995-3706	19940607
JP 08512319	T2	19961224	JP 1994-504027	19940607
AT 196465	E	20001015	AT 1994-920095	19940607
ES 2152982	T3	20010216	ES 1994-920095	19940607
ZA 9404818	A	19950222	ZA 1994-4818	19940704
US 5717093	A	19980210	US 1995-578698	19951218
NO 9600048	A	19960305	NO 1996-48	19960105
NO 306343	B1	19991025		
FI 9600051	A	19960307	FI 1996-51	19960105
US 6114380	A	20000905	US 1997-925943	19970908
PRIORITY APPLN. INFO.:			EP 1993-401785	A 19930708
			CA 1994-2166693	A3 19940607
			WO 1994-US6376	W 19940607
			US 1995-578698	A3 19951218
OTHER SOURCE(S):	MARPAT 123:199412			
GI				



AB Title compds. R1[CONHCHP2]xCONHCHP1CHCOCF2CONR5R6 (P1 = heterocyclalkyl, substituted phenylene-C1-6 alkylene ; P2 = C1-6 alkyl, cyclopentyl, HO-C1--6 alkyl, Ph, PhCH2, 3-tetrahydrofuryl; R1 = PhCH2O, C1-6 alkoxy, C1-6 alkyl, P, PhCH2, 2-isoquinolinyl, etc.; R5 = C7-15 alkyl, C7-15 alkoxy, phenylene-alkylene, etc.; R6 = H, C1-6 alkyl), stereoisomers, isosteres, and salts thereof, useful as antiviral agents (no data), are prepared Et 4-(tert-butoxycarbonylamino)-2,2-difluoro-3-hydroxy-5-(4-benzyloxy)phenylpentanoate (preparation given) and O-(3-pyridylmethyl)-D-valinol (preparation given) in THF were relaxed to give the appropriate valinol which in 3 steps was converted to the title compound I.

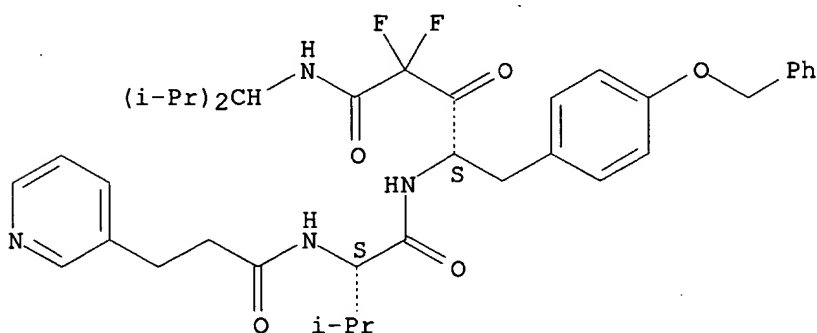
IT **167486-15-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of difluoro statone analogs as antiviral agents)

RN 167486-15-9 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

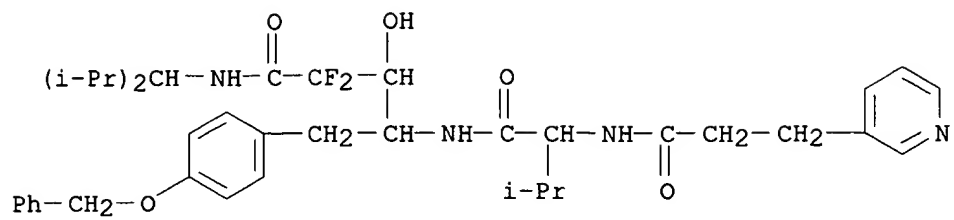


IT **167486-38-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluoro statone analogs as antiviral agents)

RN 167486-38-6 CAPLUS

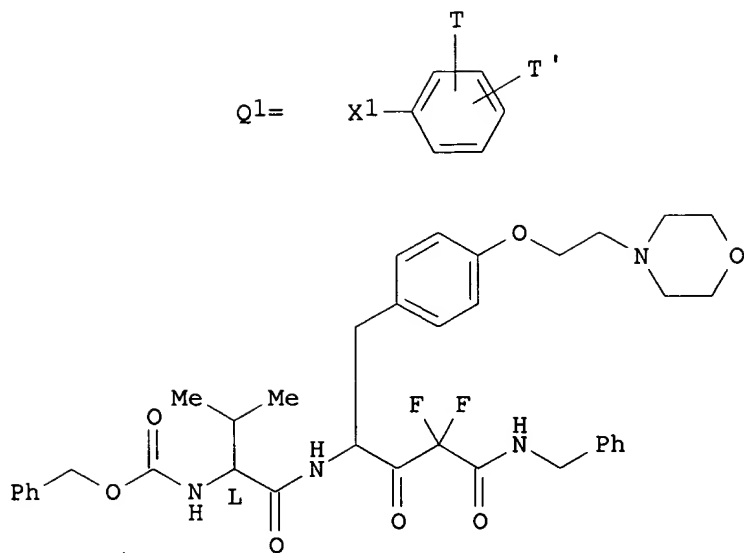
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-4-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



126 ANSWER 131 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:761533 CAPLUS
 DOCUMENT NUMBER: 123:170194
 TITLE: Preparation of difluorostatone analog virucides.
 INVENTOR(S): Van Dorsselaer, Viviane; Schirlin, Daniel; Tarnus, Celine
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507257	A1	19950316	WO 1994-US9053	19940810
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2170607	AA	19950316	CA 1994-2170607	19940810
CA 2170607	C	20000222		
AU 9475606	A1	19950327	AU 1994-75606	19940810
AU 683927	B2	19971127		
EP 717731	A1	19960626	EP 1994-925816	19940810
EP 717731	B1	19990421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1130898	A	19960911	CN 1994-193321	19940810
HU 74569	A2	19970128	HU 1996-601	19940810
JP 09502437	T2	19970311	JP 1994-508667	19940810
AT 179167	E	19990515	AT 1994-925816	19940810
ES 2133573	T3	19990916	ES 1994-925816	19940810
ZA 9406815	A	19950424	ZA 1994-6815	19940905
IL 110865	A1	19990714	IL 1994-110865	19940905
US 5831094	A	19981103	US 1996-596336	19960220
NO 9600849	A	19960301	NO 1996-849	19960301
FI 9601105	A	19960308	FI 1996-1105	19960308
US 5948778	A	19990907	US 1998-81307	19980519
PRIORITY APPLN. INFO.:			EP 1993-402194	A 19930909
			WO 1994-US9053	W 19940810
OTHER SOURCE(S):		CASREACT 123:170194; MARPAT 123:170194		
GI				



AB R1(CONHCHP2)xCONHCHP1COCF2CONR5R6 [P1 = Q1; X1 = alkylene; T = (O)bWR; T' = (O)b'W'R', H; W, W' = null, alkylene, with provisos; P2 = alkyl, cyclopentyl, hydroxyalkyl, Ph, PhCH2, 3-tetrahydrofuryl; R, R' = alkenylene, (substituted) piperazinyl, piperidyl, morpholinyl, pyridyl, pyrazinyl, pyrimidinyl; R1 = PHCH2O, alkoxy, alkyl, Ph, PhCH2, PhCH2CH2, 2-quinolyl, etc.; R5, R6 = H, alkyl, OH, alkoxy, morpholinyl, silylmethyl, benzimidazol-2-ylmethyl, substituted arylalkyl, etc.; R5R6N = defined heterocyclyl; b, x = 0, 1], were prepared as inhibitors of retroviral proteases (no data). Thus, title compound (I) was prepared in 8 steps from Et 4-tert-butoxycarbonylamino-2,2-difluoro-3-hydroxy-5-[(4-benzyloxy)phenyl]pentanoate.

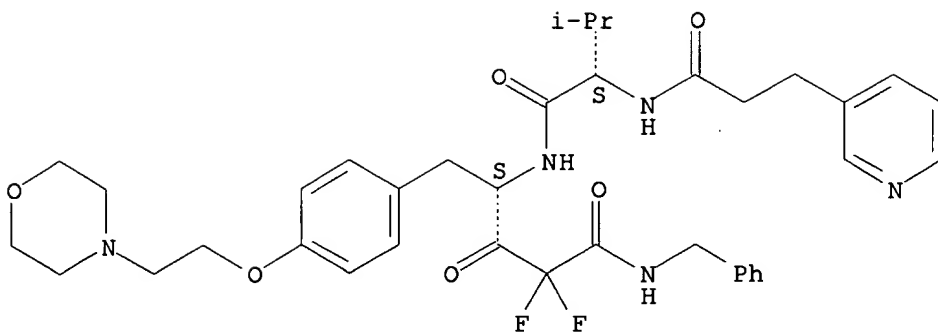
IT **167019-92-3P 167019-93-4P 167020-19-1P 167020-20-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of difluorostatone analog virucides)

RN 167019-92-3 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-1-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-2,4-dioxo-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

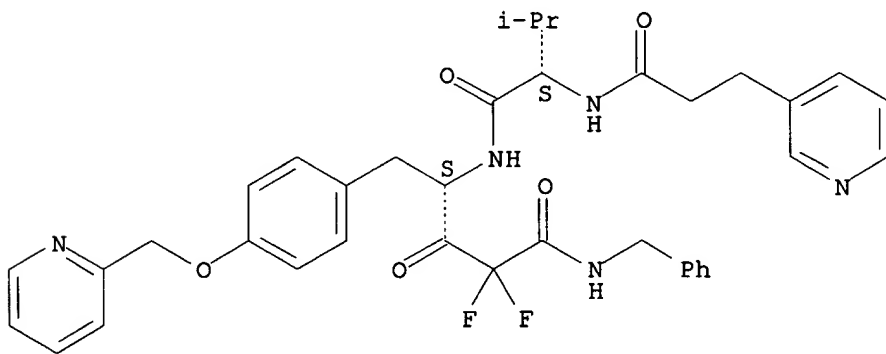
Absolute stereochemistry.



RN 167019-93-4 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2,4-dioxo-4-[(phenylmethyl)amino]-1-[[4-(2-pyridinylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

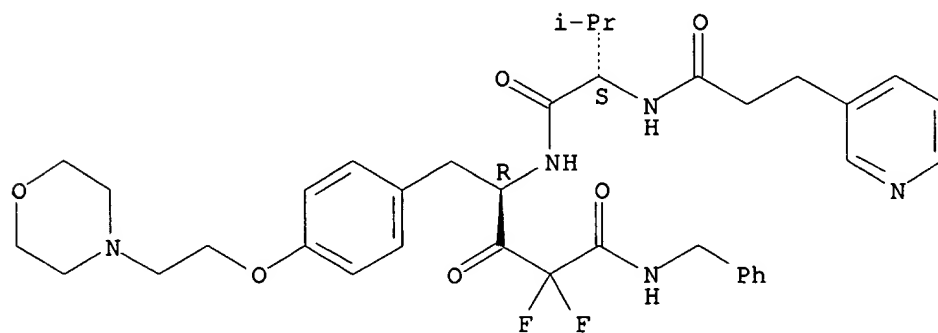
Absolute stereochemistry.



RN 167020-19-1 CAPLUS

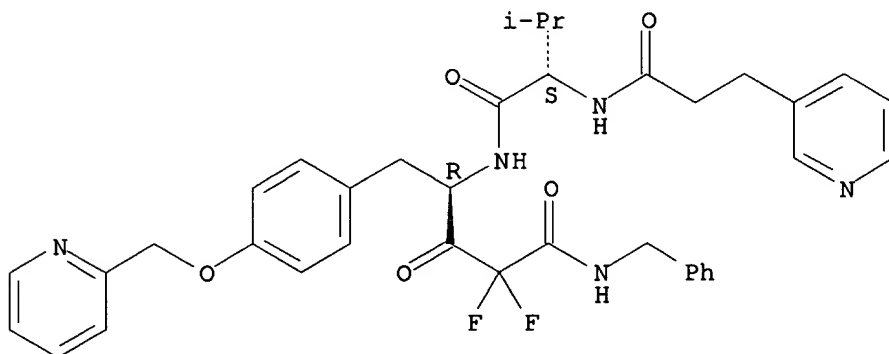
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-1-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-2,4-dioxo-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]-, [R-(R*,S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167020-20-4 CAPLUS

Absolute stereochemistry.



RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorostatone analog virucides)

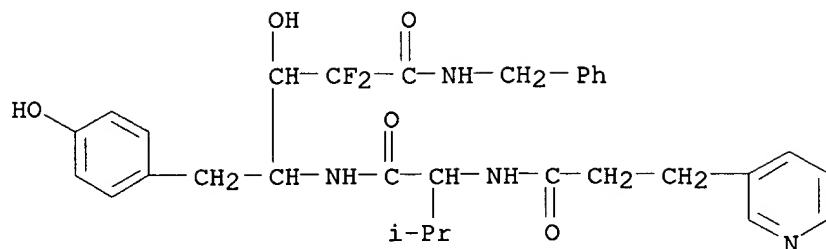
RN 144569-81-3 CAPLUS

$$\text{Ph-CH}_2\text{-O-C}_6\text{H}_4\text{-CH}_2\text{-CH(OH)-CF}_2\text{-C(=O)-NH-CH}_2\text{-Ph}$$

$$\text{CH}_2\text{-CH(NH-C(=O)-CH(i-Pr)-NH-C(=O)-CH}_2\text{-CH}_2\text{-C}_5\text{H}_4\text{N)}$$

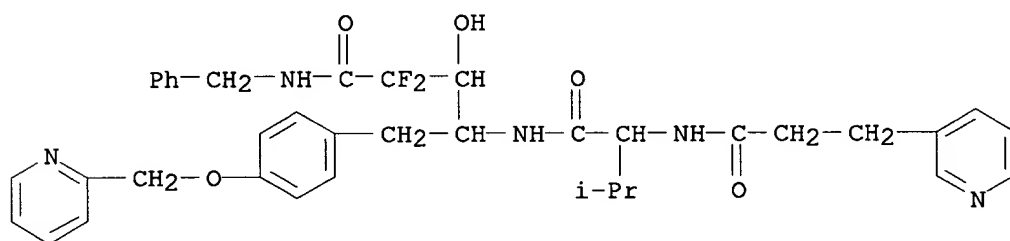
RN 167020-05-5 CAPLUS

Page 572



RN 167020-06-6 CAPLUS

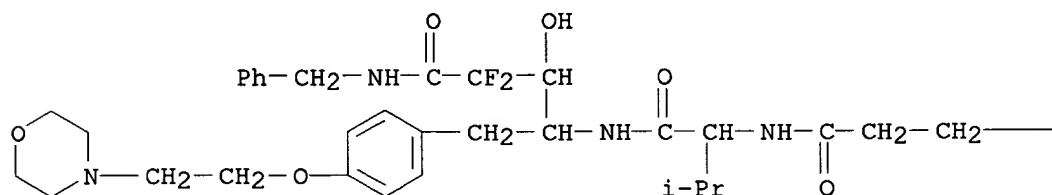
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-4-oxo-4-[(phenylmethyl)amino]-1-[[4-(2-pyridinylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



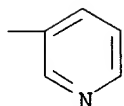
RN 167020-07-7 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-1-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-4-oxo-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

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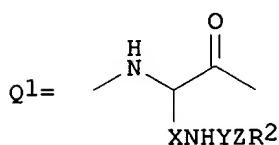
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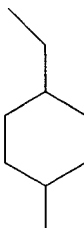
09/896,086

176 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:573685 CAPLUS
DOCUMENT NUMBER: 123:33649
TITLE: Preparation of 6-position modified decapeptide LHRH antagonists
INVENTOR(S): Greer, Jonathan; Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf E.; Nichols, Charles J.; Mort, Nicholas A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413313	A1	19940623	WO 1993-US11628	19931130
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2136078	AA	19940623	CA 1993-2136078	19931130
EP 673254	A1	19950927	EP 1994-903367	19931130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08504209	T2	19960507	JP 1993-514229	19931130
US 5698522	A	19971216	US 1995-446809	19950601
PRIORITY APPLN. INFO.:			US 1992-987921	A 19921204
			WO 1993-US11628	W 19931130
OTHER SOURCE(S):		MARPAT 123:33649		
GI				



Q2=



AB A-D-E-G-J-L-M-Q-R-T [A = N-acetyl-D-3-(naphth-2-yl)alanyl, N-acetyl-D-phenylalanyl, N-acetylsarcosyl, etc.; D = D-Phe, D-3-(4-chlorophenyl)alanyl, D-3-(4-fluorophenyl)alanyl, etc.; E = D-3-(pyrid-3-yl)alanyl, D-3-(thiazol-2-yl)alanyl, etc.; G = Ser, Ser(OBzl), etc.; J = N(R1)-L-[3-(4-(3-amino-1,2,4-triazol-5-yl)aminophenyl)]alanyl, N(R1)-L-tyrosyl, N(R1)-L-homoarginyl, etc.; R1 = H, alkyl; L = Q1; X = (CH₂)_n, Q2; n = 1-6; Y = D- or L-Ala, 4-aminobutyryl, 5-aminopentanoyl, azaglycyl, D-leucyl, D-valyl, etc.; Z = null, D-alanyl, azaglycyl, Gly, D-cyclohexylalanyl, D-His, D-Phe, etc.; R₂ = 3-amino-1,2,4-triazol-5-yl, Ac, biotinyl, 2-furoyl, isonicotinoyl, (substituted) PhCO, etc.; M = Leu, Val, L-cyclohexylalanyl, etc. Q = L-citrullyl, L-homocitrullyl, Arg, etc.; R = Pro, N(R1)-Ala; T = NH₂, D-alanylamide, D-serylamide, sarcosamide, etc.], were prepared Thus, Ac-D-2-Nal-D-4-Cl-Phe-D-3-Pal-Ser-NMeTyr-D-Lys(Ne-glycylnicotinoyl)-Leu-Lys(Ne-isopropyl)-Pro-D-Ala-NH₂ [2-Nal =

3-(naphth-2-yl)alanyl, 4-Cl-Phe = 3-(4-chlorophenyl)alanyl, 3-Pal = 3-(pyrid-3-yl)alanyl], prepared on methylbenzhydrylamine resin, antagonized LHRH with pA2 = 11.45.

IT 163333-59-3P 163333-61-7P 163333-62-8P
 163333-66-2P 163333-67-3P 163333-68-4P
 163333-69-5P 163333-70-8P 163333-71-9P
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 163437-86-3P 163437-87-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

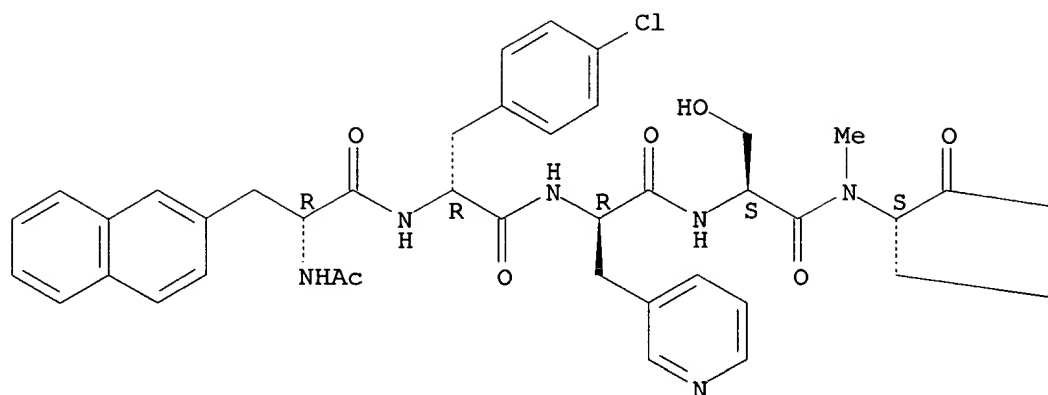
(preparation of 6-position modified decapeptide LHRH antagonists)

RN 163333-59-3 CAPLUS

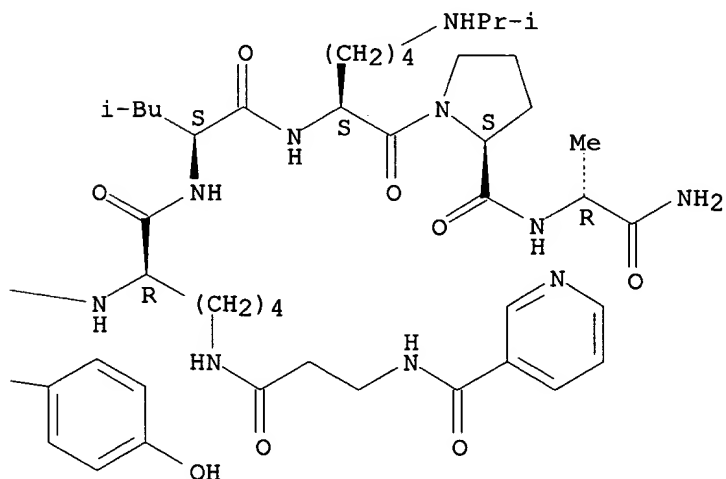
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)-β-alanyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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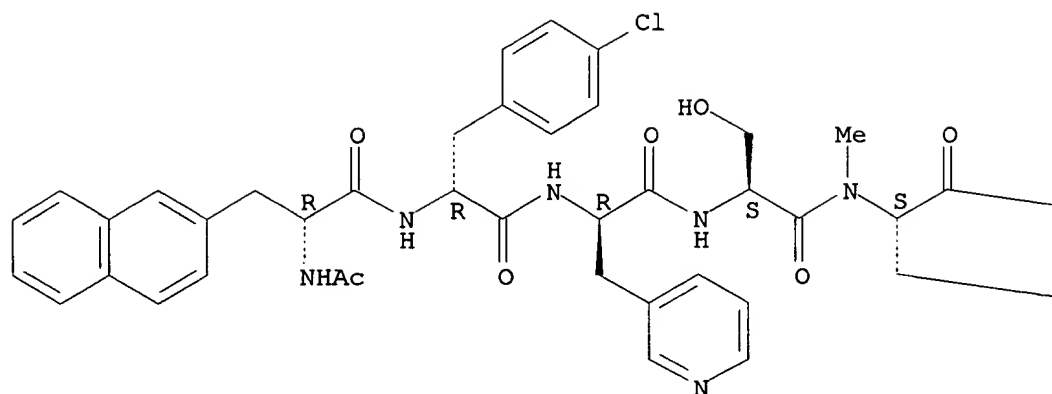


RN 163333-61-7 CAPLUS

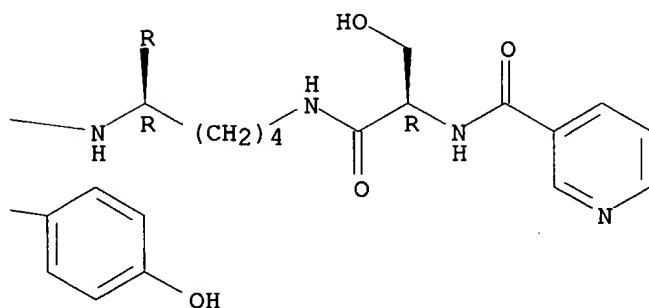
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

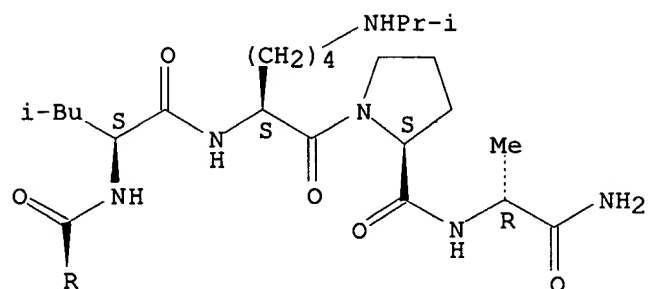
PAGE 1-A



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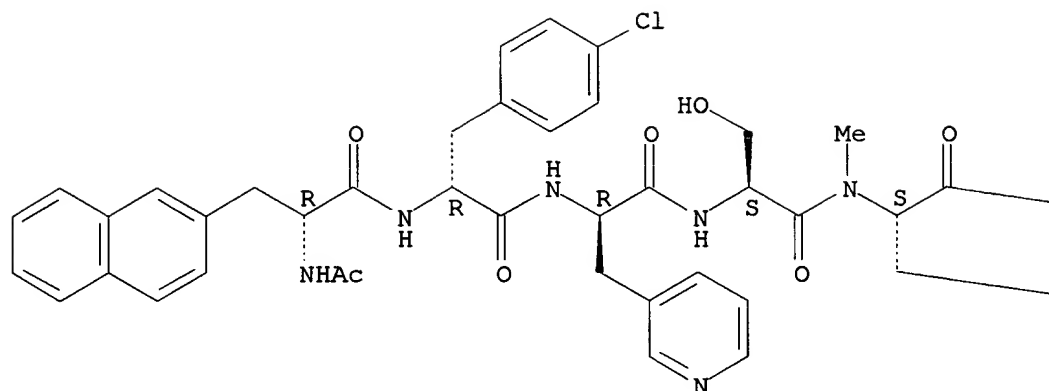


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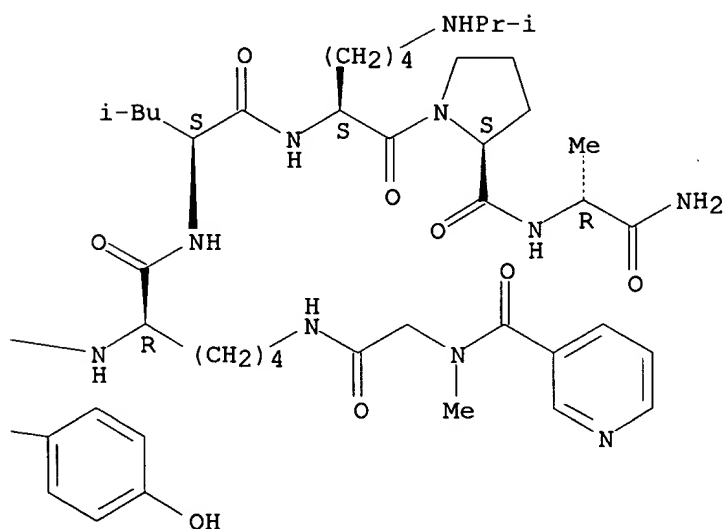
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-methyl-N-(3-pyridinylcarbonyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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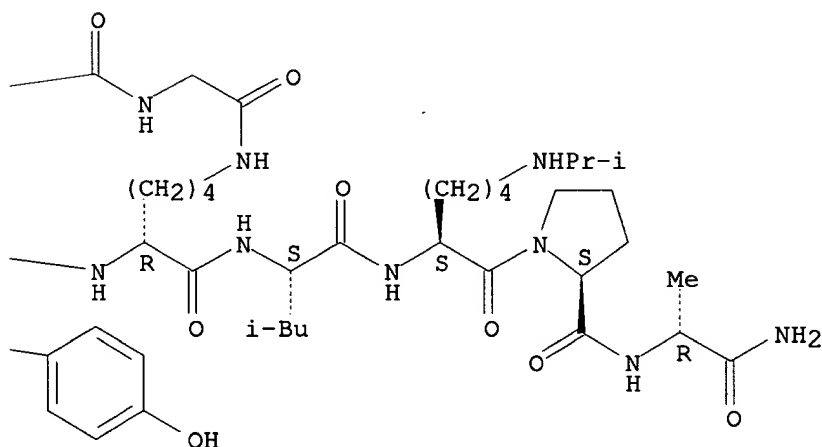
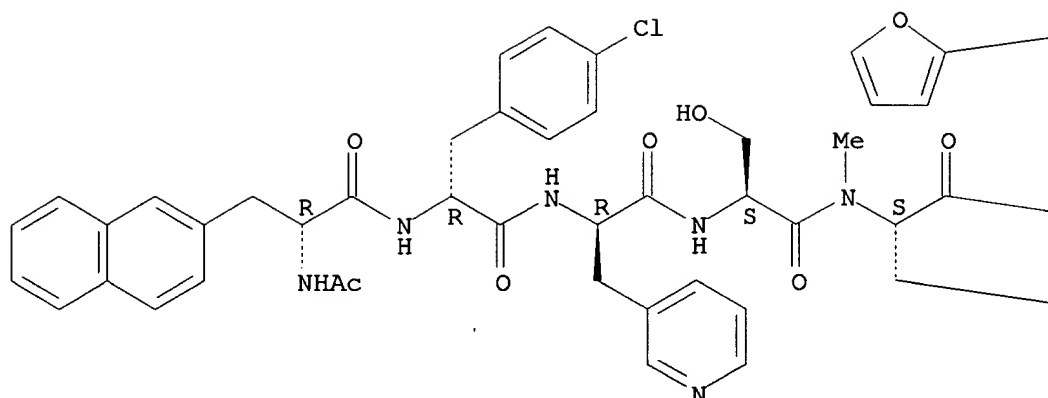
PAGE 1-B



RN 163333-66-2 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-furanylcarbonyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

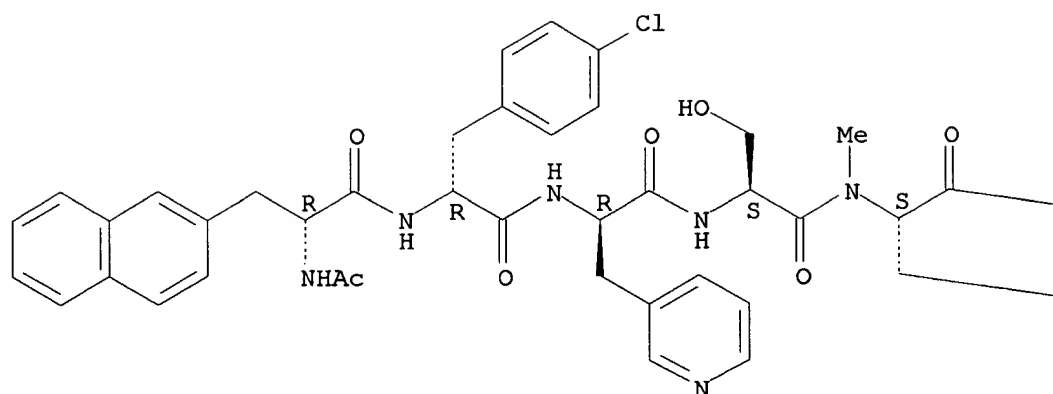


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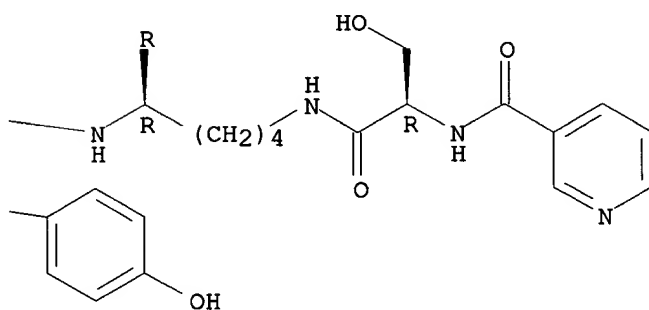
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(CA INDEX NAME)

Absolute stereochemistry.

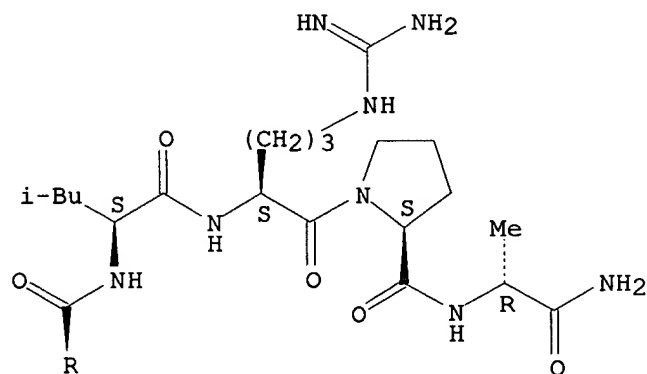
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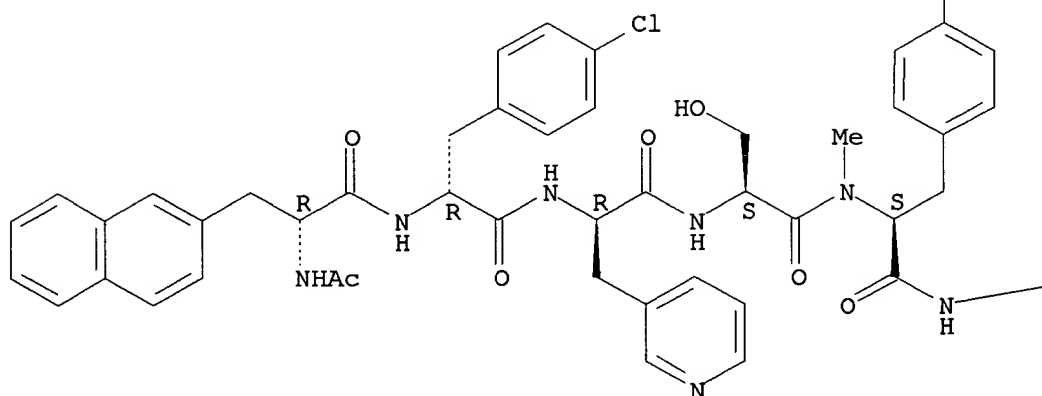
RN 163333-68-4 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-

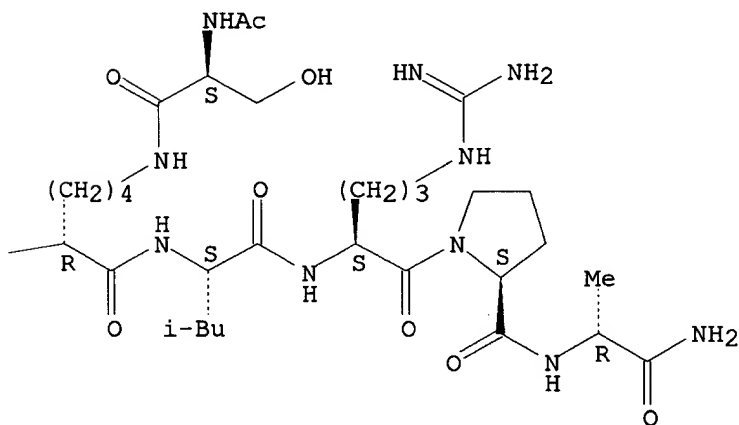
acetyl-L-seryl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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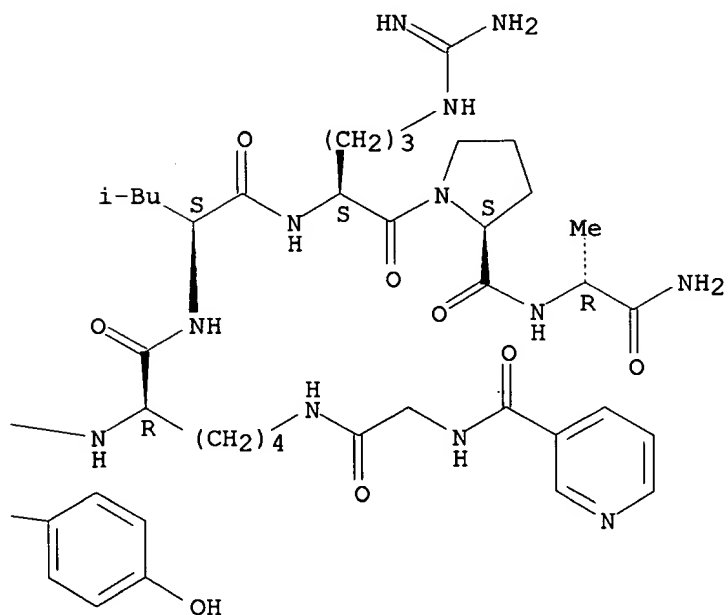
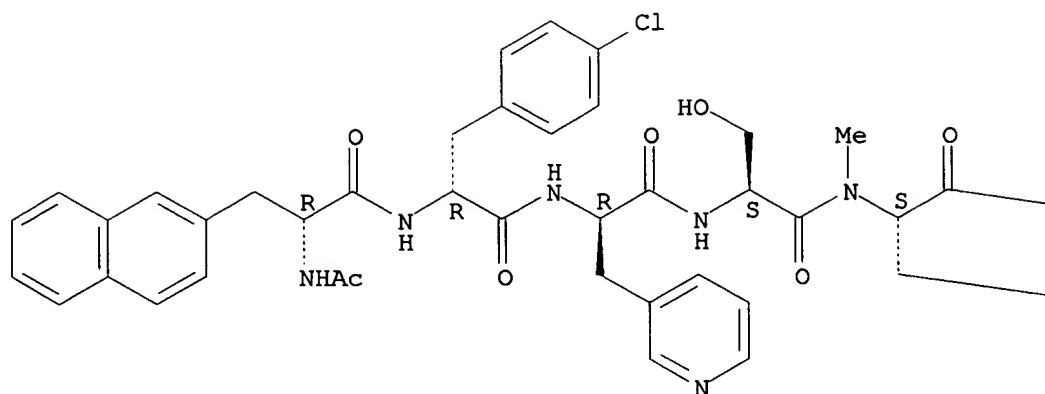
PAGE 1-B



RN 163333-69-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



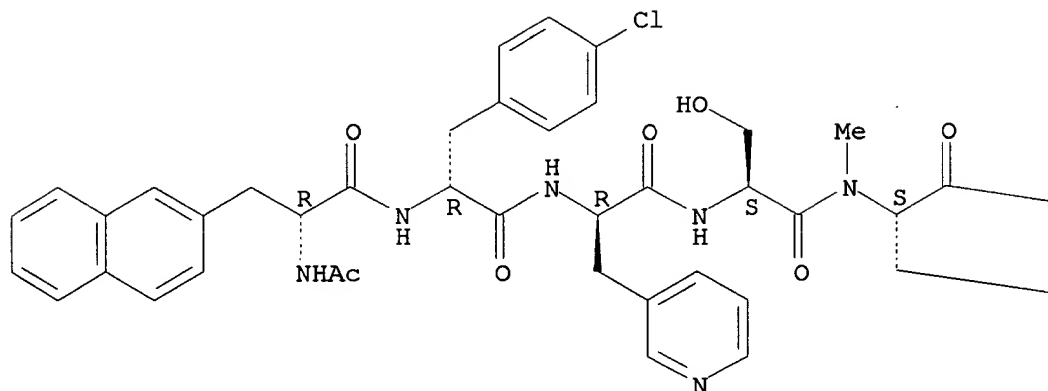
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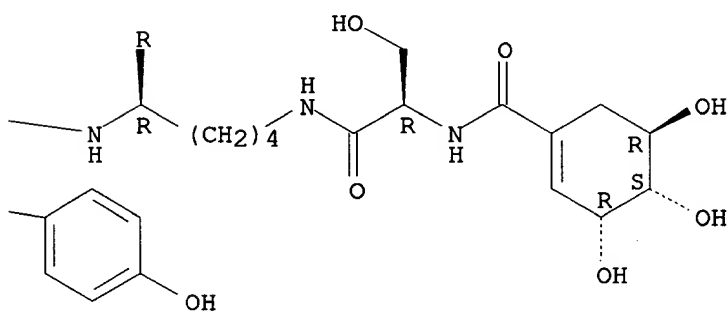
arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

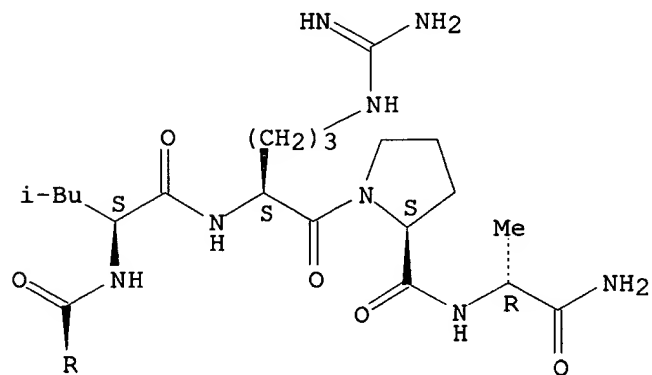
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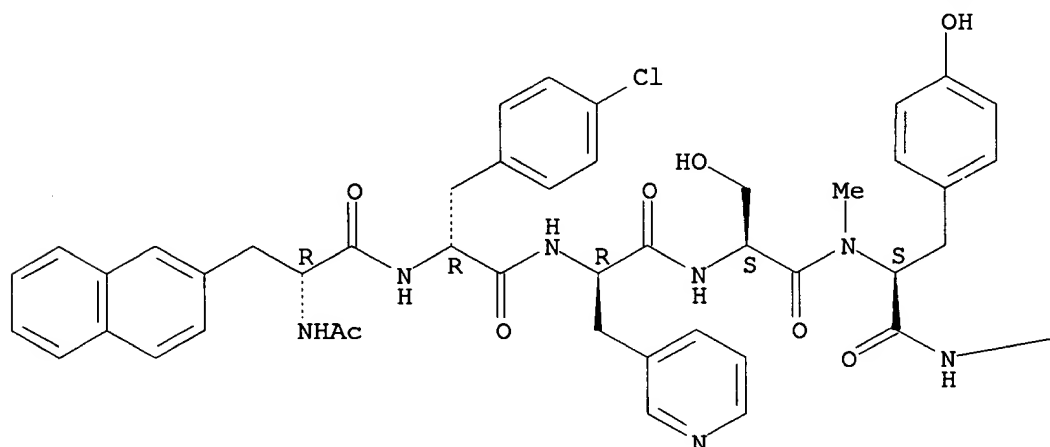


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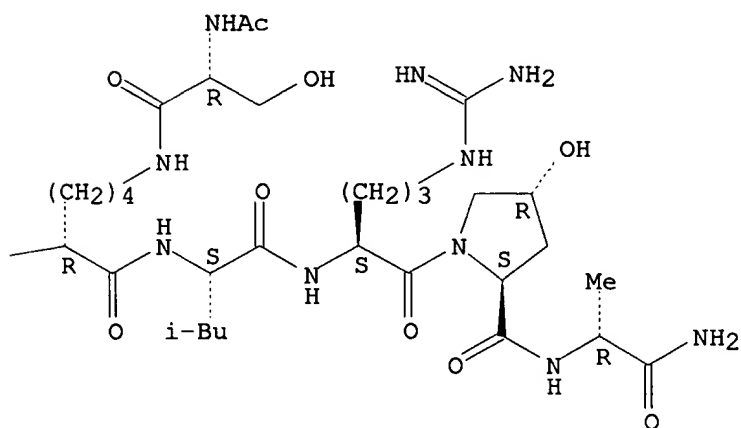
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-D-seryl)-D-lysyl-L-leucyl-L-arginyl-trans-4-hydroxy-L-prolyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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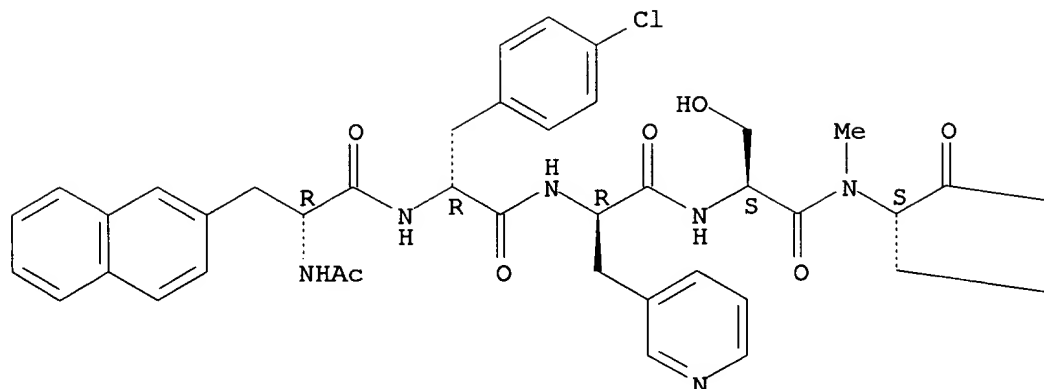


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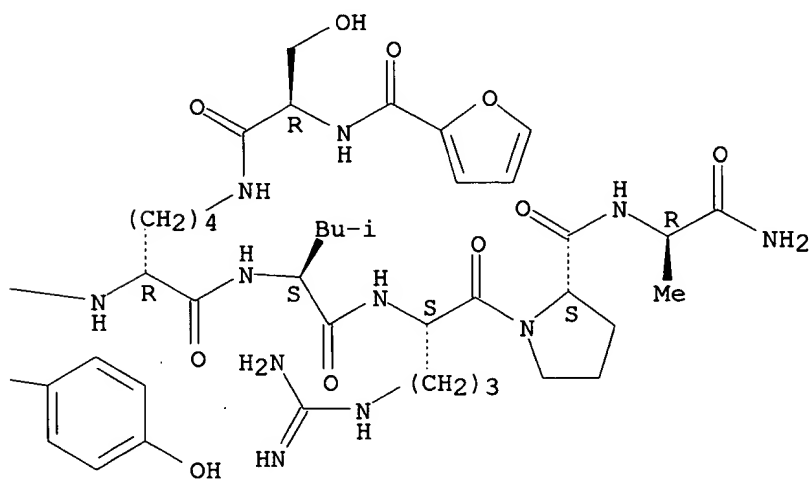
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-furanylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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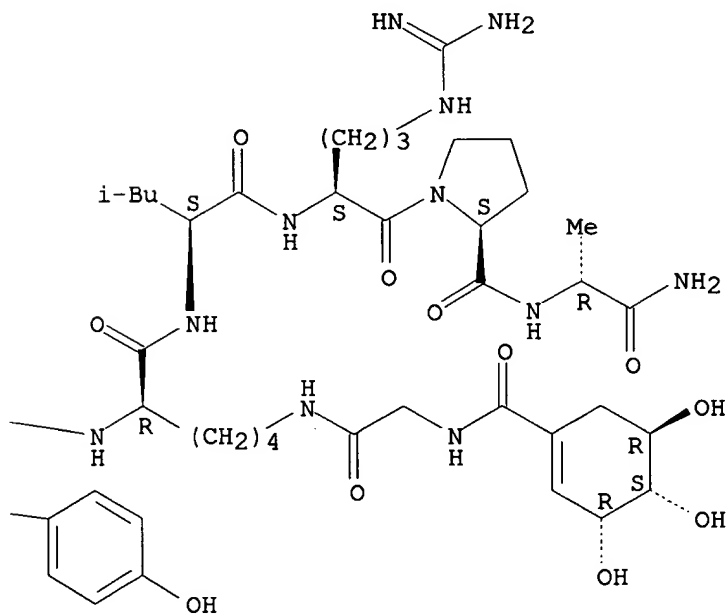
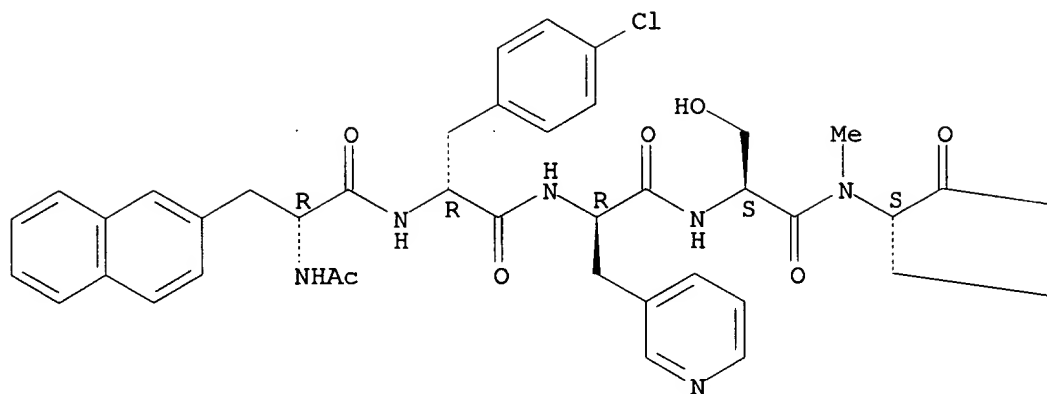
PAGE 1-B



RN 163333-74-2 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl) carbonyl]glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



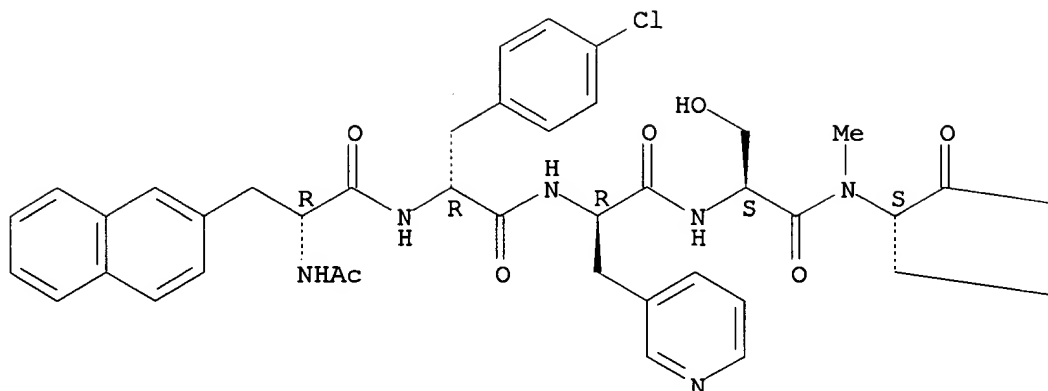
RN 163333-75-3 CAPLUS

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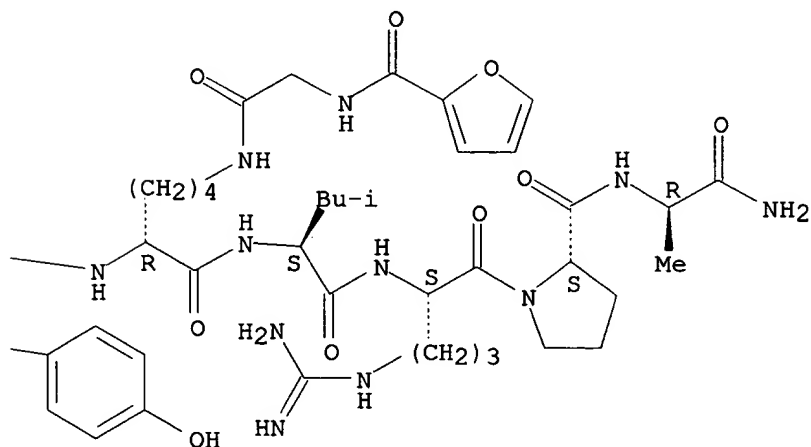
INDEX NAME)

Absolute stereochemistry.

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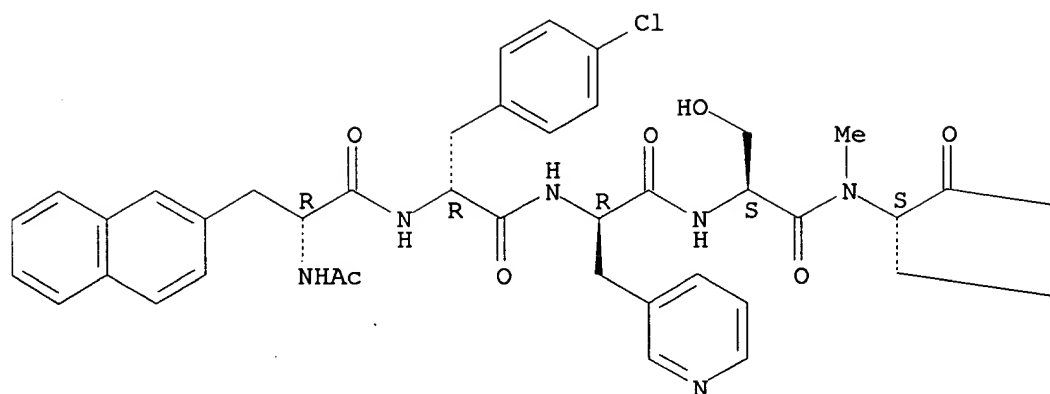


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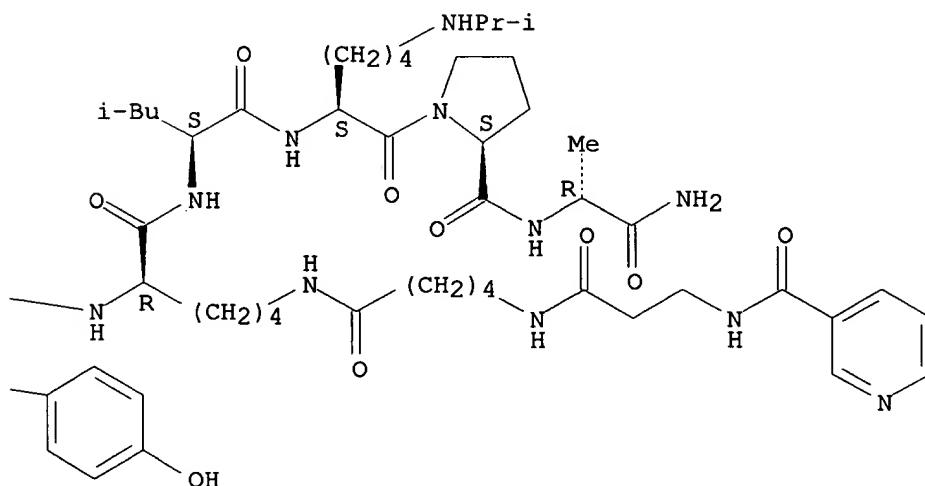
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Absolute stereochemistry.

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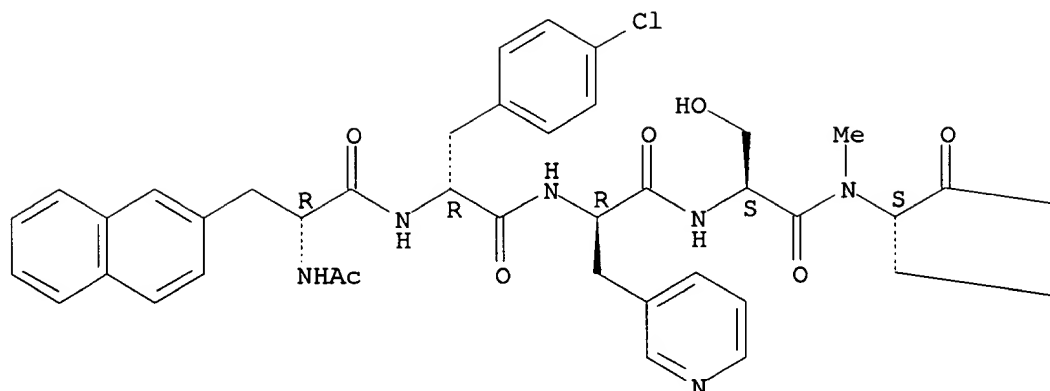


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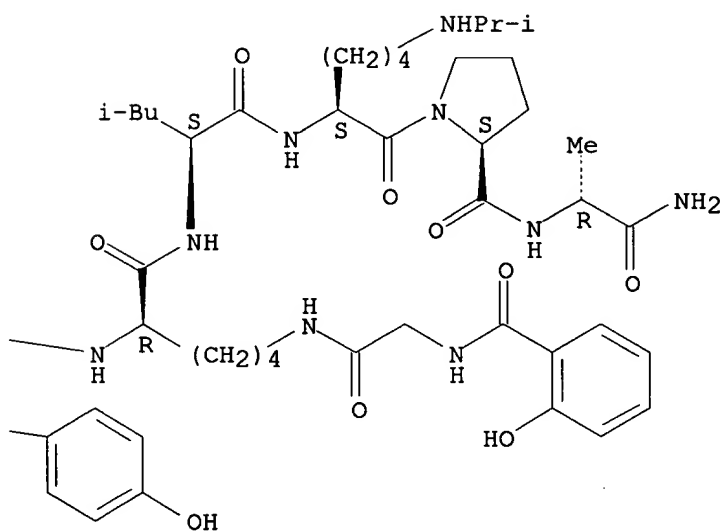
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-hydroxybenzoyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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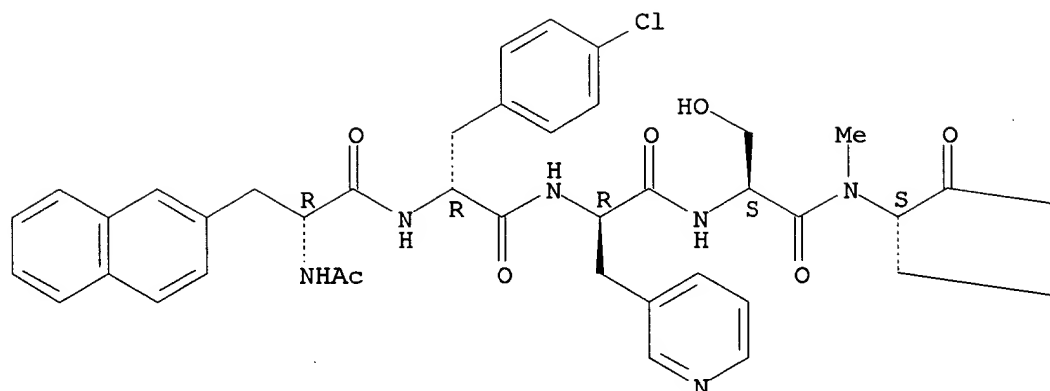


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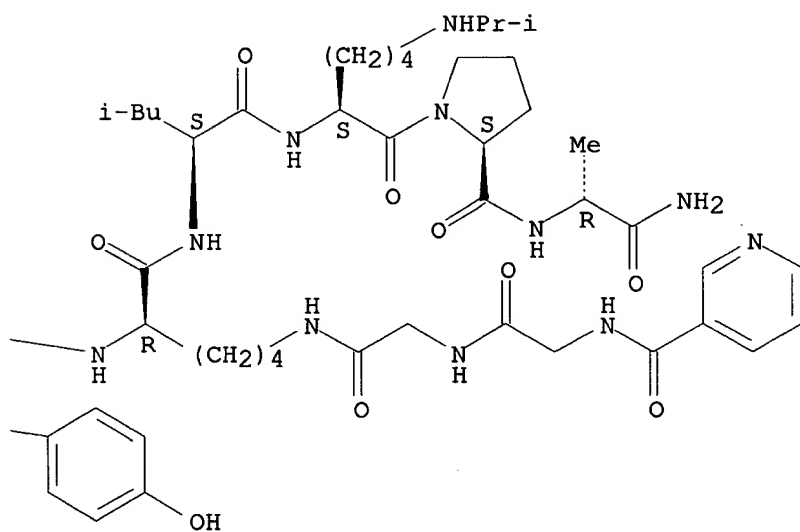
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Absolute stereochemistry.

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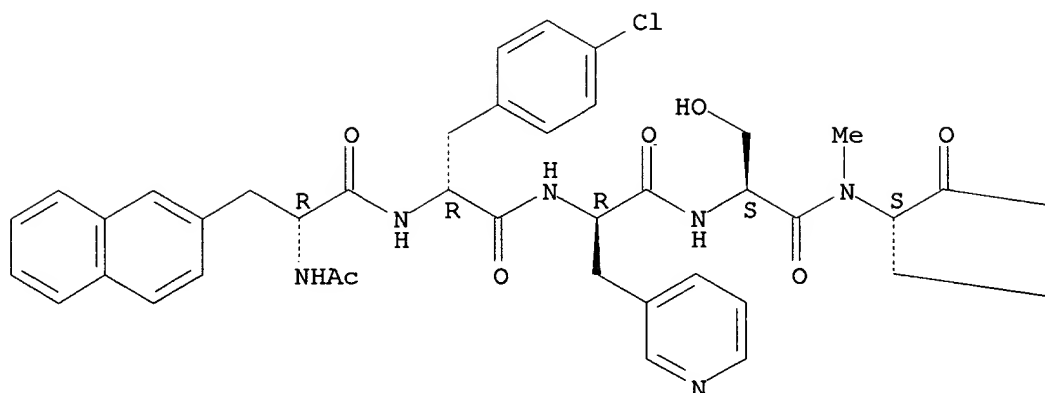


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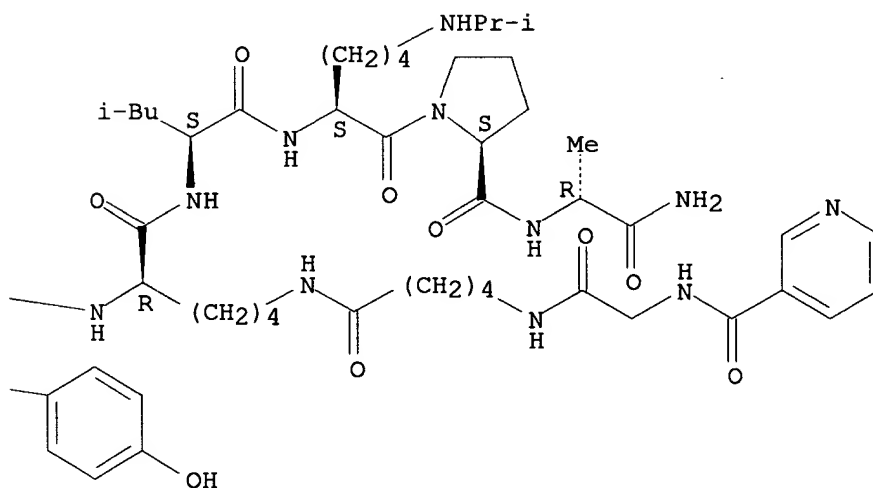
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Absolute stereochemistry.

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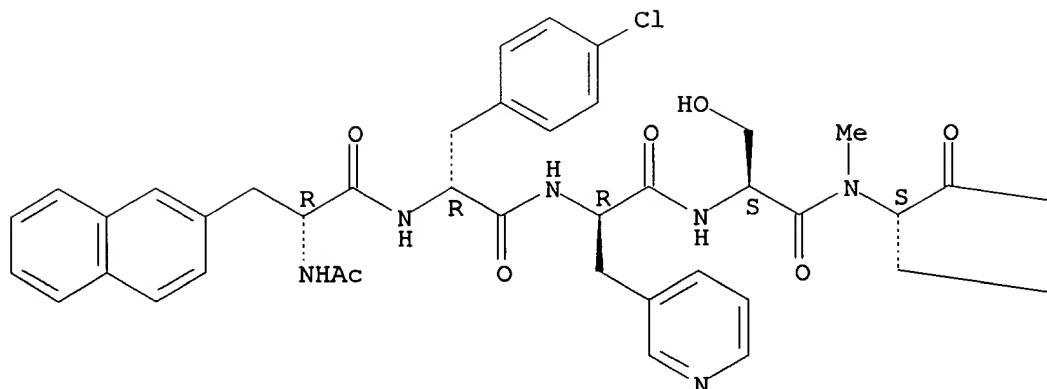


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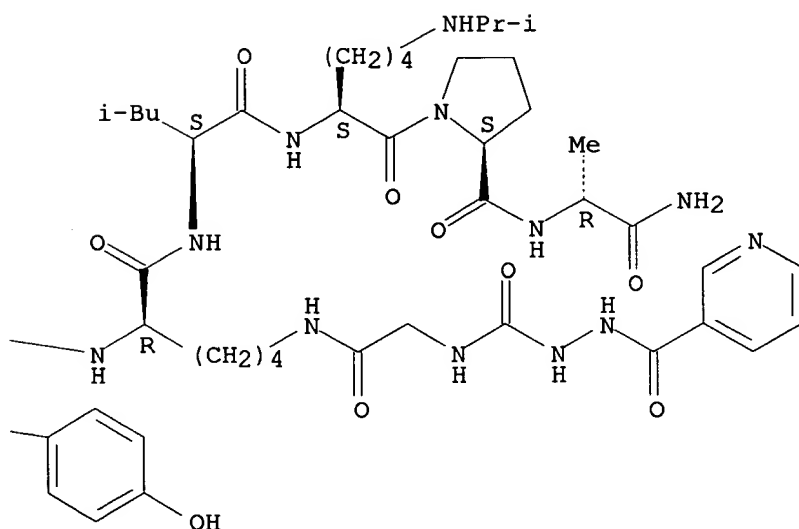
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Absolute stereochemistry.

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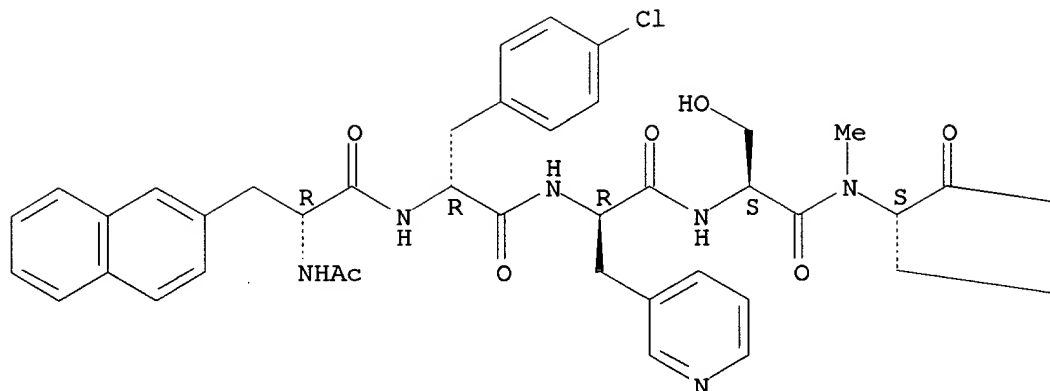


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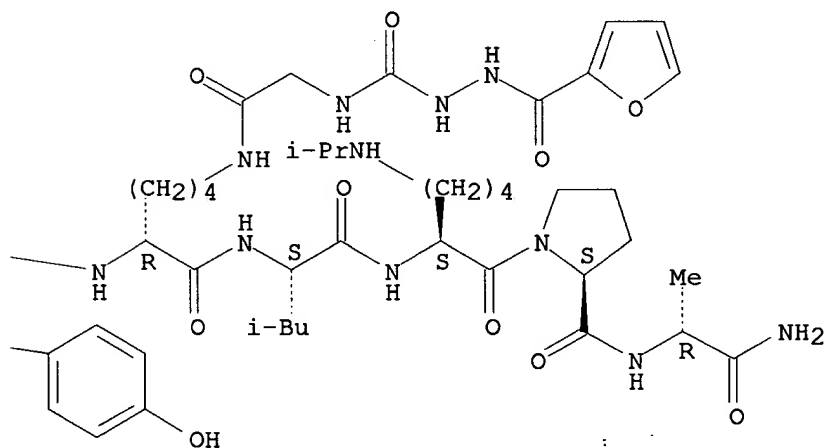
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Absolute stereochemistry.

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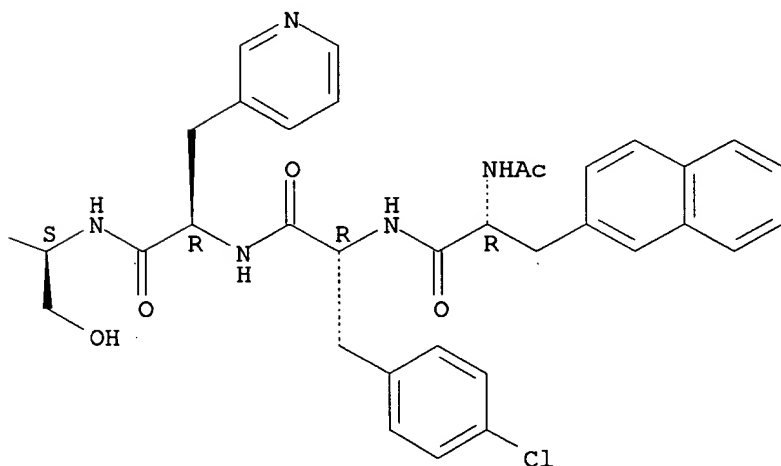
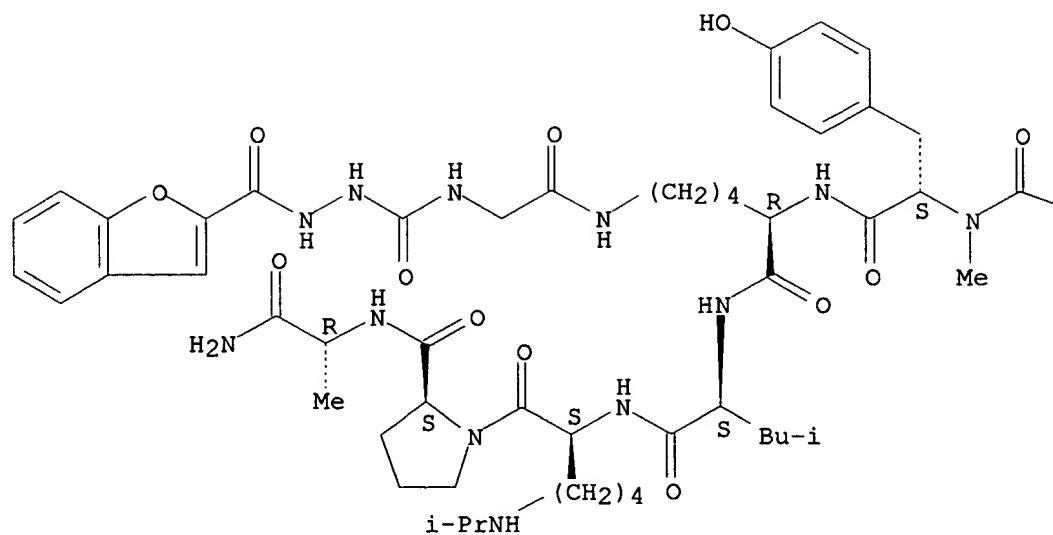
PAGE 1-B



RN 163334-20-1 CAPLUS

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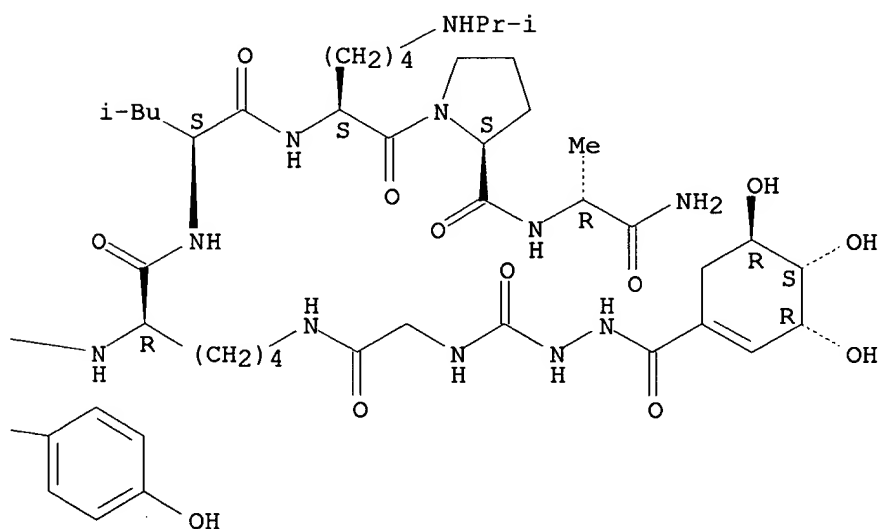
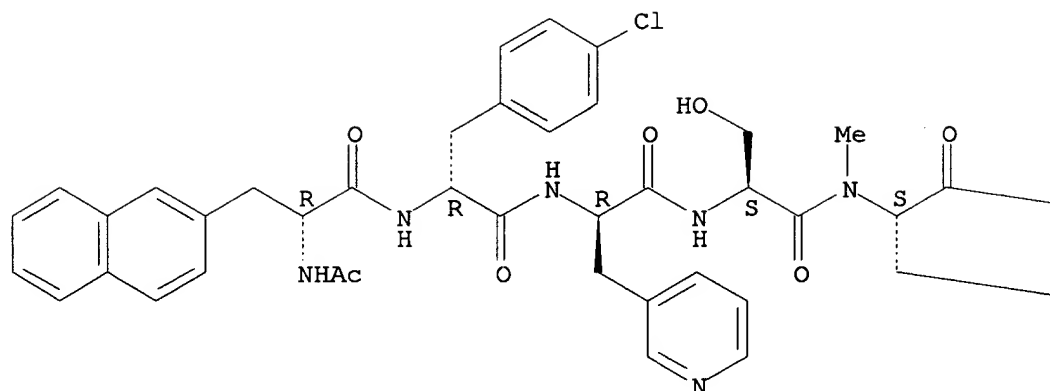
Absolute stereochemistry.



RN 163334-21-2 CAPLUS

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Absolute stereochemistry.

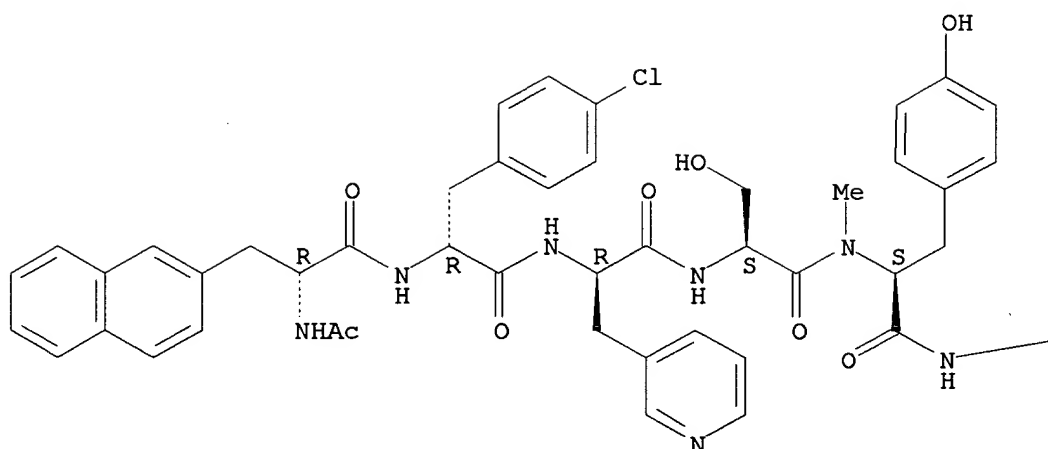


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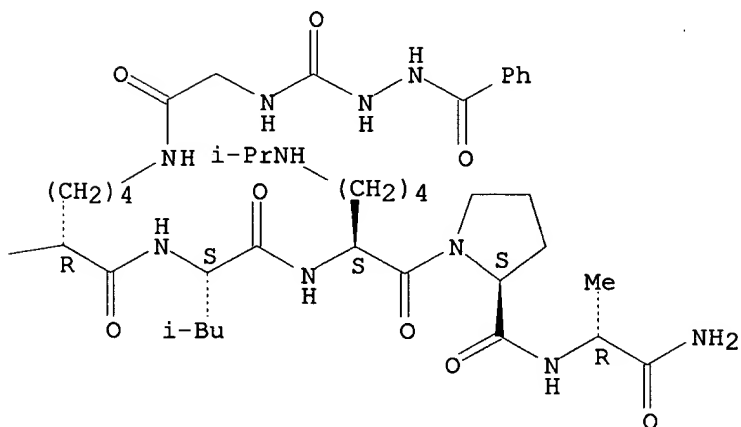
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Absolute stereochemistry.

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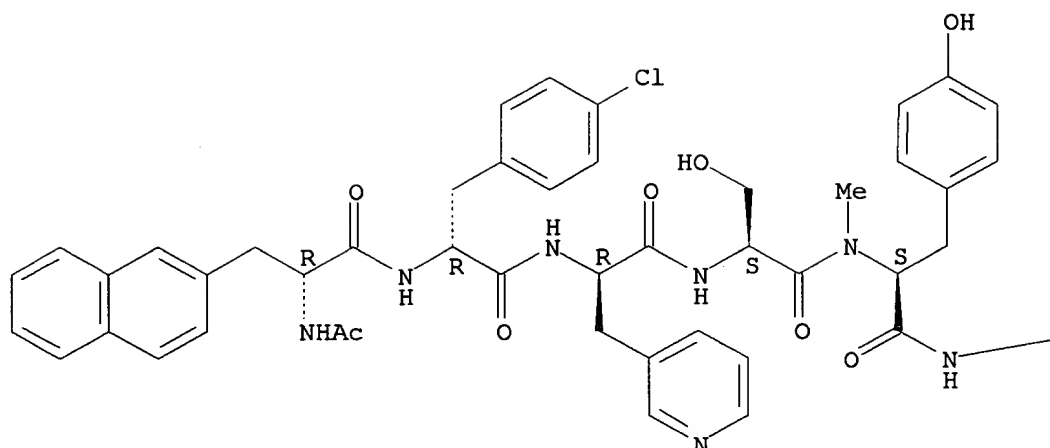


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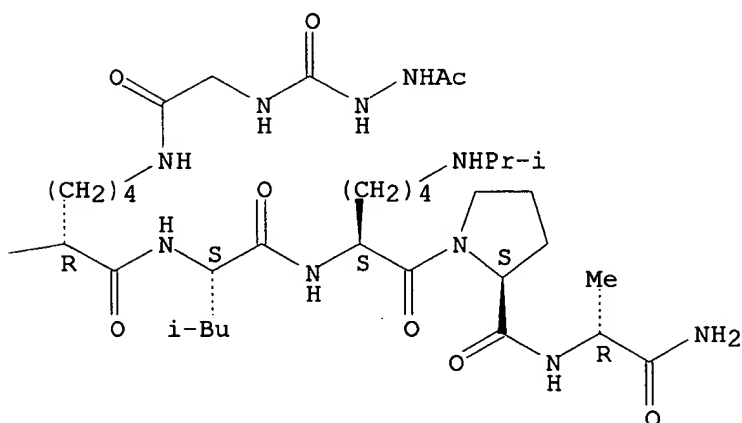
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Absolute stereochemistry.

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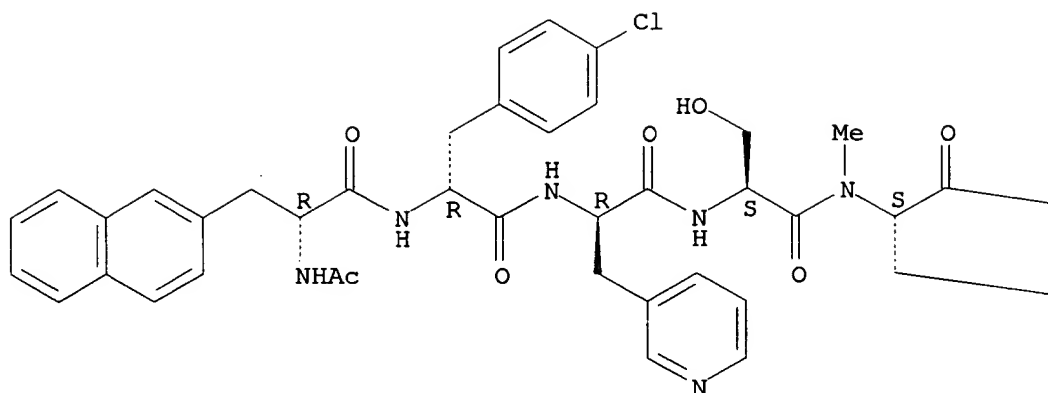


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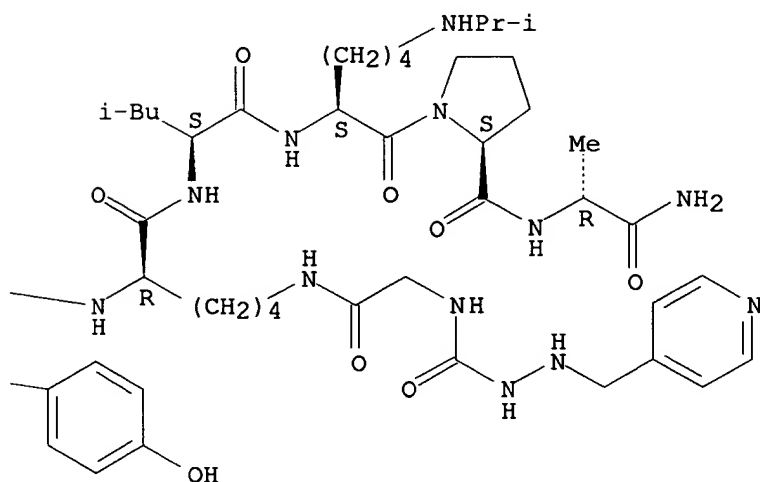
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Absolute stereochemistry.

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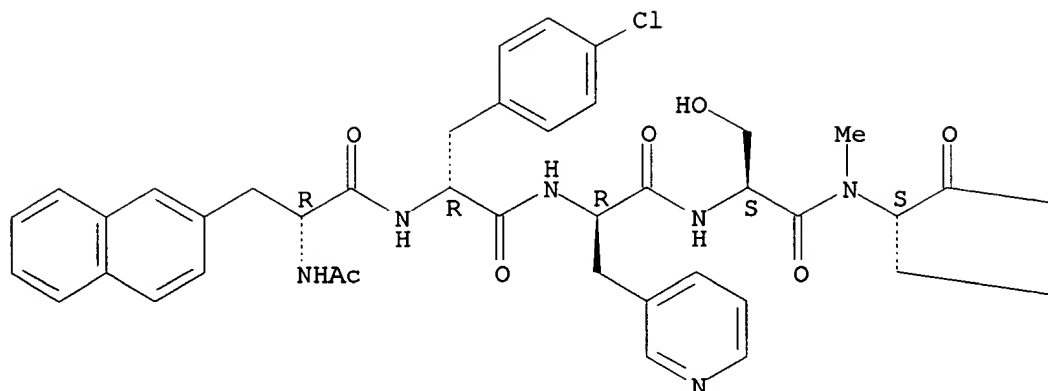


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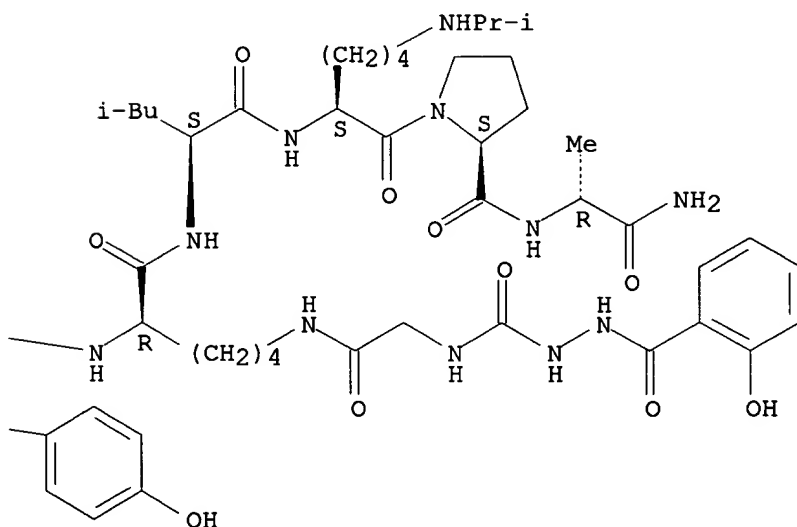
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Absolute stereochemistry.

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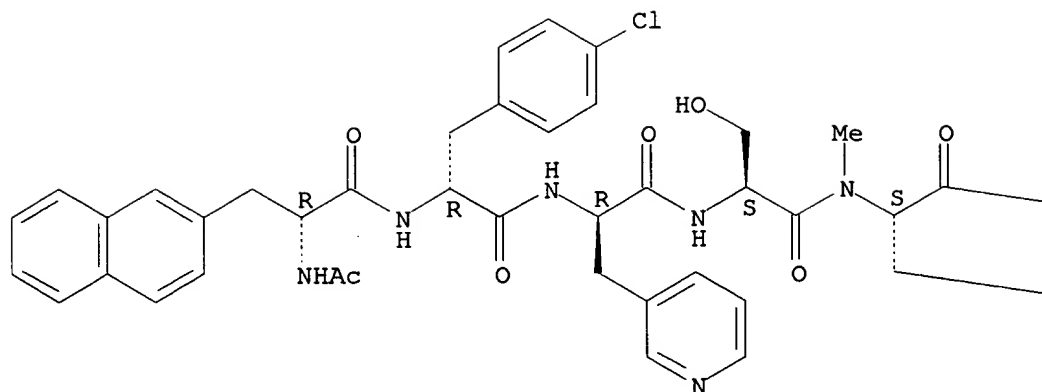


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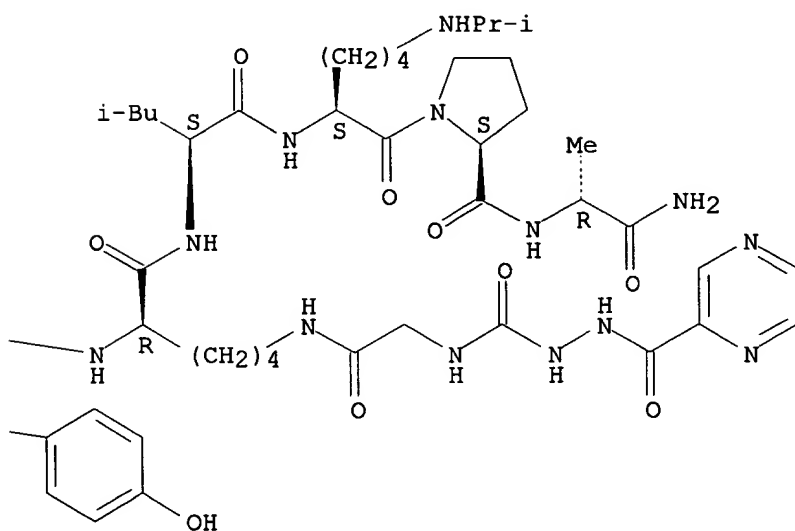
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Absolute stereochemistry.

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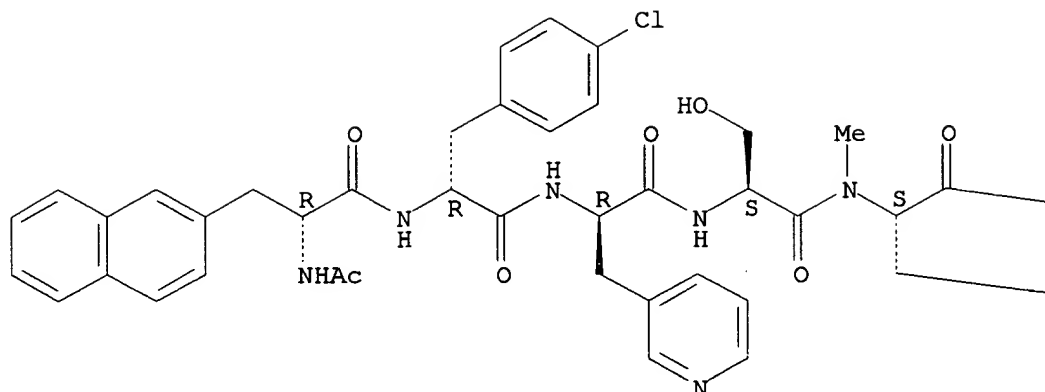


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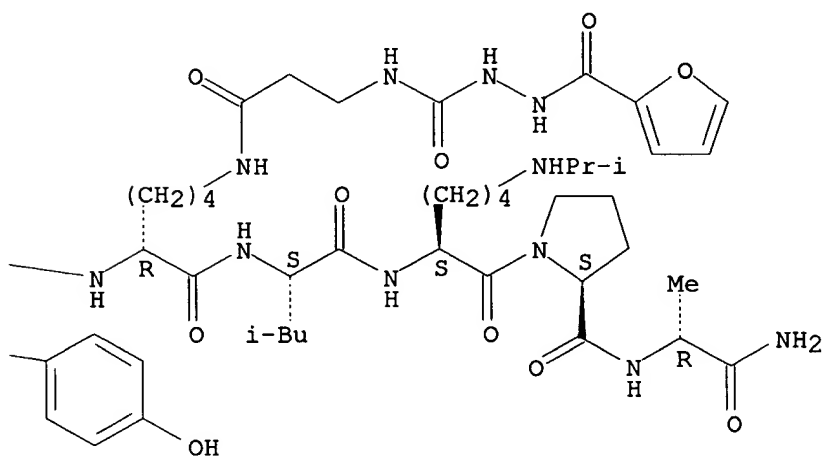
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Absolute stereochemistry.

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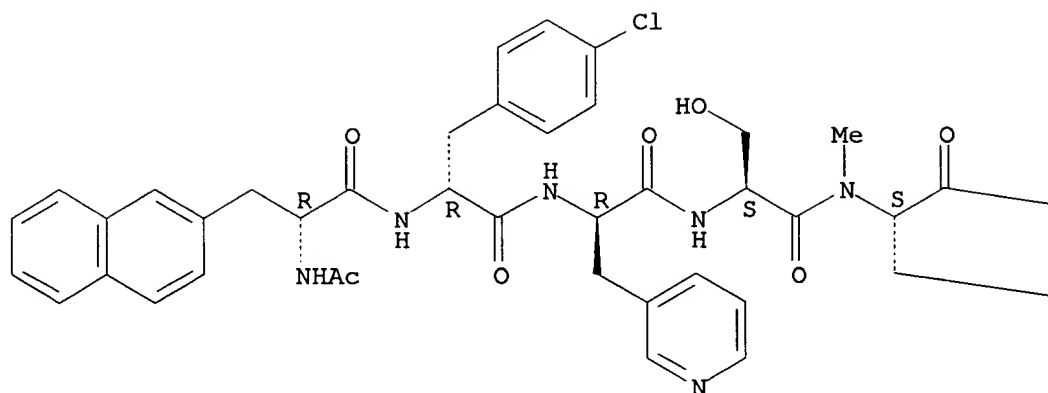


RN 163334-38-1 CAPLUS

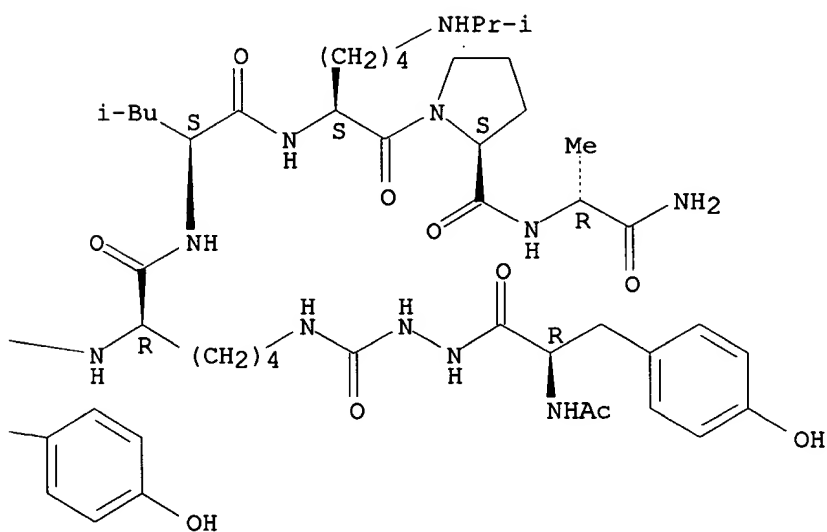
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Absolute stereochemistry.

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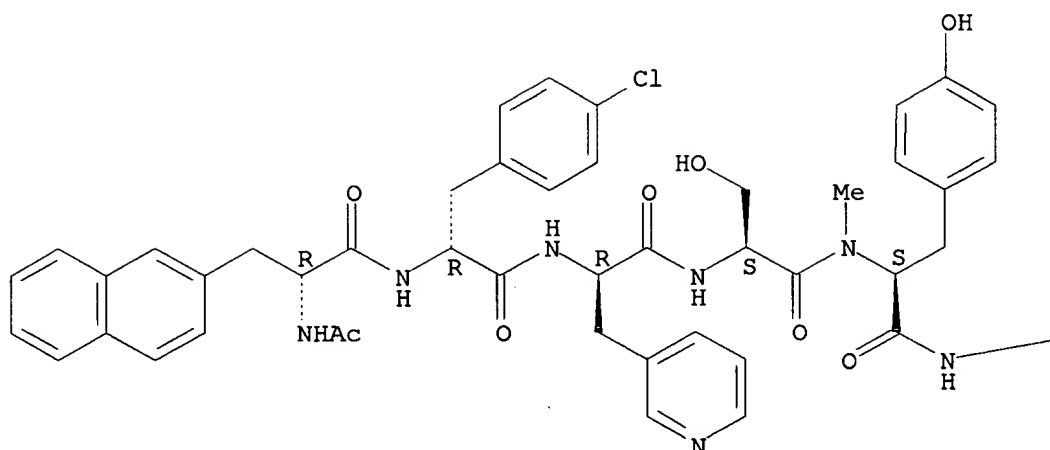


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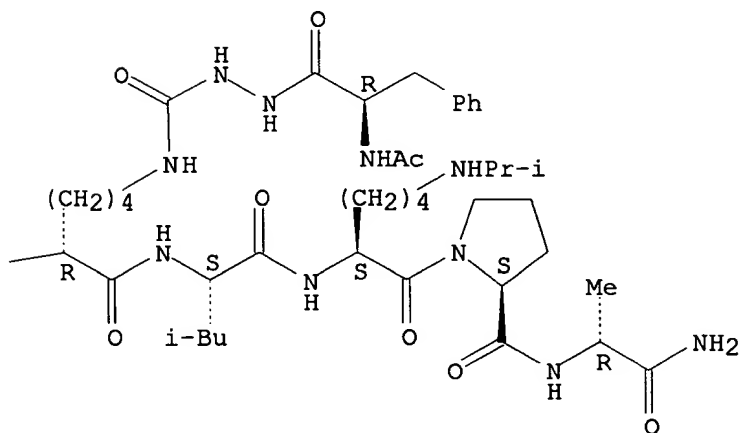
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Absolute stereochemistry.

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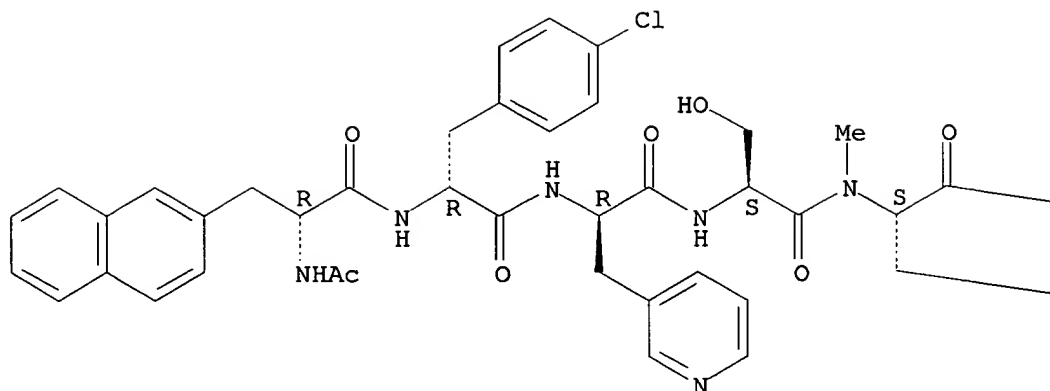


RN 163334-40-5 CAPLUS

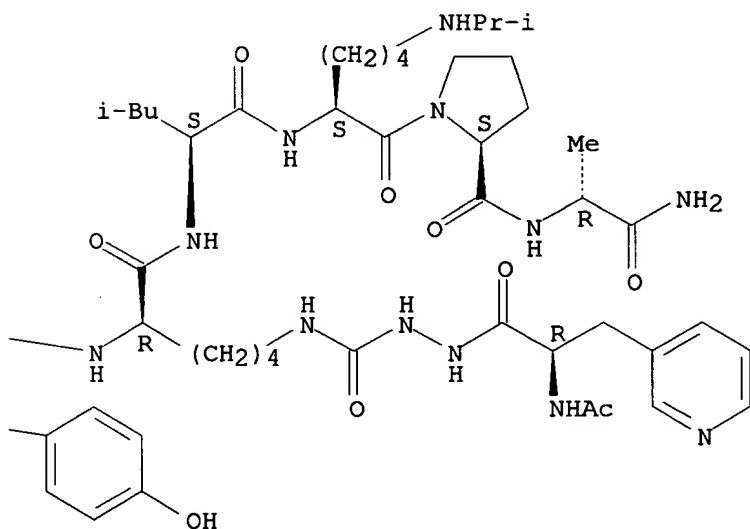
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Absolute stereochemistry.

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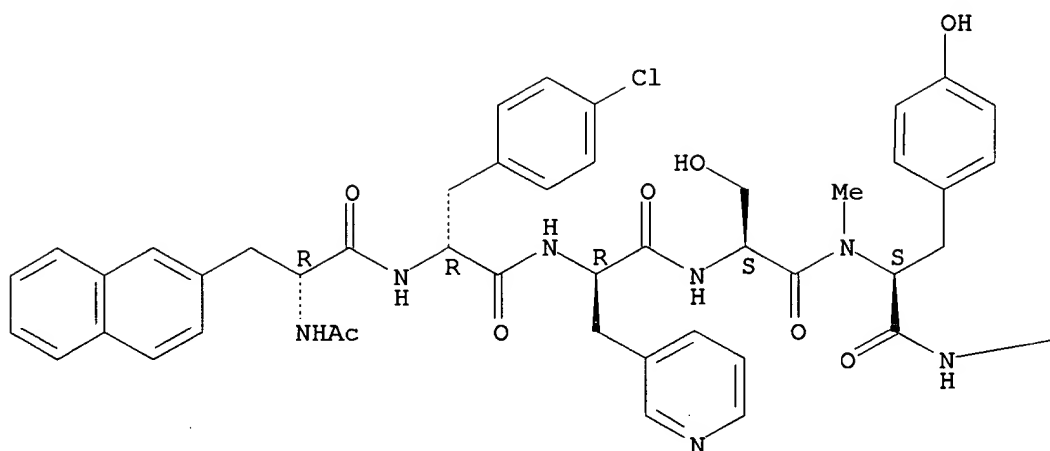
PAGE 1-B



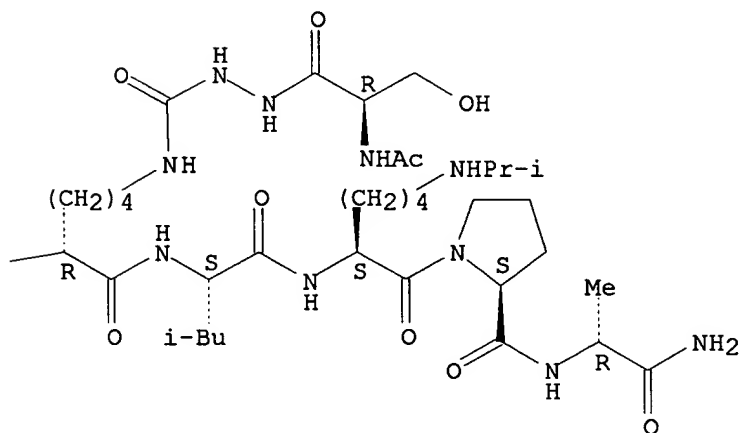
RN 163334-41-6 CAPLUS

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Absolute stereochemistry.



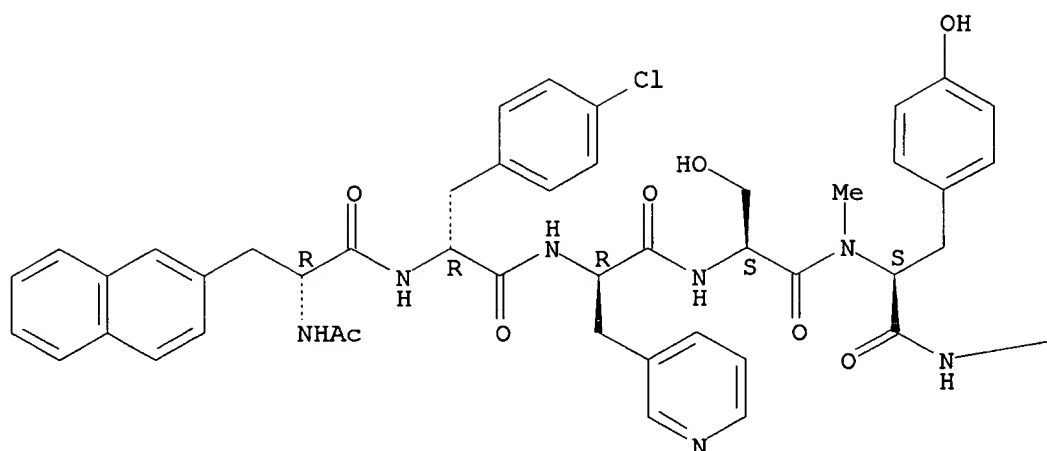
PAGE 1-B



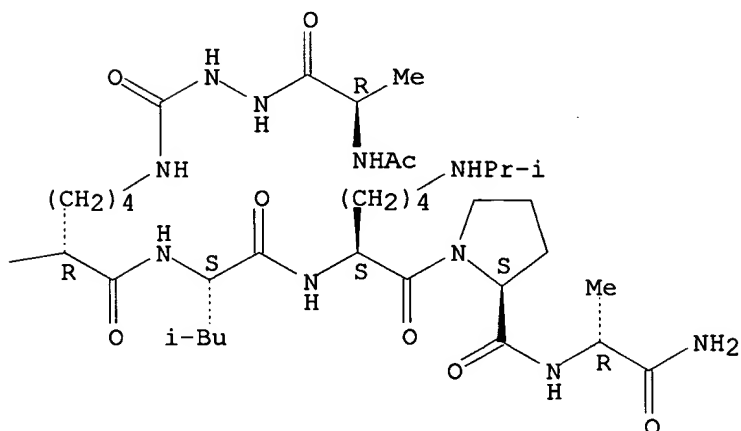
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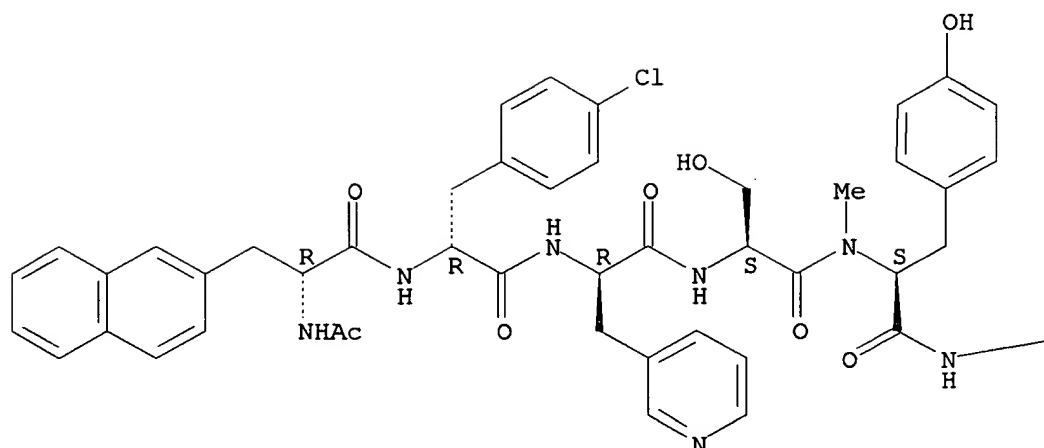


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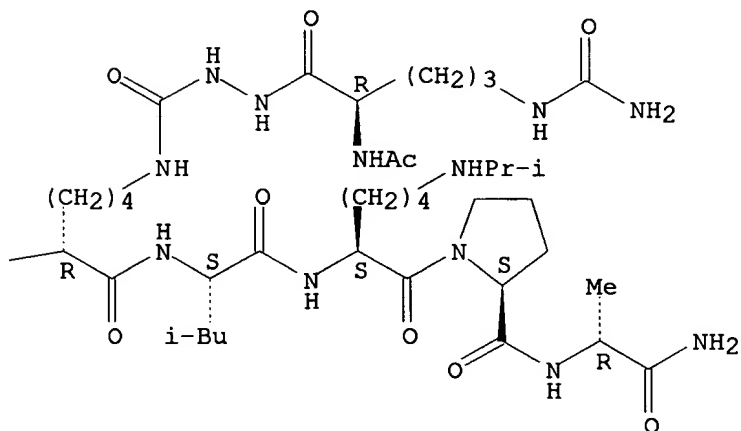
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Absolute stereochemistry.

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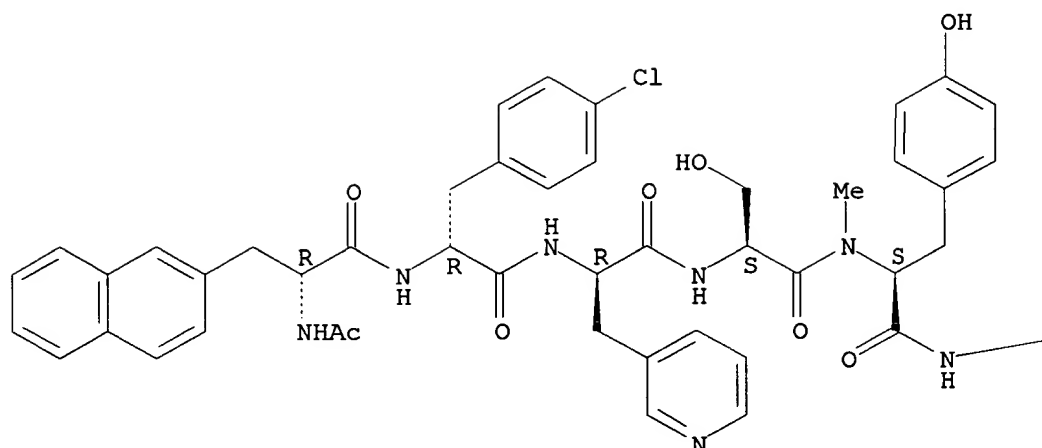


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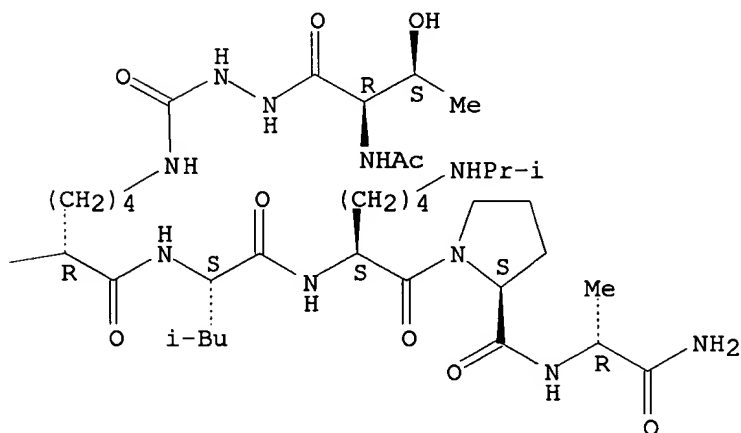
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Absolute stereochemistry.

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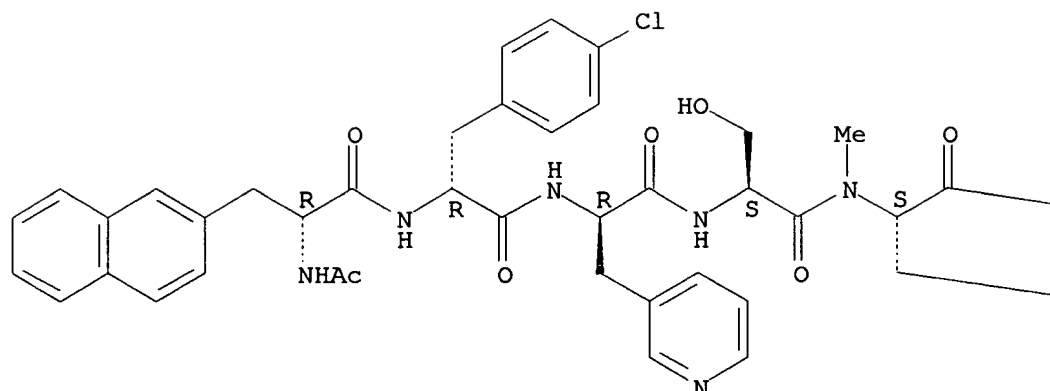


RN 163334-45-0 CAPLUS

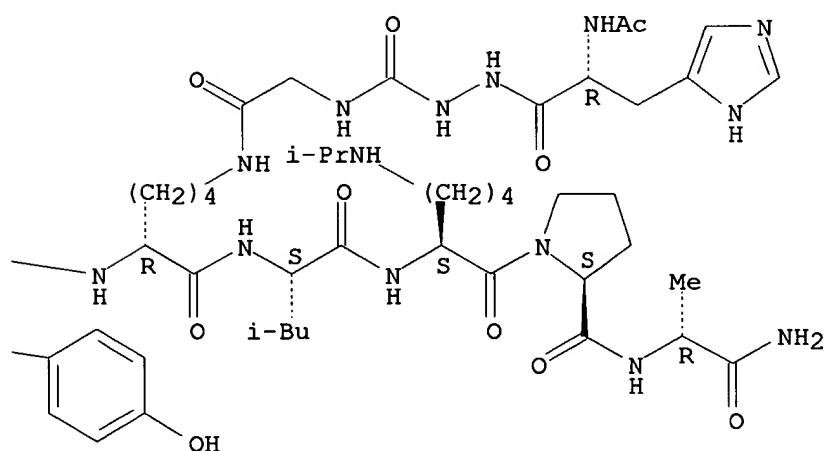
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Absolute stereochemistry.

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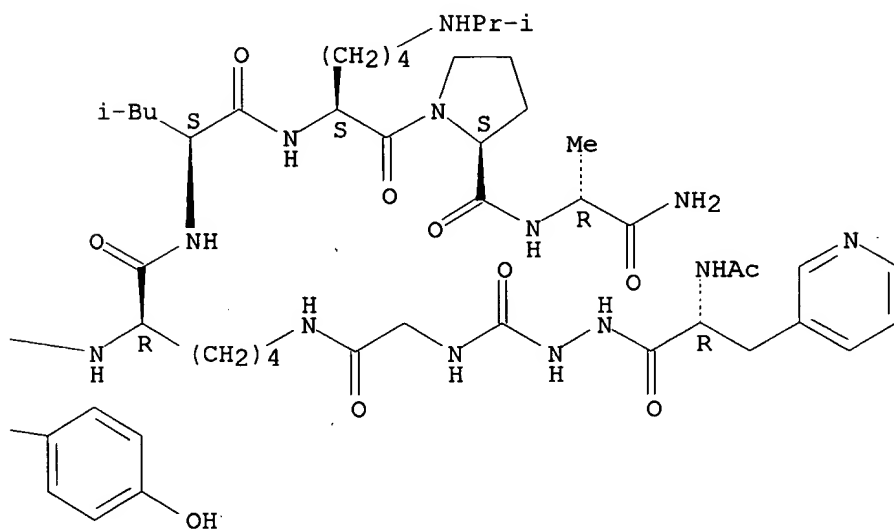
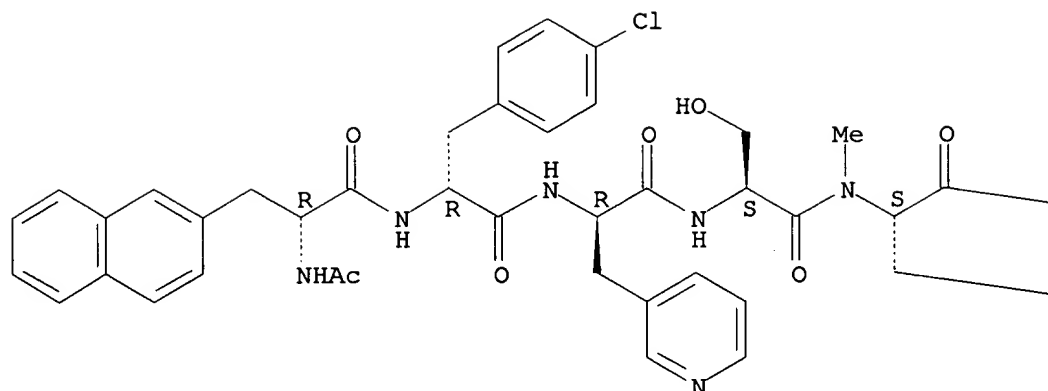
PAGE 1-B



RN 163334-46-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-acetyl-3-(3-pyridinyl)-D-alanyl-2-azaglycylglycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

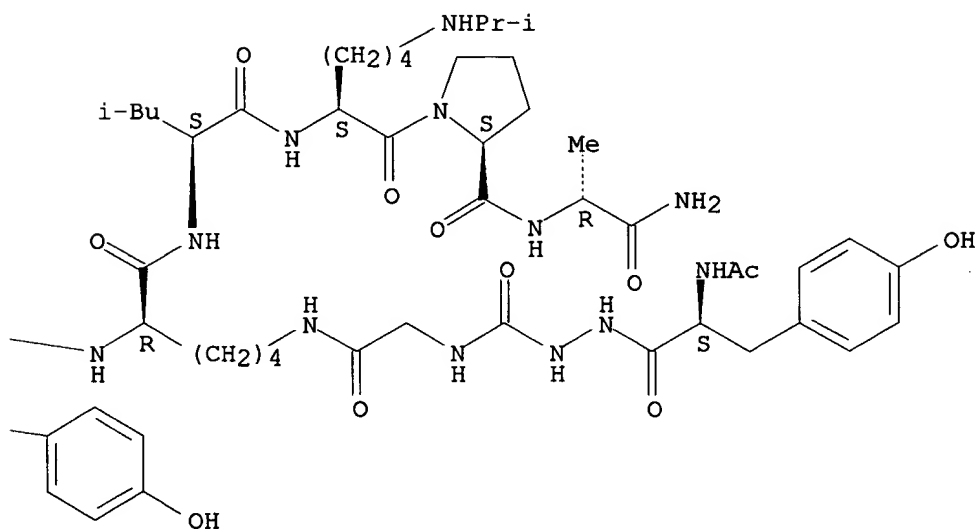
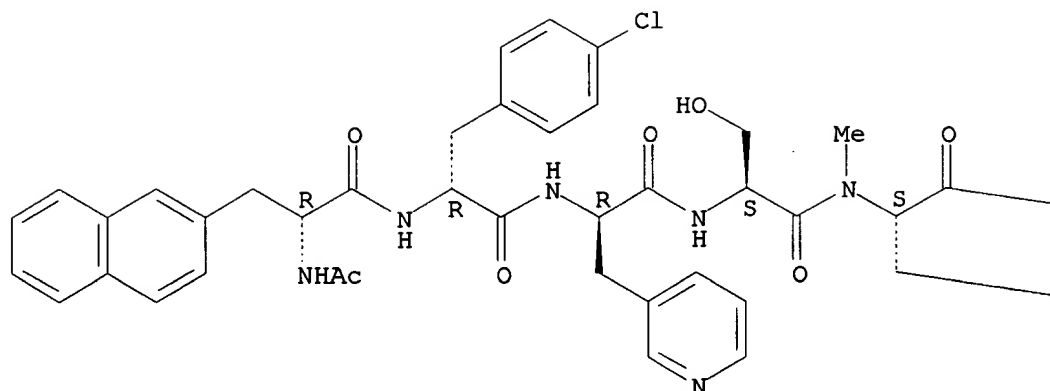
Absolute stereochemistry.



RN 163334-47-2 CAPLUS

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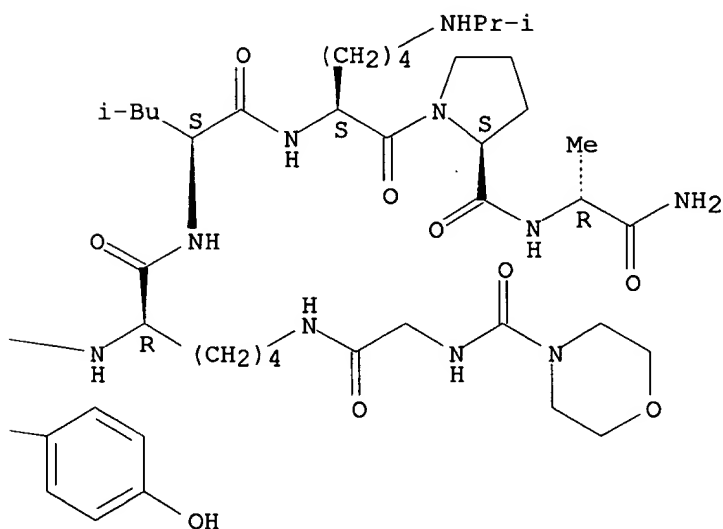
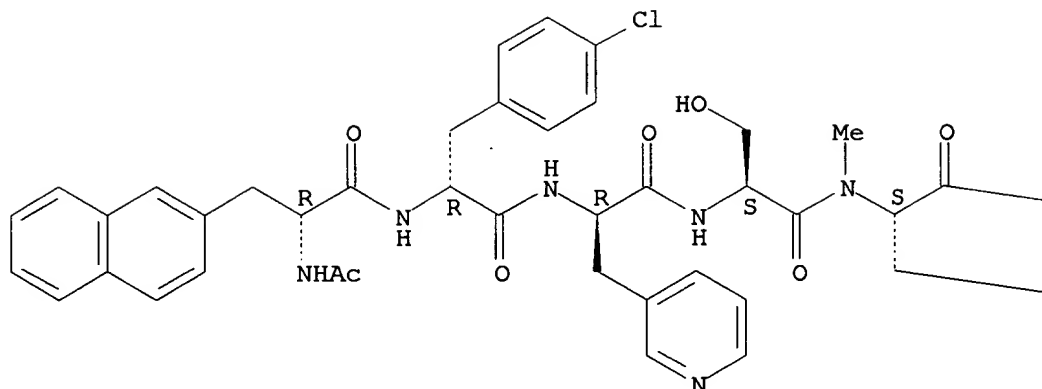
Absolute stereochemistry.



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Absolute stereochemistry.

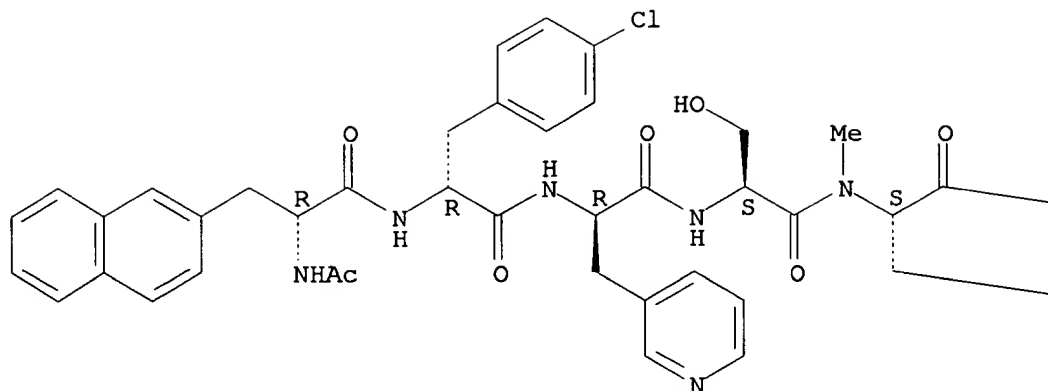


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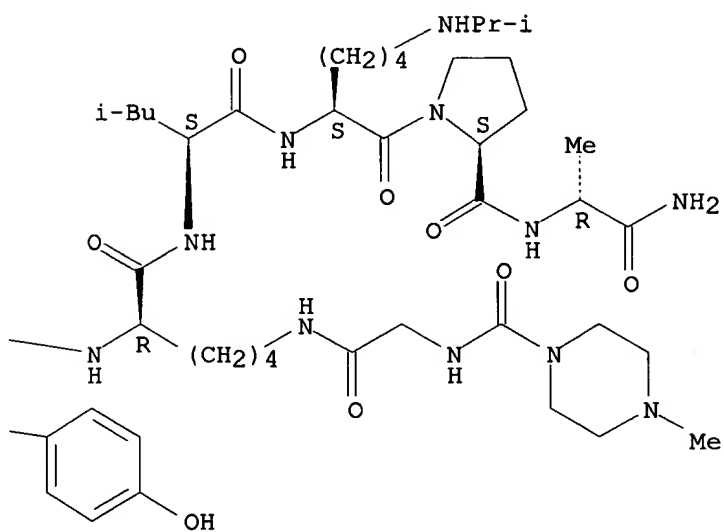
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Absolute stereochemistry.

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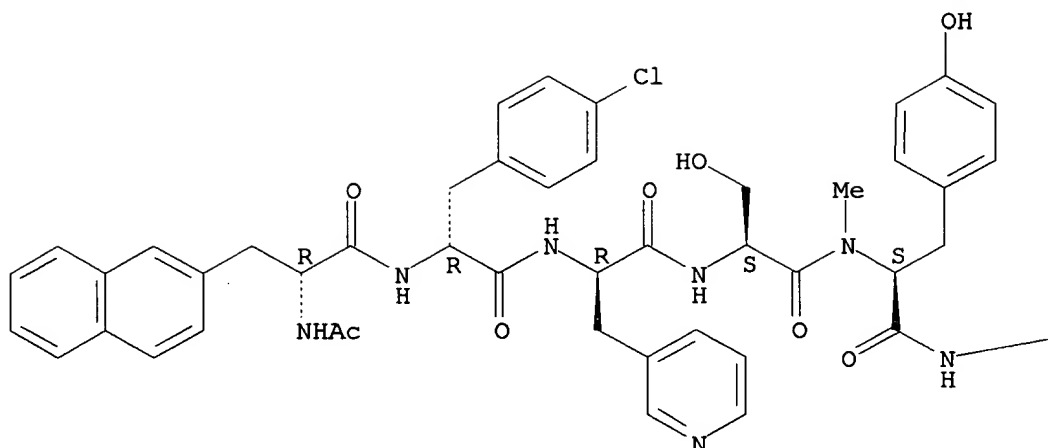


RN 163334-63-2 CAPLUS

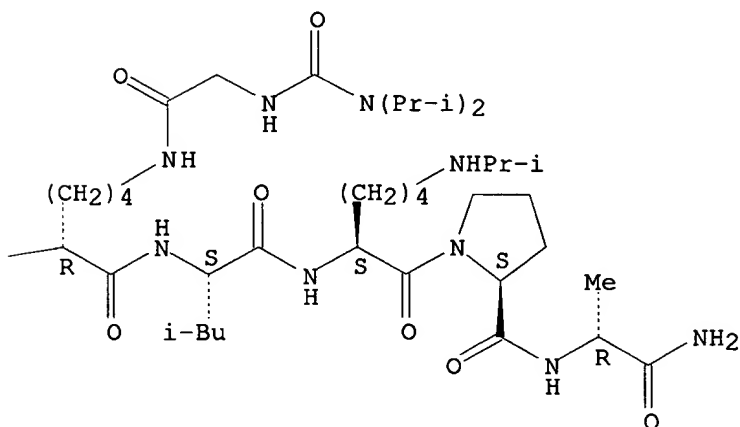
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[[bis(1-methylethyl)amino]carbonyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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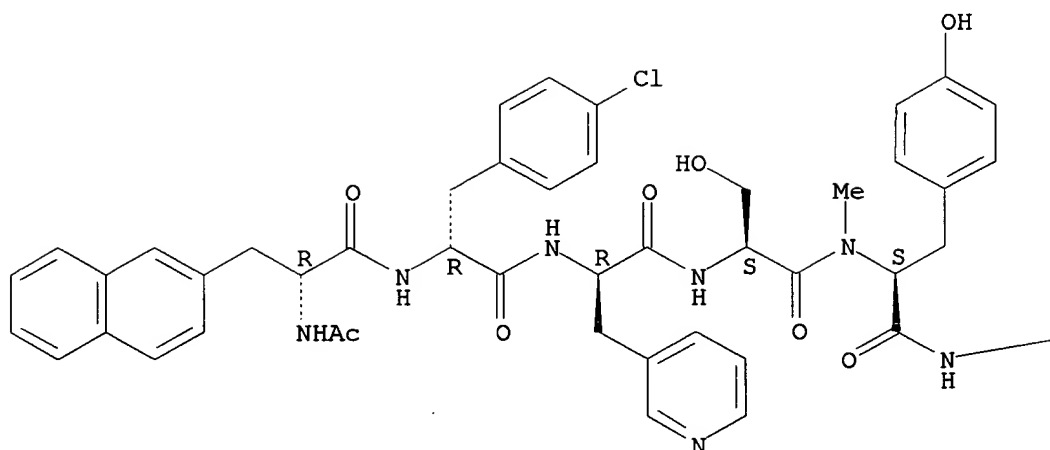


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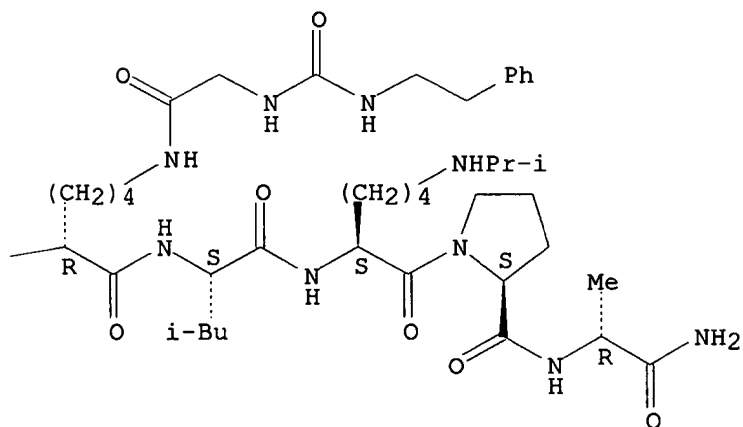
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Absolute stereochemistry.

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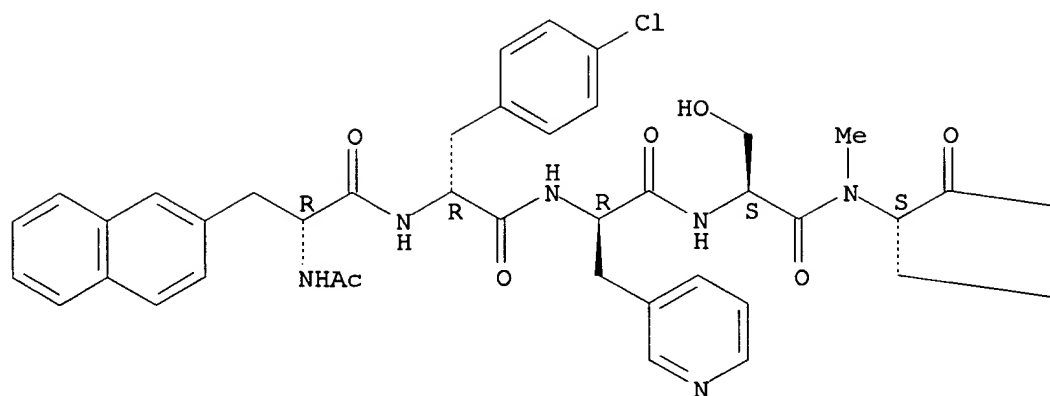


RN 163334-65-4 CAPLUS

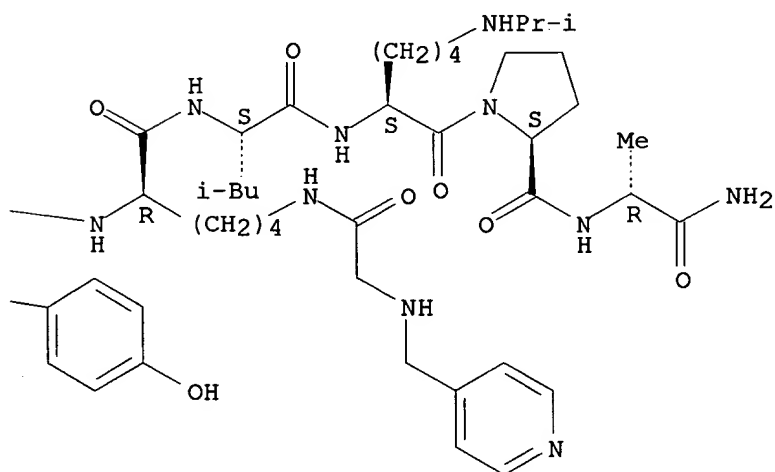
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Absolute stereochemistry.

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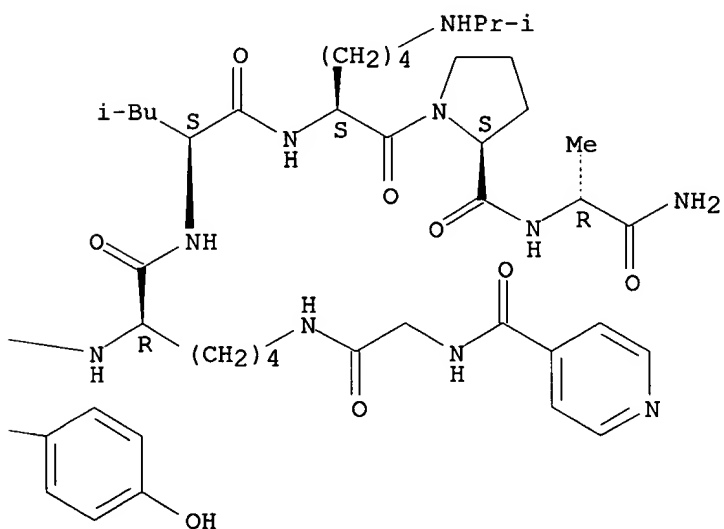
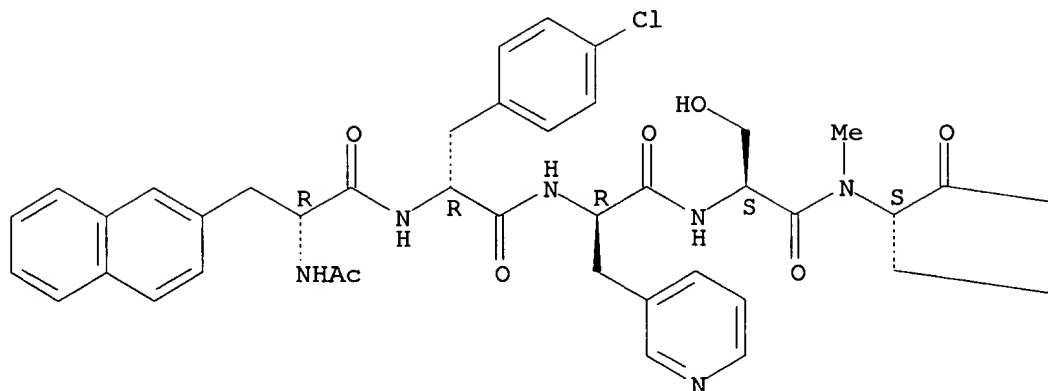
PAGE 1-B



RN 163334-66-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-pyridinylcarbonyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

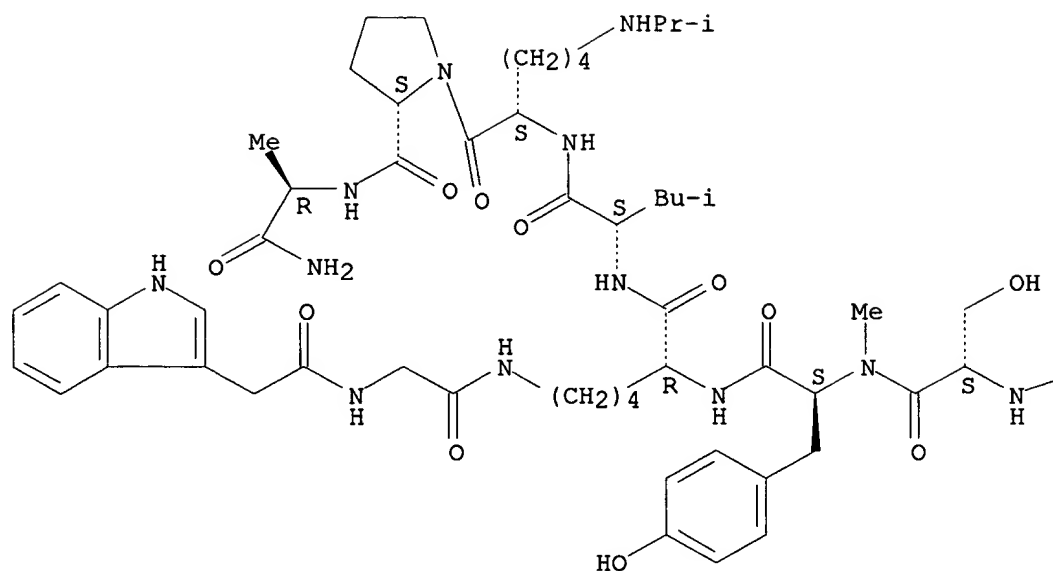


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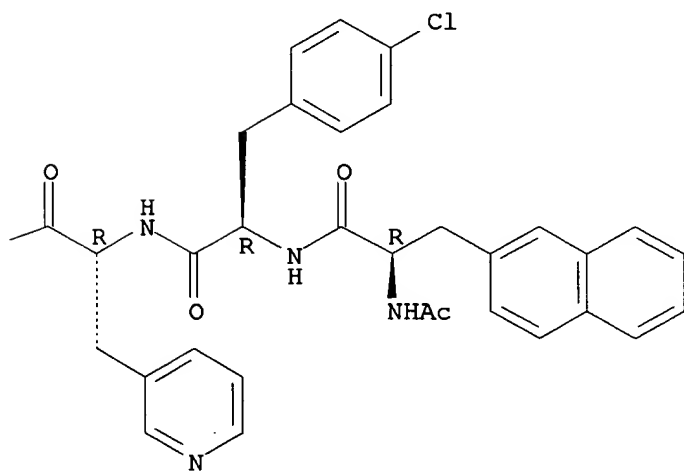
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Absolute stereochemistry.

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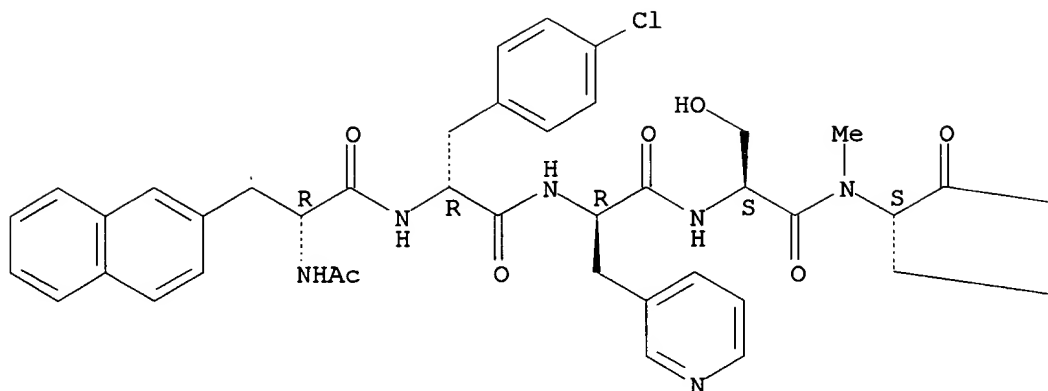


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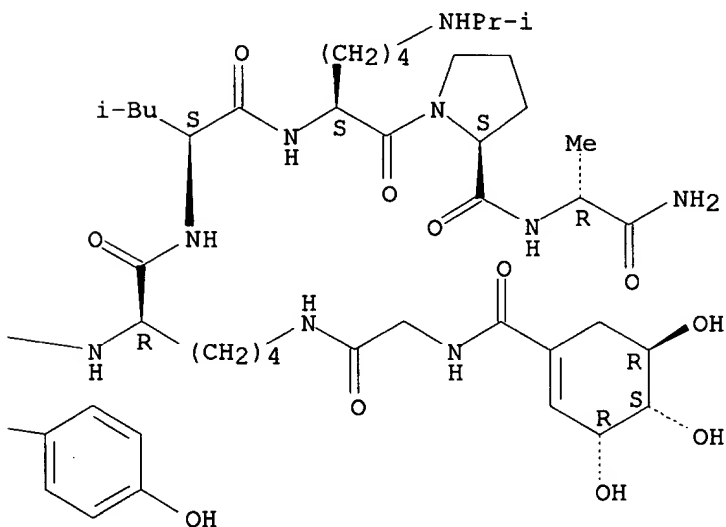
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(CA INDEX NAME)

Absolute stereochemistry.

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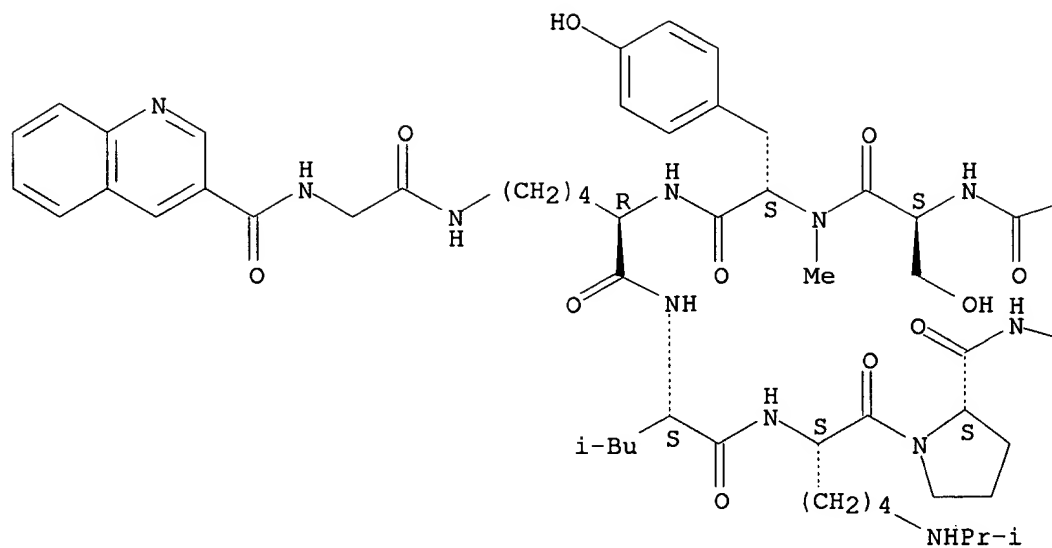
PAGE 1-B



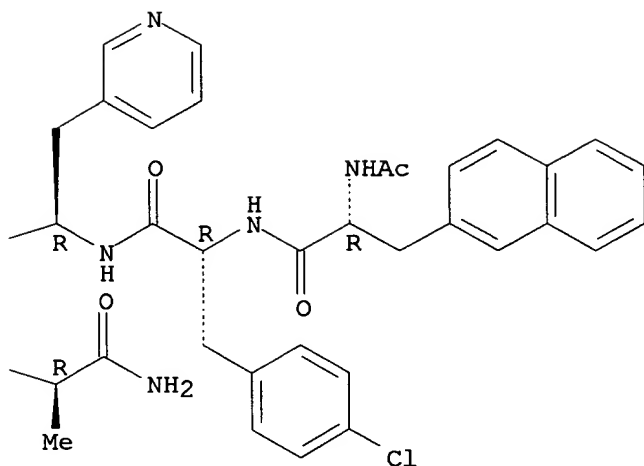
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Absolute stereochemistry.



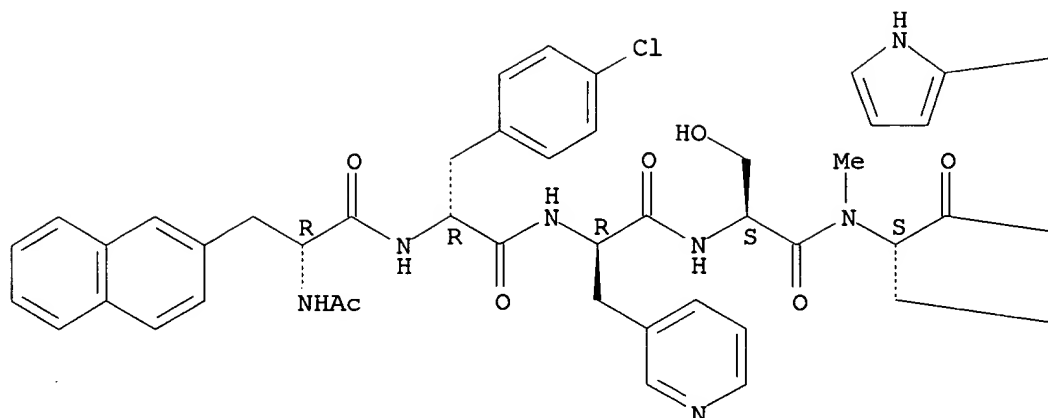
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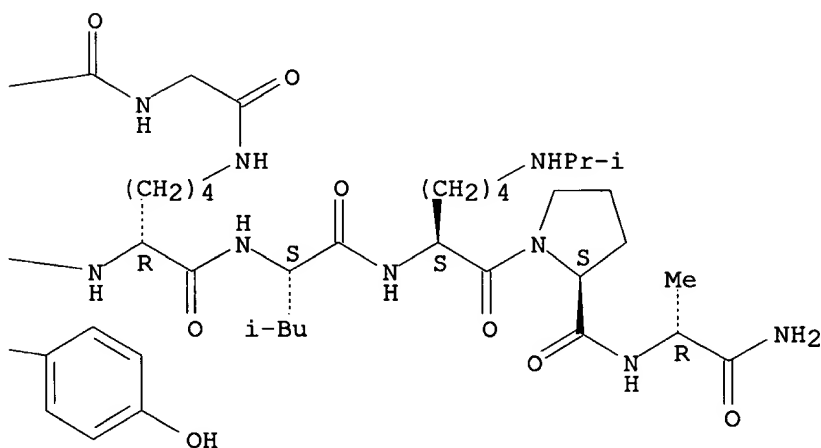
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Absolute stereochemistry.

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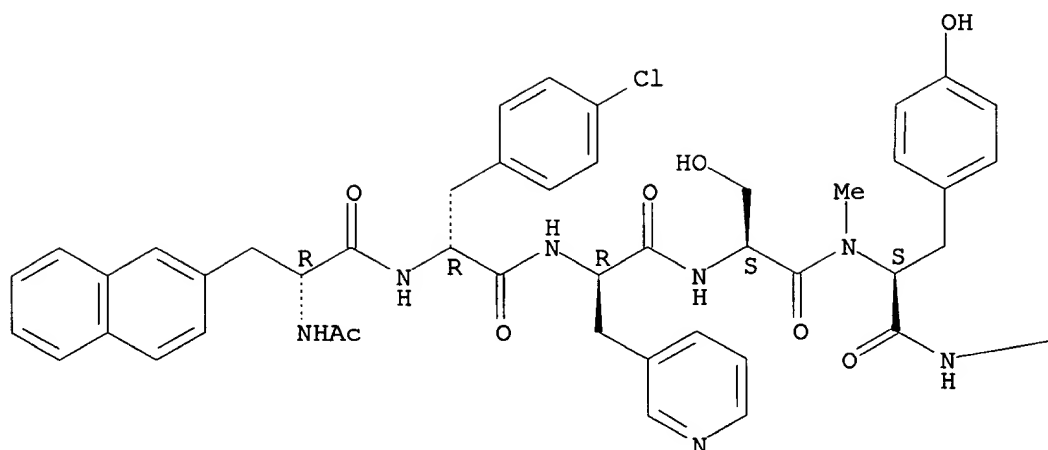


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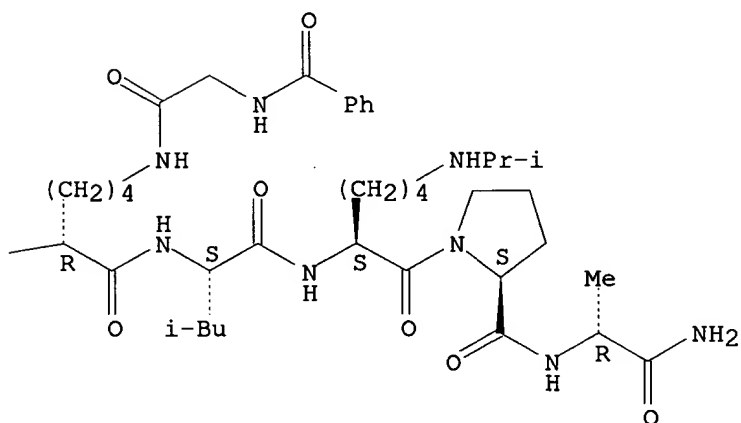
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(CA INDEX NAME)

Absolute stereochemistry.

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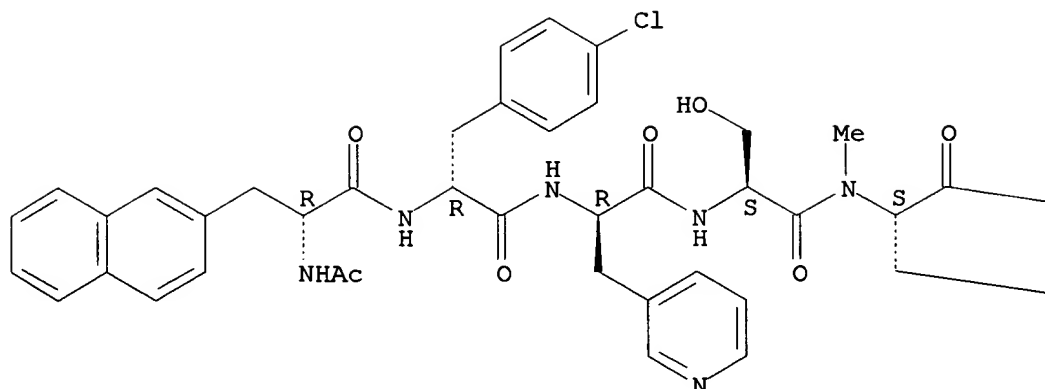


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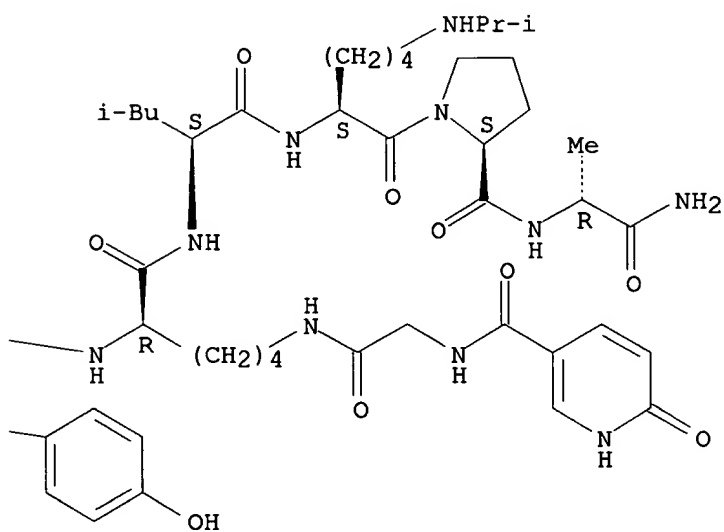
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Absolute stereochemistry.

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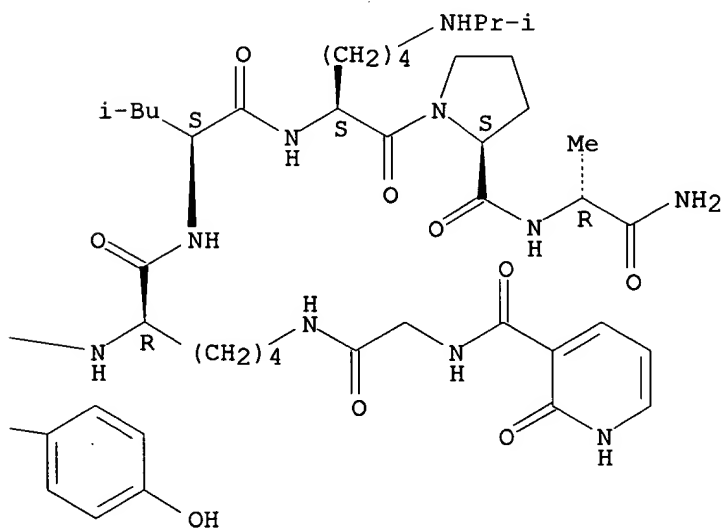
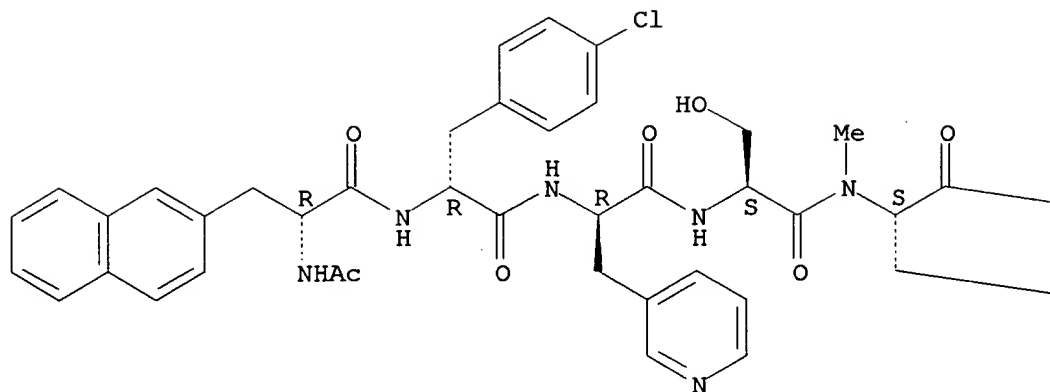
PAGE 1-B



RN 163334-73-4 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(1,2-dihydro-2-oxo-3-pyridinyl)carbonyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

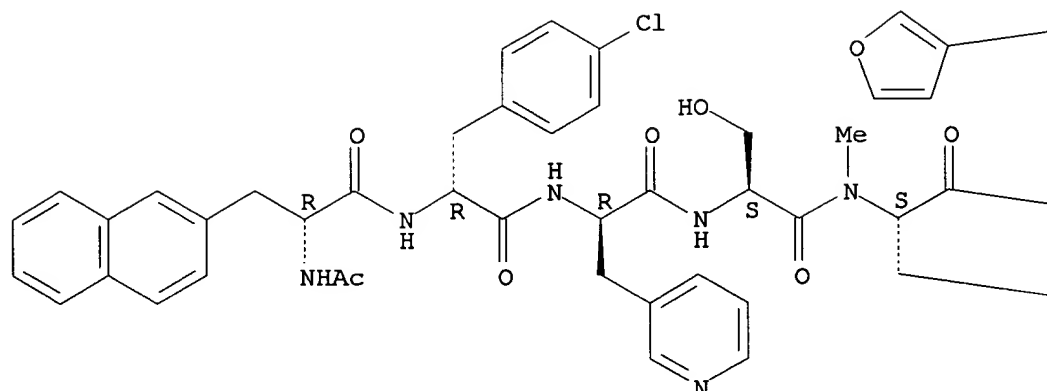


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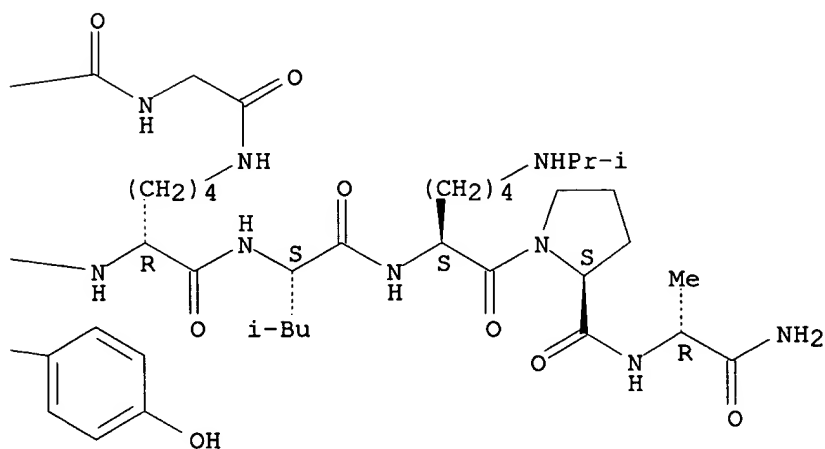
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Absolute stereochemistry.

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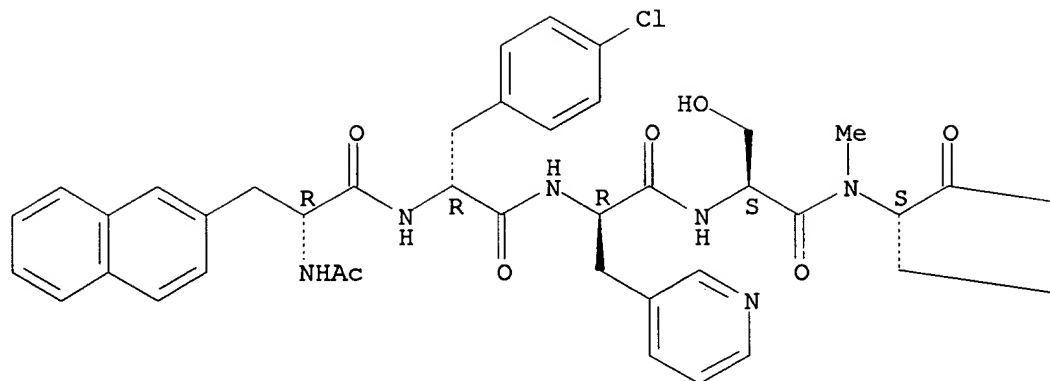


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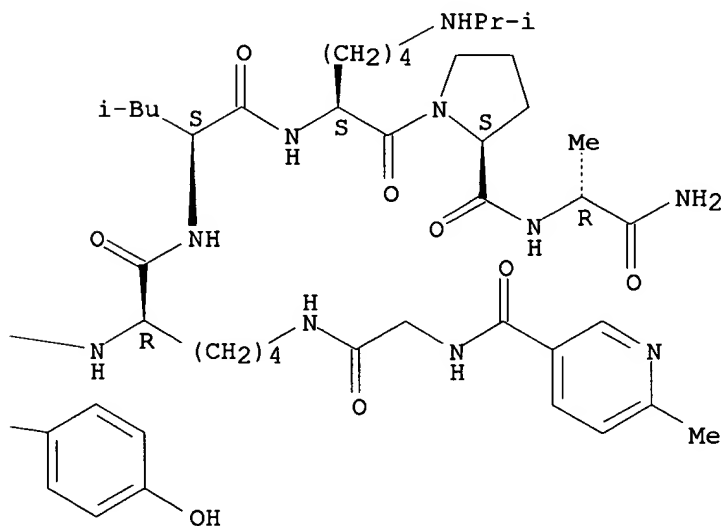
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Absolute stereochemistry.

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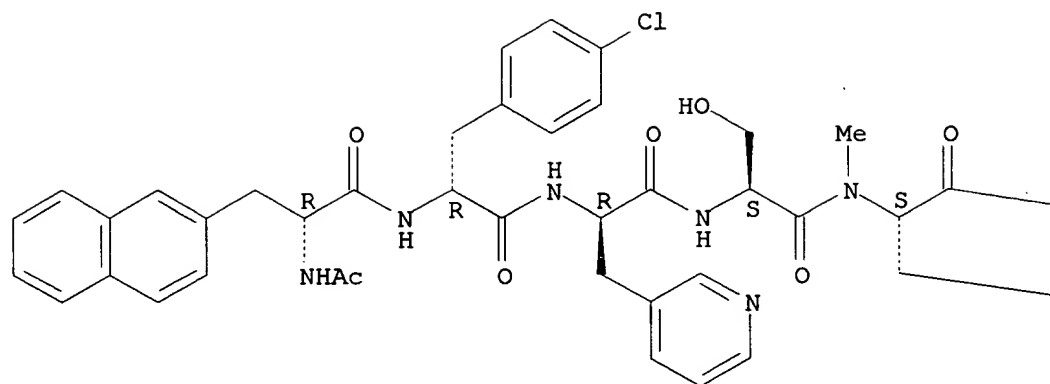


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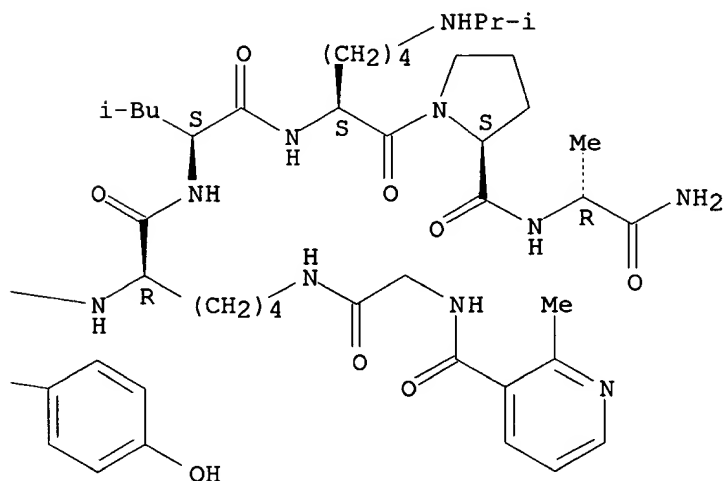
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Absolute stereochemistry.

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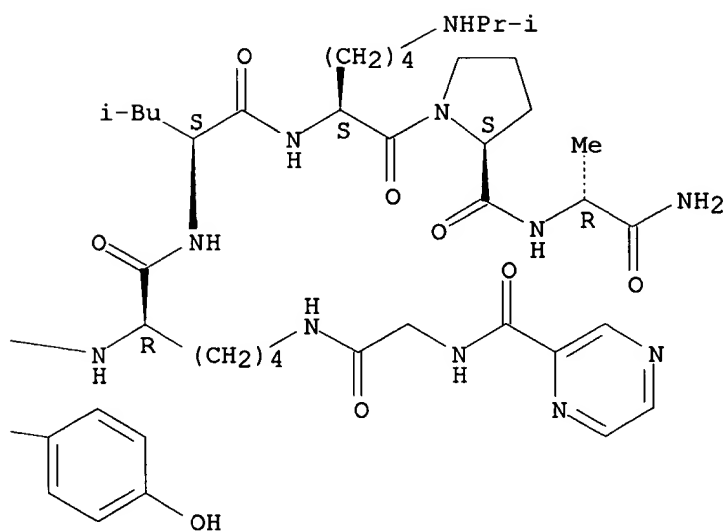
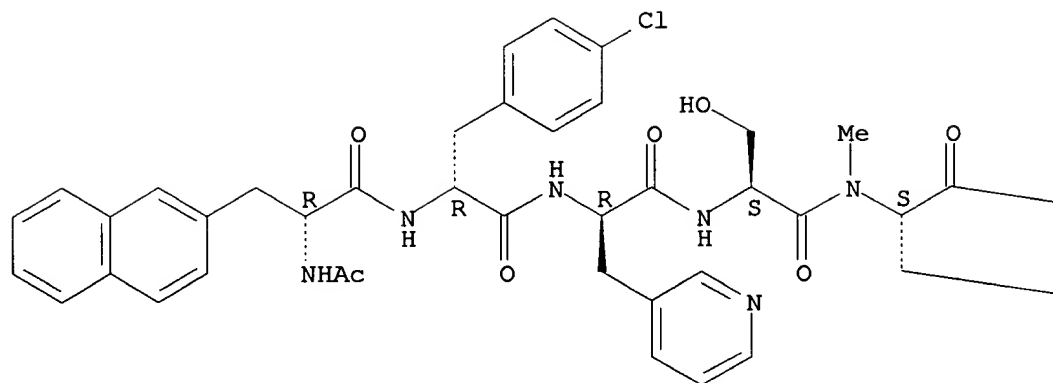
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RN 163334-77-8 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(pyrazinylcarbonyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

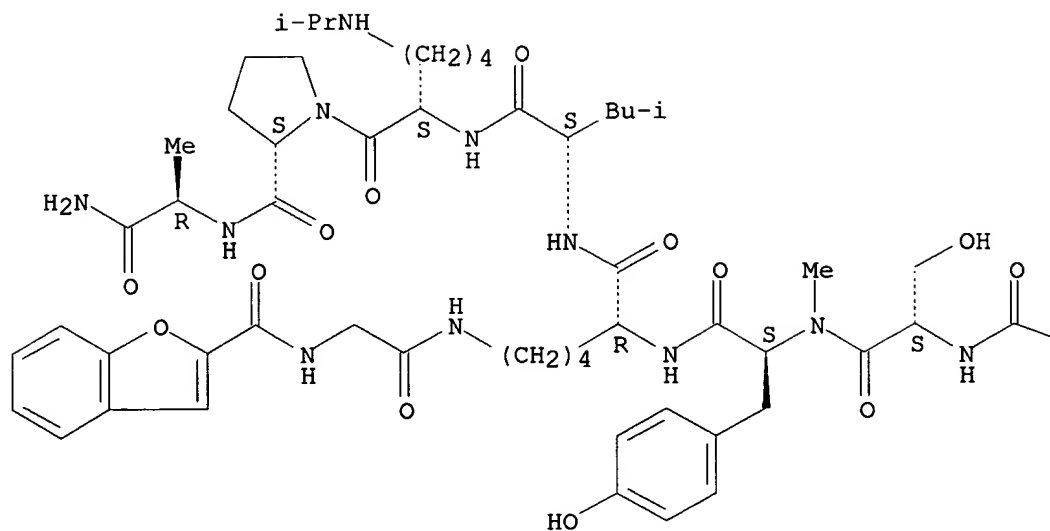


RN 163334-78-9 CAPLUS

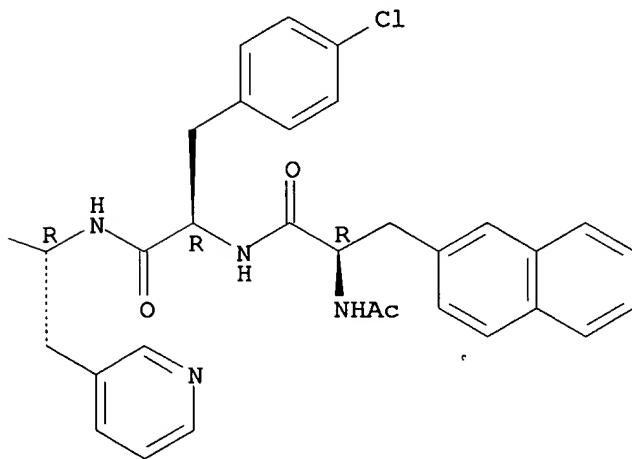
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Absolute stereochemistry.

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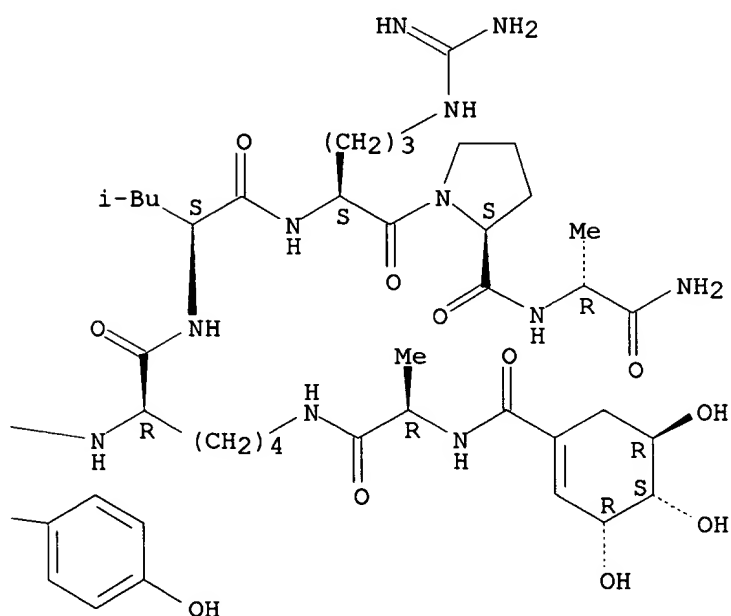
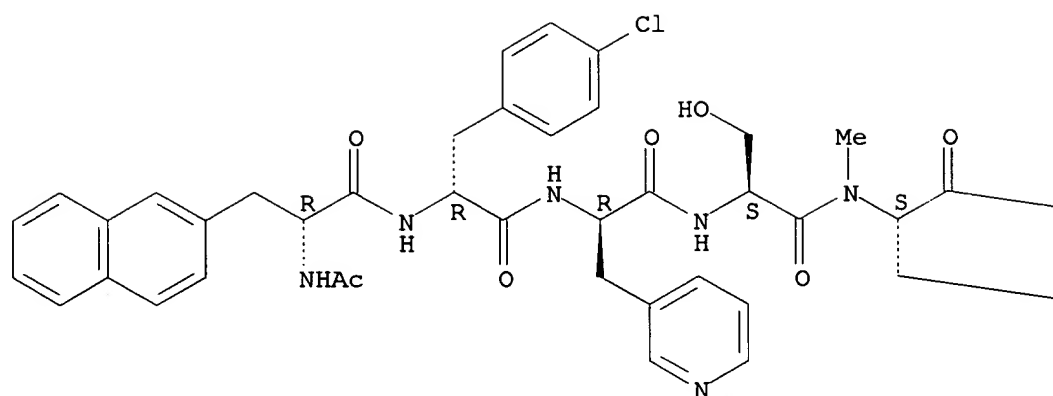
PAGE 1-B



RN 163334-82-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-alanyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX NAME)

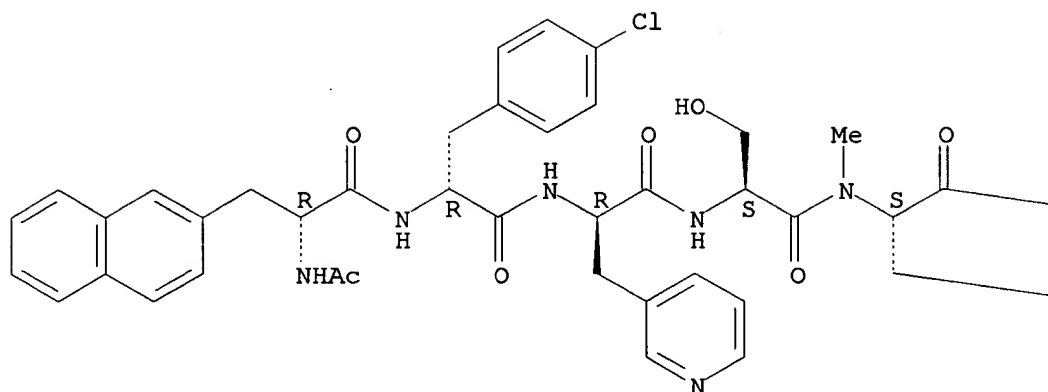
Absolute stereochemistry.



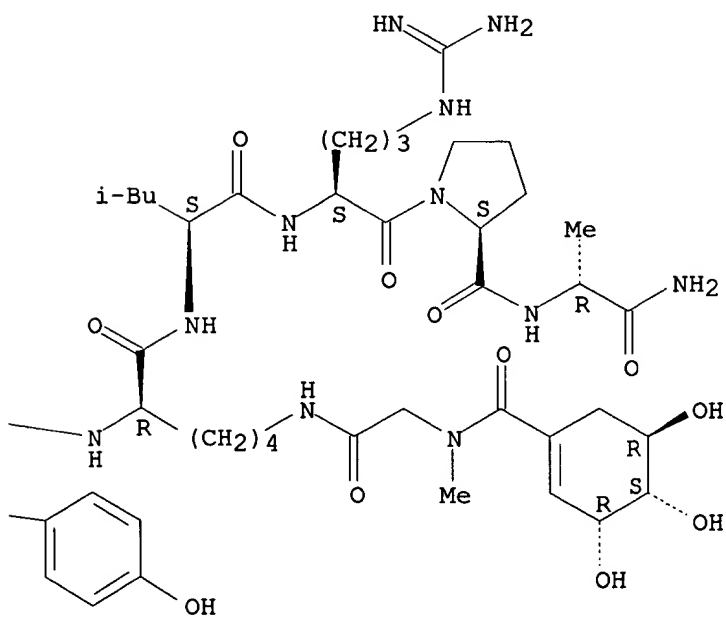
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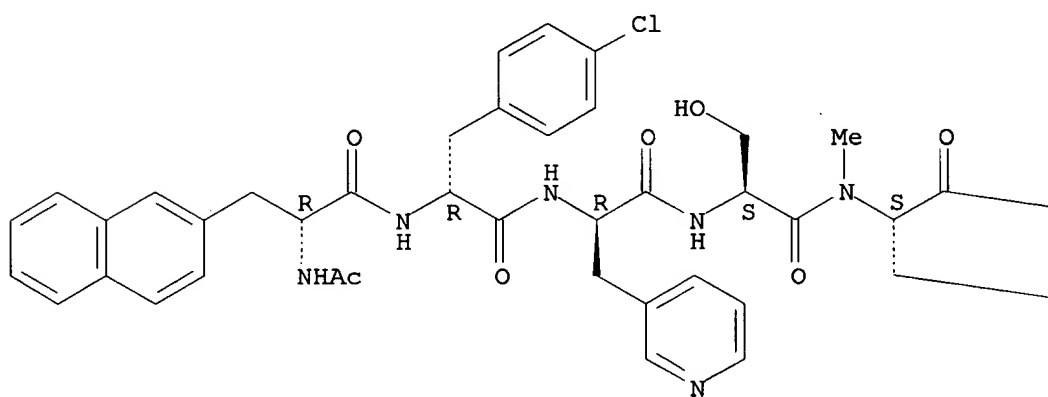


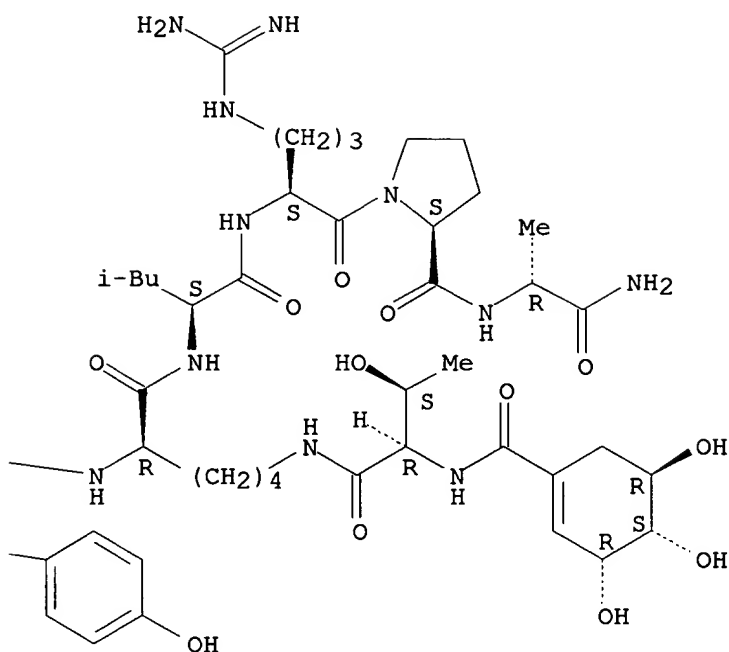
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Absolute stereochemistry.

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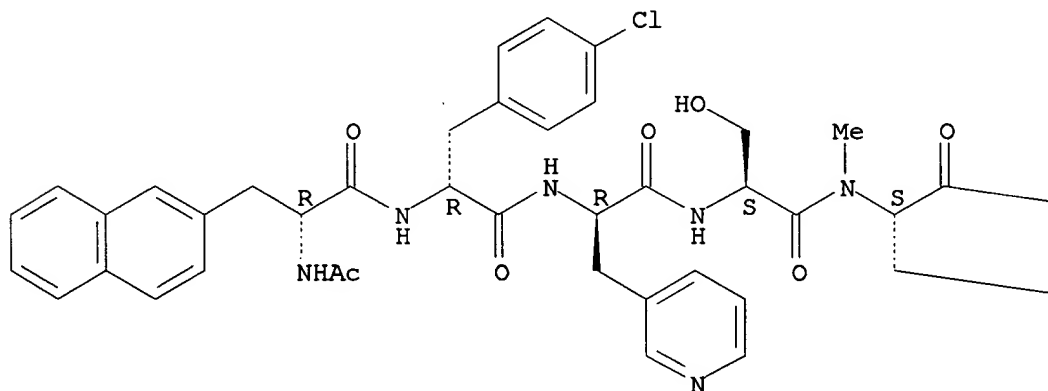




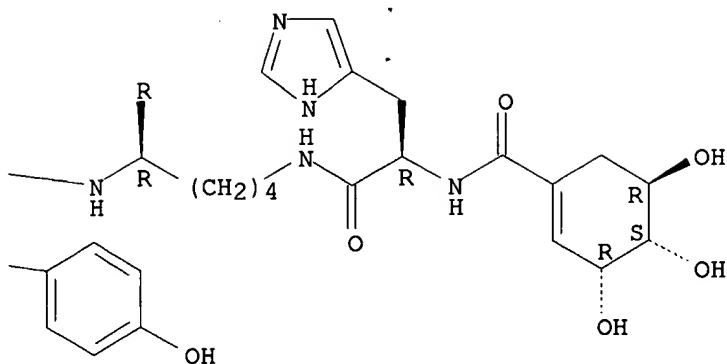
RN 163334-86-9 CAPLUS

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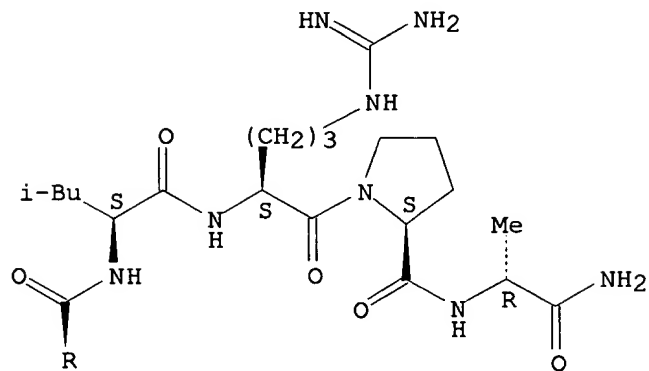
Absolute stereochemistry.



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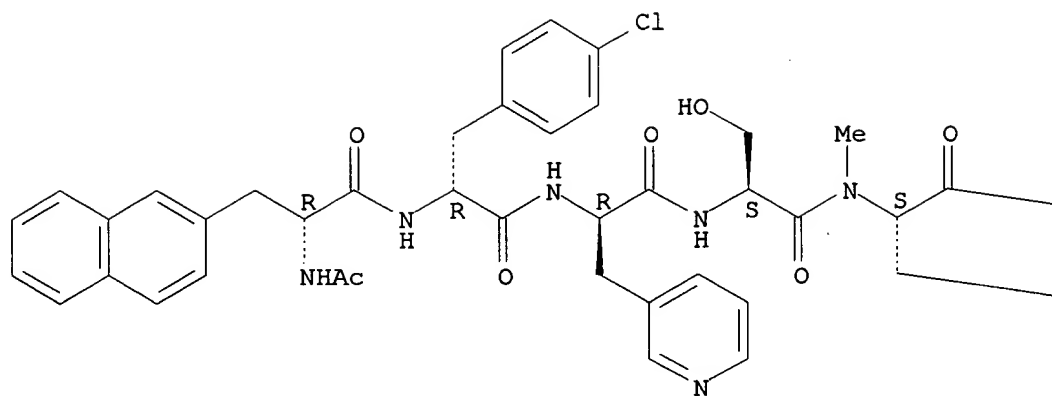
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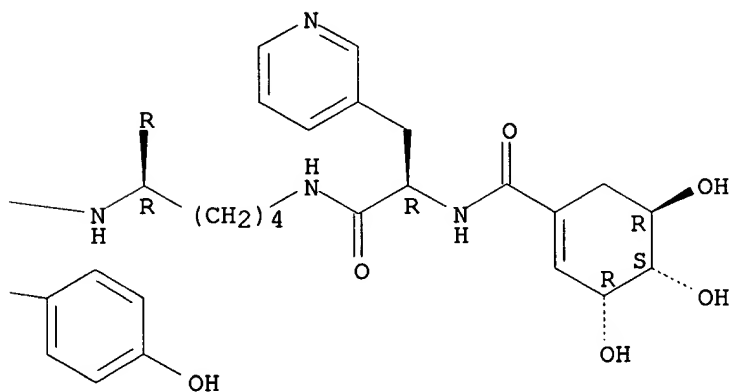
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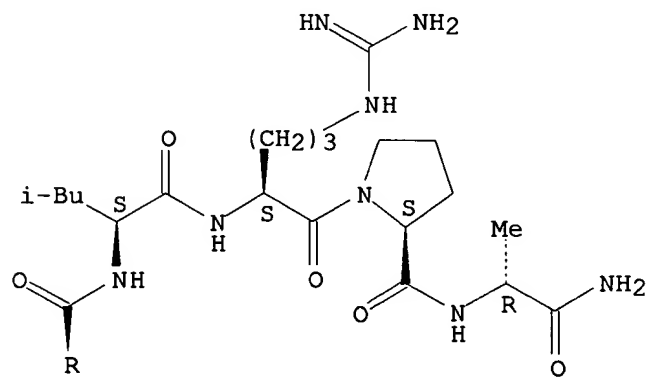
Absolute stereochemistry.



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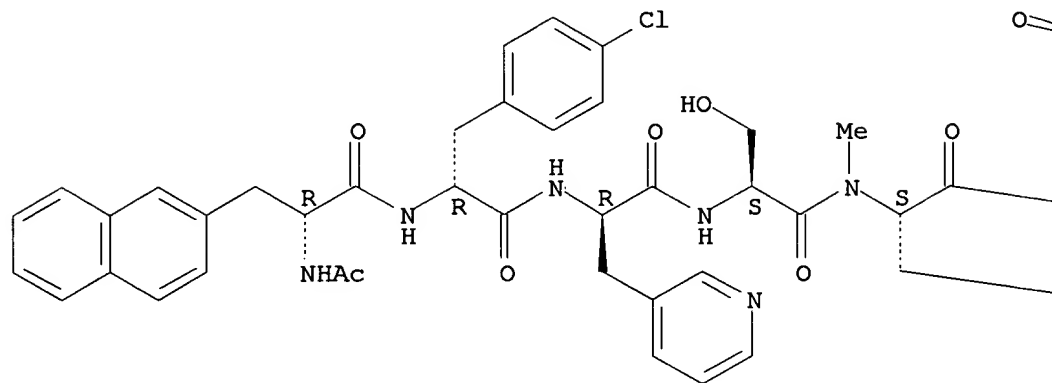


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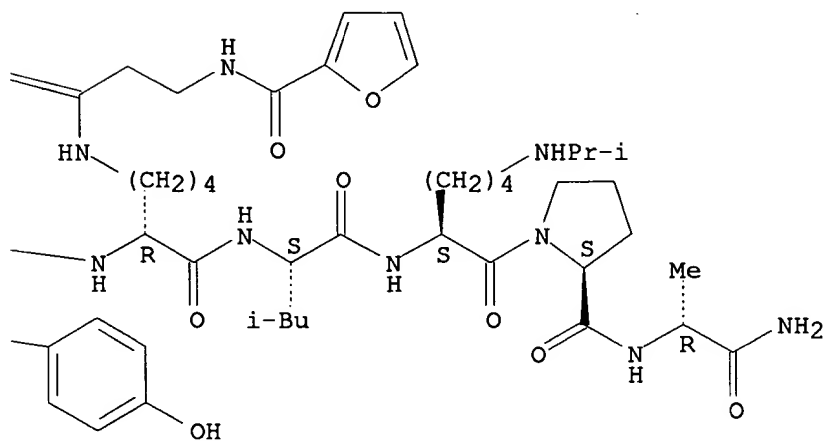
furanylcarbonyl)- β -alanyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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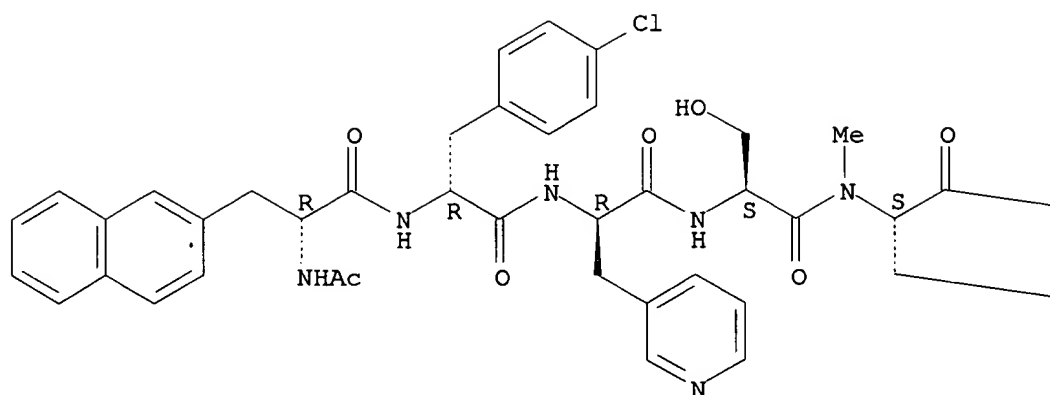


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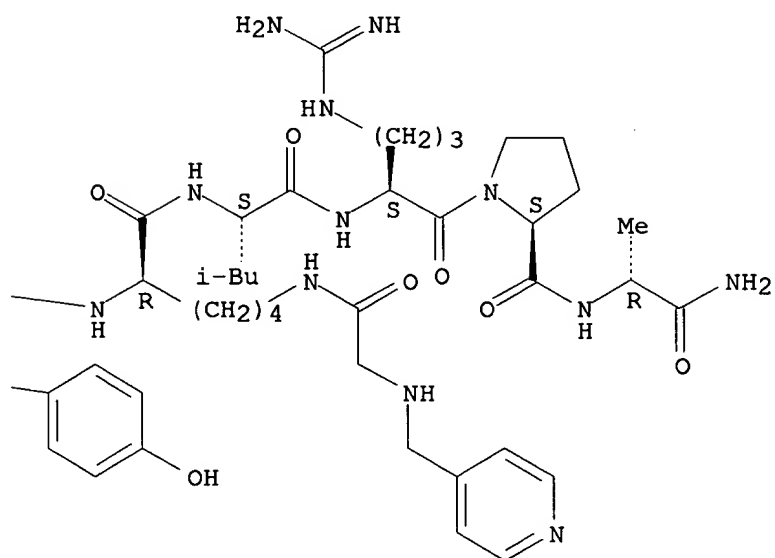
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Absolute stereochemistry.

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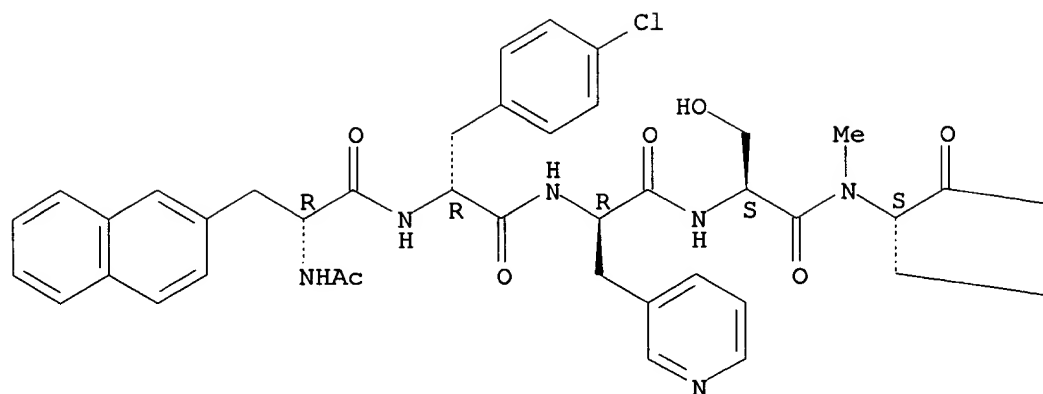


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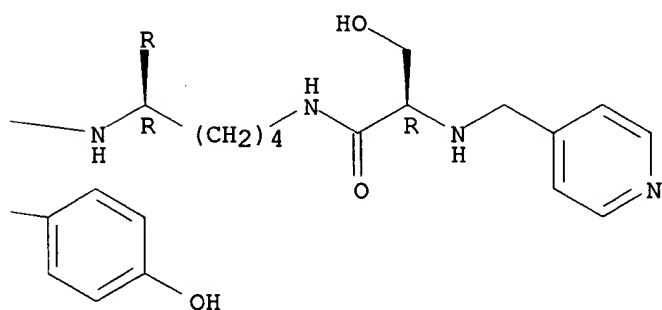
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Absolute stereochemistry.

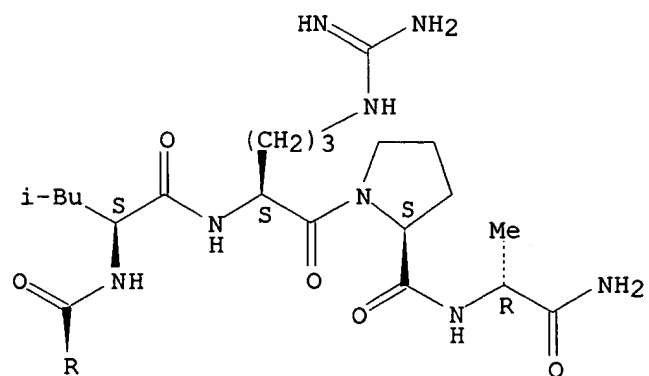
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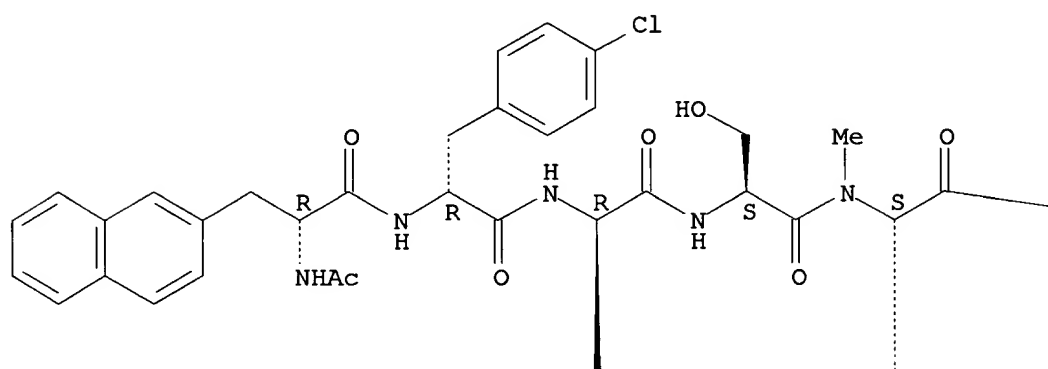
RN 163335-02-2 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-

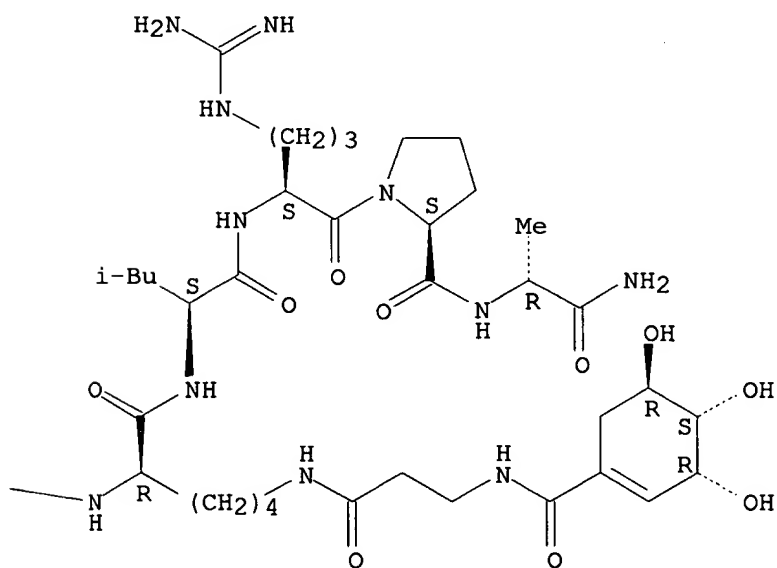
[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]- β -alanyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

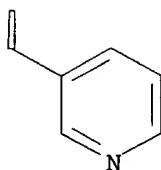
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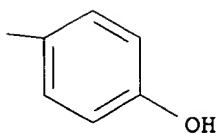
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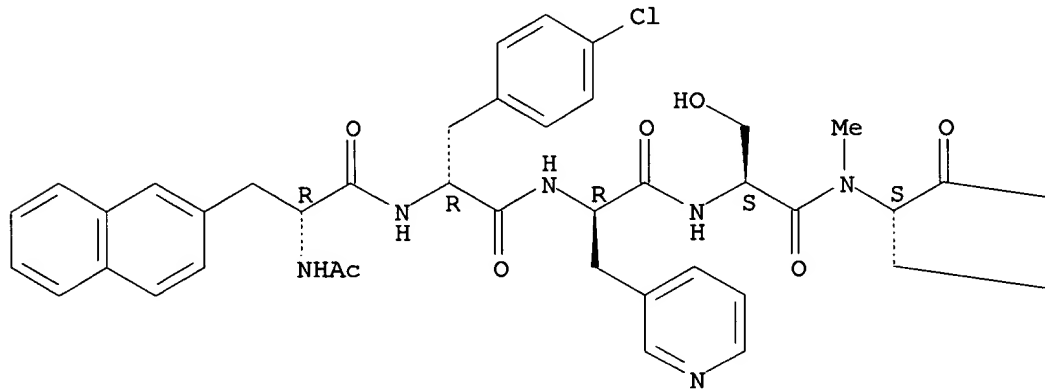


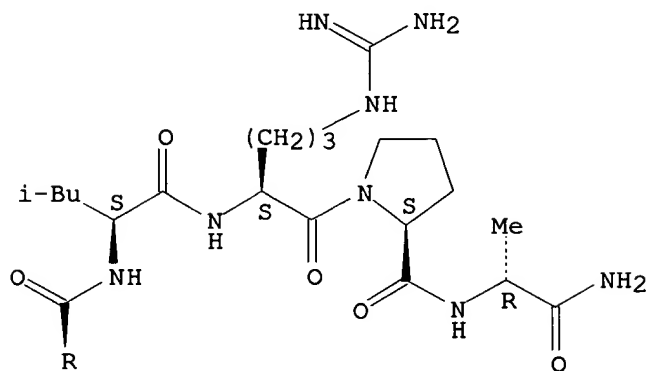
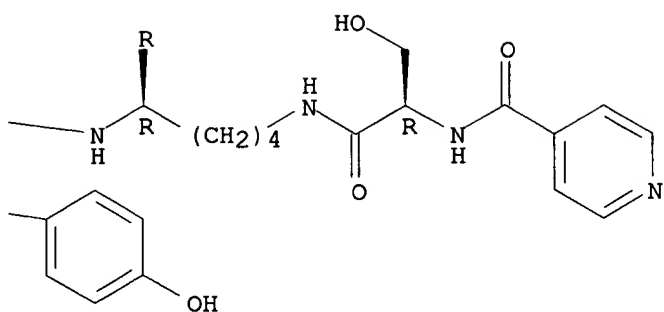
RN 163335-03-3 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-pyridinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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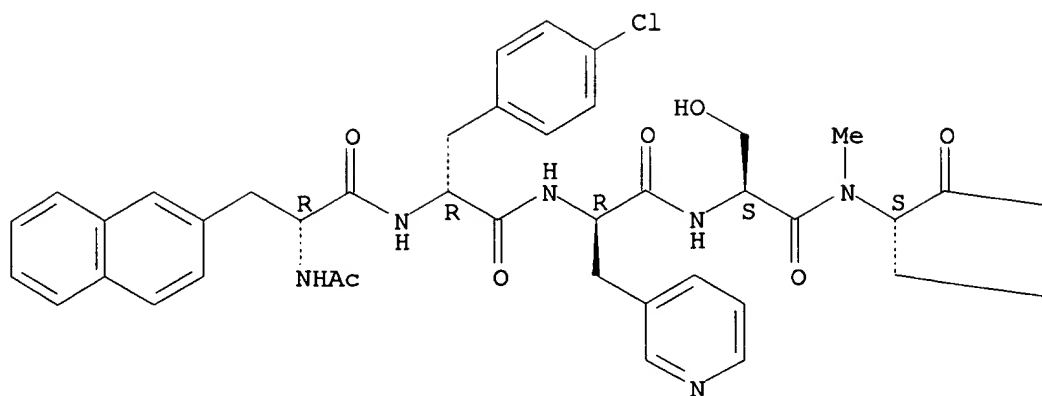


RN 163335-04-4 CAPLUS

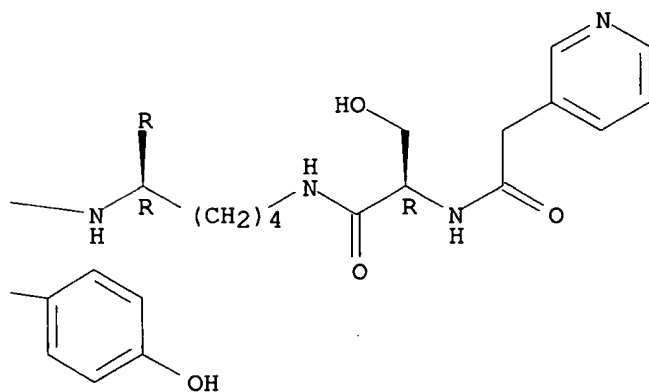
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylacetyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

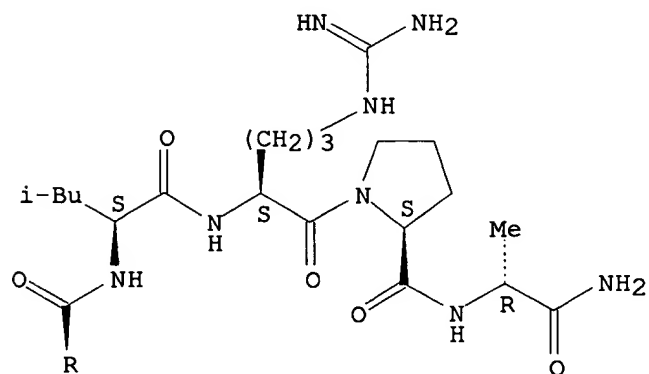
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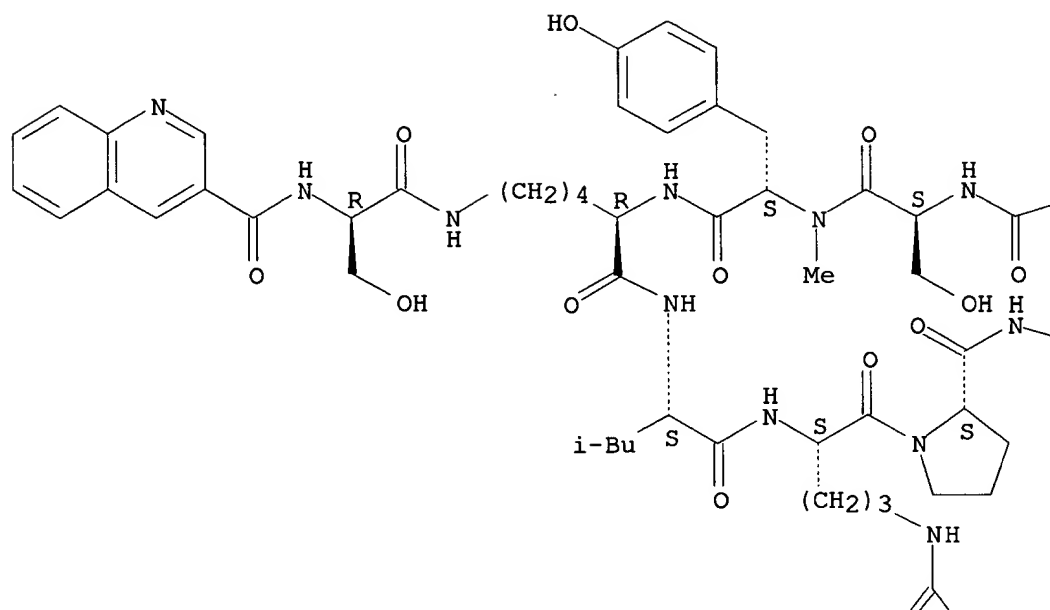
RN 163335-05-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-

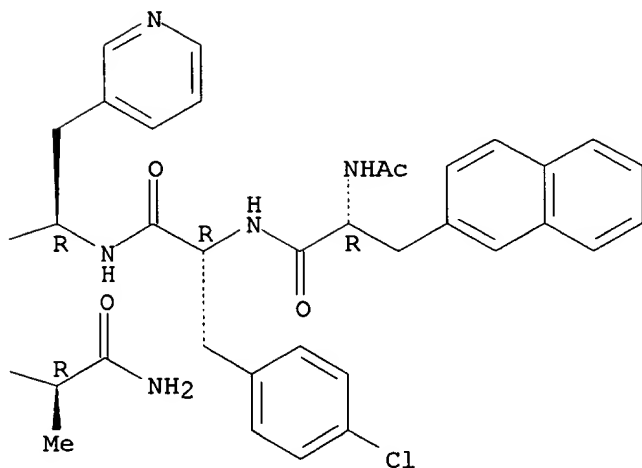
quinolinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI)
(CA INDEX NAME)

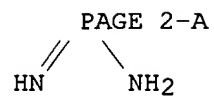
Absolute stereochemistry.

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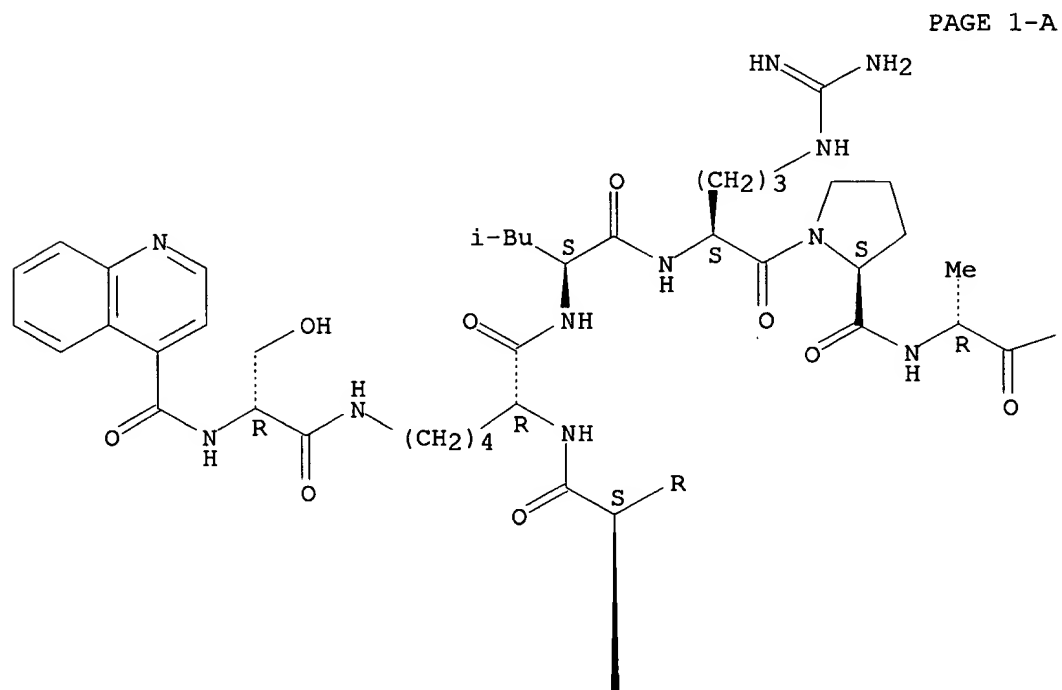




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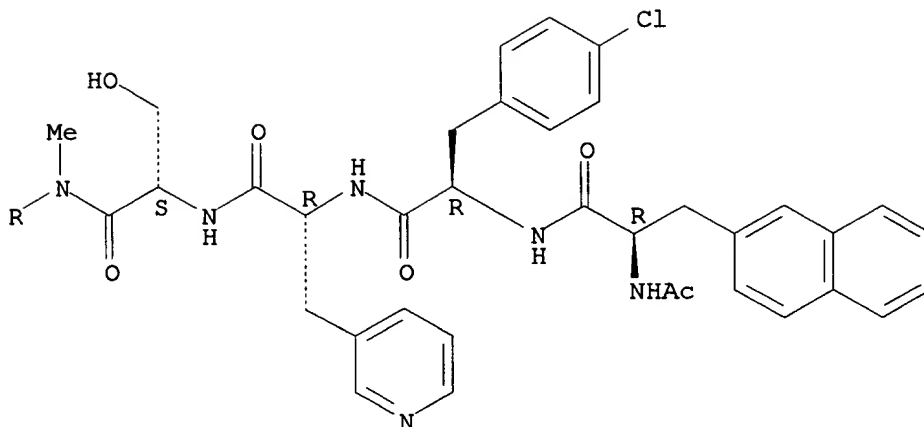
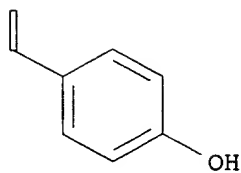
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-quinolinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



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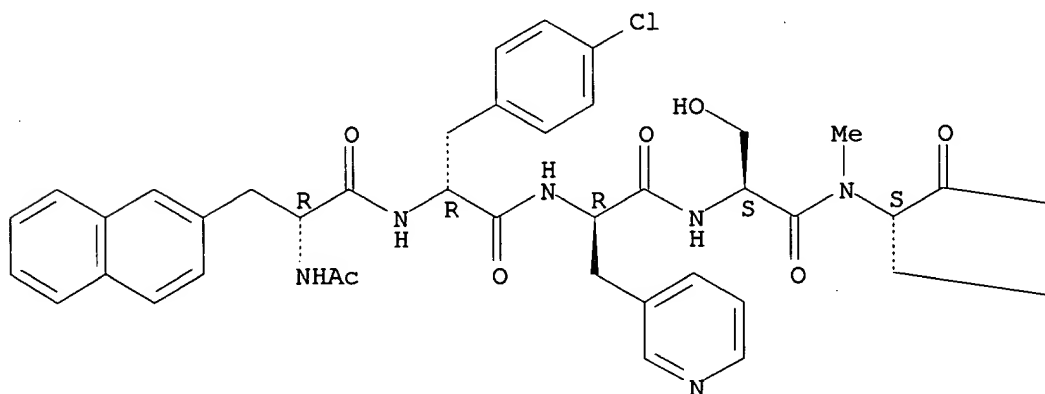


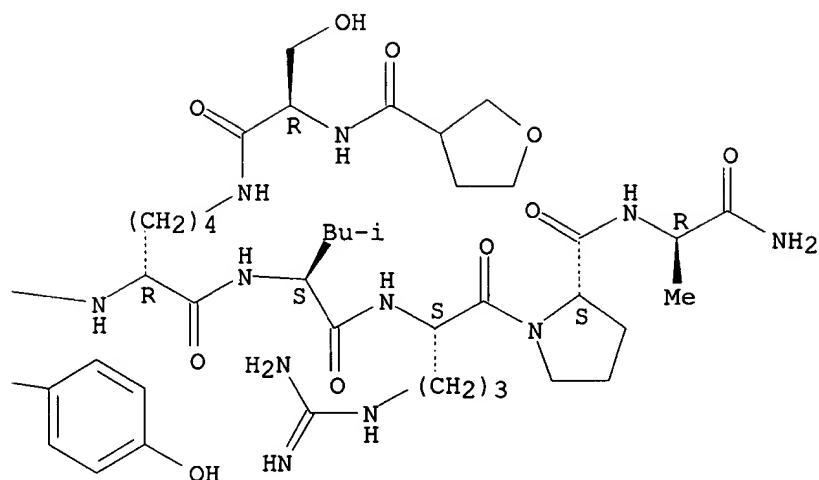


RN 163335-07-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(tetrahydro-3-furanyl)carbonyl]-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

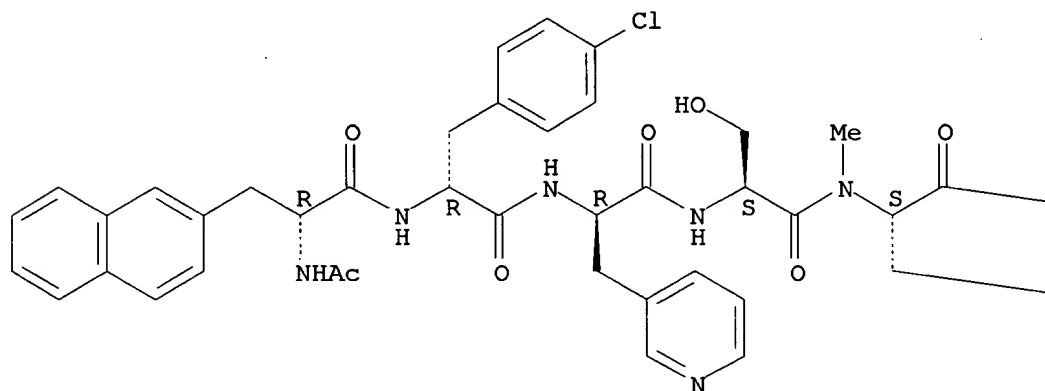


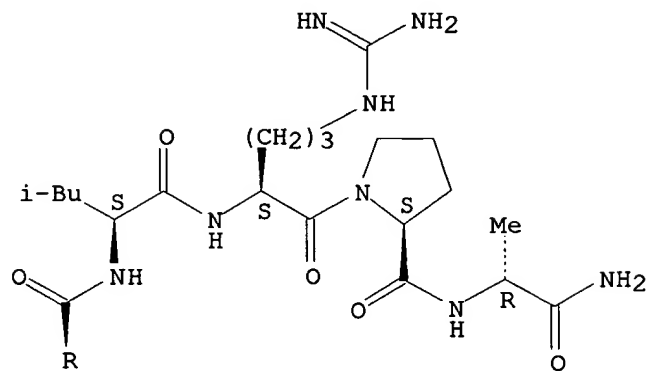
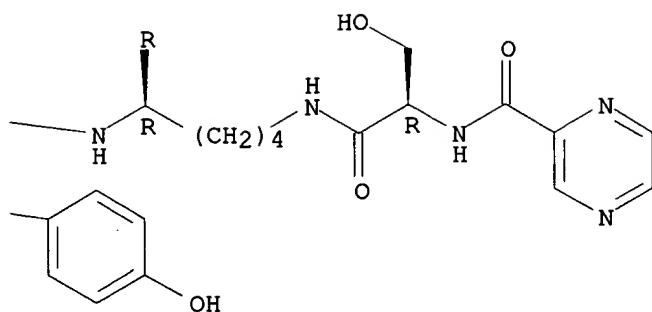


RN 163335-08-8 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(pyrazinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

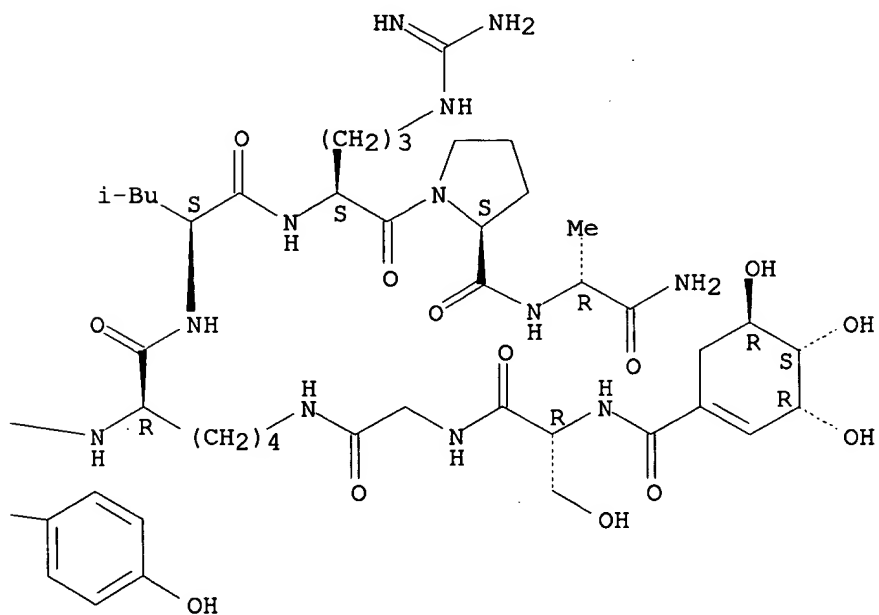
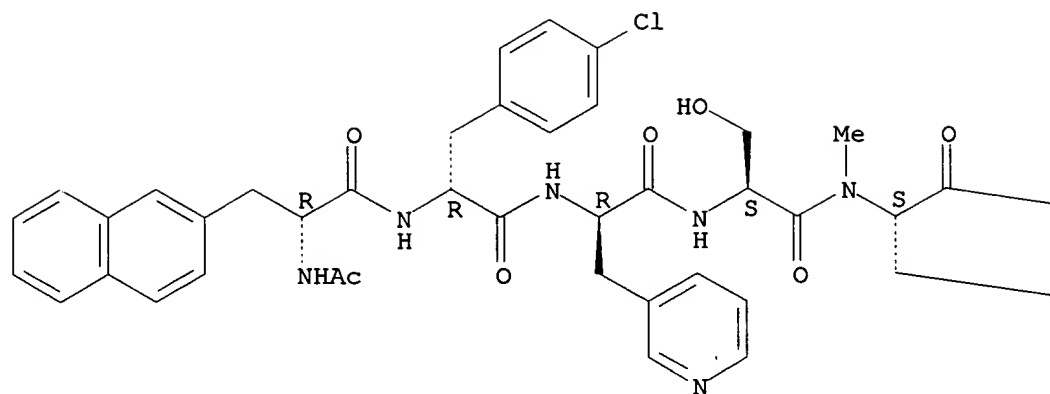




RN 163335-09-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-seryl]glycyl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3α,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163335-10-2 CAPLUS

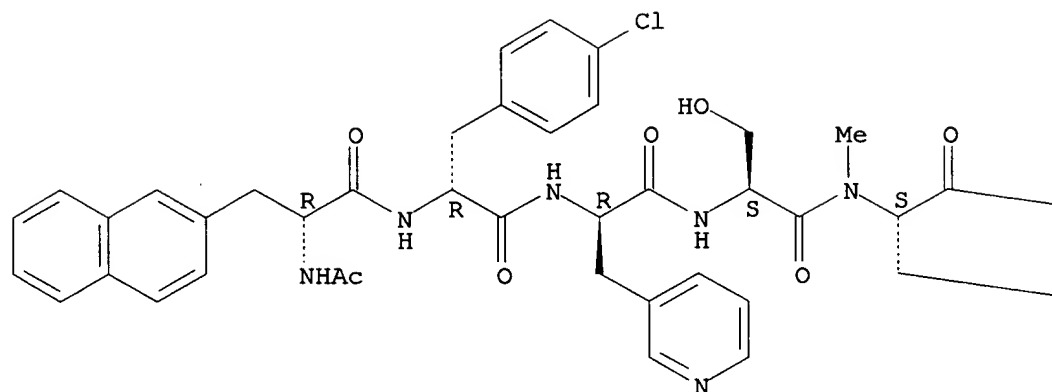
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09/596,086

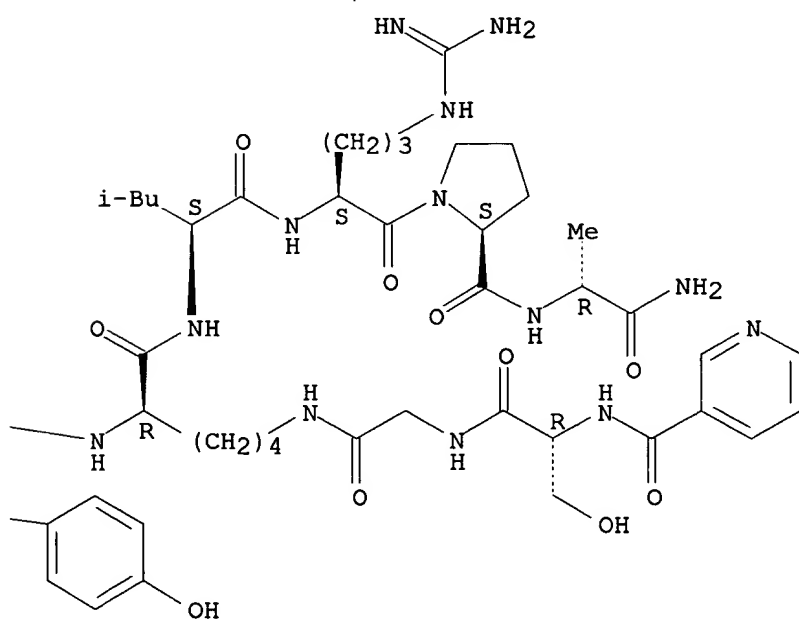
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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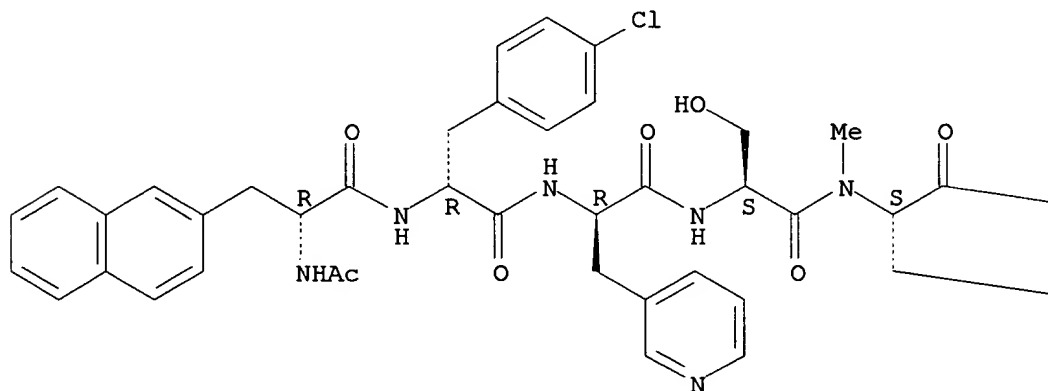


RN 163335-11-3 CAPLUS

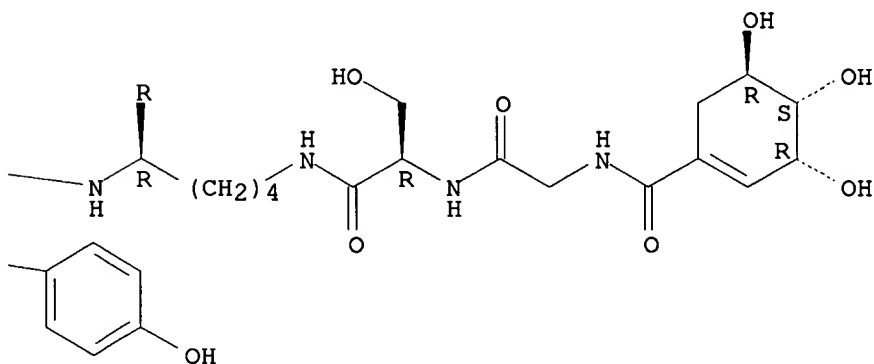
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]glycyl]-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX NAME)

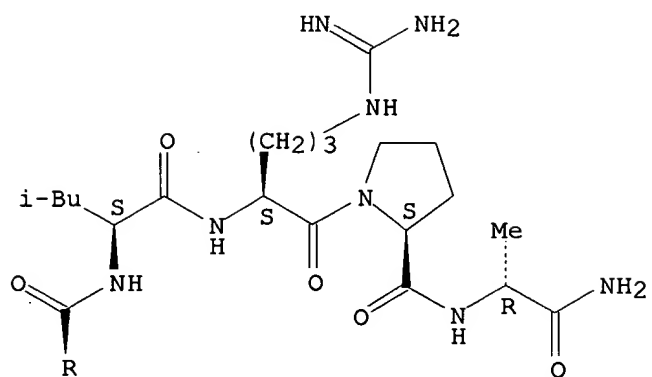
Absolute stereochemistry.

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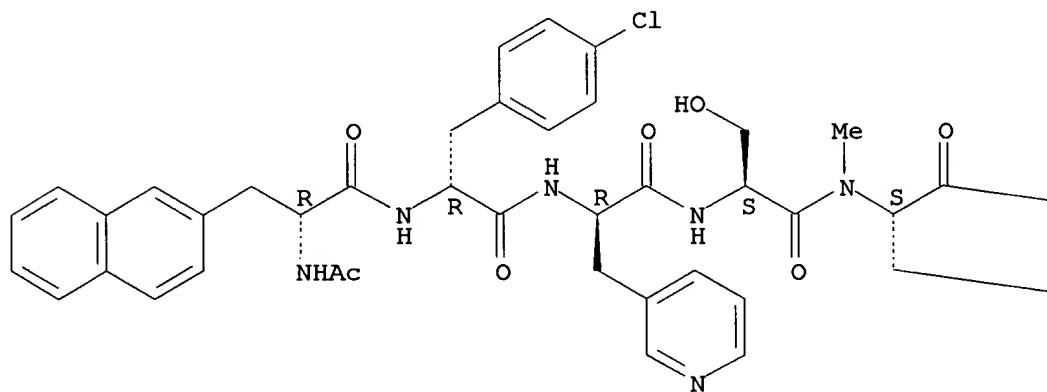




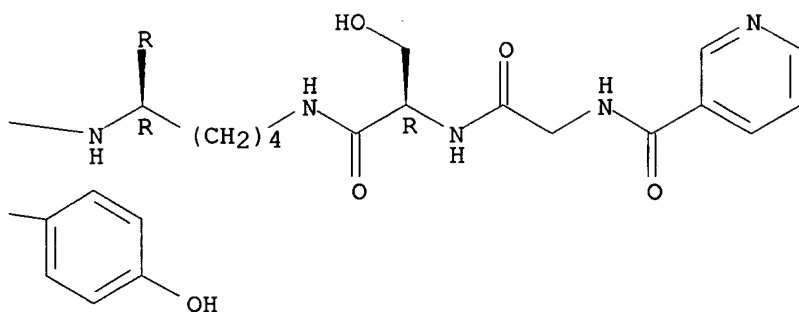
RN 163335-12-4 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)glycyl]-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-(9CI) (CA INDEX NAME)

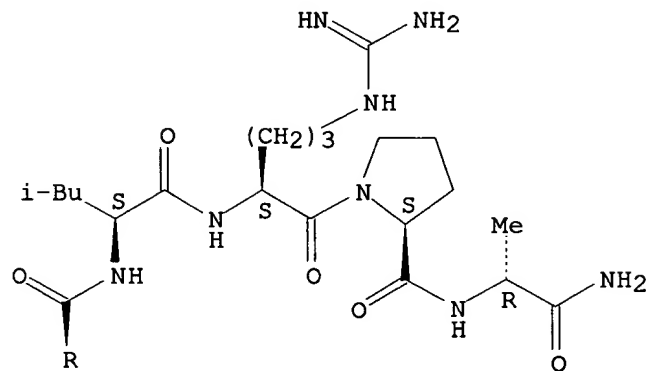
Absolute stereochemistry.



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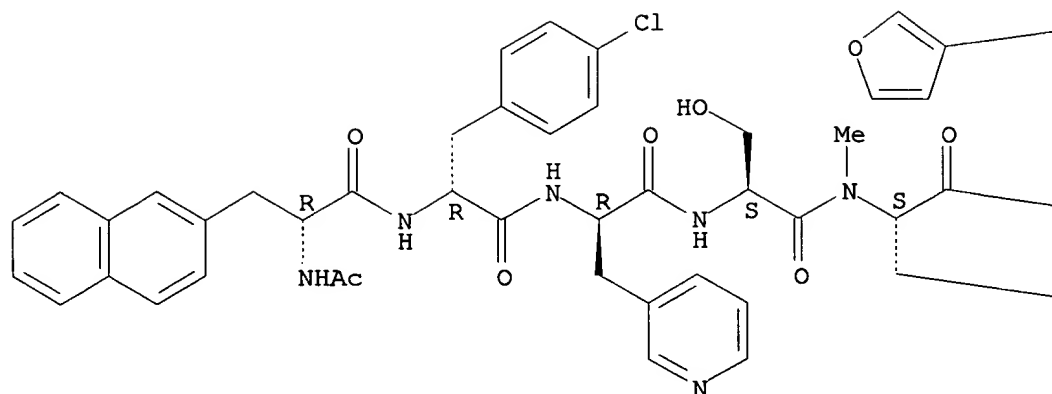


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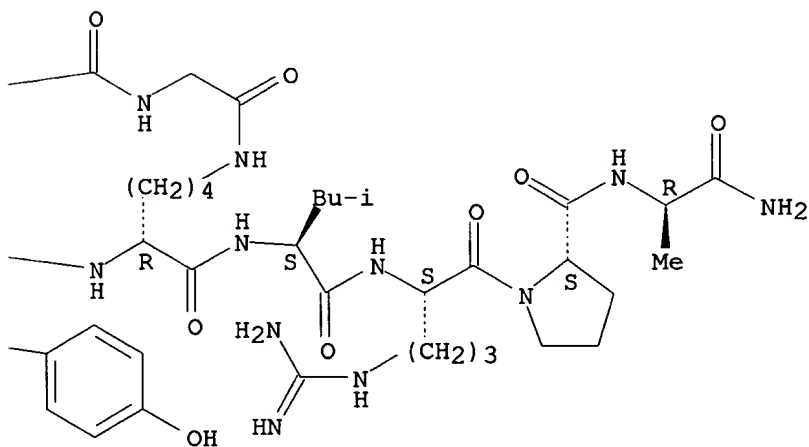
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-furanylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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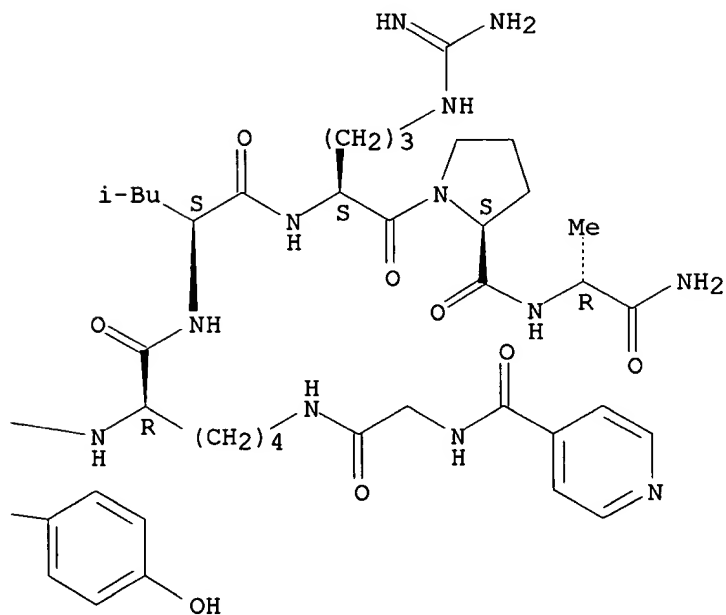
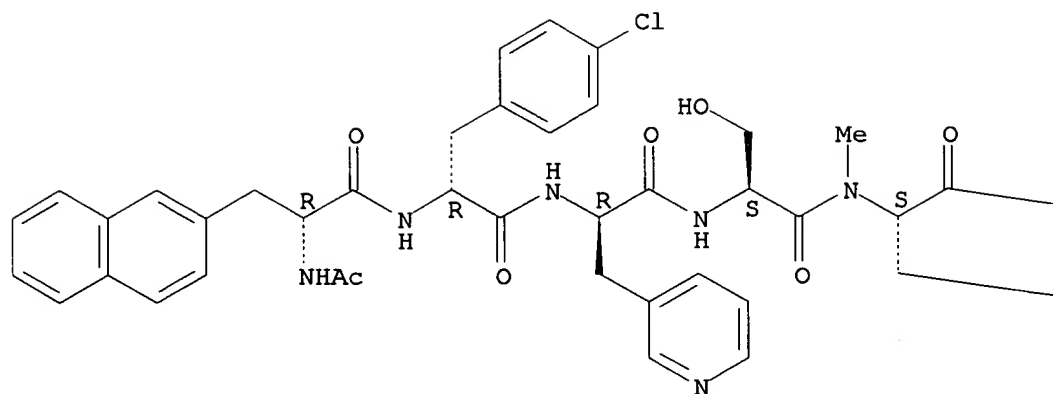
PAGE 1-B



RN 163335-14-6 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-pyridinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



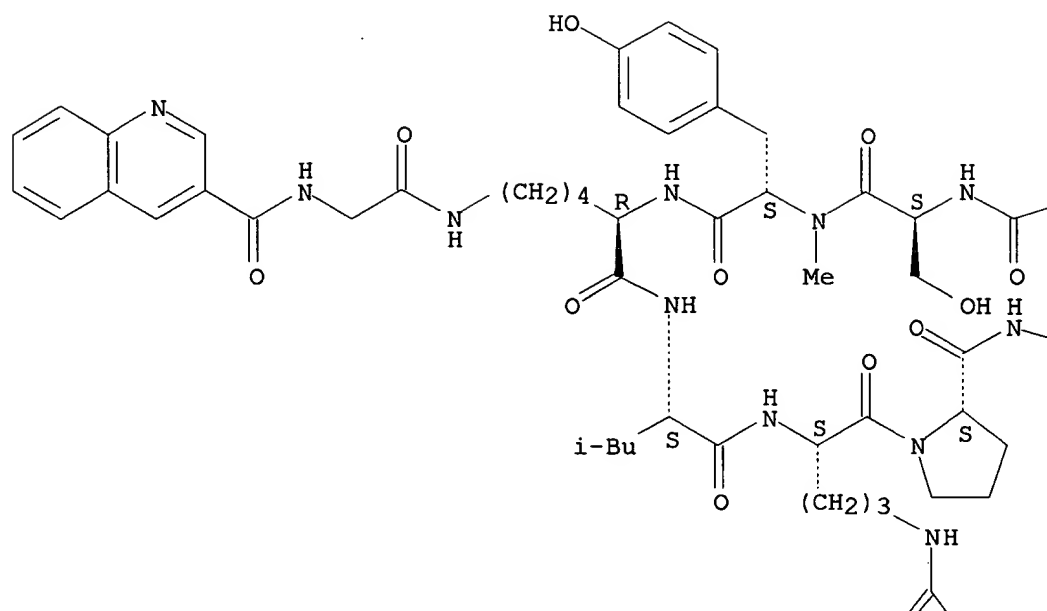
RN 163335-15-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-quinolinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA

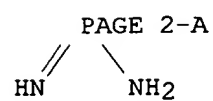
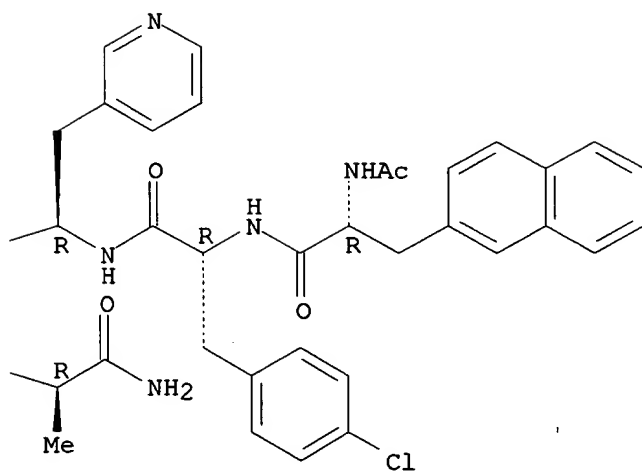
INDEX NAME)

Absolute stereochemistry.

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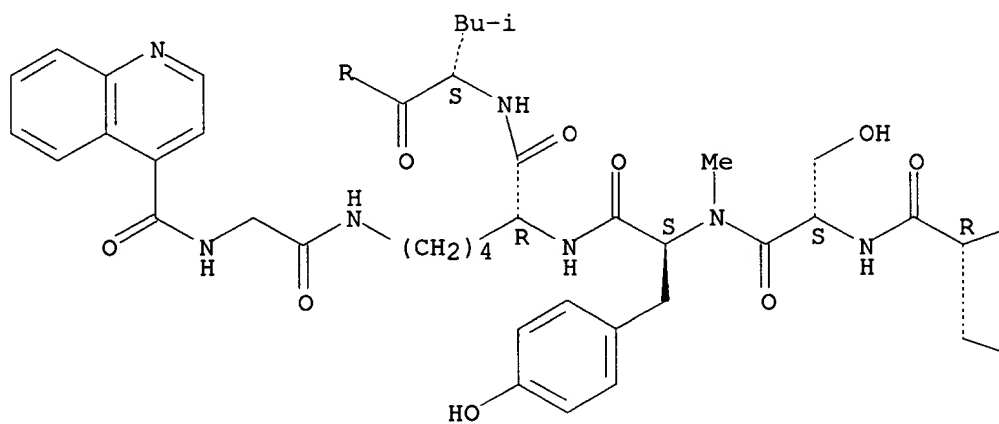


RN 163335-16-8 CAPLUS

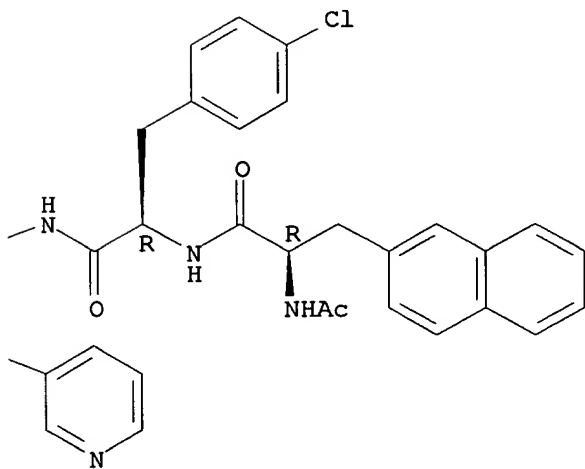
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-quinolinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

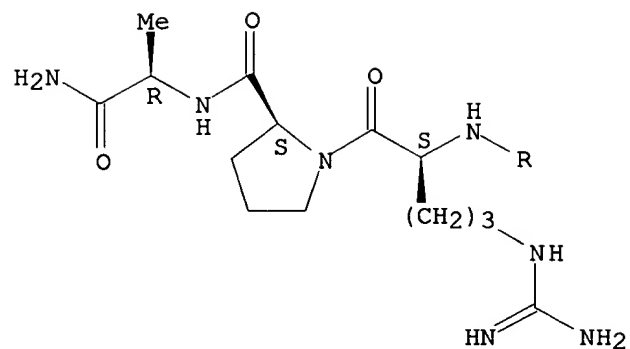
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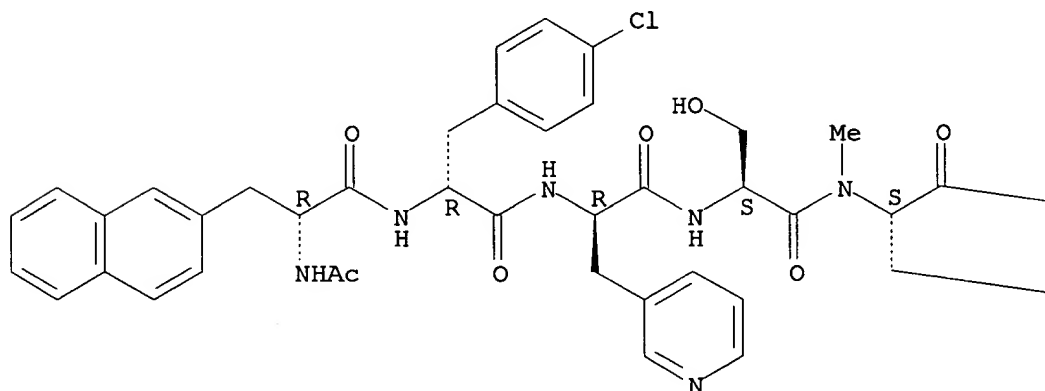


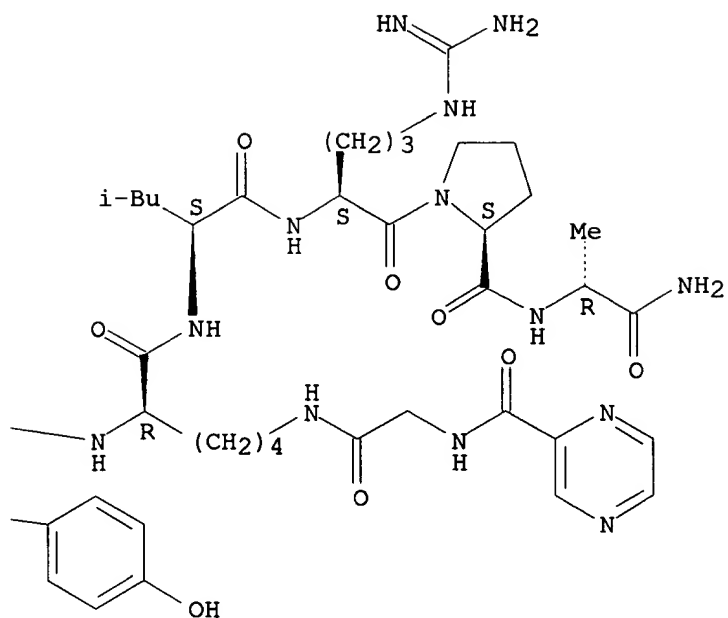
RN 163335-17-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(pyrazinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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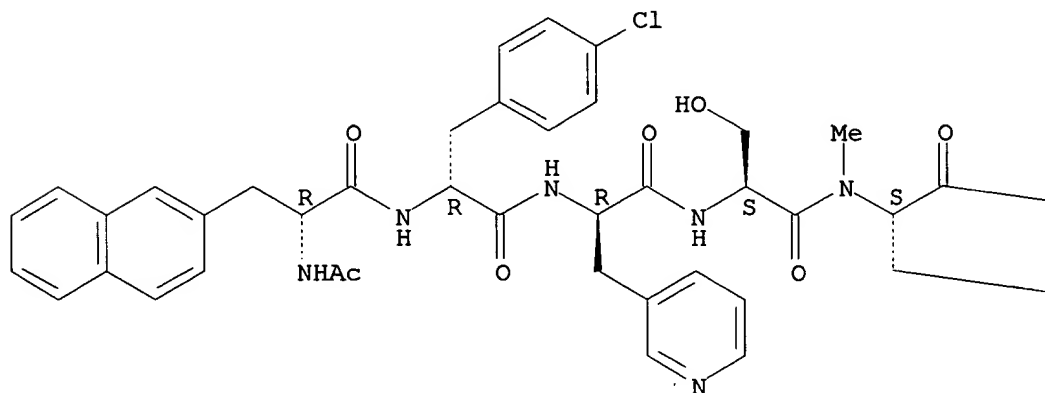


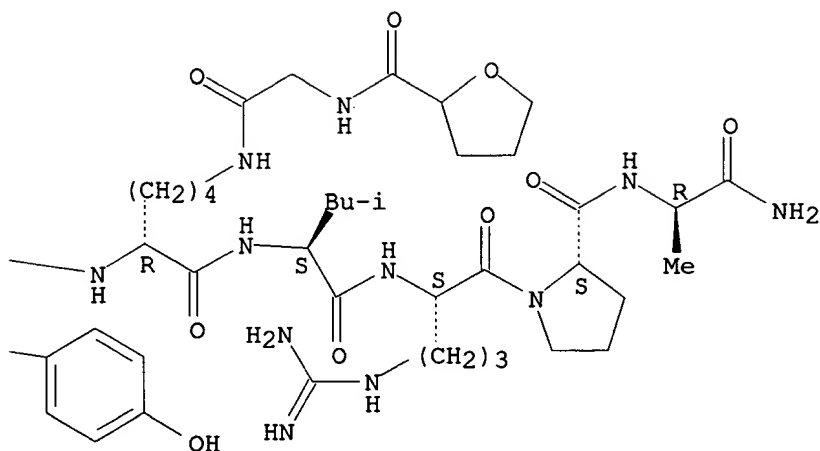


RN 163335-18-0 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(tetrahydro-2-furanyl)carbonyl]glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





RN 163335-42-0 CAPLUS

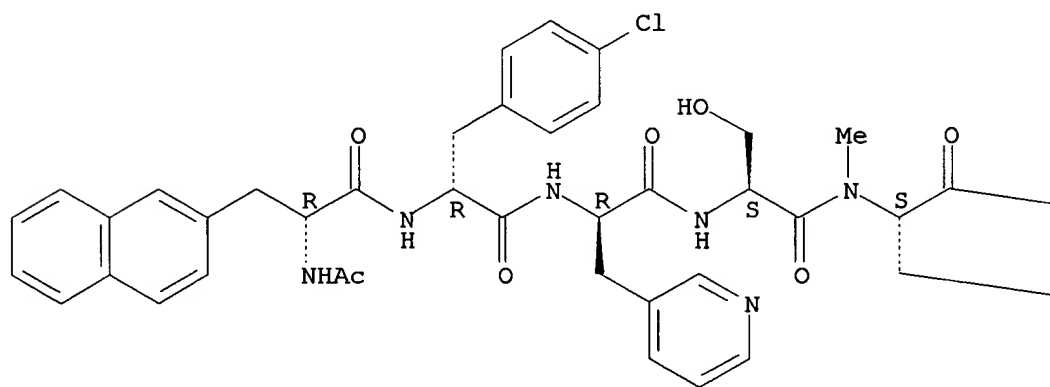
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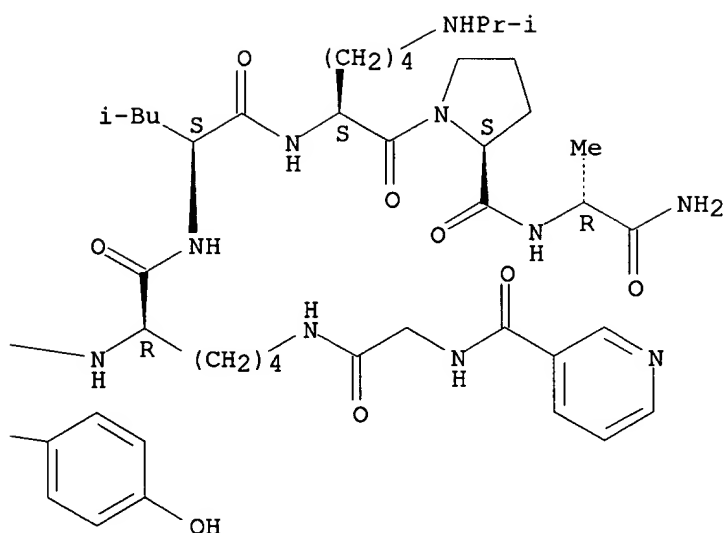
CM 1

CRN 163335-41-9

CMF C82 H107 Cl N16 O15

Absolute stereochemistry.

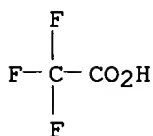




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-45-3 CAPLUS

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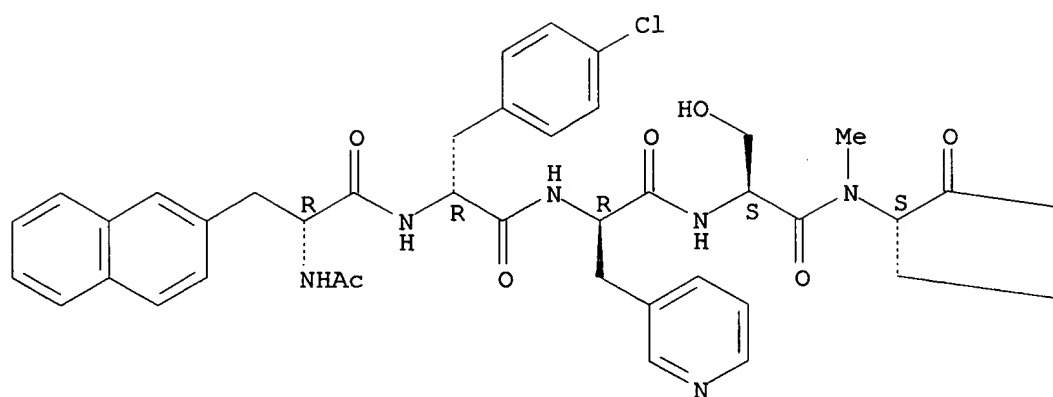
CM 1

CRN 163333-59-3

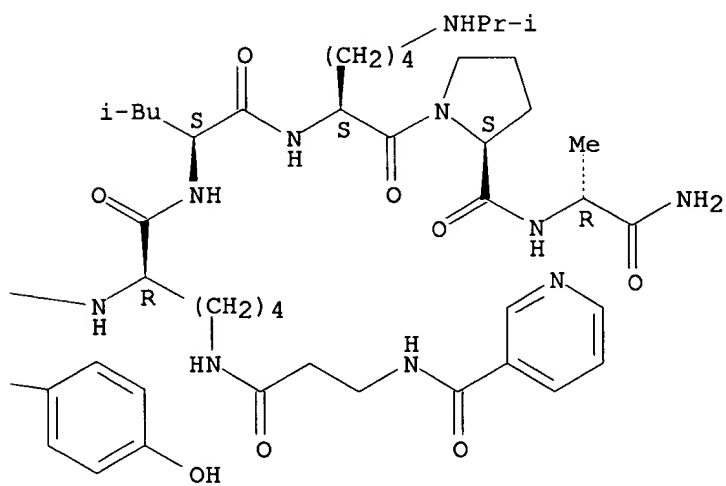
CMF C83 H109 Cl N16 O15

Absolute stereochemistry.

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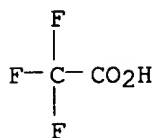
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/596,086

RN 163335-54-4 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-furanylcarbonyl)glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

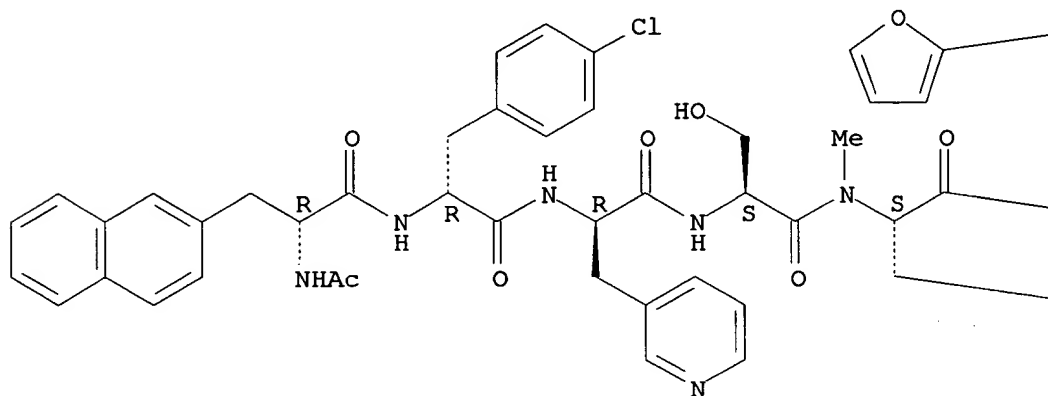
CM 1

CRN 163333-66-2

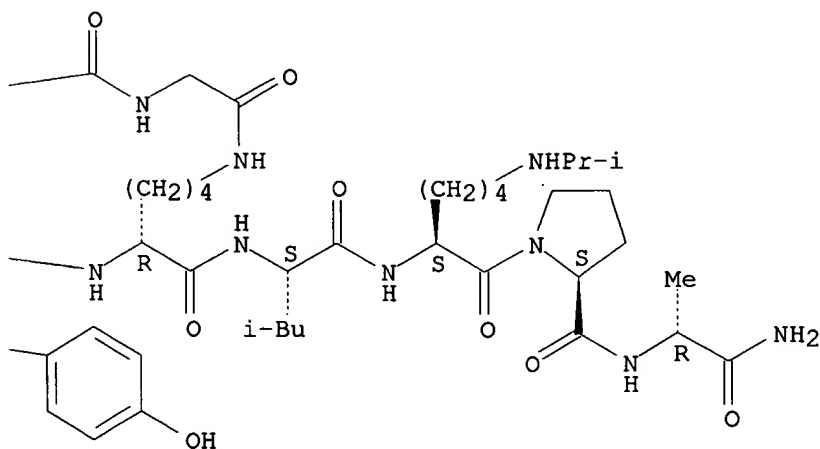
CMF C81 H106 Cl N15 O16

Absolute stereochemistry.

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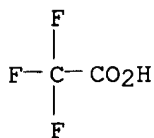


CM 2

CRN 76-05-1

09/596,086

CMF C2 H F3 O2



RN 163335-55-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

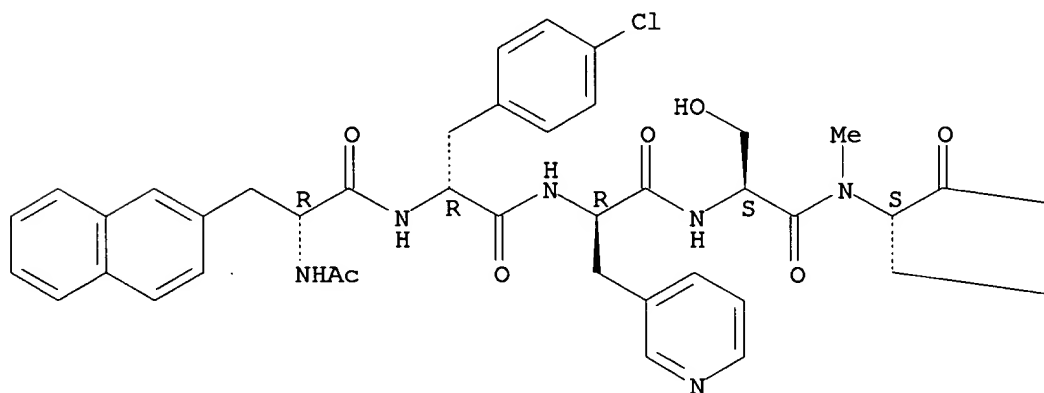
CM 1

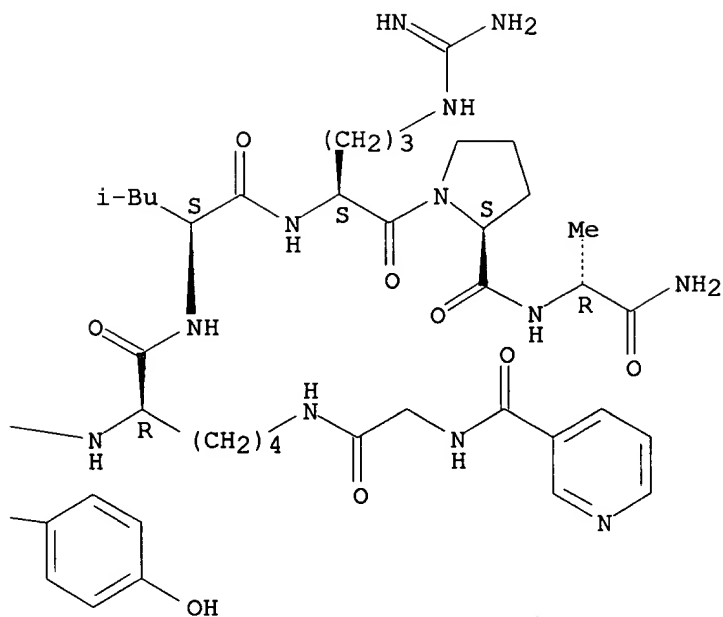
CRN 163333-69-5

CMF C79 H101 Cl N18 O15

Absolute stereochemistry.

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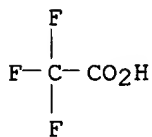




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-57-7 CAPLUS

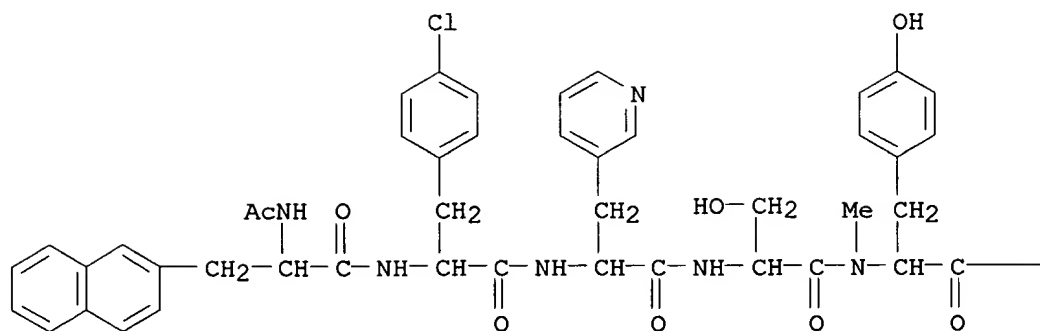
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-D-seryl)-D-lysyl-L-leucyl-N6-(aminoiminomethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

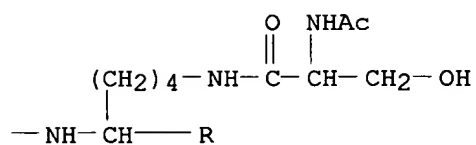
CRN 163335-56-6

CMF C77 H104 Cl N17 O16

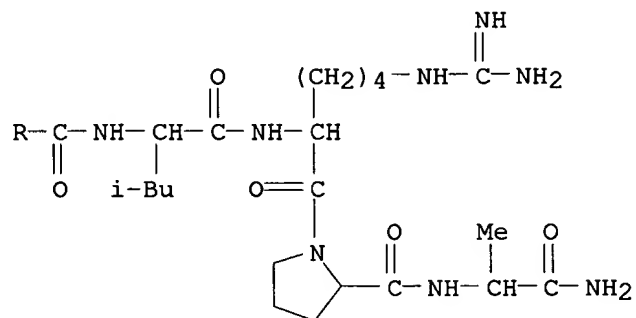
PAGE 1-A



PAGE 1-B



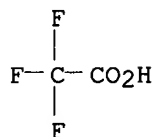
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-60-2 CAPLUS

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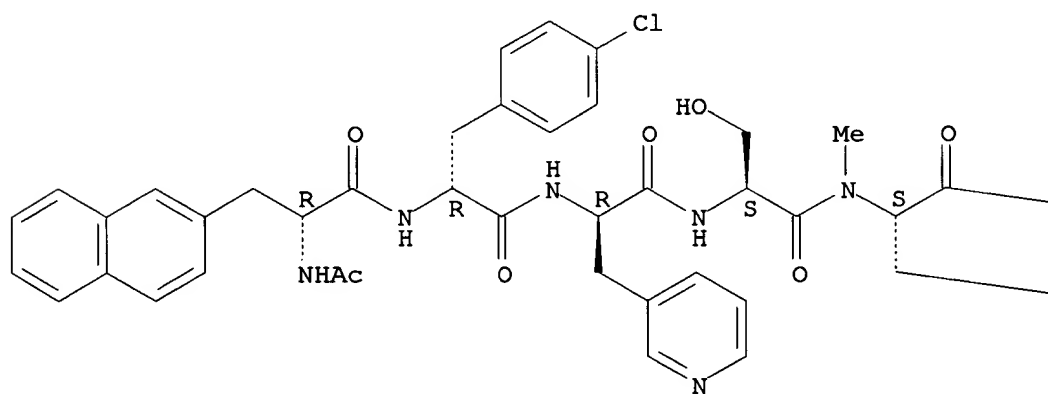
CM 1

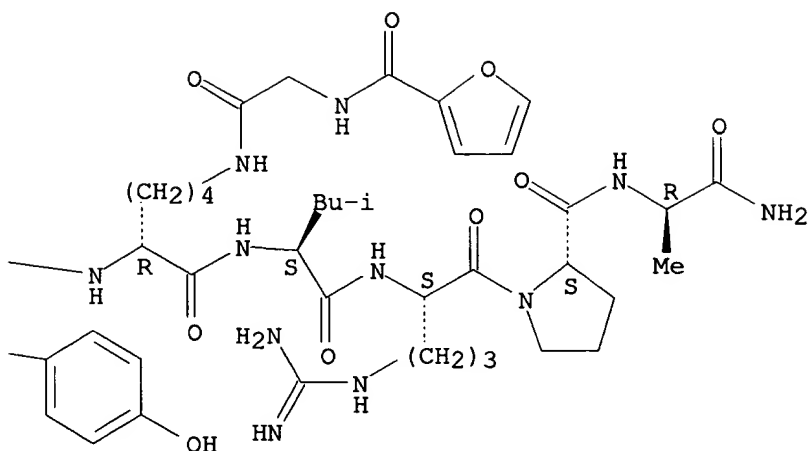
CRN 163333-75-3

CMF C78 H100 Cl N17 O16

Absolute stereochemistry.

PAGE 1-A

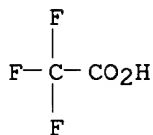




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-62-4 CAPLUS

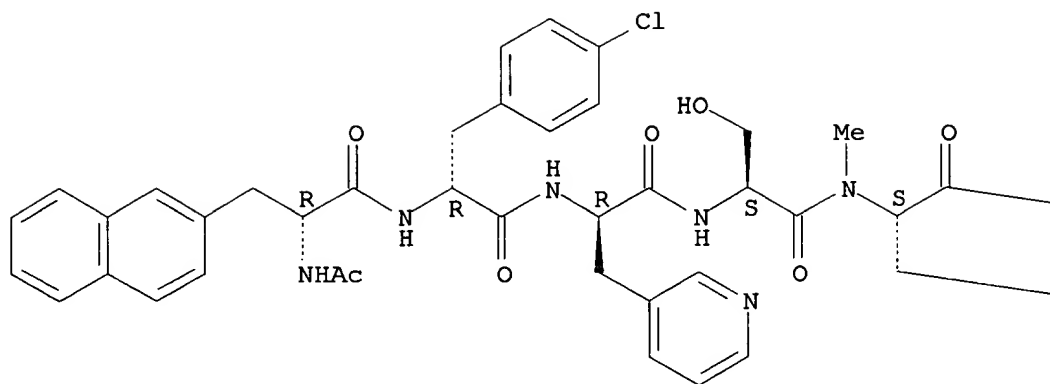
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[1-oxo-6-[[1-oxo-3-[(3-pyridinylcarbonyl)amino]propyl]amino]hexyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI)
(CA INDEX NAME)

CM 1

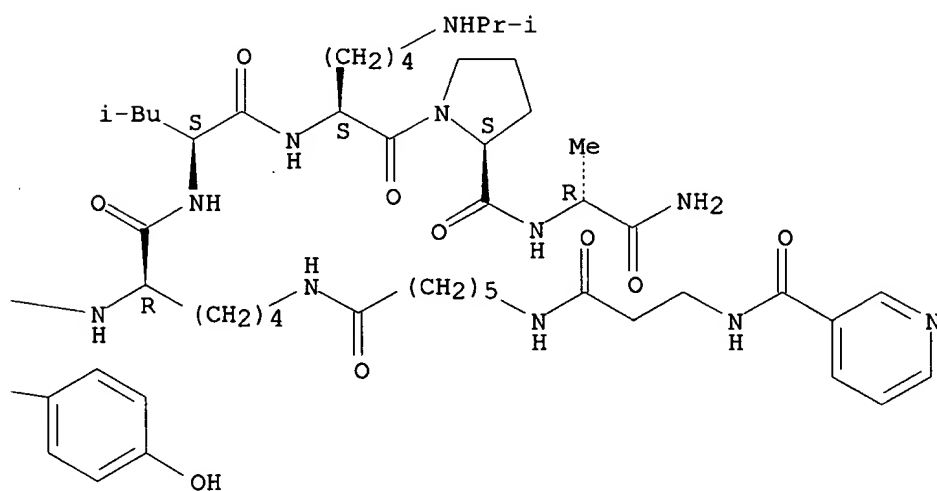
CRN 163335-61-3

CMF C89 H120 Cl N17 O16

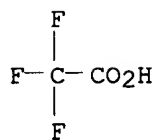
Absolute stereochemistry.



PAGE 1-B



CMF C2 H F3 O2



09/596,086

RN 163335-63-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[N-(3-pyridinylcarbonyl)glycyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

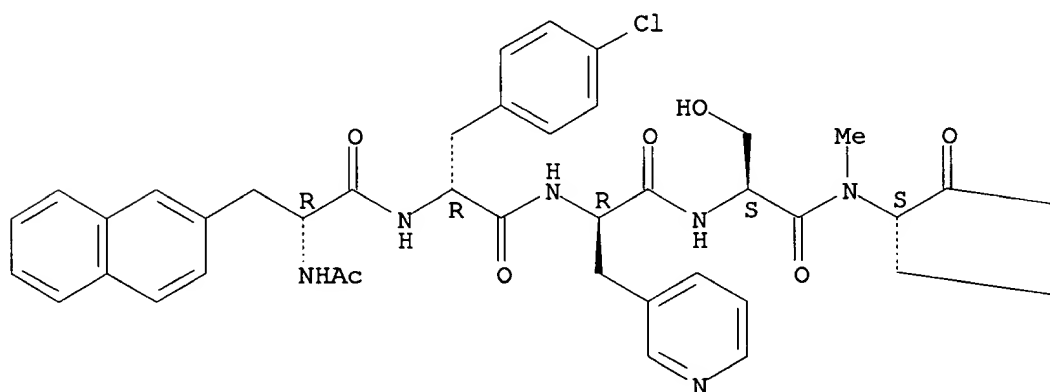
CM 1

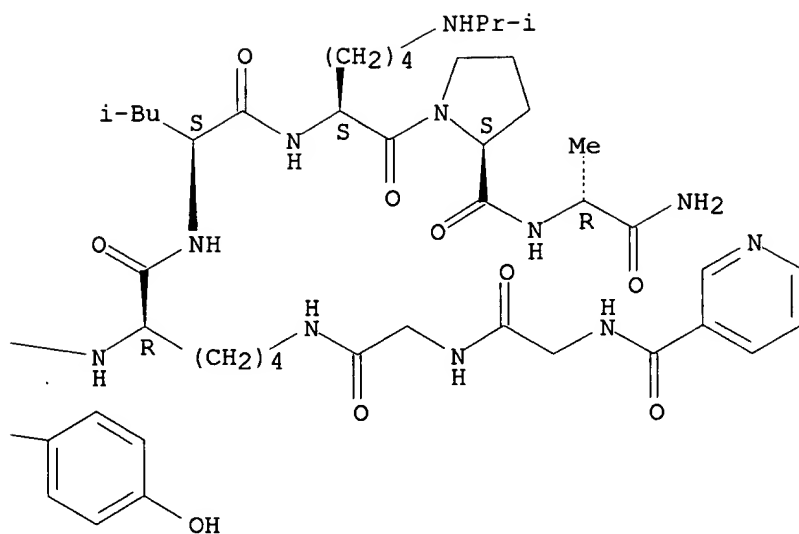
CRN 163333-78-6

CMF C84 H110 Cl N17 O16

Absolute stereochemistry.

PAGE 1-A

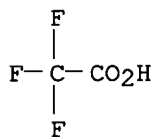




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-65-7 CAPLUS

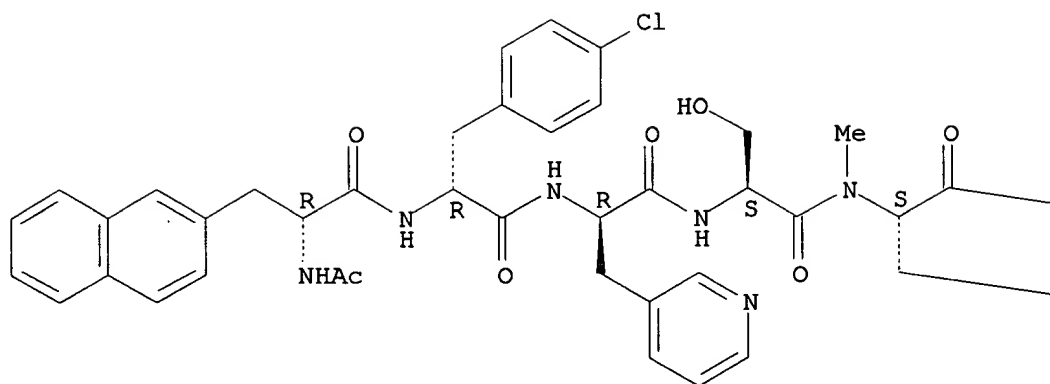
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[1-oxo-6-[[[(3-pyridinylcarbonyl)amino]acetyl]amino]hexyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

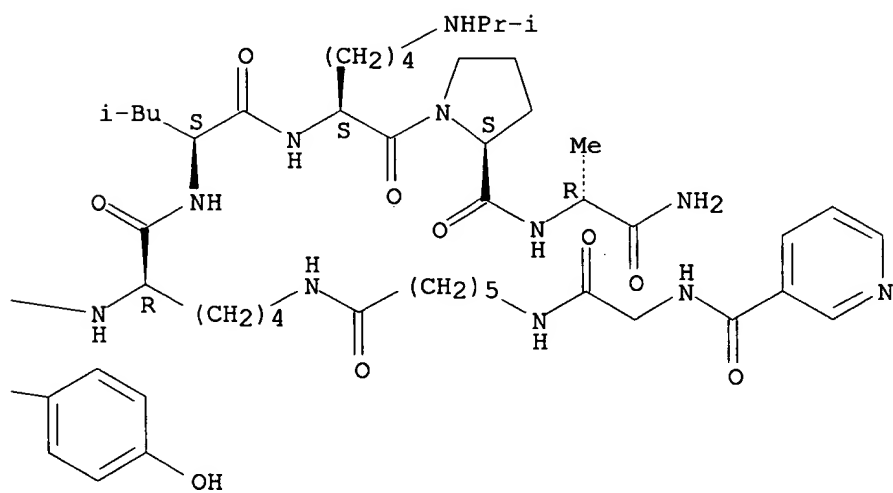
CRN 163335-64-6

CMF C88 H118 Cl N17 O16

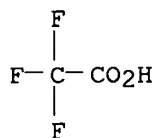
Absolute stereochemistry.



PAGE 1-B



CMF C2 H F3 O2



09/596,086

RN 163335-66-8 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[[2-(3-pyridinylcarbonyl)hydrazino]carbonyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

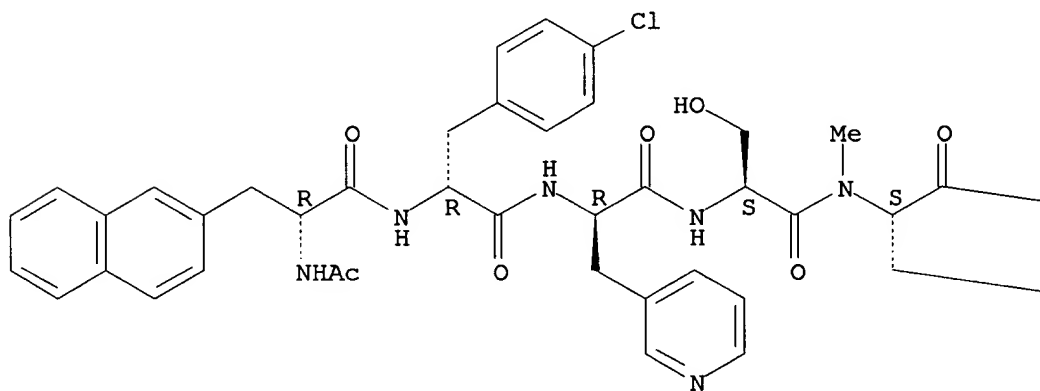
CM 1

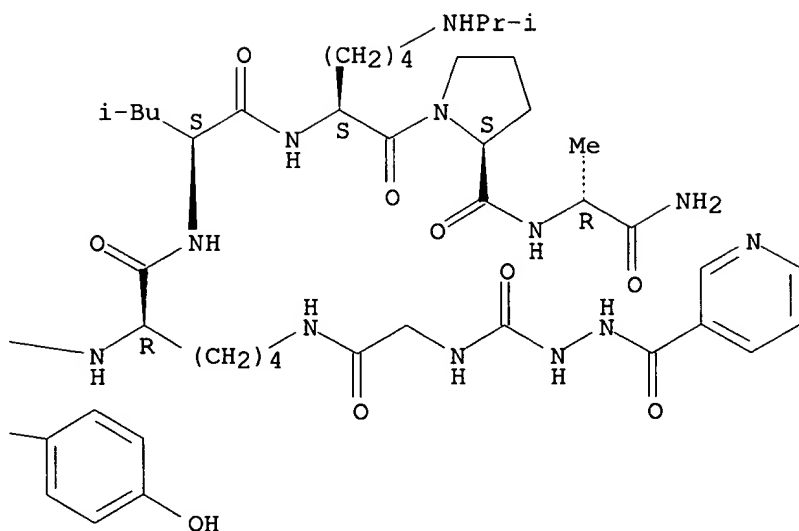
CRN 163334-18-7

CMF C83 H109 Cl N18 O16

Absolute stereochemistry.

PAGE 1-A

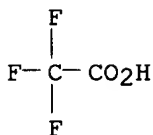




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-71-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-furanylcarbonyl)-β-alanyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

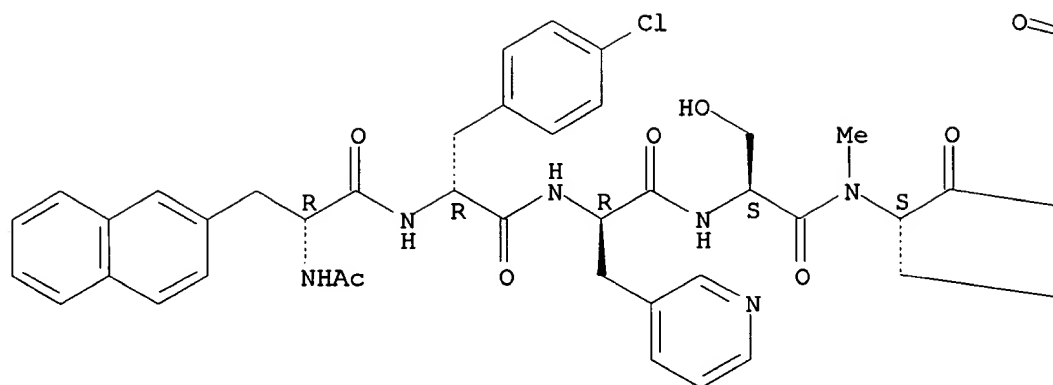
CM 1

CRN 163334-92-7

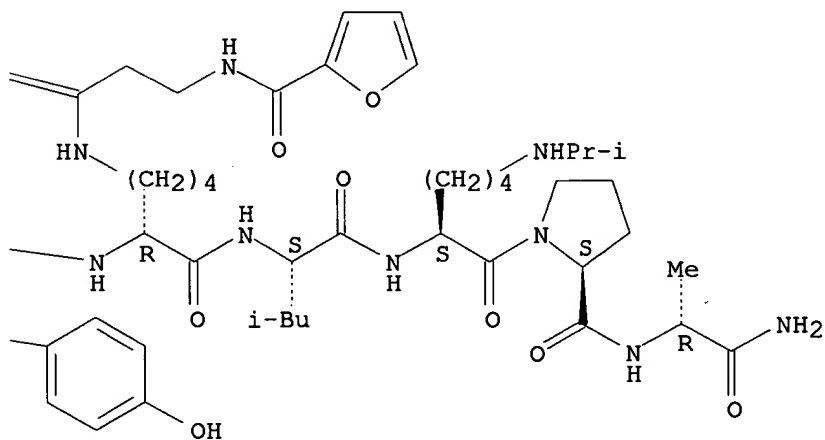
CMF C82 H108 Cl N15 O16

Absolute stereochemistry.

PAGE 1-A



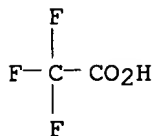
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-78-2 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-

phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-pyridinylmethyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-,
trifluoroacetate (salt) (9CI) (CA INDEX NAME)

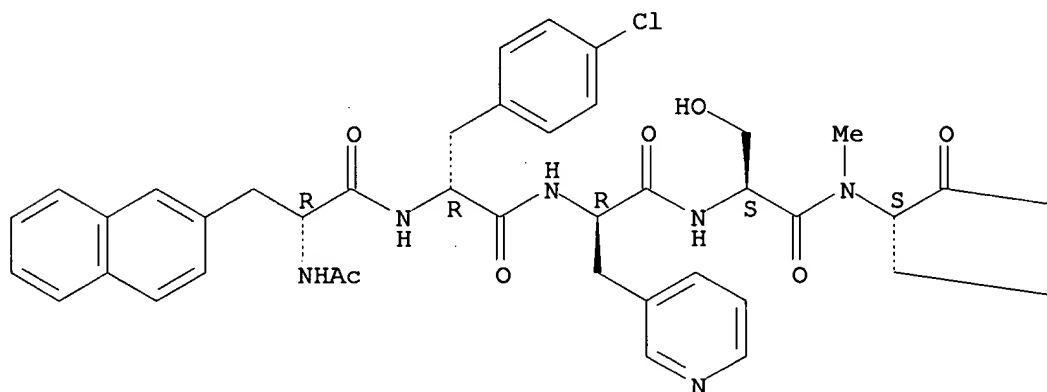
CM 1

CRN 163335-00-0

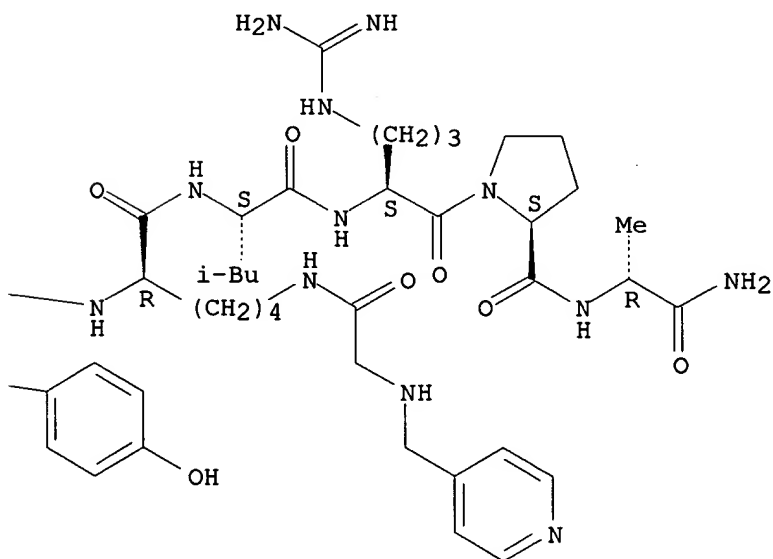
CMF C79 H103 Cl N18 O14

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

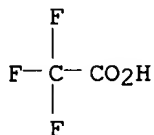


09/596,086

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-79-3 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N5-[N-(3-pyridinylcarbonyl)glycyl]-D-ornithyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

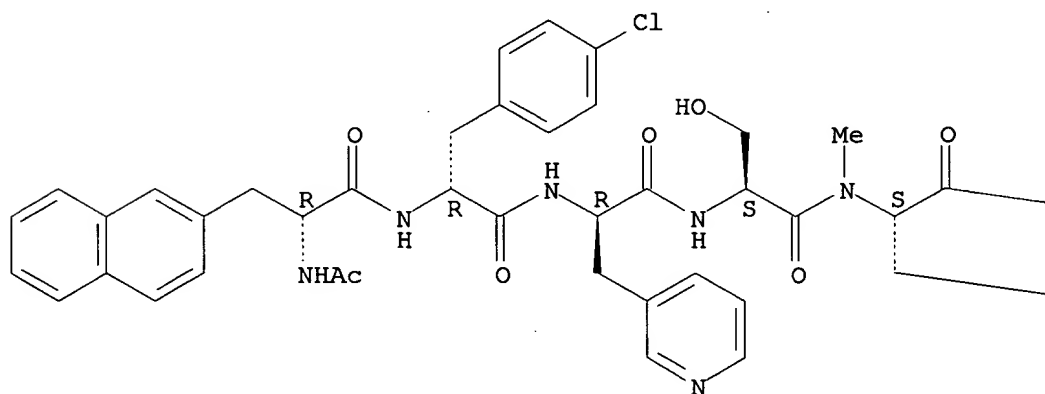
CM 1

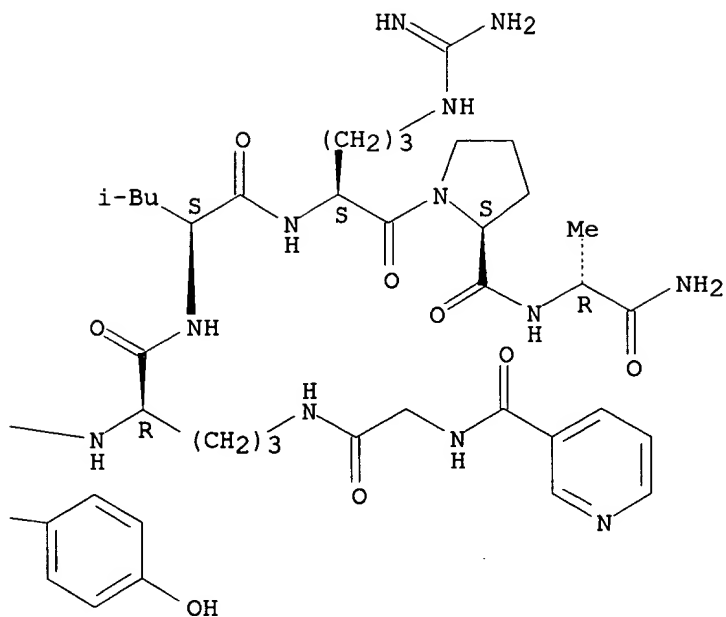
CRN 163334-90-5

CMF C78 H99 Cl N18 O15

Absolute stereochemistry.

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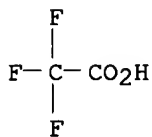




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-80-6 CAPLUS

CM D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-furanylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

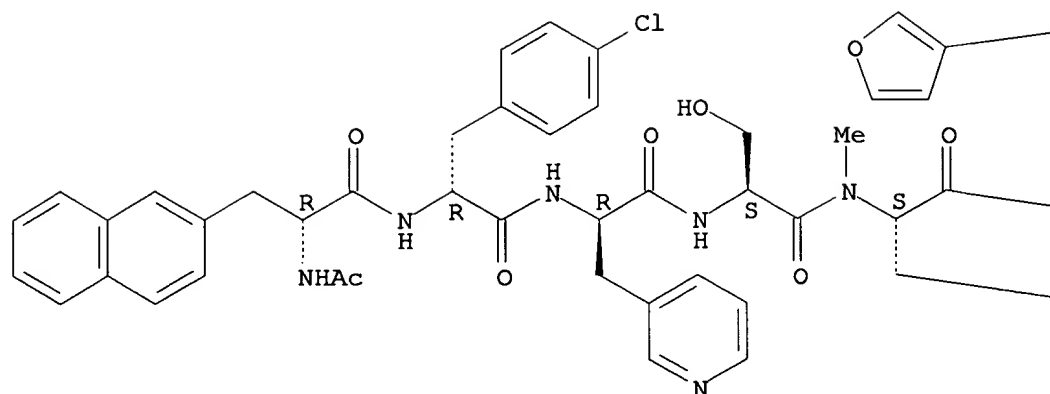
CM 1

CRN 163335-13-5

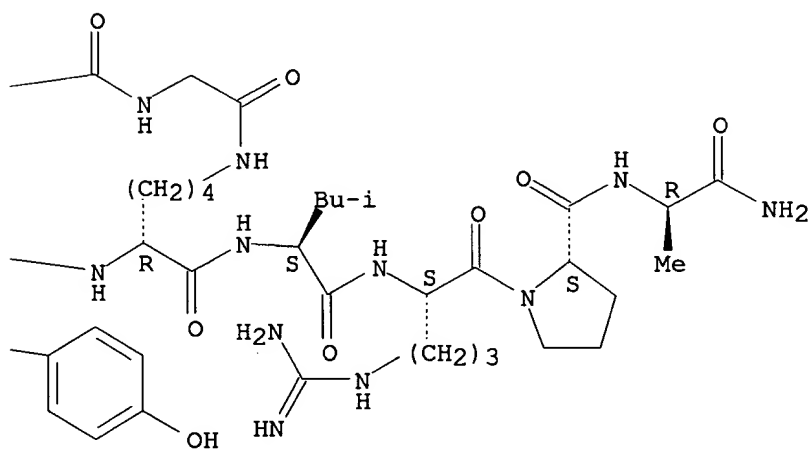
CMF C78 H100 Cl N17 O16

Absolute stereochemistry.

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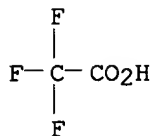
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-81-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-

phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(4-quinolinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-,
trifluoroacetate (salt) (9CI) (CA INDEX NAME)

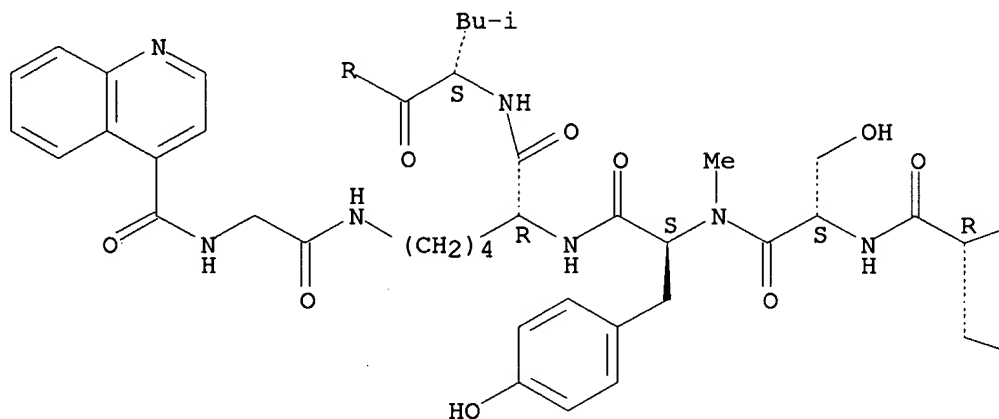
CM 1

CRN 163335-16-8

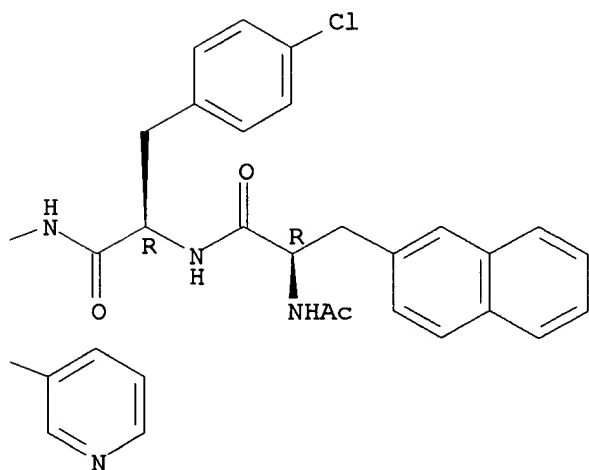
CMF C83 H103 Cl N18 O15

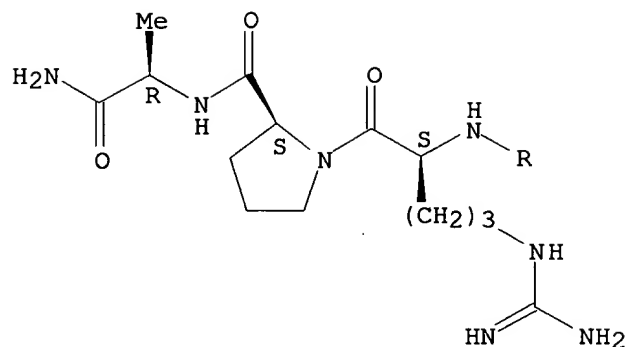
Absolute stereochemistry.

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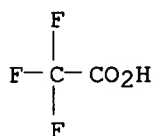




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-82-8 CAPLUS

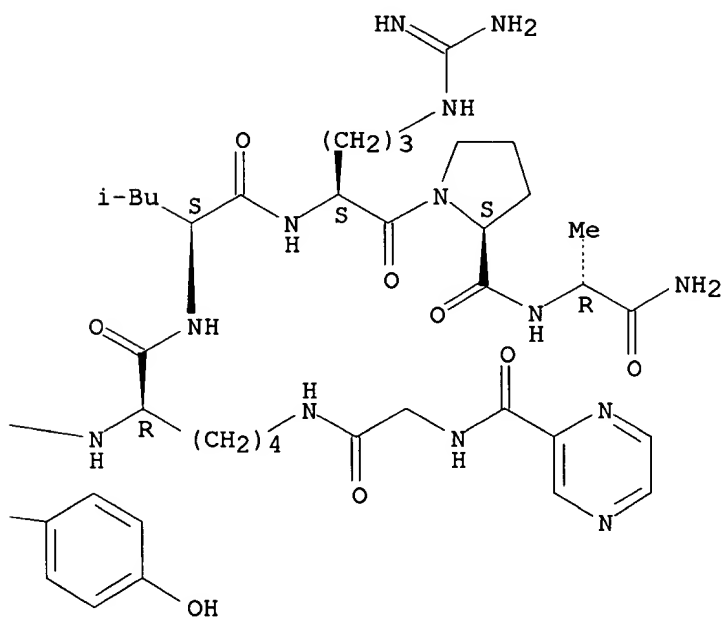
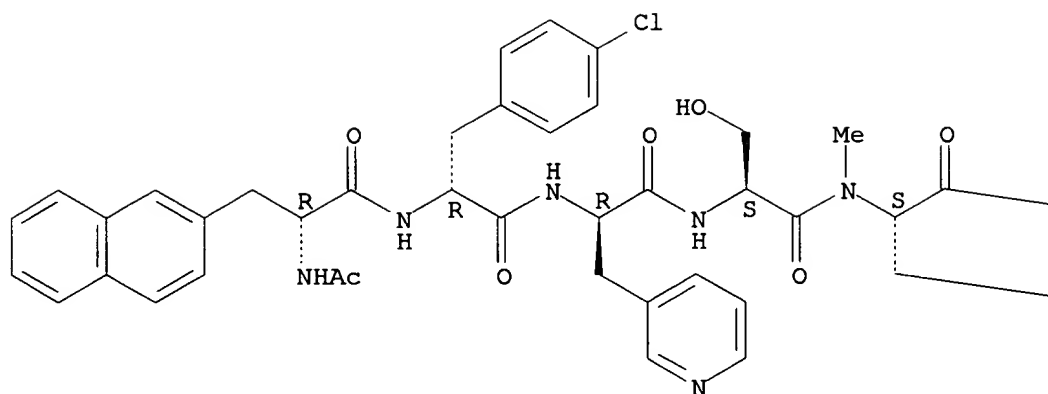
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(pyrazinylcarbonyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163335-17-9

CMF C78 H100 Cl N19 O15

Absolute stereochemistry.

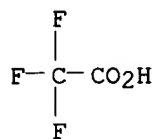


CM 2

CRN 76-05-1

09/596,086

CMF C2 H F3 O2



RN 163335-83-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(tetrahydro-2-furanyl)carbonyl]glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

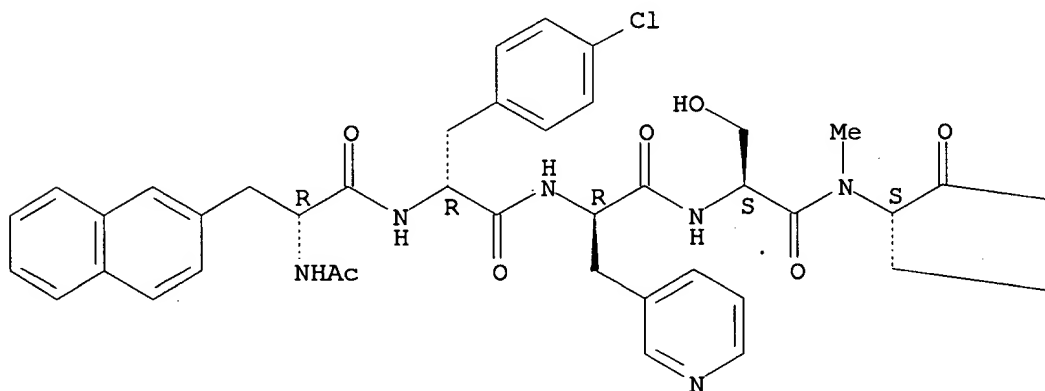
CM 1

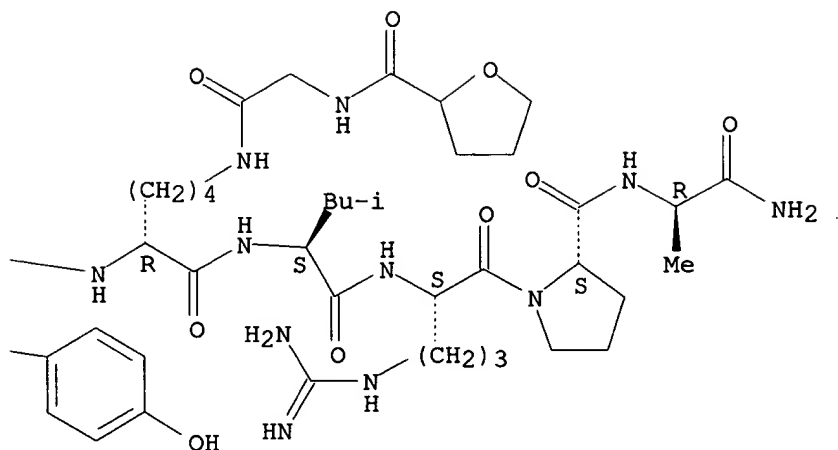
CRN 163335-18-0

CMF C78 H104 Cl N17 O16

Absolute stereochemistry.

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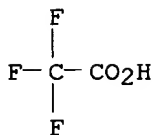




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-88-4 CAPLUS

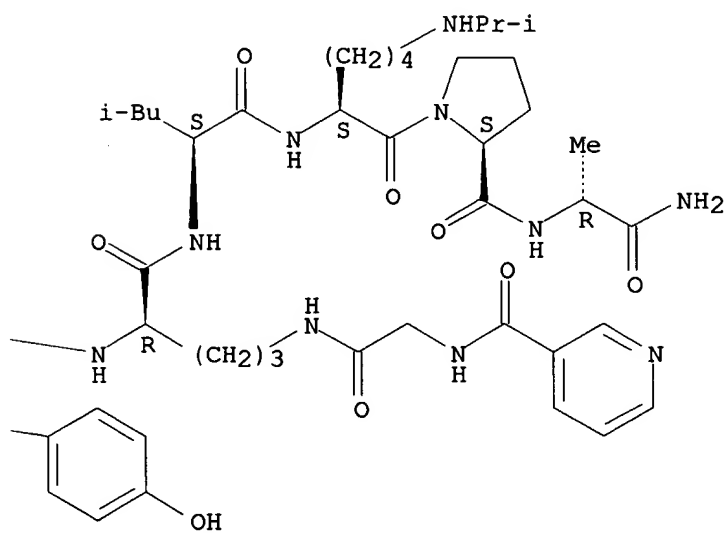
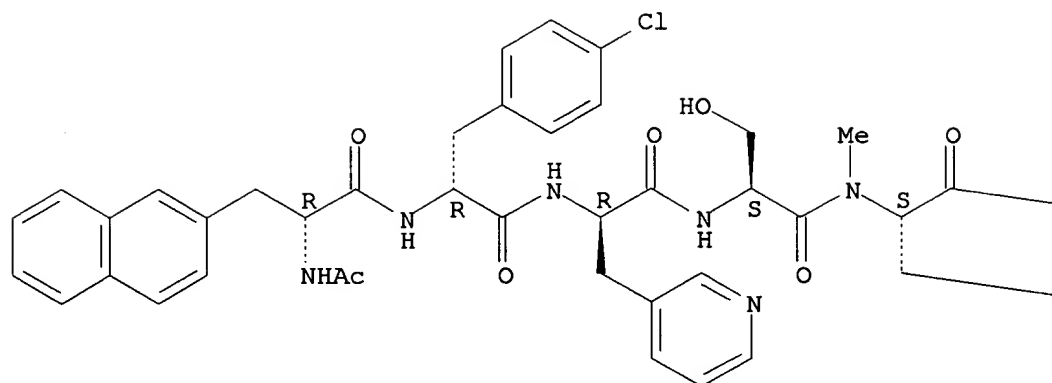
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N5-[N-(3-pyridinylcarbonyl)glycyl]-D-ornithyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163335-87-3

CMF C81 H105 Cl N16 O15

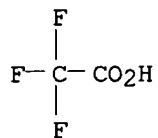
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-90-8 CAPLUS

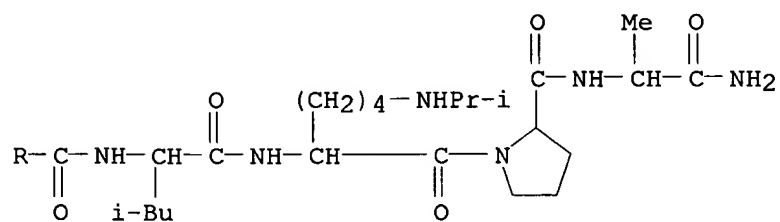
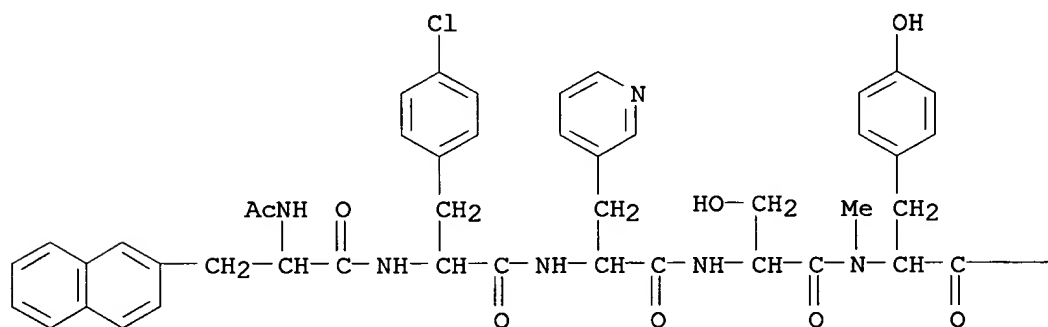
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-glycylglycyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

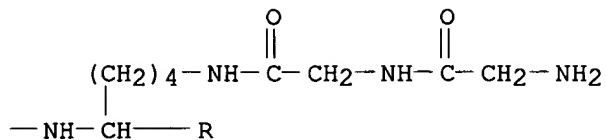
CM 1

CRN 163335-89-5

CMF C78 H107 Cl N16 O15

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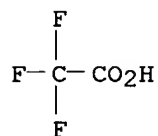




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-94-2 CAPLUS

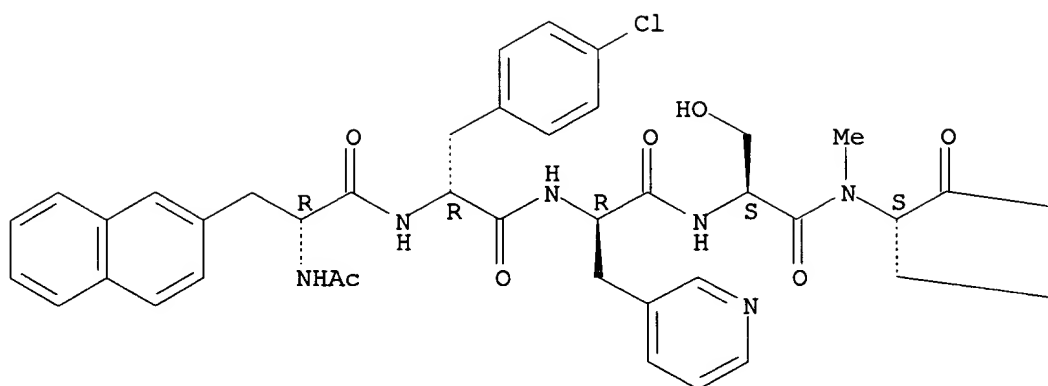
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CM 1

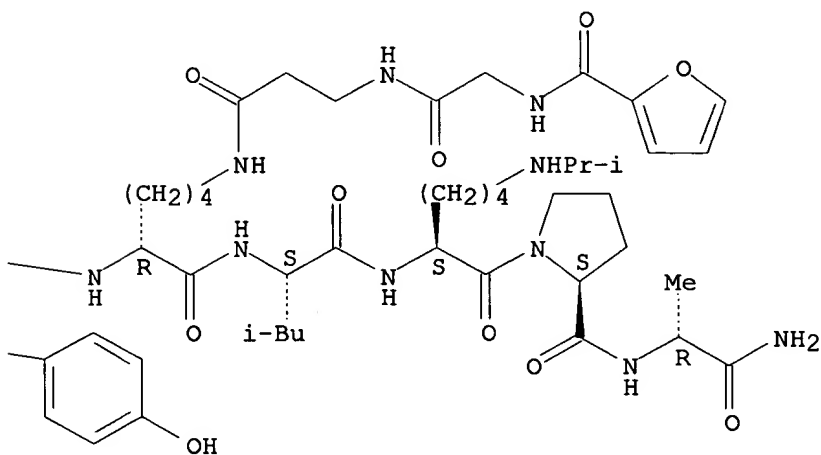
CRN 163335-93-1

CMF C84 H111 Cl N16 O17

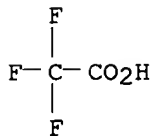
Absolute stereochemistry.



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CRN 76-05-1
CMF C2 H F3 O2



RN 163335-96-4 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-

phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[6-
 [[[2-furanylcarbonyl)amino]acetyl]amino]-1-oxohexyl]-D-lysyl-L-leucyl-N6-
 (1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA
 INDEX NAME)

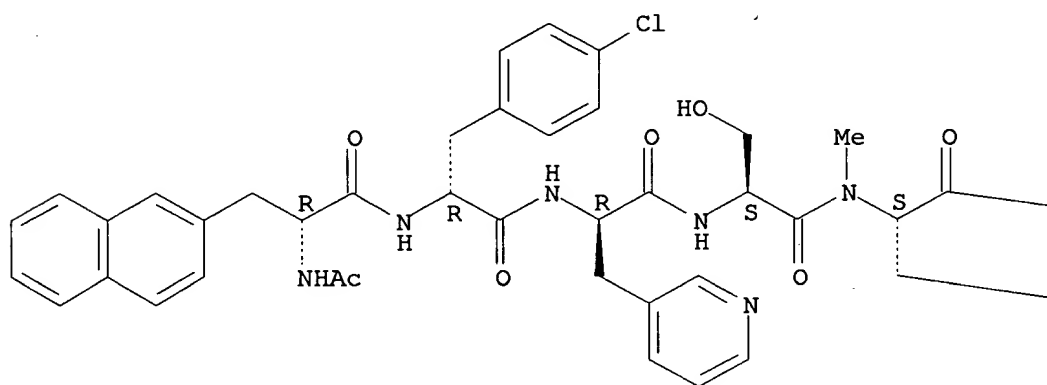
CM 1

CRN 163335-95-3

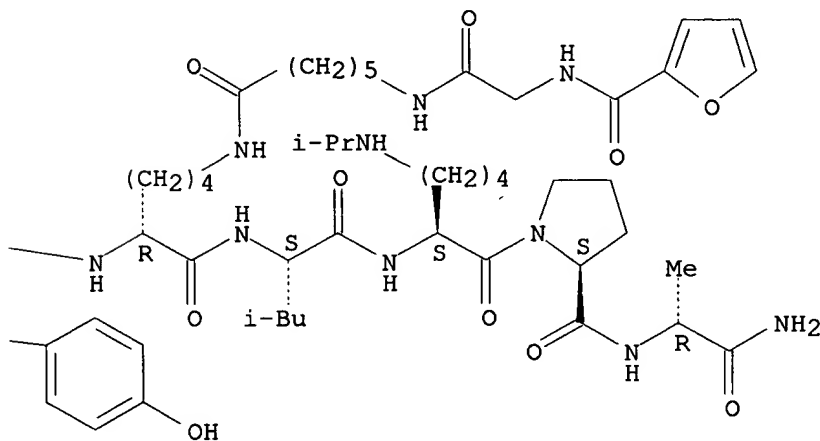
CMF C87 H117 Cl N16 O17

Absolute stereochemistry.

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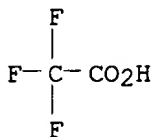
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163335-98-6 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[4-[[[(2-furanylcarbonyl)amino]acetyl]amino]-1-oxobutyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

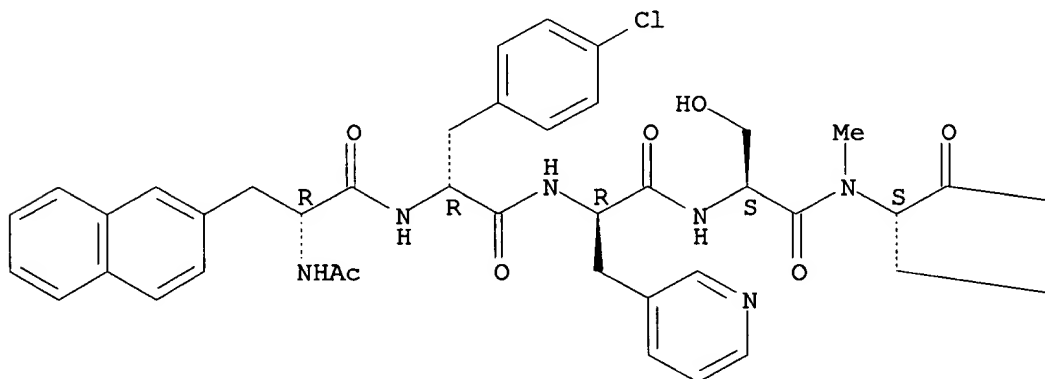
CM 1

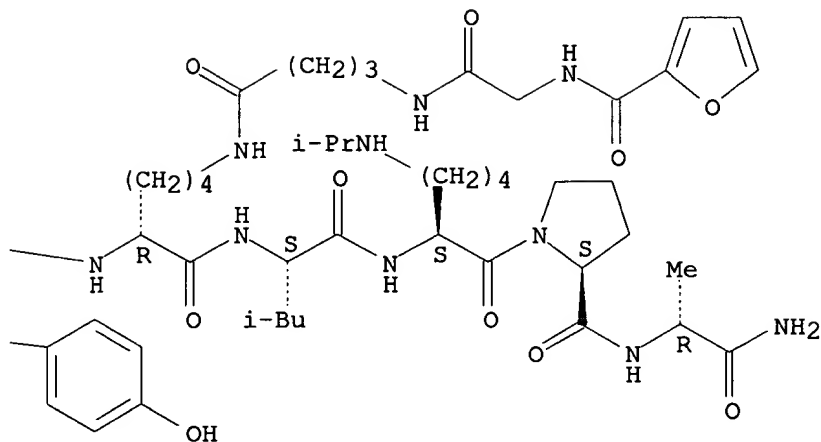
CRN 163335-97-5

CMF C85 H113 Cl N16 O17

Absolute stereochemistry.

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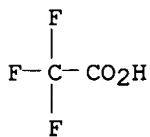




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163336-09-2 CAPLUS

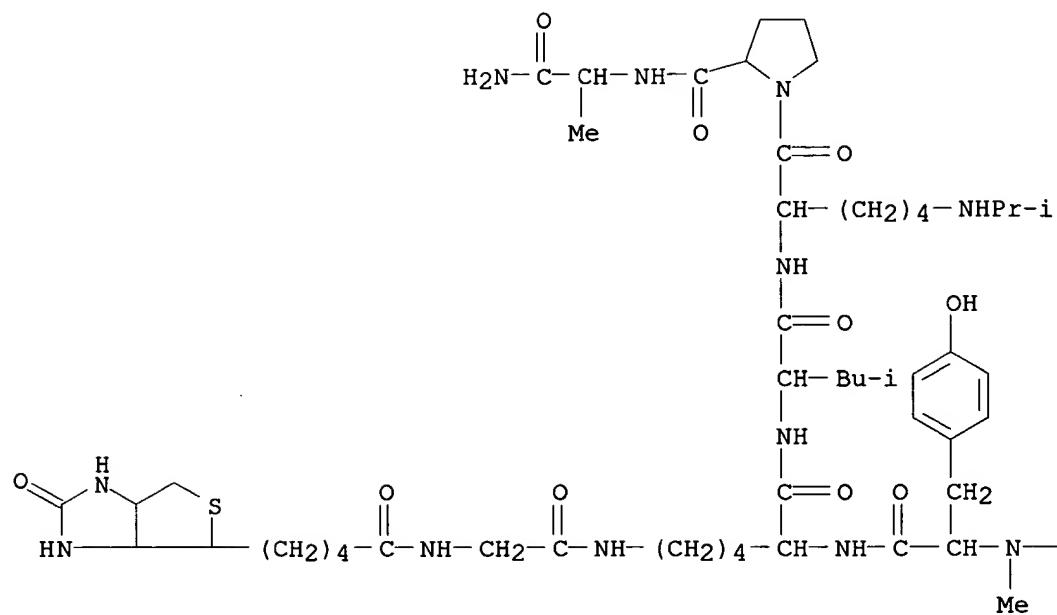
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, [3aS-(3α,4β,6α)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

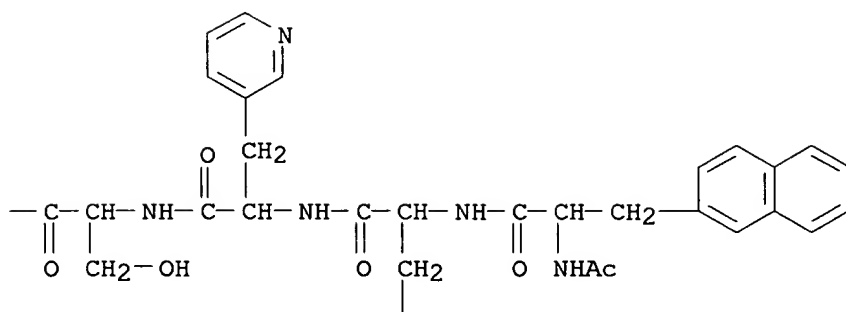
CRN 163336-08-1

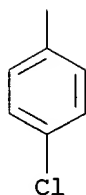
CMF C86 H118 Cl N17 O16 S

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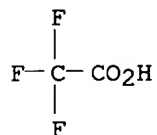




CM 2

CRN 76-05-1

CMF C2 H F3 O2



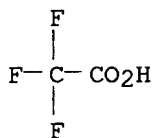
RN 163336-11-6 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[1-formyl-N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-tryptophyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163336-10-5

CMF C90 H113 Cl N18 O19



RN 163336-13-8 CAPLUS

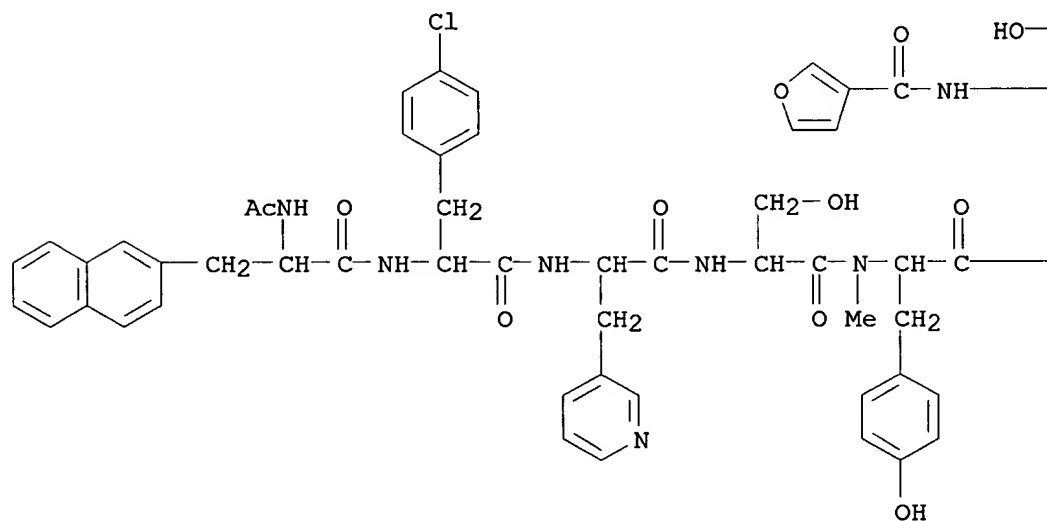
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-furanylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

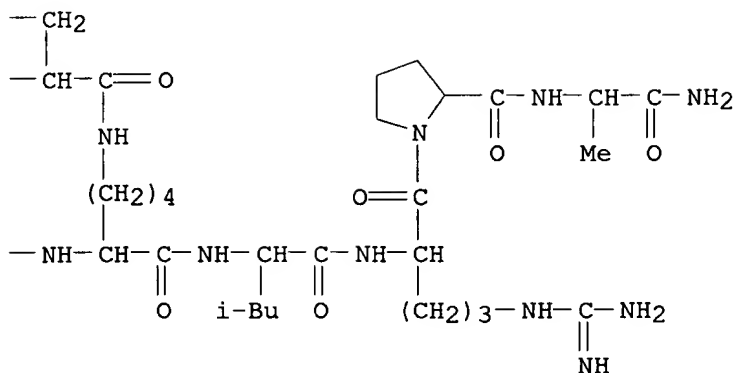
CM 1

CRN 163336-12-7

CMF C79 H102 Cl N17 O17

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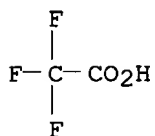




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163336-20-7 CAPLUS

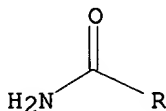
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylacetyl)glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

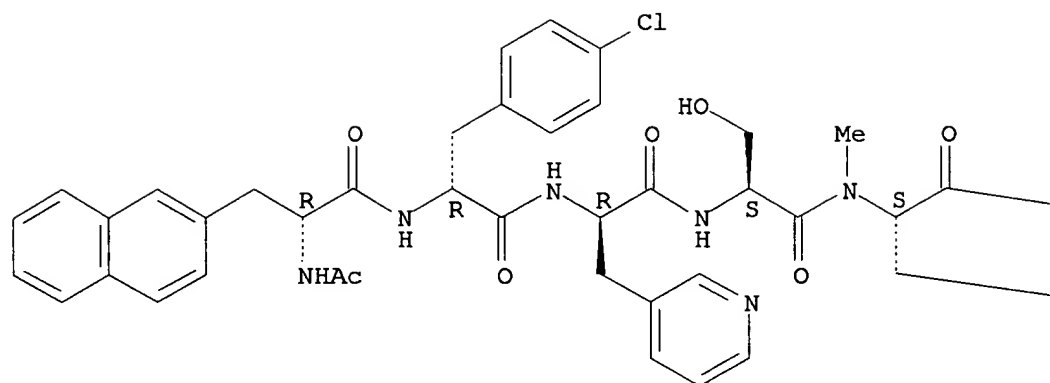
CRN 163336-19-4

CMF C80 H103 Cl N18 O15

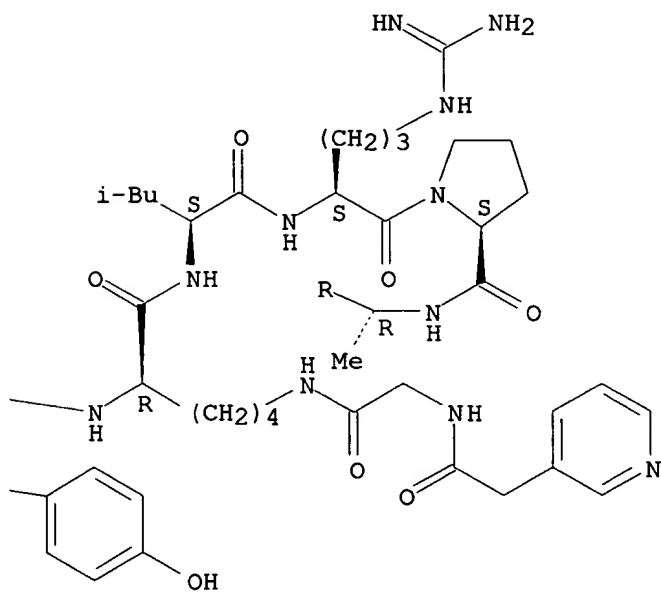
Absolute stereochemistry.



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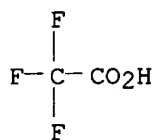
PAGE 2-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2

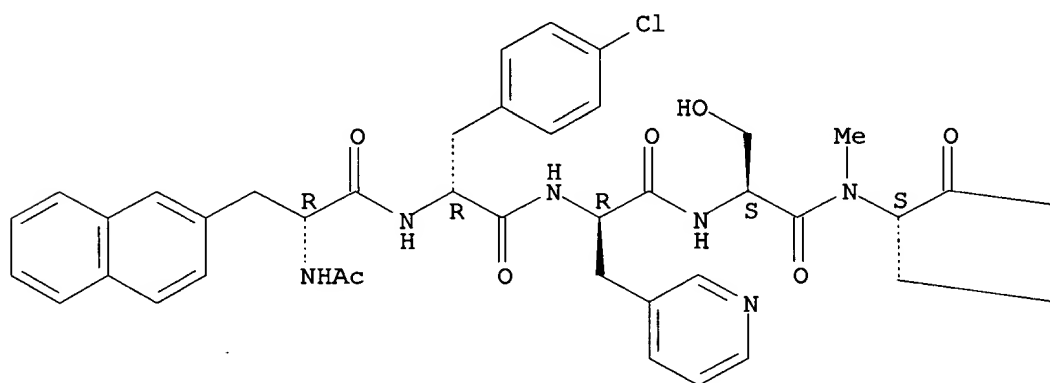


RN 163437-60-3 CAPLUS

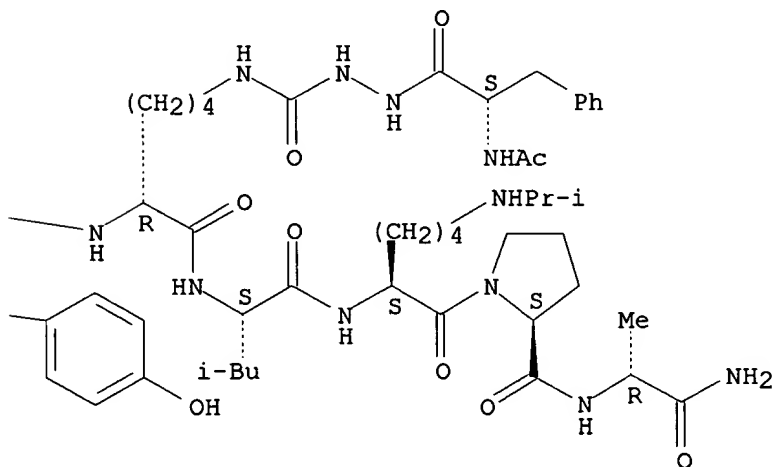
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-L-phenylalanyl-2-azaglycyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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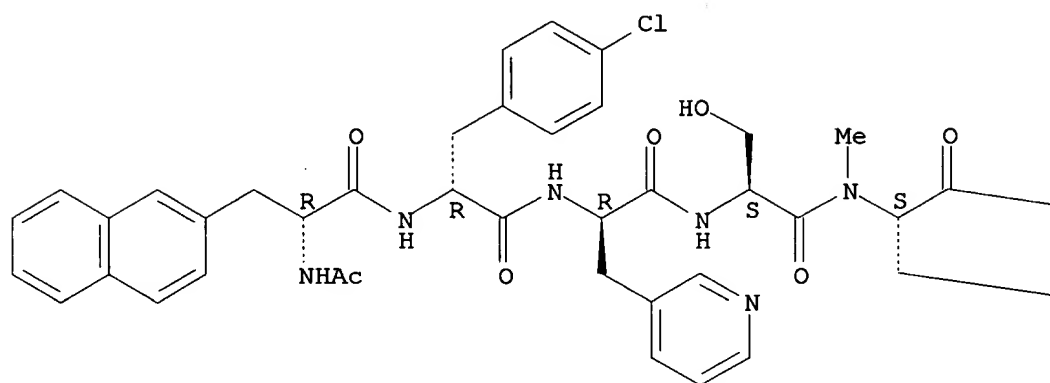
RN 163437-61-4 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-

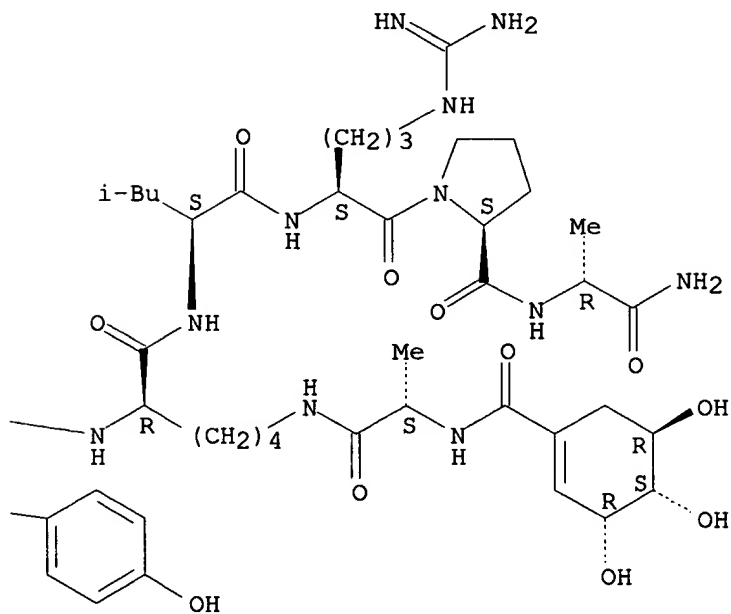
[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-L-alanyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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09/596,086

RN 163437-62-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

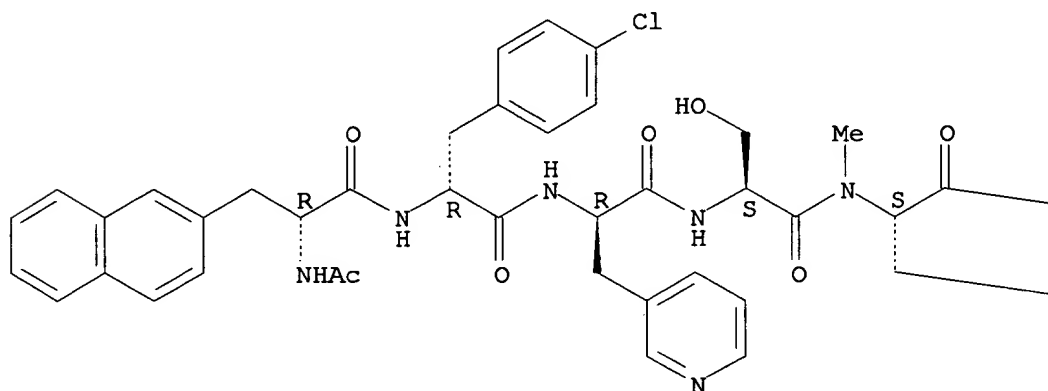
CM 1

CRN 163333-61-7

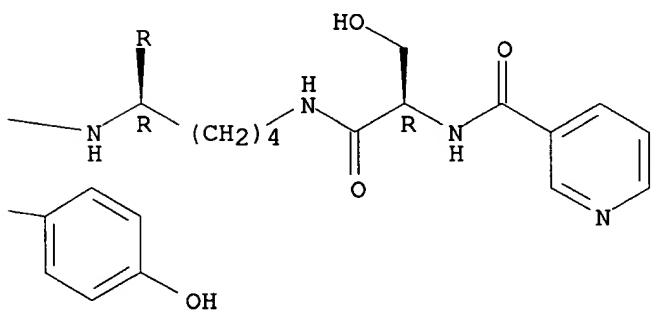
CMF C83 H109 Cl N16 O16

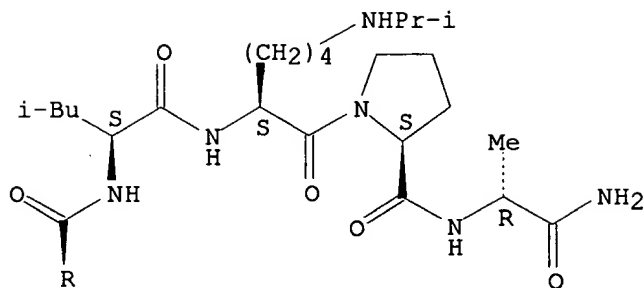
Absolute stereochemistry.

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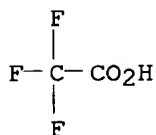




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-63-6 CAPLUS

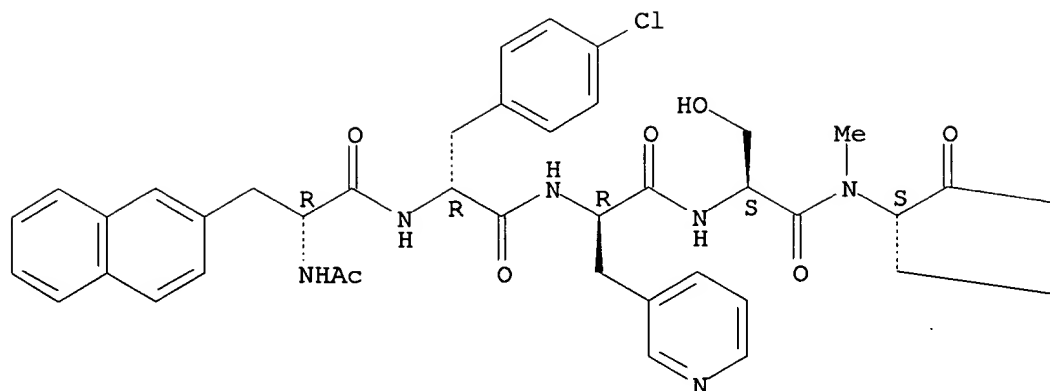
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]glycyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

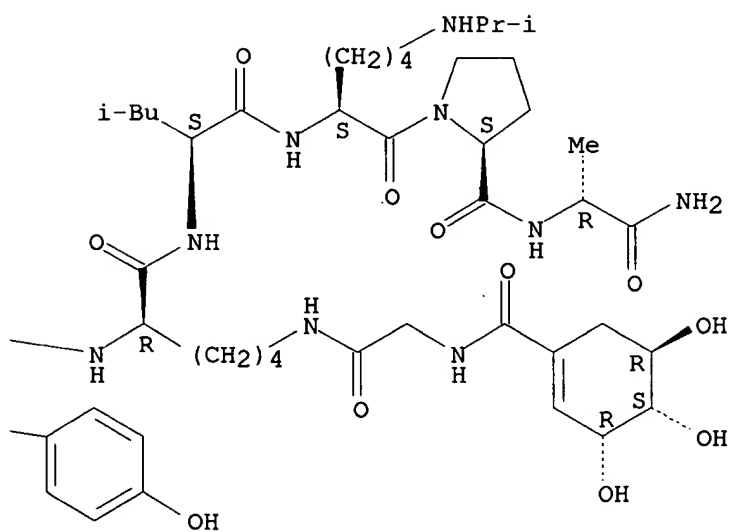
CRN 163334-68-7

CMF C83 H112 Cl N15 O18

Absolute stereochemistry.



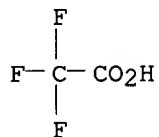
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-64-7 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(3-pyridinylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

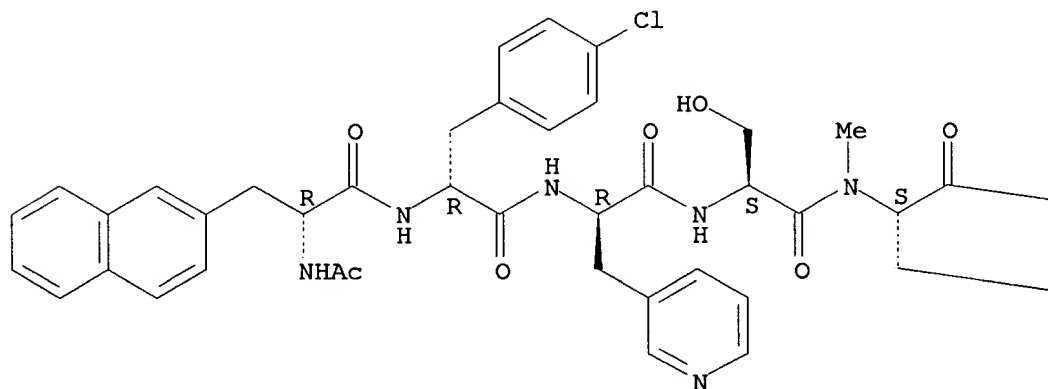
CM 1

CRN 163333-67-3

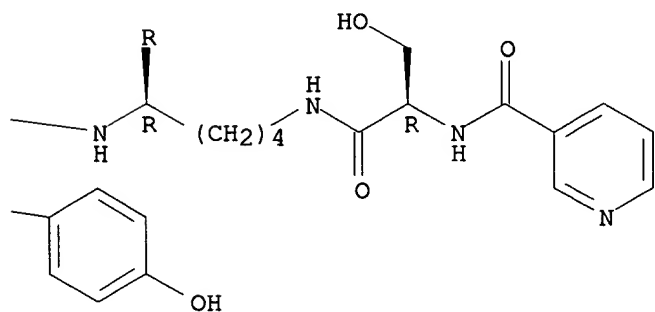
CMF C80 H103 Cl N18 O16

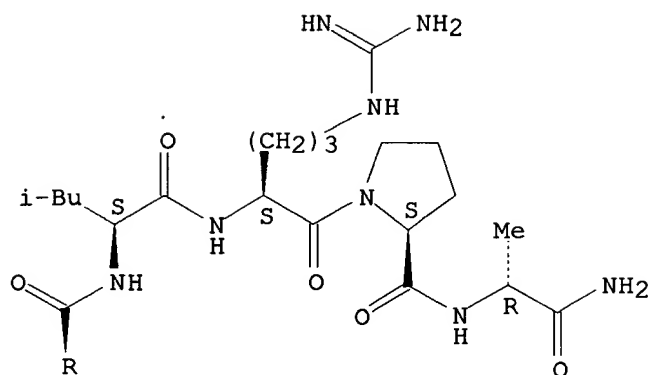
Absolute stereochemistry.

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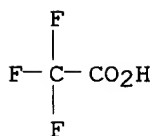




CM 2

CRN 76-05-1

CMF C2 H F3 O2



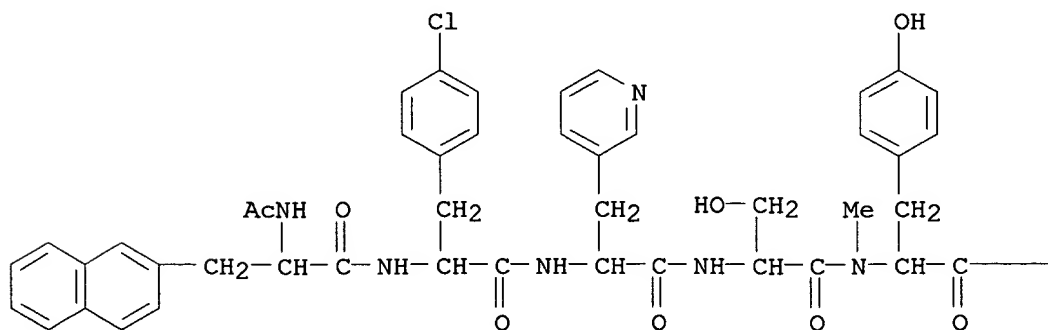
RN 163437-66-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-D-seryl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

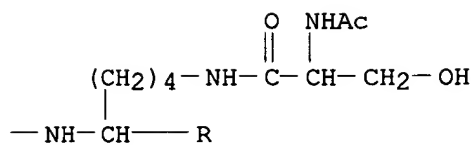
CM 1

CRN 163437-65-8

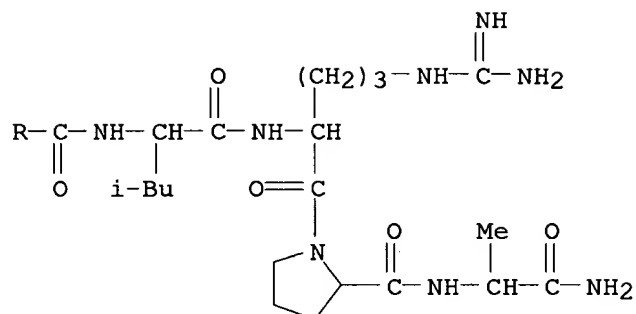
CMF C76 H102 Cl N17 O16



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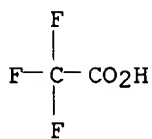
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-67-0 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-L-seryl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

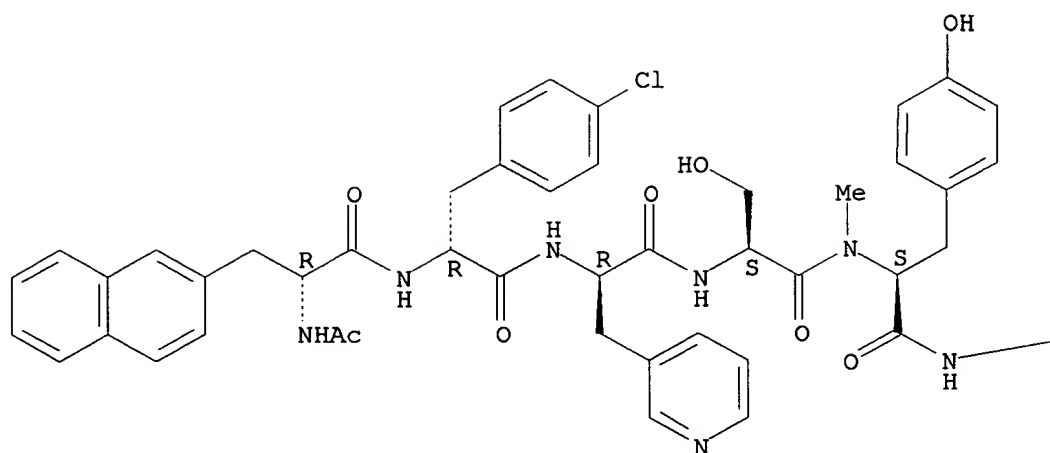
CM 1

CRN 163333-68-4

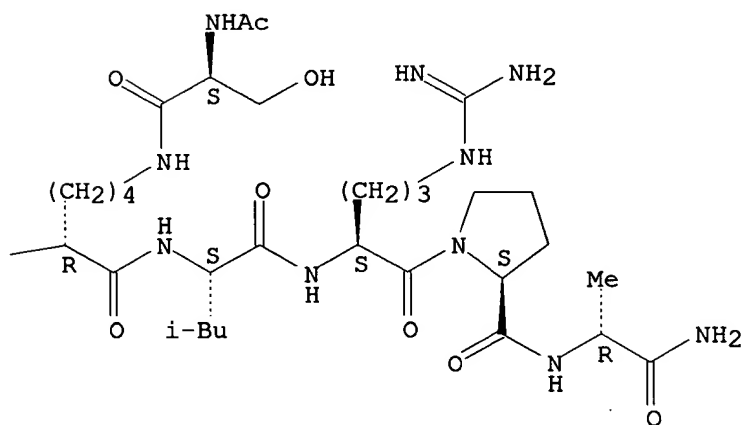
CMF C76 H102 Cl N17 O16

Absolute stereochemistry.

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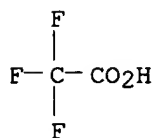
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



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RN 163437-68-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

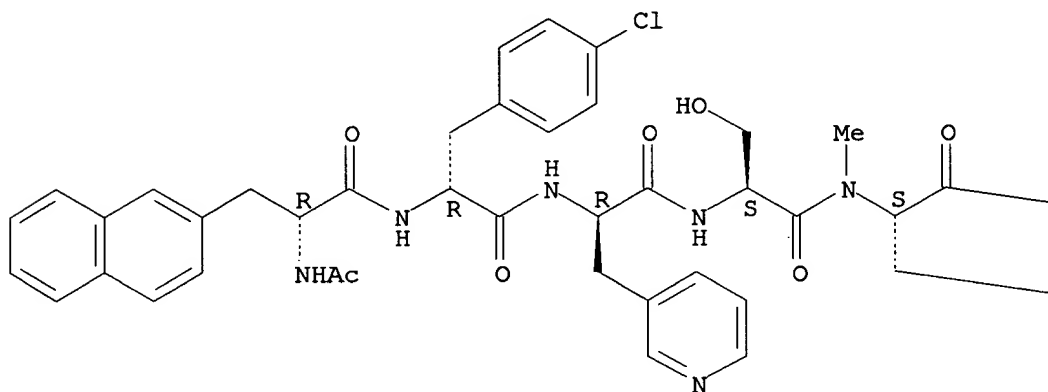
CM 1

CRN 163333-70-8

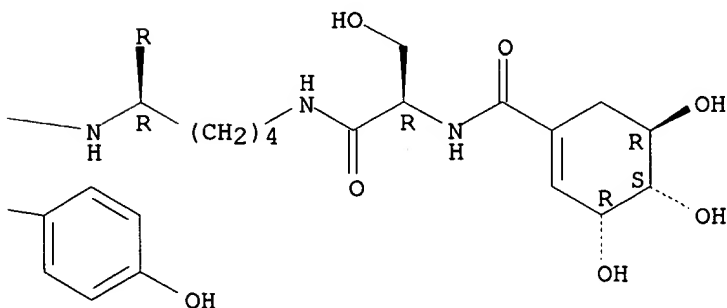
CMF C81 H108 Cl N17 O19

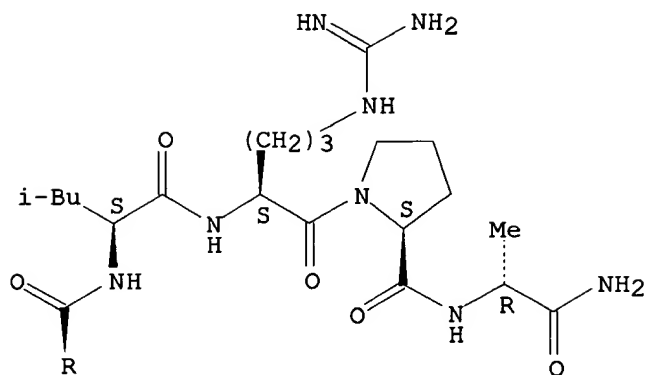
Absolute stereochemistry.

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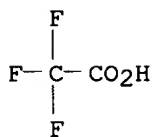




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-69-2 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-D-seryl)-D-lysyl-L-leucyl-L-arginyl-trans-4-hydroxy-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

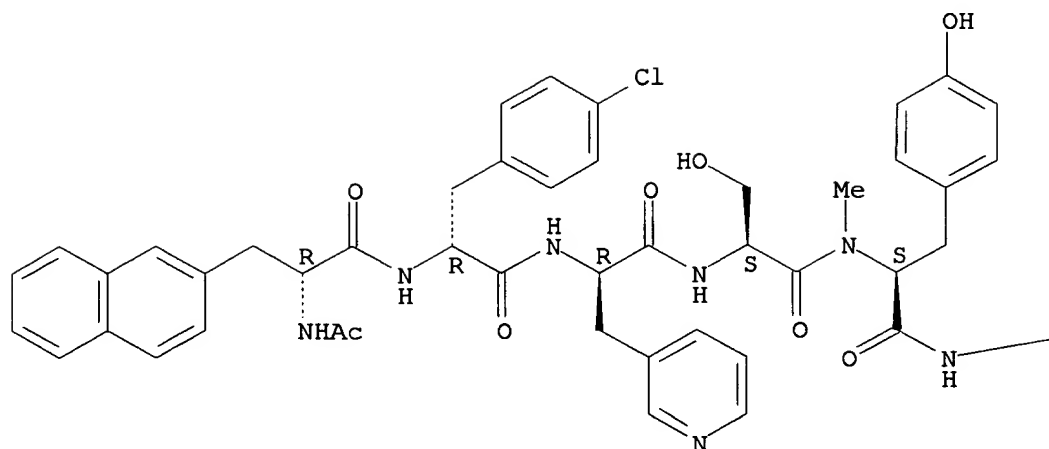
CM 1

CRN 163333-71-9

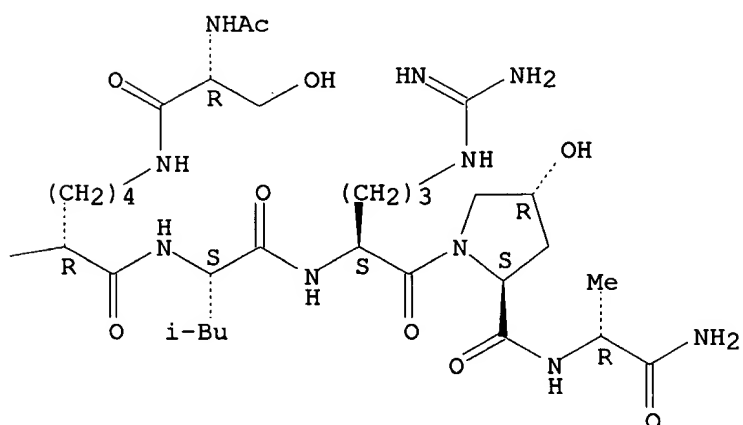
CMF C76 H102 Cl N17 O17

Absolute stereochemistry.

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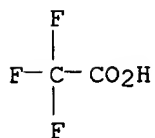
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/596,086

RN 163437-70-5 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-(2-furanylcarbonyl)-D-seryl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

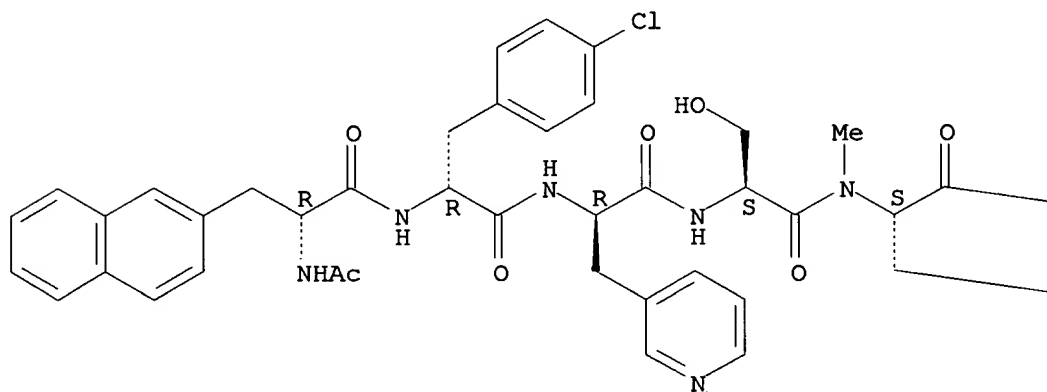
CM 1

CRN 163333-73-1

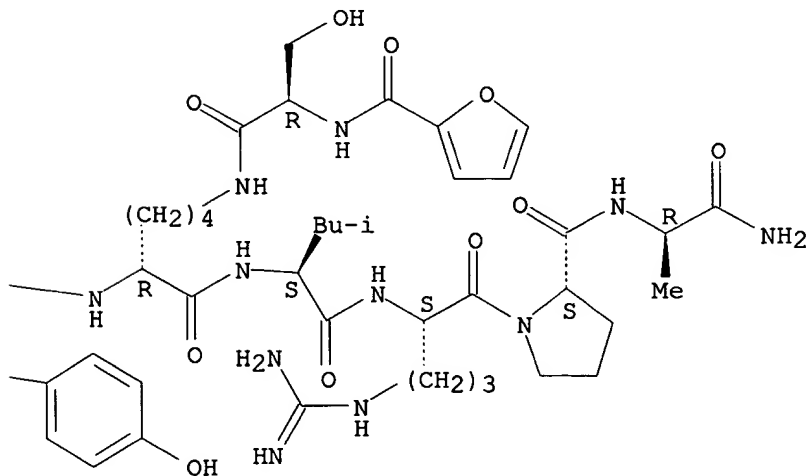
CMF C79 H102 Cl N17 O17

Absolute stereochemistry.

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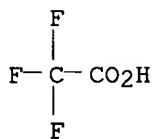
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CM 2

09/596,086

CRN 76-05-1
CMF C2 H F3 O2



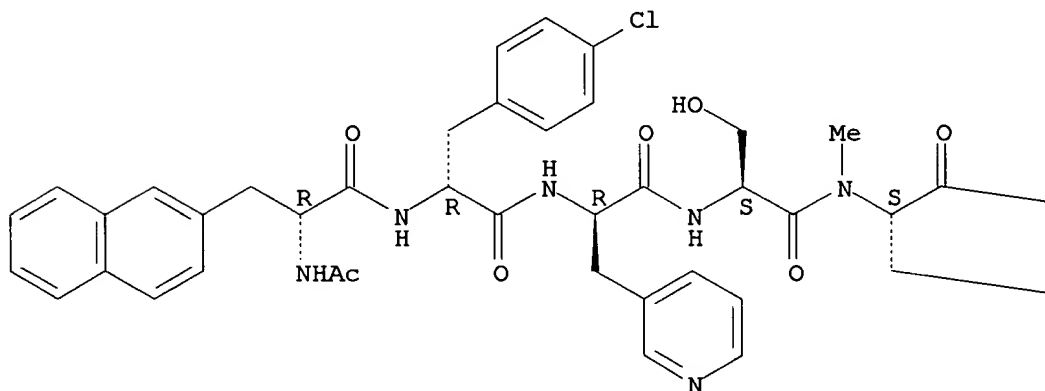
RN 163437-71-6 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]glycyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

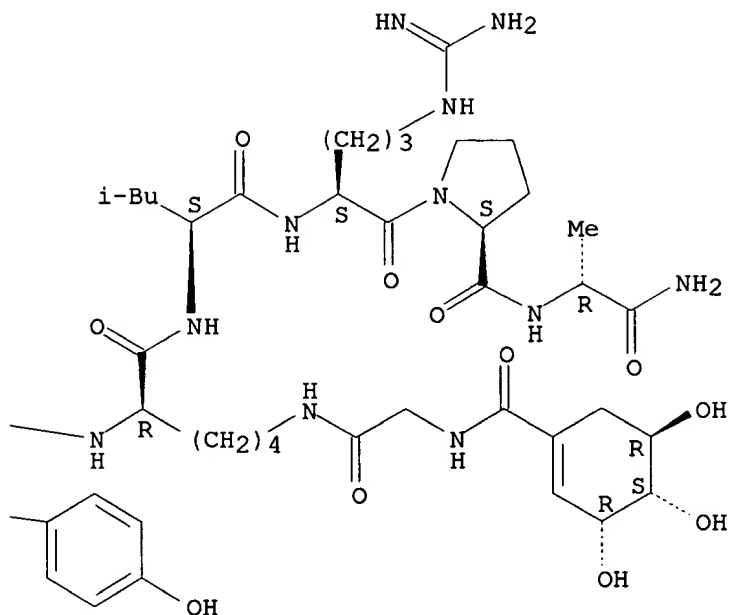
CM 1

CRN 163333-74-2
CMF C80 H106 Cl N17 O18

Absolute stereochemistry.

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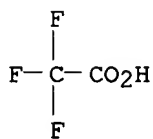




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-72-7 CAPLUS

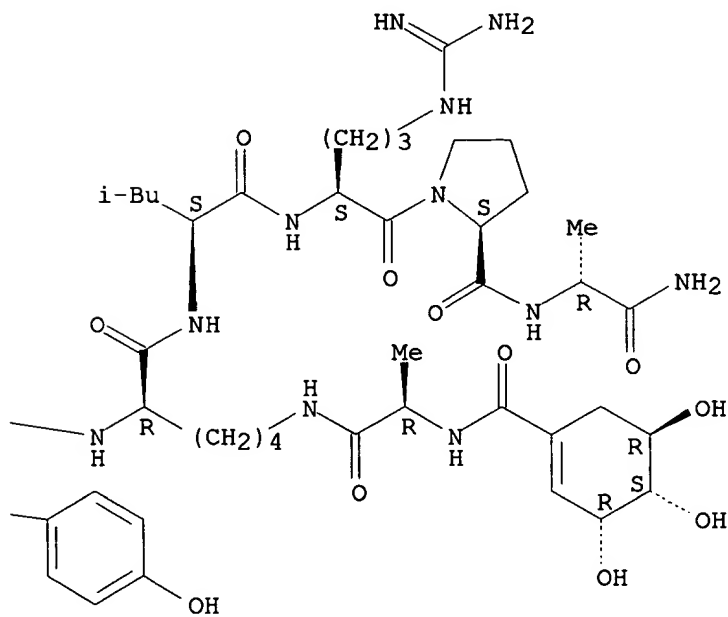
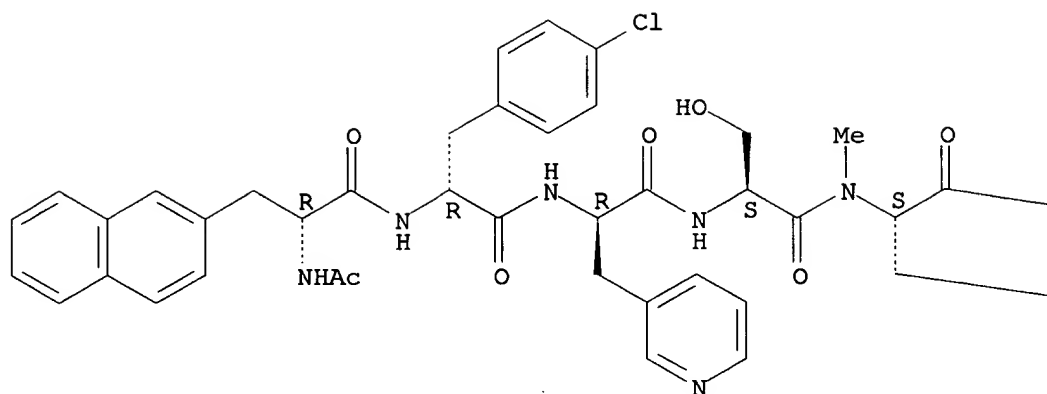
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl) carbonyl]-D-alanyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163334-82-5

CMF C81 H108 Cl N17 O18

Absolute stereochemistry.

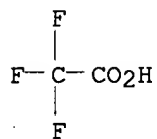


CM 2

CRN 76-05-1

09/596,086

CMF C2 H F3 O2



RN 163437-73-8 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[N-[(3,4,5-trihydroxy-1-cyclohexen-1-yl)carbonyl]-D-threonyl]-D-lysyl-L-leucyl-L-arginyl-L-prolyl-, [3R-(3 α ,4 α ,5 β)]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

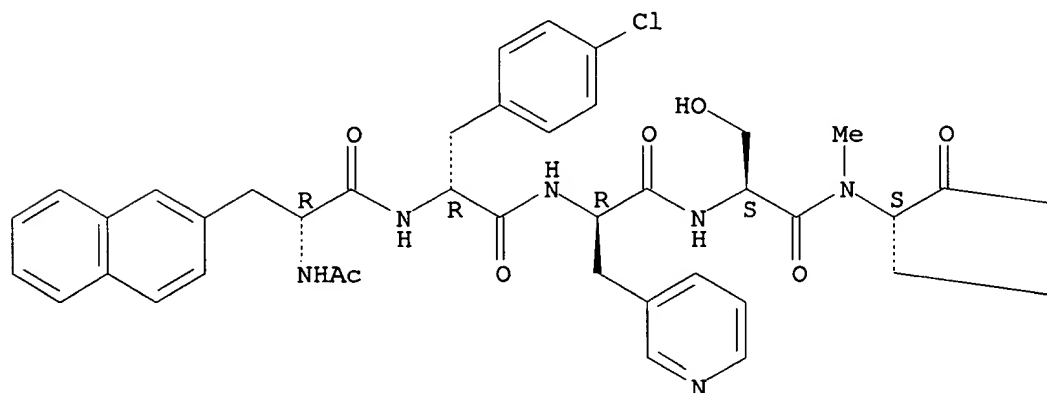
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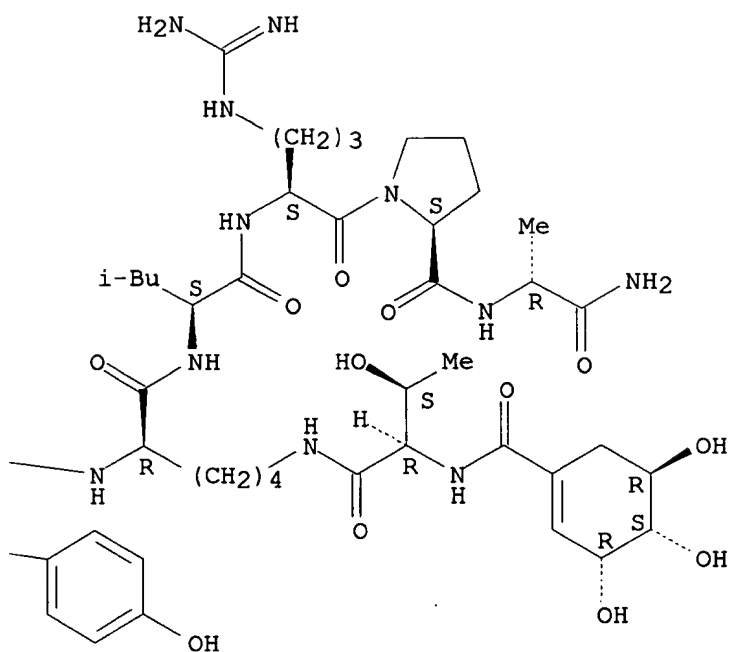
CRN 163334-85-8

CMF C82 H110 Cl N17 O19

Absolute stereochemistry.

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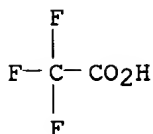




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-74-9 CAPLUS

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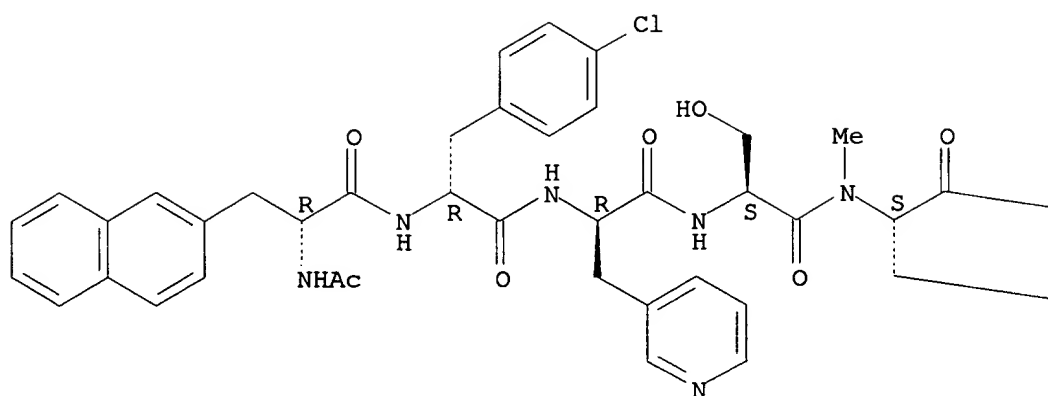
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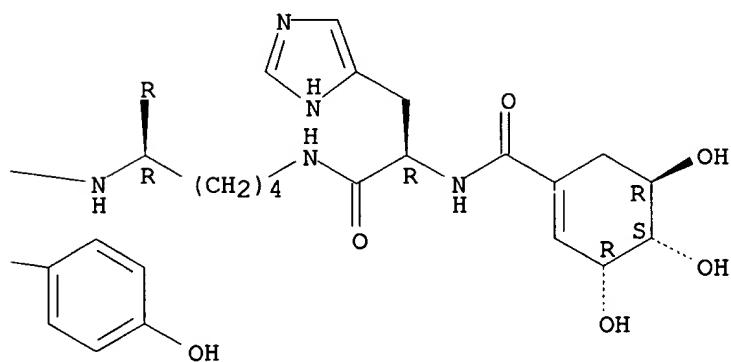
CMF C84 H110 Cl N19 O18

Absolute stereochemistry.

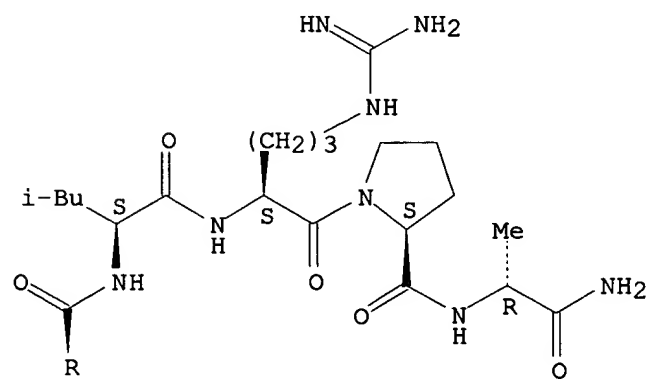
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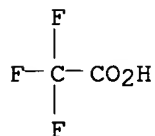
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CM 2

09/596,086

CRN 76-05-1
CMF C2 H F3 O2



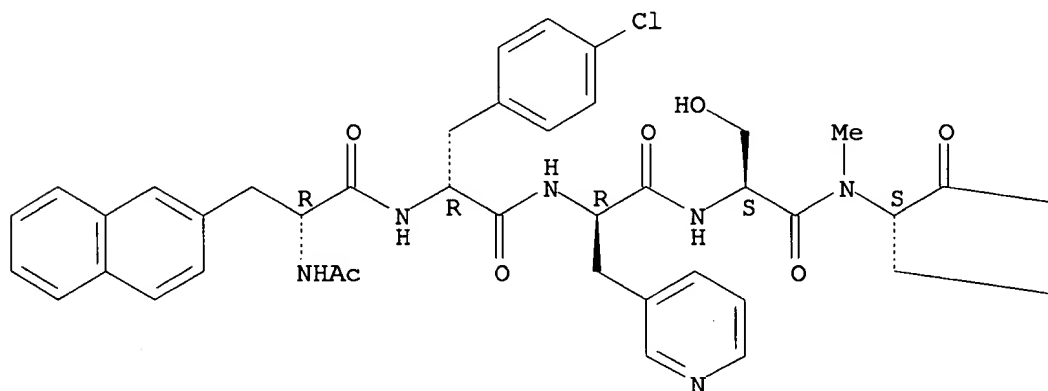
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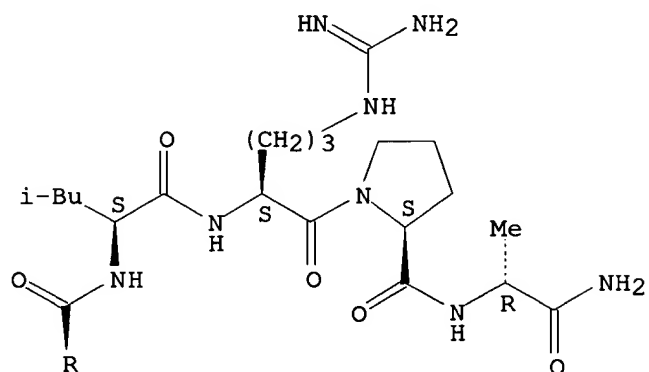
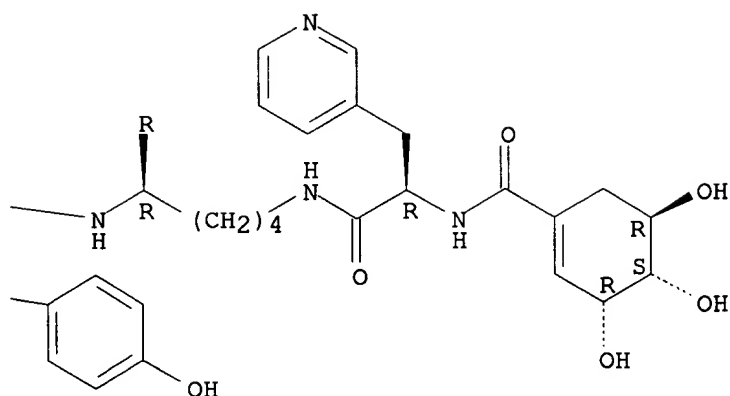
CM 1

CRN 163334-87-0
CMF C86 H111 Cl N18 O18

Absolute stereochemistry.

PAGE 1-A

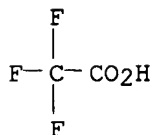




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-77-2 CAPLUS

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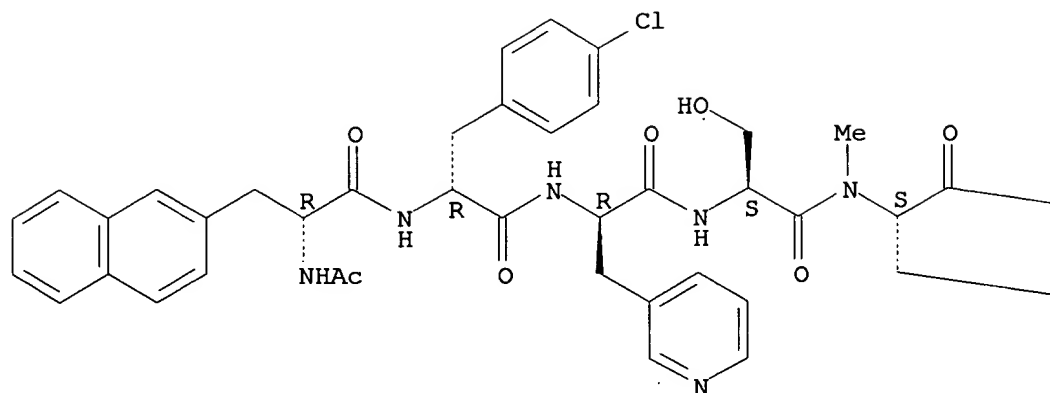
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09/596,086

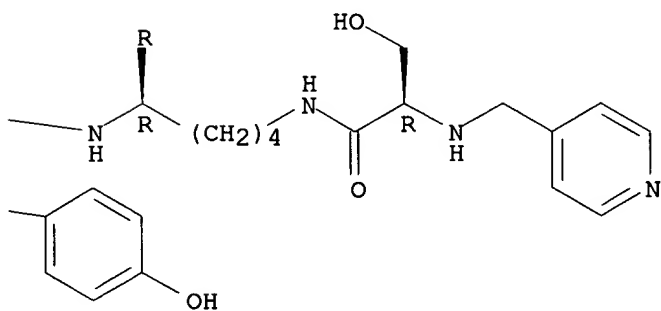
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Absolute stereochemistry.

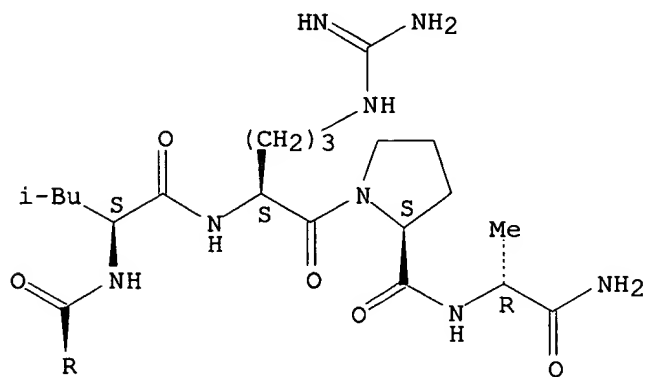
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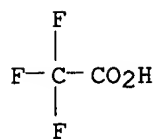
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-78-3 CAPLUS

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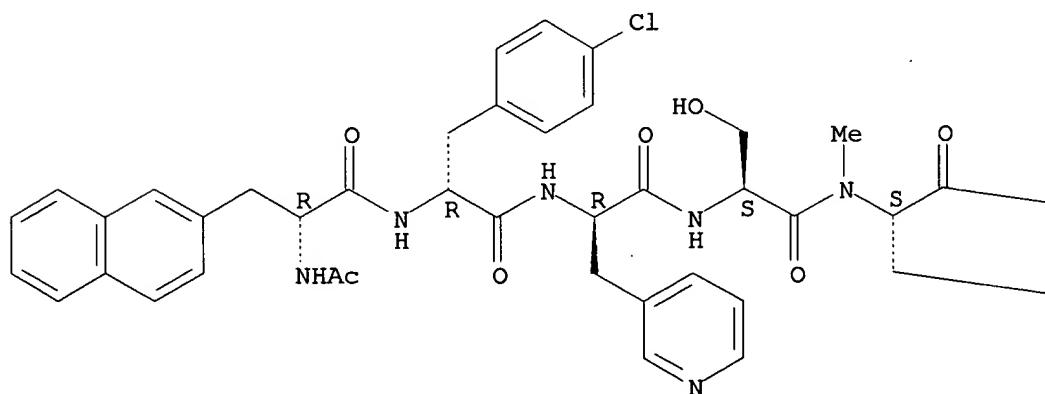
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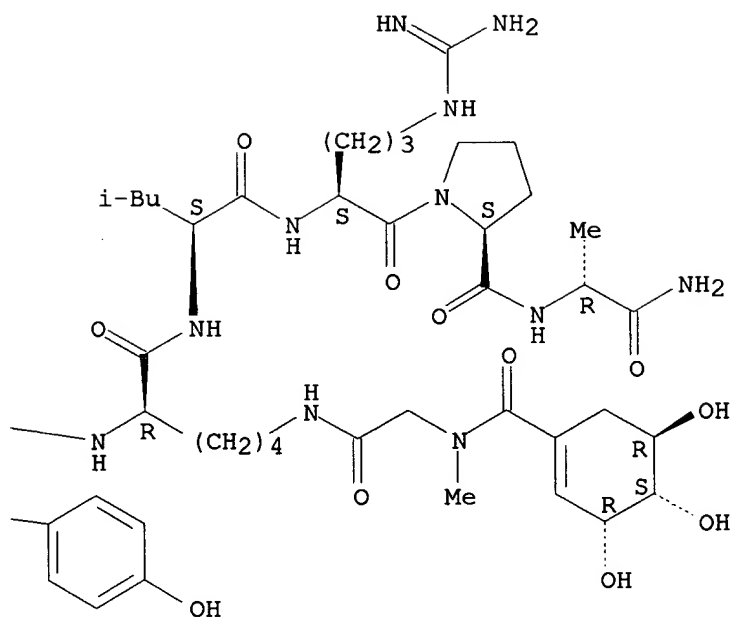
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CMF C81 H108 Cl N17 O18

Absolute stereochemistry.

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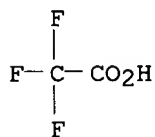




CM 2

CRN 76-05-1

CMF C2 H F3 O2



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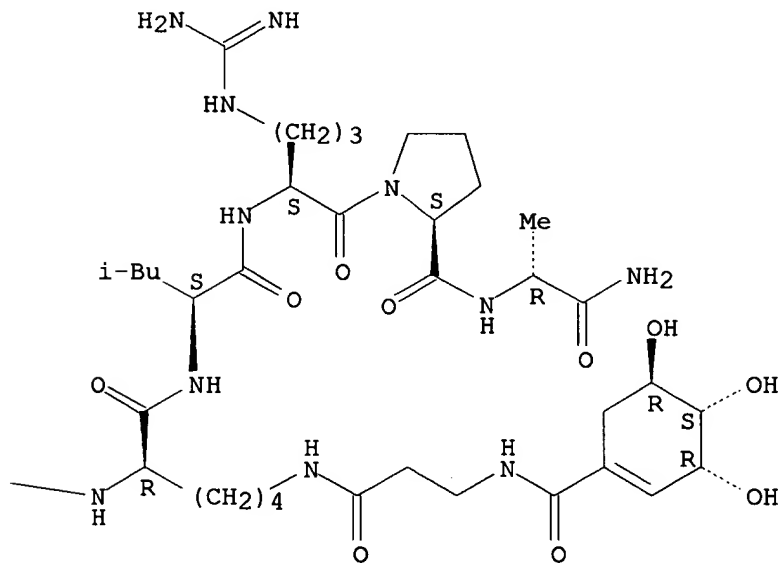
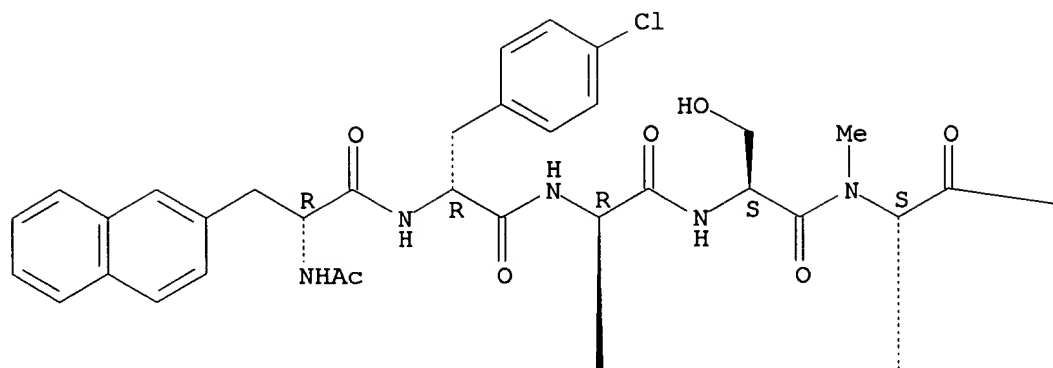
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CM 1

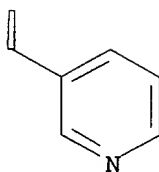
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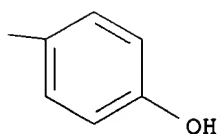
Absolute stereochemistry.



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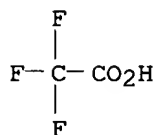
PAGE 2-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-80-7 CAPLUS .

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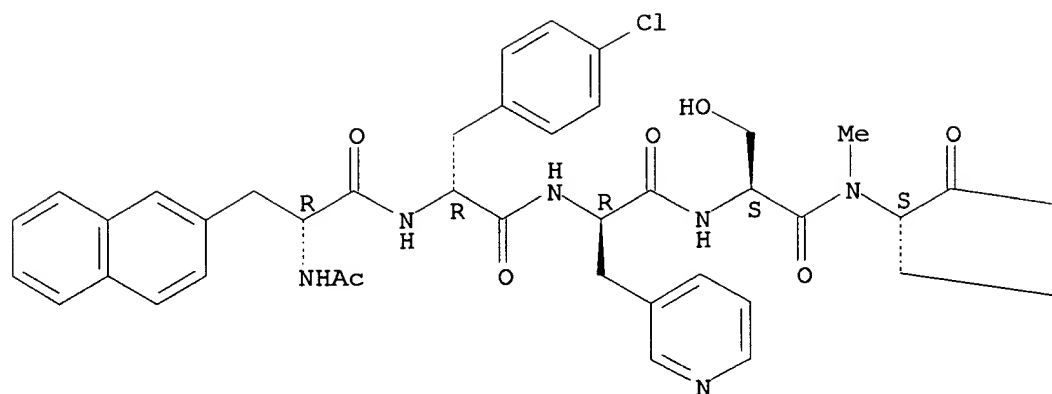
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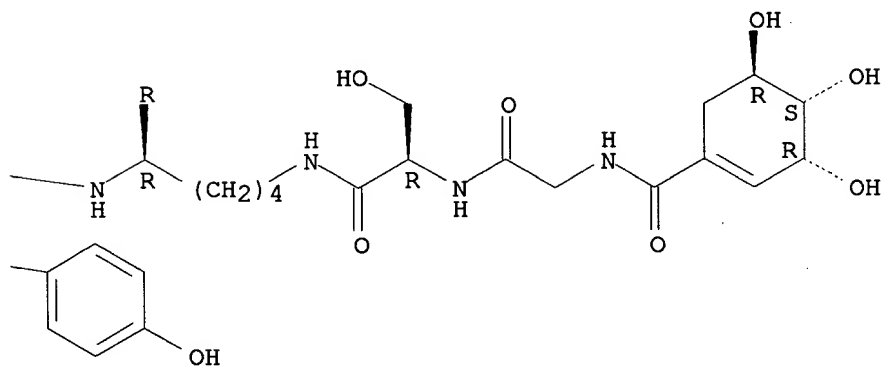
CMF C83 H111 Cl N18 O20

Absolute stereochemistry.

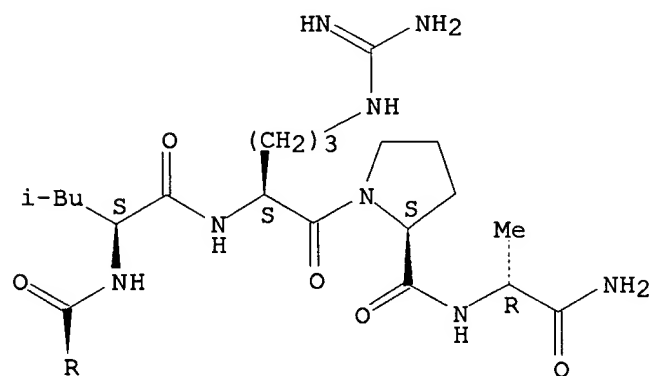
PAGE 1-A



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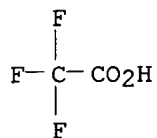
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CM 2

09/596,086

CRN 76-05-1
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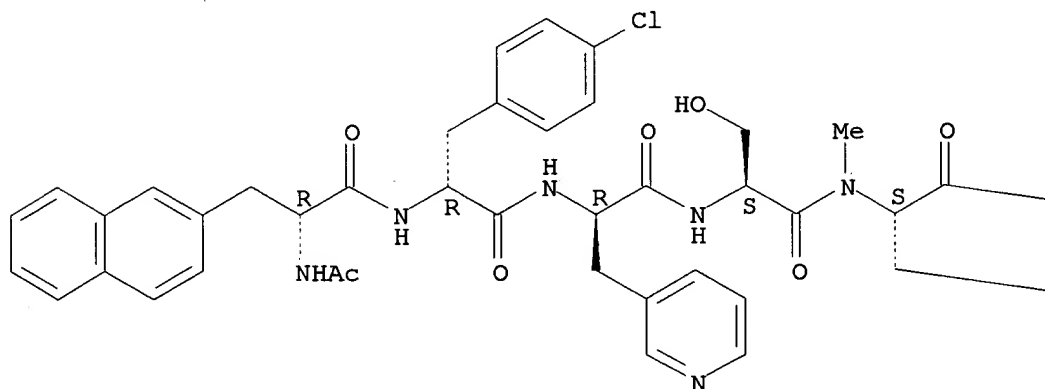
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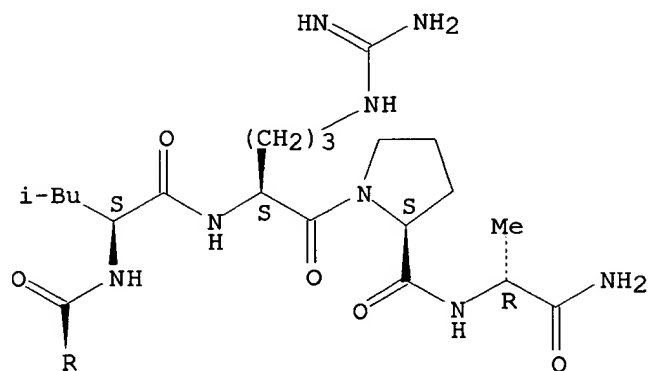
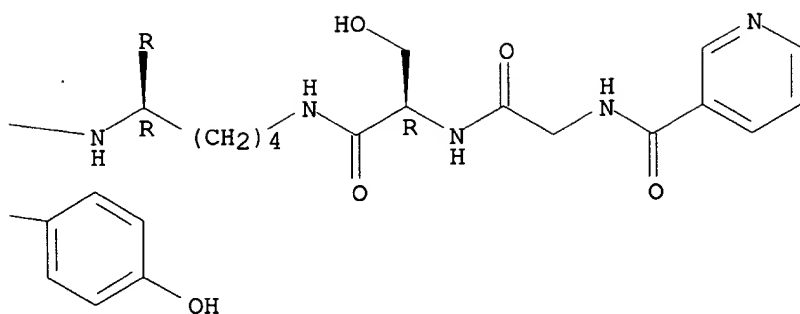
CM 1

CRN 163335-12-4
CMF C82 H106 Cl N19 O17

Absolute stereochemistry.

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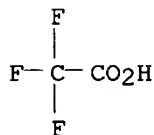




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-82-9 CAPLUS

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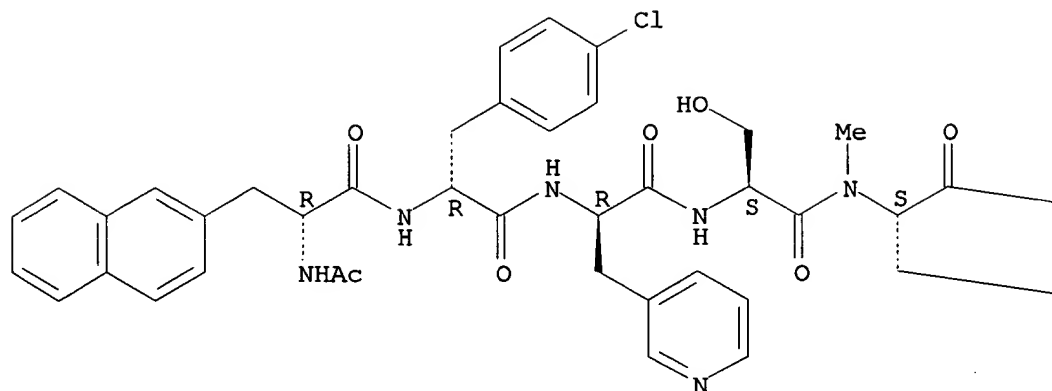
CM 1

09/596,086

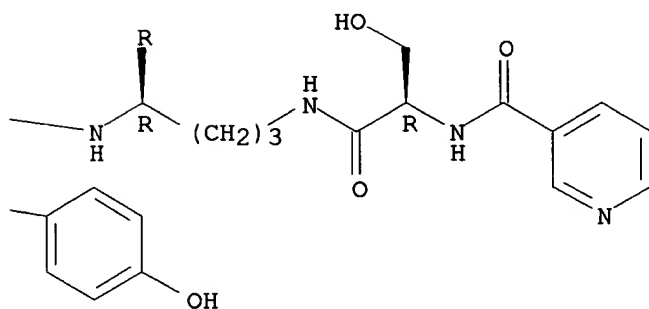
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CMF C79 H101 Cl N18 O16

Absolute stereochemistry.

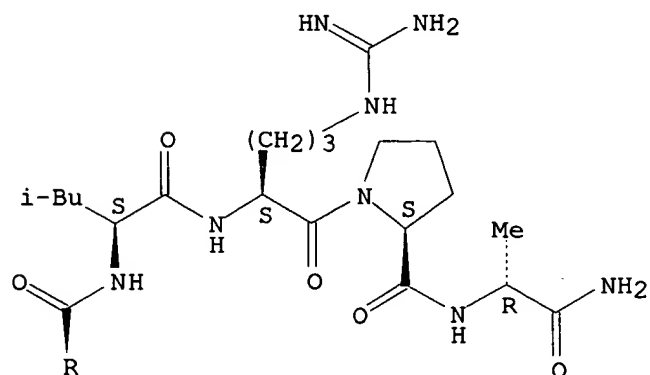
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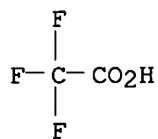
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-83-0 CAPLUS

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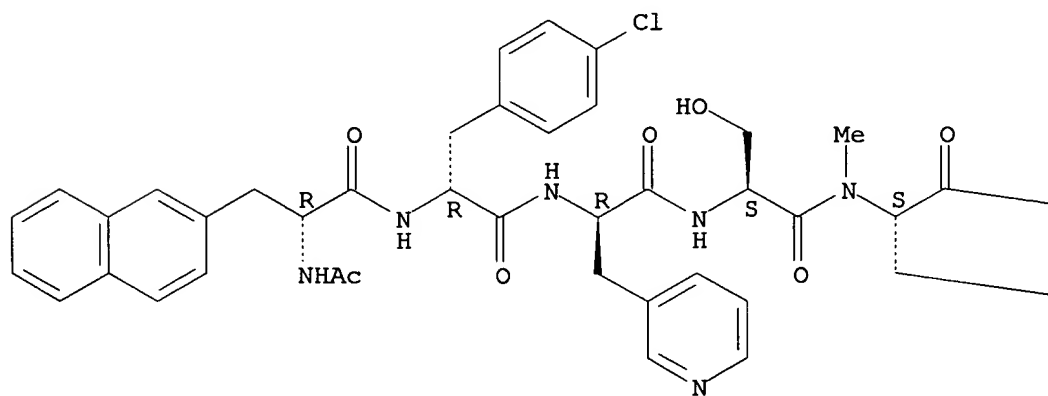
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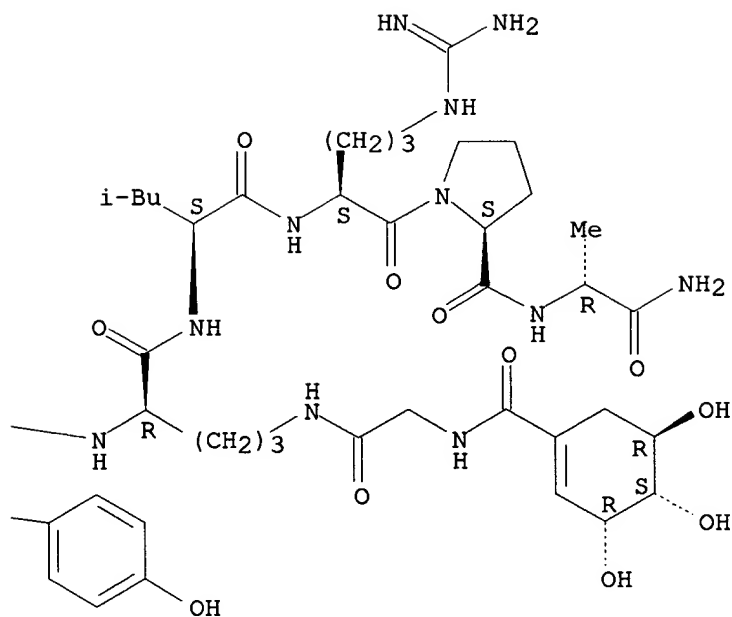
CRN 163334-88-1

CMF C79 H104 Cl N17 O18

Absolute stereochemistry.

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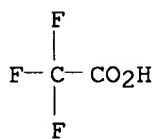




CM 2

CRN 76-05-1

CMF C2 H F3 O2



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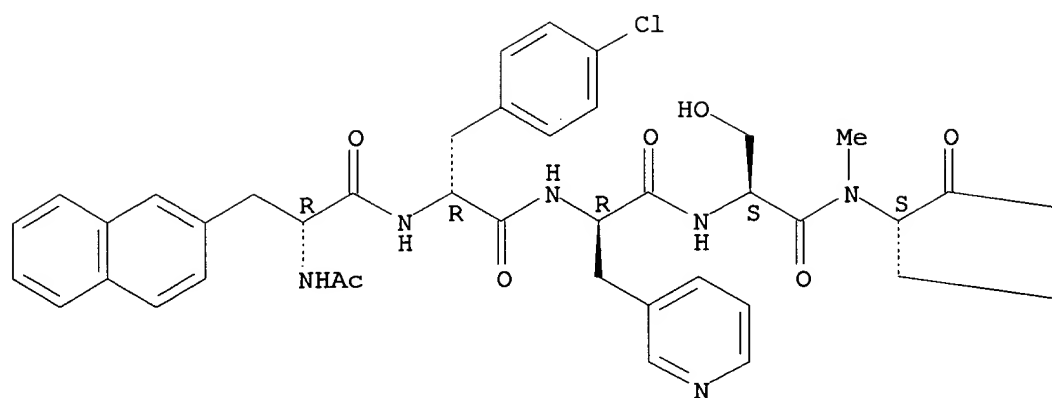
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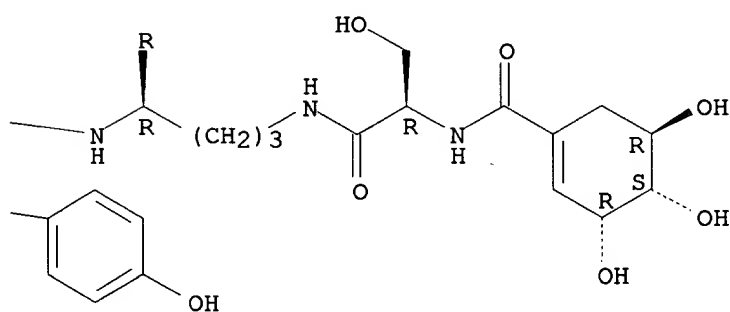
CMF C80 H106 Cl N17 O19

Absolute stereochemistry.

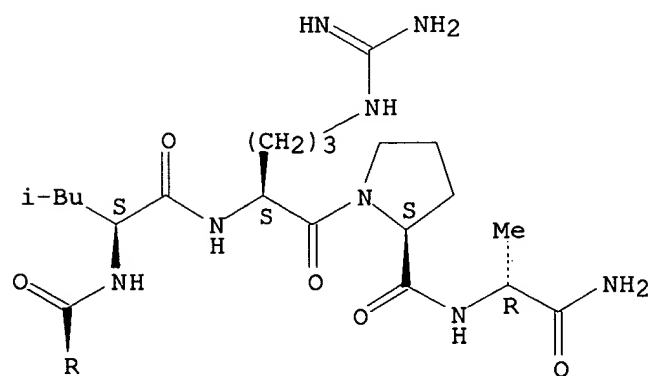
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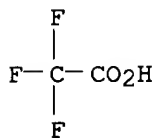
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CM 2

09/596,086

CRN 76-05-1
CMF C2 H F3 O2



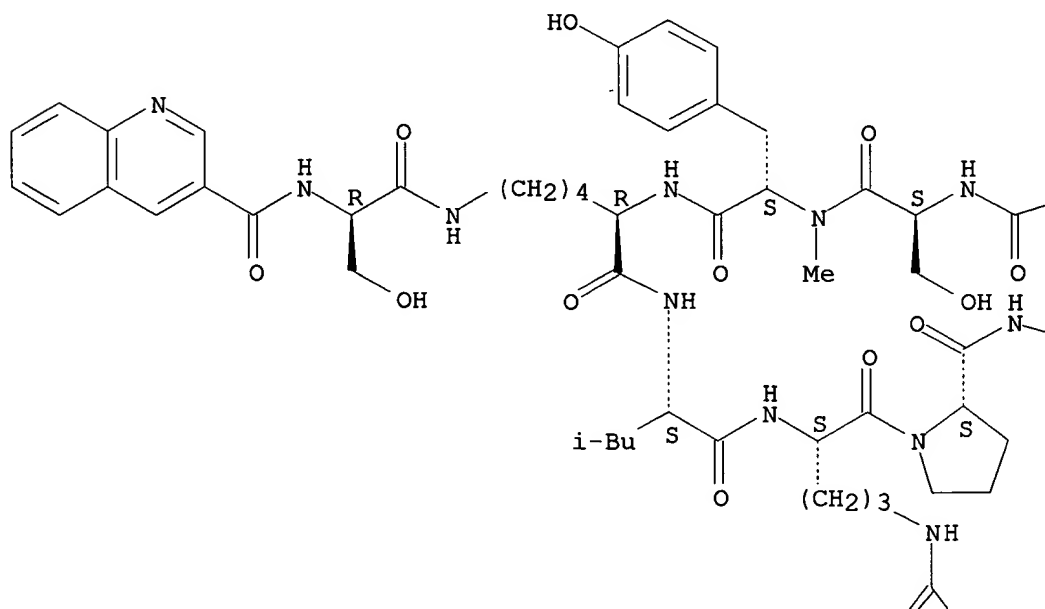
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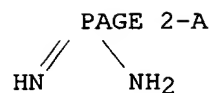
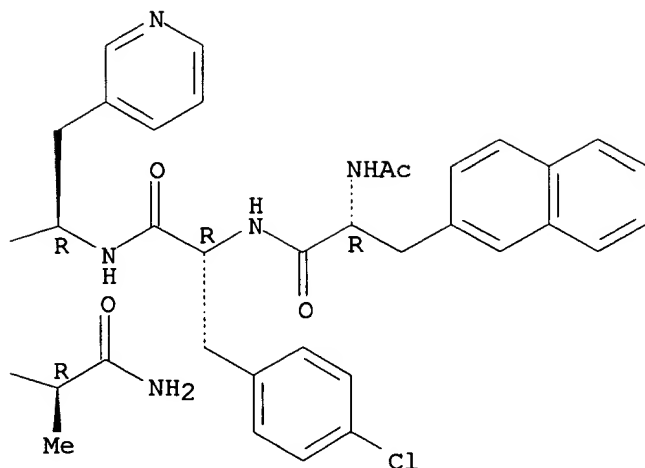
CM 1

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CMF C84 H105 Cl N18 O16

Absolute stereochemistry.

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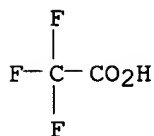




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 163437-86-3 CAPLUS

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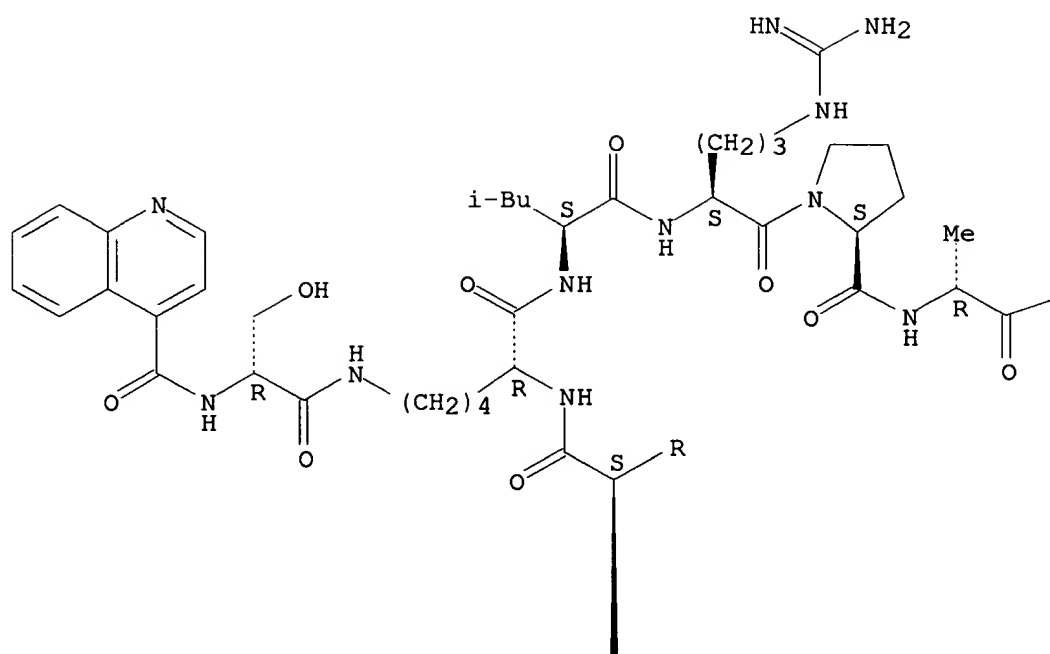
CM 1

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Absolute stereochemistry.

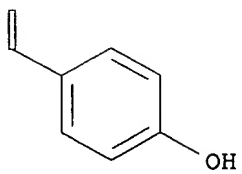
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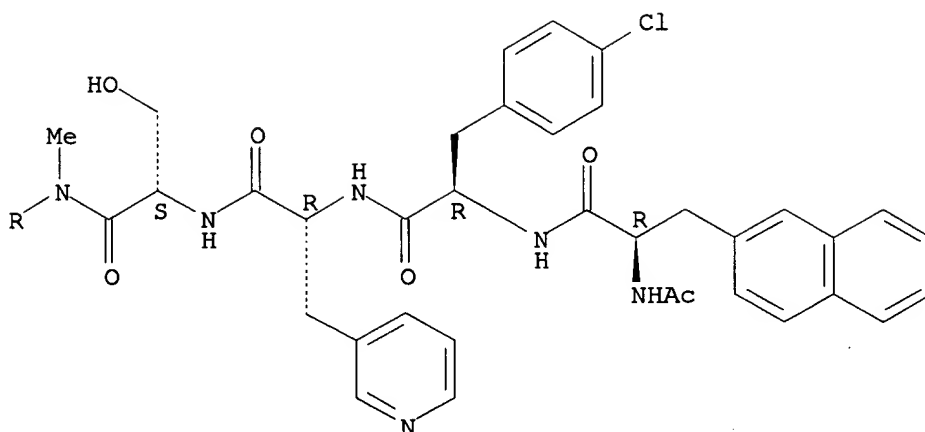


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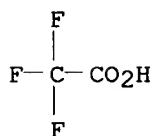




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CRN 76-05-1

CMF C2 H F3 O2



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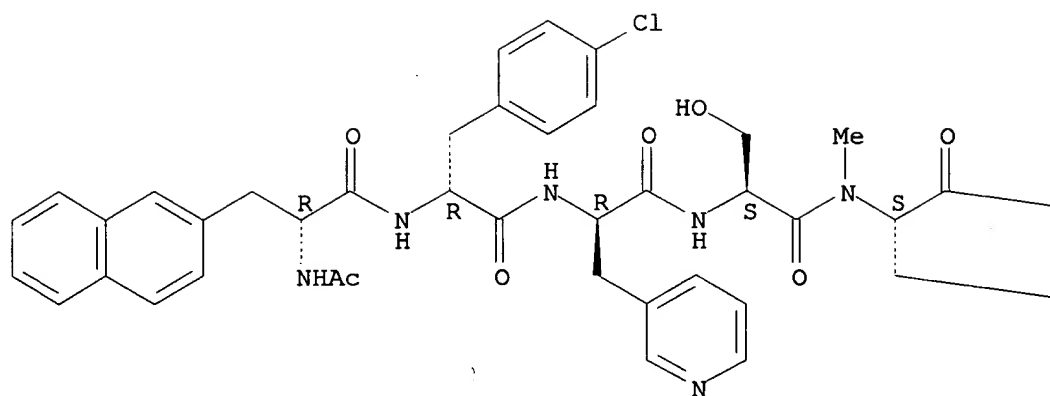
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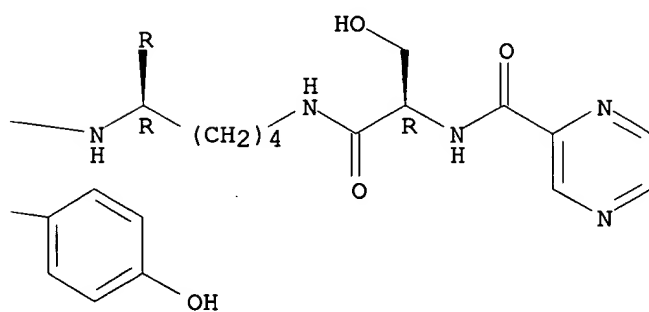
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Absolute stereochemistry.

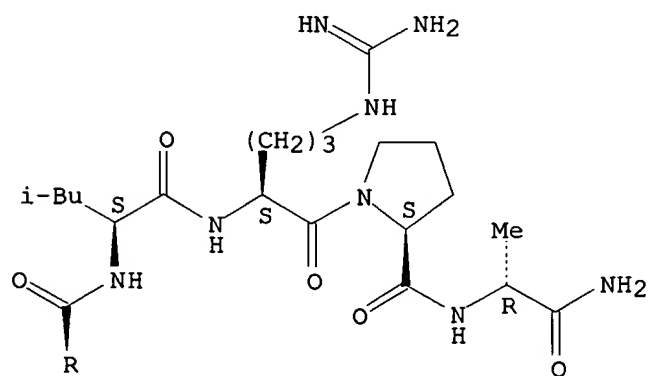
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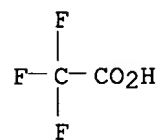


CM 2

09/596,086

CRN 76-05-1

CMF C2 H F3 O2



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ACCESSION NUMBER: 1995:503629 CAPLUS

DOCUMENT NUMBER: 123:48054

TITLE: Antiovulatory antagonists of LHRH related to antide

AUTHOR(S): Flouret, George; Arnold, Zdzislaw S.; Majewski, Tadeusz; Petousis, Nikolaos H.; Mahan, Kevin; Farooqui, Firdous; Blum, Katherine A.; Konopinska, Danuta; Natarajan, Swaminathan; Crich, David

CORPORATE SOURCE: Dep. Physiology, Northwestern Univ. Med. Sch., Chicago, IL, USA

SOURCE: Journal of Peptide Science (1995), 1(2), 89-105
CODEN: JPSIET; ISSN: 1075-2617

PUBLISHER: Wiley

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors report 104 analogs of the potent antiovulatory antagonist of LHRH, N-Ac-D-Nal-D-Nal-D-Cpa-D-Pal-Ser-Lys(Nic)-D-Lys(Nic)-Leu-Llys-Pro-D-Ala-NH₂, antide. The authors replaced the Nic group in Antide with other acyl substituents to modulate size, hydrophilicity or basicity of the mol., the authors also replaced the Lys residues with shorter basic amino acids, and made cyclic 5/6 analogs as well as position 5 or 6 dimers. The authors substituted Llys8 with other alkyl groups and acyl derivs. When injected in 0.1% DMSO in water in a typical antiovulatory (AO) assay, Antide gives six rats ovulating out of eight (6/8) to 2 µg, 4/8 at 4 µg, and in the histamine release assay (HRA), ED₅₀ is > 300 µg/mL; [Lys(N-isobutyl)8]antide gave 2/8 at 2 µg/rat; [Lys(8-Qis)5]Antide gave 1/8 at 1 µg, and 0.8 at 2 µg, and in the HRA ED₅₀, 22 µg/mL; [D-Lys(8-Qis)6]Antide gave 4/8 at 1 µg and 0/8 at 2 µg, and in the HRA, ED₅₀ was 100 - >300 µg/mL; [Lys(2-Pyc)5, D-Lys(2-Pyc)6]Antide gave 2/8 at 1 µg. The substitutions of the Nic groups of Antide at Lys5 or D-lys6 with 8-Qis or with 2-Pyc groups seem to give highly potent antiovulatory antagonists of LHRH and constitute significant new leads to generate potent antiovulatory compds. with moderate or low histamine release.

IT **164360-70-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

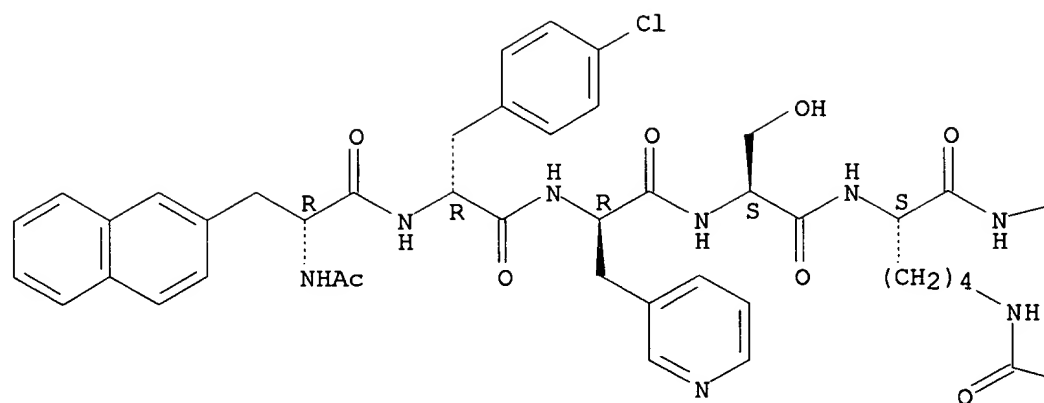
(LH-RH analogs preparation, antiovulatory and histamine-releasing activity and physicochem. properties)

RN 164360-70-7 CAPLUS

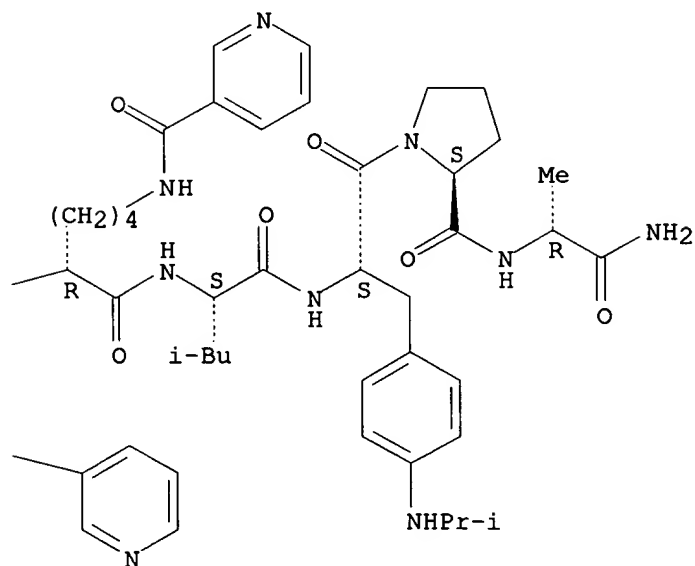
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-(3-pyridinylcarbonyl)-L-lysyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-4-[(1-methylethyl)amino]-L-phenylalanyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



09/596,086

~~126~~ ANSWER 134 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:451714 CAPLUS

DOCUMENT NUMBER: 122:240448

TITLE: Preparation of antiretroviral peptide hydrazine derivatives.

INVENTOR(S): Faessler, Alexander; Bold, Guido; Lang, Marc; Bhagwat, Shripad

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 110 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 604368	A1	19940629	EP 1993-810879	19931214
EP 604368	B1	19960918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 143004	E	19961015	AT 1993-810879	19931214
ES 2093394	T3	19961216	ES 1993-810879	19931214
AU 9352479	A1	19940707	AU 1993-52479	19931217
AU 672448	B2	19961003		
FI 9305753	A	19940624	FI 1993-5753	19931220
CA 2112047	AA	19940624	CA 1993-2112047	19931221
ZA 9309610	A	19940623	ZA 1993-9610	19931222
NO 9304774	A	19940624	NO 1993-4774	19931222
NO 180442	B	19970113		
NO 180442	C	19970423		
JP 06279386	A2	19941004	JP 1993-324735	19931222
CN 1093701	A	19941019	CN 1993-112990	19931222
HU 66494	A2	19941128	HU 1993-3722	19931222
PRIORITY APPLN. INFO.:			CH 1992-3942	A 19921223

OTHER SOURCE(S): MARPAT 122:240448

AB R1R2NCR3R4CR5R6CH2NR7NR8R9 [R1, R9 = H, acyl (substituted) alkyl, sulfo, alkylsulfonyl, arylsulfonyl, heterocyclylsulfonyl; ≤ 1 of R1, R9 = H; R2, R8 = H, (substituted) alkyl; R3, R4 = H, (substituted) alkyl, cycloalkyl, aryl; R5 = acyloxy; R6 = H; R7 = (substituted) alkyl, cycloalkyl, aryl; with addnl. provisos], were prepared Thus, 1-[2(S)-acetoxy-3(S)-[N-(2-methoxyethoxycarbonyl)valyl]amino-4-phenylbutyl]-1-[cyclohexylmethyl]-2-[N-(2-methoxyethoxycarbonyl)valyl]hydrazine was prepared via coupling of N-(2-methoxyethoxycarbonyl)valine with 3(S)-amino-4-phenyl-1-(N-cyclohexylmethylhydrazino)butan-2(s)-ol hydrochloride in DMF using BOP/HOBT/NMM. Title compds. inhibited HIV-1 and HIV-2 gag protease at 10⁻⁶-10⁻⁹ M.

IT **149266-98-8P 149267-03-8P 149267-25-4P**

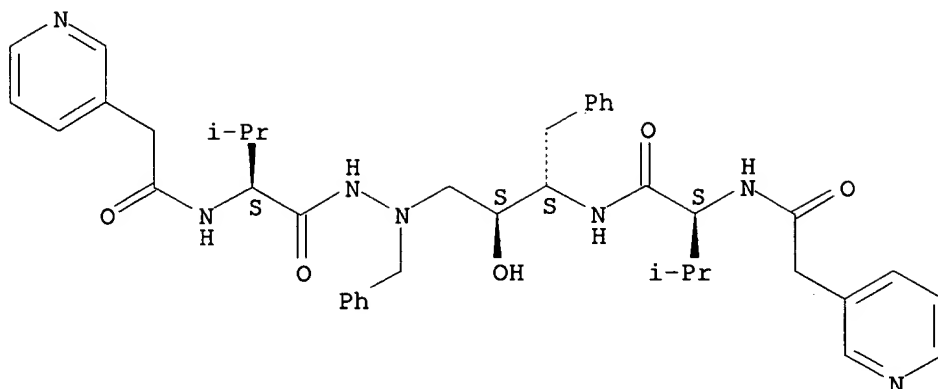
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of, as intermediate for HIV gag protease inhibitor)

RN 149266-98-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

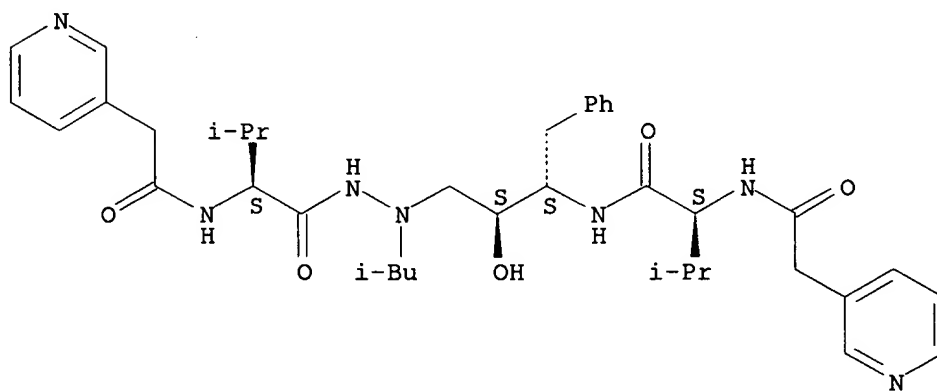
Absolute stereochemistry.



RN 149267-03-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(2-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

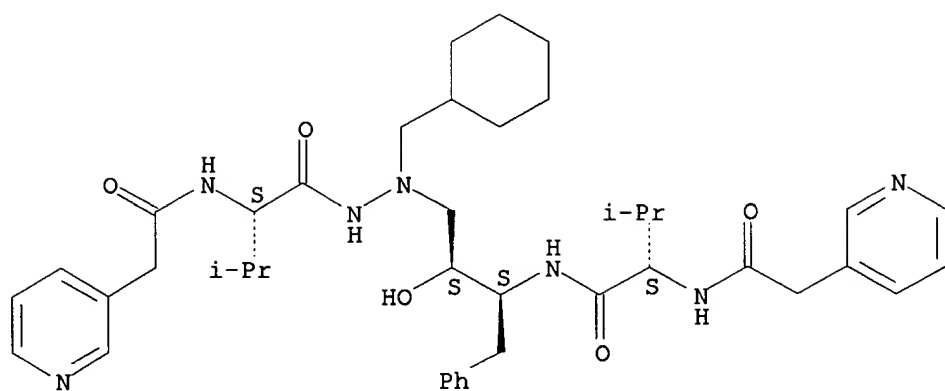
Absolute stereochemistry.



RN 149267-25-4 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-(cyclohexylmethyl)-2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



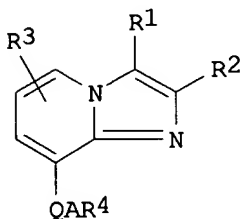
● 3 HCl

09/596,086

~~126~~ ANSWER 135 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:330513 CAPLUS
DOCUMENT NUMBER: 122:105879
TITLE: Preparation of imidazo[1,2-a]pyridines as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Yuki, Sawada; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 596406	A1	19940511	EP 1993-117474	19931028
EP 596406	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9350242	A1	19940512	AU 1993-50242	19931026
AU 686115	B2	19980205		
ZA 9308011	A	19940609	ZA 1993-8011	19931027
IL 107426	A1	19970713	IL 1993-107426	19931027
AT 174596	E	19990115	AT 1993-117474	19931028
ES 2125294	T3	19990301	ES 1993-117474	19931028
CA 2102137	AA	19940503	CA 1993-2102137	19931101
CN 1089947	A	19940727	CN 1993-119684	19931101
HU 66302	A2	19941128	HU 1993-3119	19931102
JP 07300478	A2	19951114	JP 1993-274643	19931102
JP 2763036	B2	19980611		
US 5574042	A	19961112	US 1995-441786	19950516
US 5750699	A	19980512	US 1996-662198	19960612
PRIORITY APPLN. INFO.:			GB 1992-22947	A 19921102
			GB 1993-4249	A 19930303
			US 1993-142967	B2 19931029
			US 1994-235632	B1 19940429
			US 1995-441786	A3 19950516

OTHER SOURCE(S): MARPAT 122:105879
GI



I

AB Title compds. [I; R1 = halo; R2, R3 = H, alkyl, haloalkyl, acyl, R4 = aryl having suitable substituent(s), heterocyclyl optionally having suitable substituent(s); Q = O or NR11; R11 = H, acyl; and A = alkylene], were prepared Thus, 8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine was stirred with N-bromosuccinimide in EtOH/dioxane to give

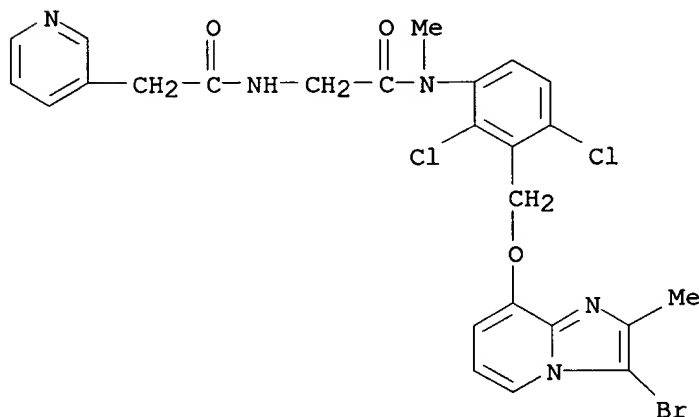
3-bromo-8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine.
I at 10⁻⁵ M gave 95-100% inhibition of 3H-bradykinin binding to guinea pig
ileum prepns.

IT 160642-72-8P 160643-54-9P 160645-05-6P
160645-06-7P 160645-29-4P 160645-48-7P
160645-49-8P 160645-50-1P 160645-51-2P
160646-64-0P 160646-65-1P 160646-69-5P
160646-70-8P 160646-71-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bradykinin antagonist)

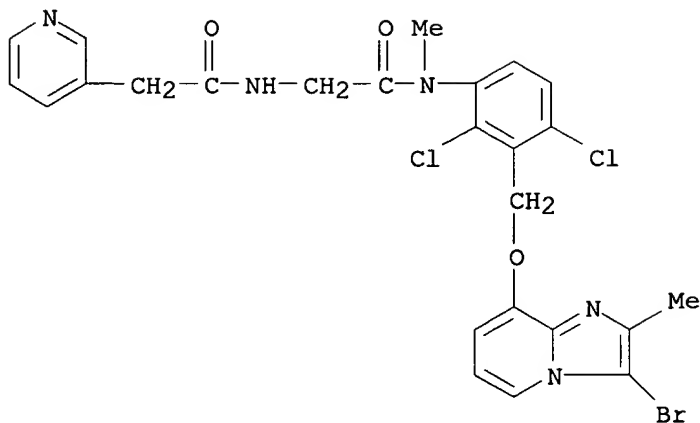
RN 160642-72-8 CAPLUS

CN 3-Pyridineacetamide, N-[2-[[3-[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-
yl)oxy)methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]- (9CI) (CA
INDEX NAME)



RN 160643-54-9 CAPLUS

CN 3-Pyridineacetamide, N-[2-[[3-[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-
yl)oxy)methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-,
dihydrochloride (9CI) (CA INDEX NAME)

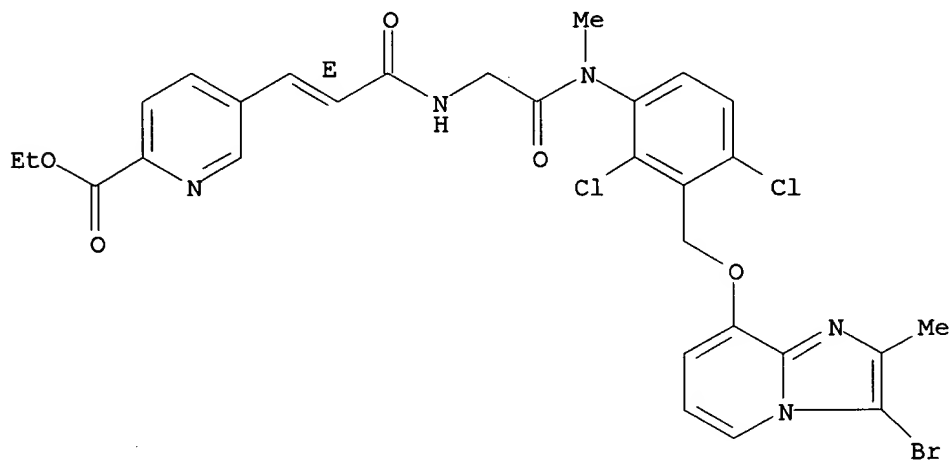


● 2 HCl

RN 160645-05-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)

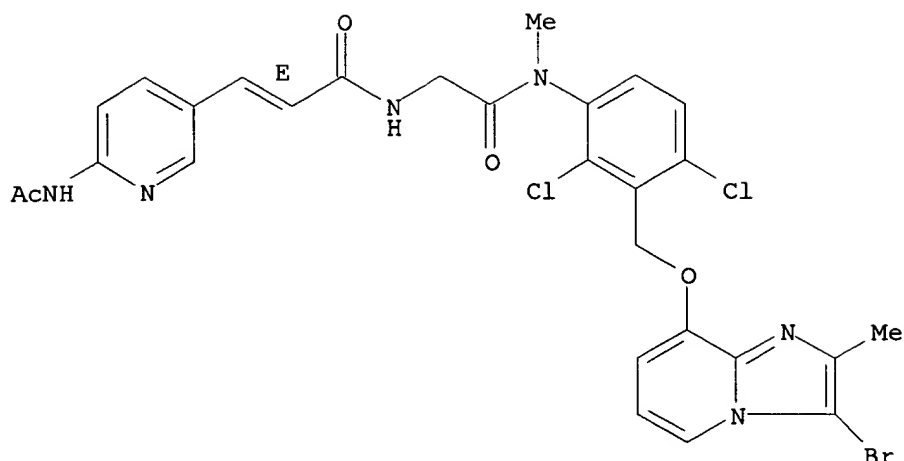
Double bond geometry as shown.



RN 160645-06-7 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

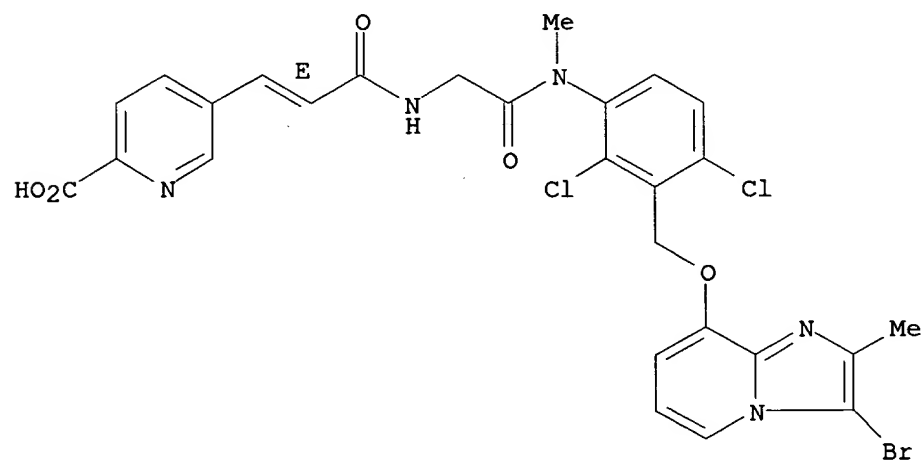
Double bond geometry as shown.



RN 160645-29-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-[[3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]- (9CI)
(CA INDEX NAME)

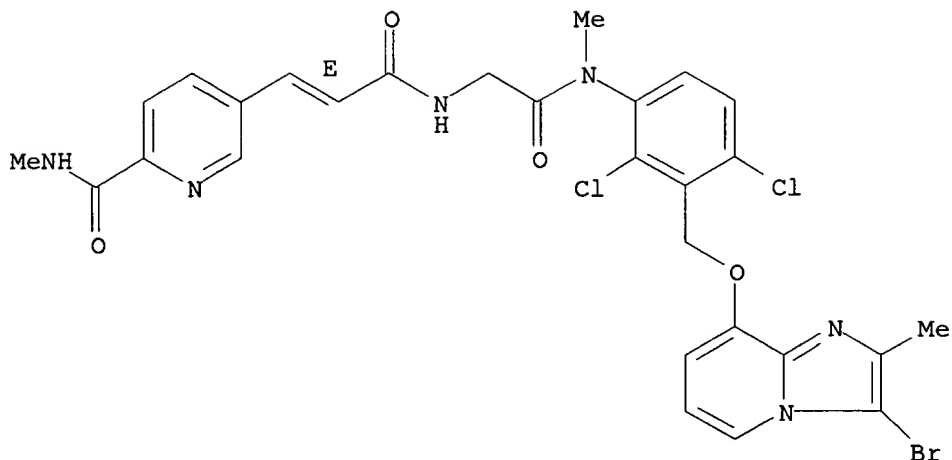
Double bond geometry as shown.



RN 160645-48-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

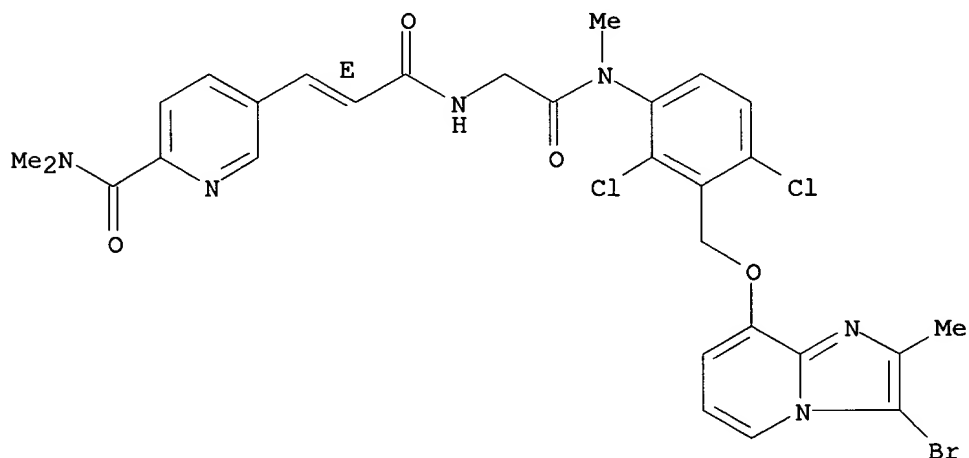
Double bond geometry as shown.



RN 160645-49-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

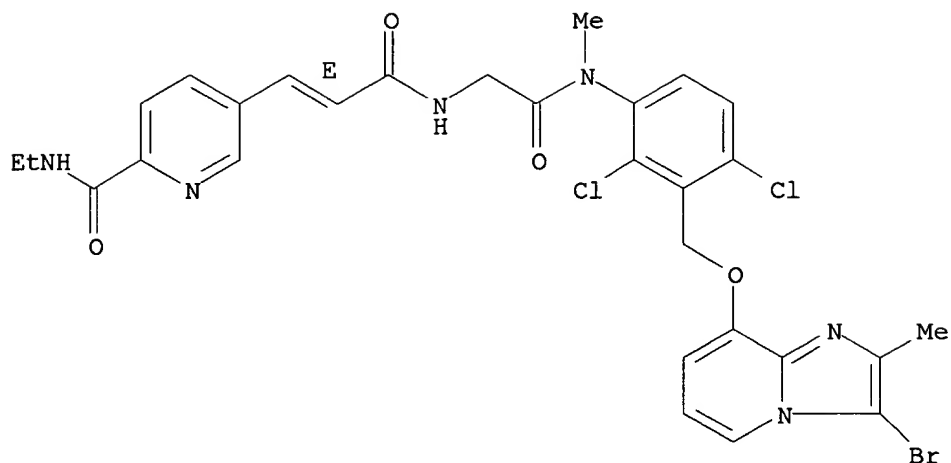
Double bond geometry as shown.



RN 160645-50-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

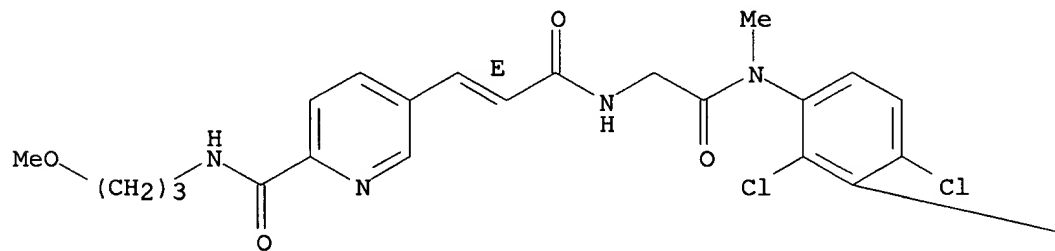


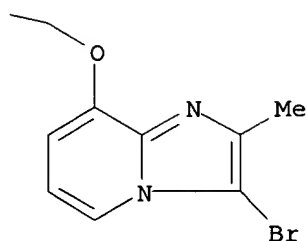
RN 160645-51-2 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[[2-[[[3-[[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(3-methoxypropyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

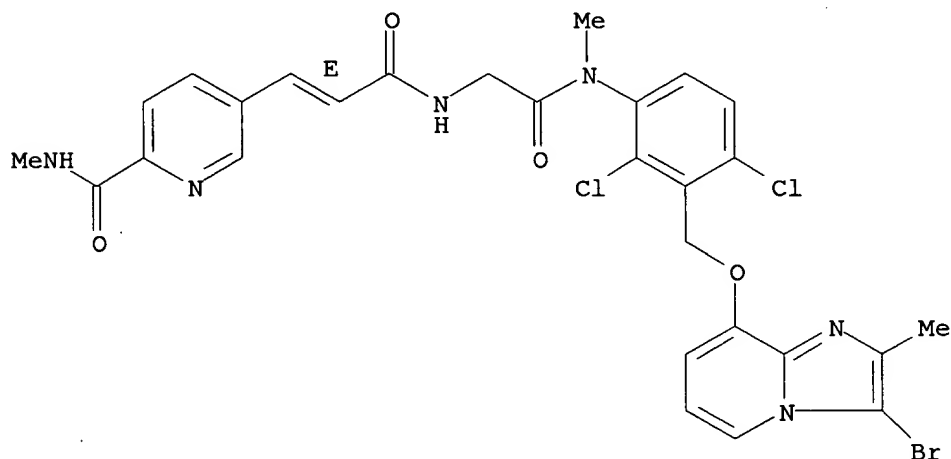




RN 160646-64-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

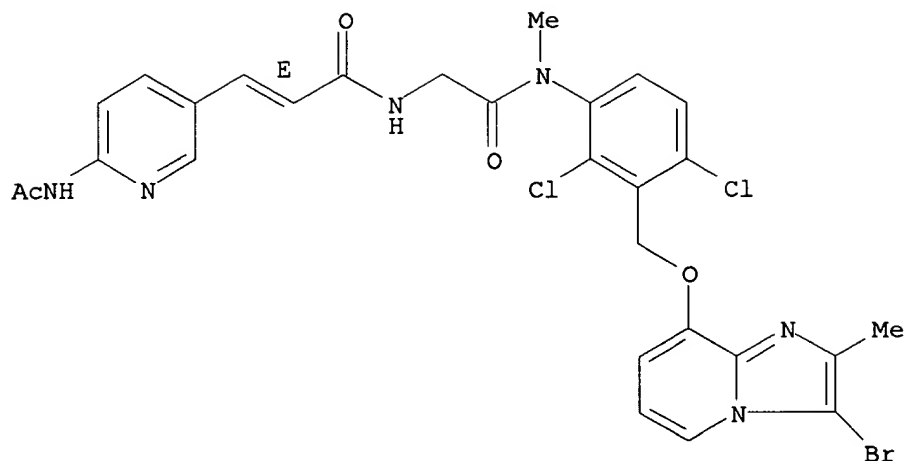


● 2 HCl

RN 160646-65-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetamido)-3-pyridinyl]-N-[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

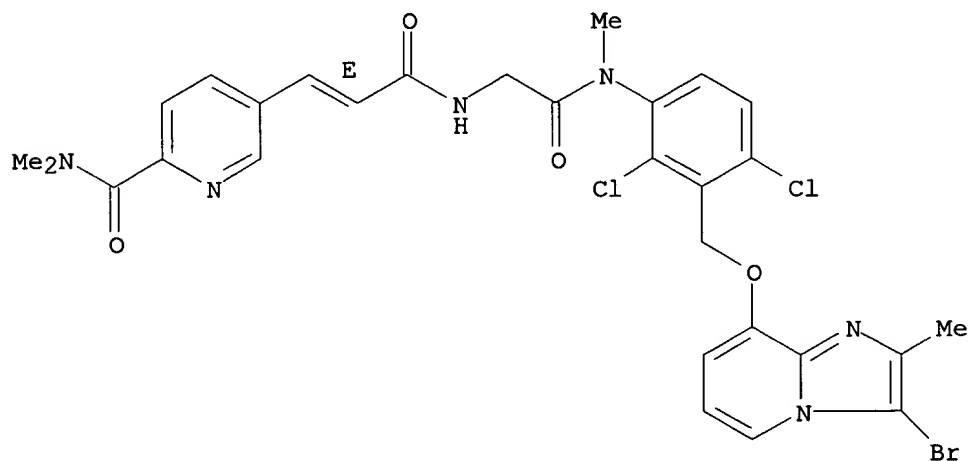


● 2 HCl

RN 160646-69-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(1E)-3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N,N-dimethyl-, dihydrochloride (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

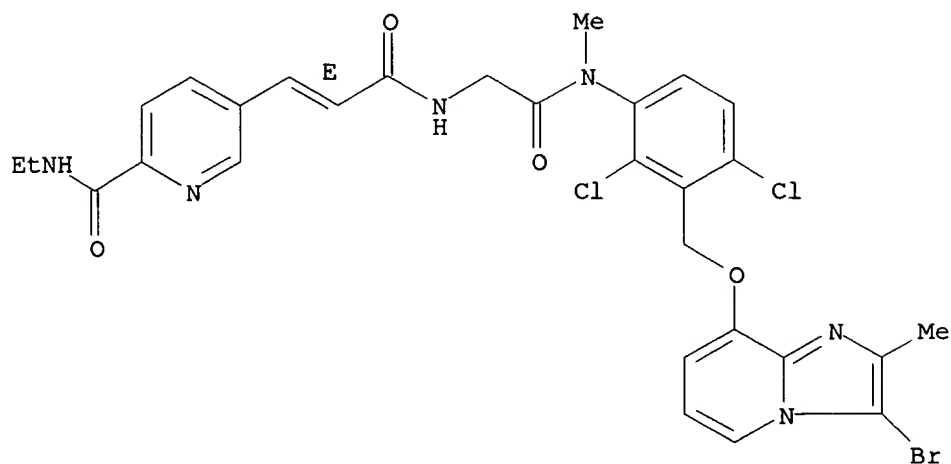


● 2 HCl

RN 160646-70-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl]oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-ethyl-, dihydrochloride, (E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



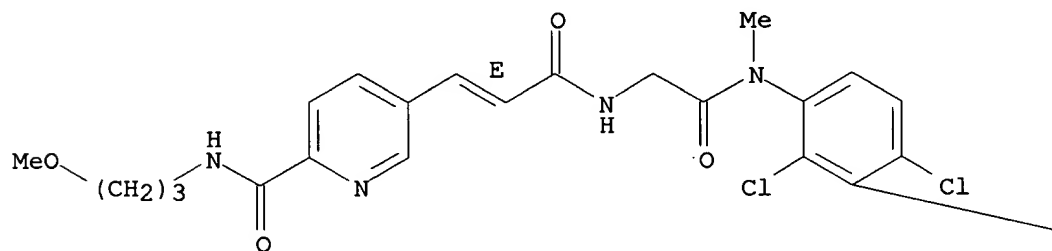
● 2 HCl

RN 160646-71-9 CAPLUS

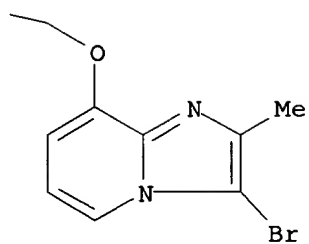
CN 2-Pyridinecarboxamide, 5-[3-[[2-[[3-[[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-N-(3-methoxypropyl)-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



● 2 HCl



126 ANSWER 136 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:242483 CAPLUS
 DOCUMENT NUMBER: 122:31323
 TITLE: Aromatic compounds including indole derivatives,
 compositions containing them, and their use in therapy
 as tachykinin receptor antagonists
 INVENTOR(S): Kelleher, Fintan; Lewis, Richard Thomas; Macleod,
 Angus Murray
 PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419320	A1	19940901	WO 1994-EP438	19940215
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461406	A1	19940914	AU 1994-61406	19940215
US 5674889	A	19971007	US 1995-513759	19950821
PRIORITY APPLN. INFO.:			GB 1993-3540	A 19930222
			GB 1993-3843	A 19930225
			WO 1994-EP438	W 19940215
OTHER SOURCE(S):		MARPAT 122:31323		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

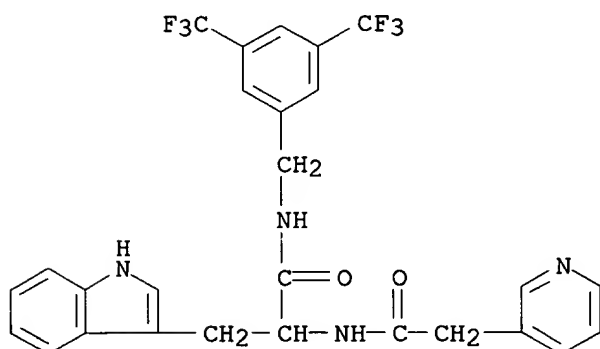
AB The title compds., which are useful for treating pain, inflammation, migraine, or emesis (no data), are represented by I [Q1 = Ph substituted by ≥ 1 halo, (un)substituted naphthyl, indolyl, benzothienyl, benzofuranyl, benzyl, or fluorenyl; R1 = H, C1-6 alkyl; R2 = H, C1-6 alkyl or C2-6 alkenyl; Z1 = G1 or G2; one of X1 and Y1 = H, and the other = OH or C1-6 alkoxy; or X1Y1 = O or NOR5 where R5 = H or C1-6 alkyl; R3 = (un)substituted Ph; R4 = H or C1-6 alkyl; dotted line = optional bond; when Z1 = G1, Z2 = C2-7 carboxyalkyl, C6H4CO2H, carboxyphenylalkyl; when Z1 = G2; Z2 = certain amino-containing groups; including salts and prodrugs]. Ten synthetic examples are provided. For instance, BOC-Trp-OH (BOC = tert-butoxycarbonyl) underwent amidation with MeNHOMe.HCl via the mixed anhydride method, and the resulting amide reacted with lithiated MeP(O)(OMe)2 to give indolylbutanone derivative II. Wittig-type reaction of II with 3,5-bis(trifluoromethyl)benzaldehyde, reduction of the formed double bond with Bu3SnH, deprotection of the amino group, and amidation of the latter with succinic anhydride, gave title compound (Na salt) III.

IT **159616-76-9P**, N-(3,5-Bis(trifluoromethyl)benzyl)-2-((3-pyridyl)acetamido)-3-(3-indolyl)propionamide **159616-80-5P**, N-(3,5-Bis(trifluoromethyl)benzyl)-N-methyl-2-(3-(3-pyridyl)propionamido)-3-(3-indolyl)propionamide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indole derivs. and analogs as tachykinin antagonists)

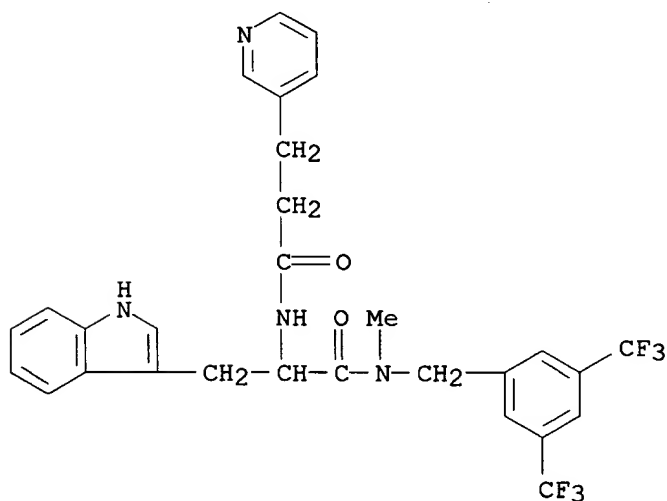
RN 159616-76-9 CAPLUS

CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-
 α -[(3-pyridinylacetyl)amino]- (9CI) (CA INDEX NAME)



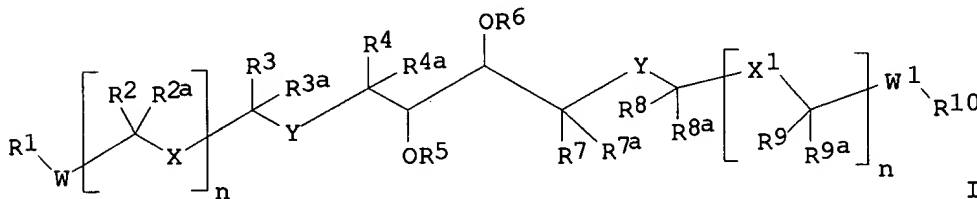
RN 159616-80-5 CAPLUS

CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-
 methyl- α -[[1-oxo-3-(3-pyridinyl)propyl]amino]- (9CI) (CA INDEX
 NAME)



~~126~~ ANSWER 137 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:701325 CAPLUS
 DOCUMENT NUMBER: 121:301325
 TITLE: 1,4-Diamino-2,3-dihydroxybutanes useful as antiviral agents
 INVENTOR(S): Jadhav, Prabhakar K.; McGee, Lawrence R.; Shenvi, Ashok; Hodge, Carl N.
 PATENT ASSIGNEE(S): DuPont Merck Pharmaceutical Co., USA
 SOURCE: U.S., 75 pp. Cont.-in-part of U.S. Ser. No. 531,971, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5294720	A	19940315	US 1991-714042	19910531
CA 2084087	AA	19911202	CA 1991-2084087	19910531
HU 64738	A2	19940228	HU 1992-3505	19910531
EP 665215	A1	19950802	EP 1995-101007	19910531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9104194	A	19930224	ZA 1991-4194	19910603
NO 9204615	A	19930129	NO 1992-4615	19921130
US 5430155	A	19950704	US 1993-167659	19931217
AU 9516339	A1	19950817	AU 1995-16339	19950410
PRIORITY APPLN. INFO.:			US 1990-531971	B2 19900601
			EP 1991-912877	A3 19910531
			US 1991-714042	A 19910531
			WO 1991-US3852	W 19910531
OTHER SOURCE(S):		MARPAT 121:301325		
GI				



AB Approx. 100 title compds. I [R1-R4, R7-R10 = H, (un)substituted alkyl, alkenyl, alkynyl, cyclylalkyl, bicycloalkyl, aryl, carbocyclyl, heterocyclyl; R2a-R4a, R7a-R9a = H, alkyl or benzyl substituted by halo or alkoxy; R5, R6 = H, (un)substituted alkoxy carbonyl, alkyl carbonyl, PhCO, PhOCO, PhNHCO; W, W1, X, X1 = various bivalent linking groups; Y, Y1 = various N-containing bivalent groups; n = 0, 1] were prepared. For example, amidation of Boc-Phe-OH (Boc = tert-butoxycarbonyl) with MeNHOMe.HCl using ClCO2Bu-iso/N-methylmorpholine/Et3N gave Boc-Phe-NMeOMe, which was reduced with LiAlH4 in Et2O to give the aldehyde (S)-PhCH2CH(NH-Boc)CHO. Coupling of this using Caulton's reagent in DMF gave the diol PhCH2CH(NH-Boc)CH(OH)CH(OH)CH(NH-Boc)CH2Ph (II) as a mixture of its (S,S,S,S)-,

(S,R,R,S)-, and (S,S,R,S)-isomers. In an assay for prevention of HIV-induced cell death, (S,S,S,S)- and (S,R,R,S)-I had relative IC₉₀ values of 30 and 3.0. The latter isomer was also prepared from D-mannitol by 2 methods using cuprate addition and azide steps (caution - azides potentially explosive).

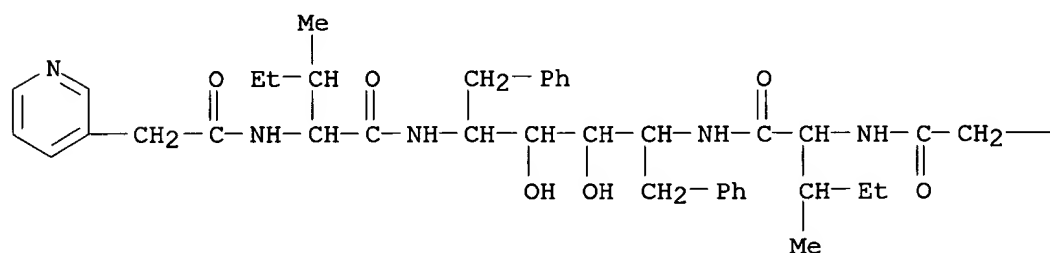
IT **140196-64-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiviral agent)

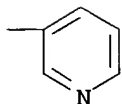
RN 140196-64-1 CAPLUS

CN 3-Pyridineacetamide, N,N'-[[2,3-dihydroxy-1,4-bis(phenylmethyl)-1,4-butanediyl]bis[imino[1-(1-methylpropyl)-2-oxo-2,1-ethanediyl]]]bis- (9CI)
(CA INDEX NAME)

PAGE 1-A



PAGE 1-B



09/596,086

~~L76~~ ANSWER 138 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:509667 CAPLUS

DOCUMENT NUMBER: 121:109667

TITLE: Amino acid derivatives as renin inhibitors, and their preparation, intermediates, compositions, and use
INVENTOR(S): Branca, Quirico; Stadler, Heinz; Vieira, Eric; Wostl, Wolfgang

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

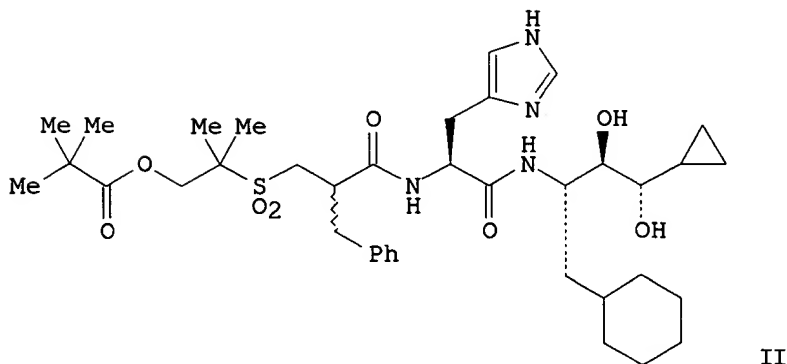
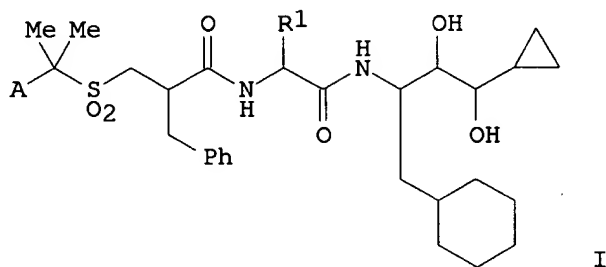
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 572909	A1	19931208	EP 1993-108471	19930526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2095671	AA	19931205	CA 1993-2095671	19930506
ZA 9303795	A	19931206	ZA 1993-3795	19930528
AU 9339953	A1	19931209	AU 1993-39953	19930601
CN 1081446	A	19940202	CN 1993-106671	19930603
JP 06056781	A2	19940301	JP 1993-156321	19930603
PRIORITY APPLN. INFO.:			CH 1992-1795	A 19920604
OTHER SOURCE(S):	MARPAT 121:109667			

GI



AB Title compds. I [R1 = imidazolylmethyl, pyridylmethyl; A = HO2C, PhCH2O2C,

HOCH₂, alkylcarbonyloxymethyl], as pure or mixed diastereomers or racemates, as well as their pharmaceutically usable salts, are claimed. Addnl. claims cover specific I, intermediates, preps., drugs containing I for treating high blood pressure or heart insufficiency, and use of I to prepare such drugs. In an example, peptide coupling of (RS)-2-benzyl-3-[2-(2,2-dimethylpropionyloxy)-1,1-dimethylethylsulfonyl]propionic acid with (S)-2-amino-N-[(1S,2R,3S)-1-cyclohexylmethyl-3-cyclopropyl-2,3-dihydroxypropyl]-3-(imidazol-4-yl)propionamide (multistep preps. given) using HOBT, HBTU, and Et₃N in DMF, gave the (R)- and (S)-epimers of title compound II. The less polar epimer of II (MeSO₃H salt), when given orally to normotensive monkeys at 3 mg/kg, gave a mean arterial blood pressure reduction of 20 to >30 mmHg for at least 8 h.

IT 156712-56-0 156766-79-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of)

RN 156712-56-0 CAPLUS

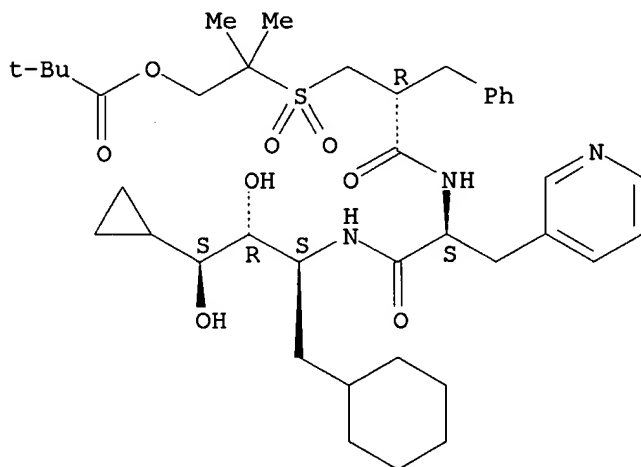
CN Propanoic acid, 2,2-dimethyl-, 2-[[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(S*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 156589-02-5

CMF C40 H59 N3 O8 S

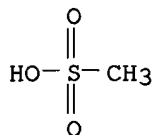
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 156766-79-9 CAPLUS

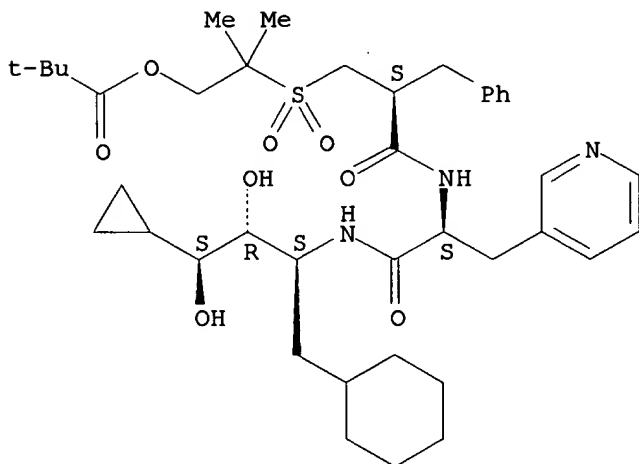
CN Propanoic acid, 2,2-dimethyl-, 2-[[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 156712-44-6

CMF C40 H59 N3 O8 S

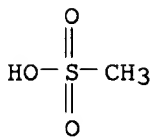
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



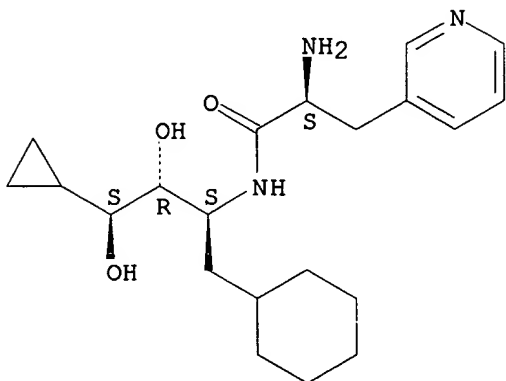
IT 149269-87-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of renin inhibitors)

RN 149269-87-4 CAPLUS

CN 3-Pyridinepropanamide, α -amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



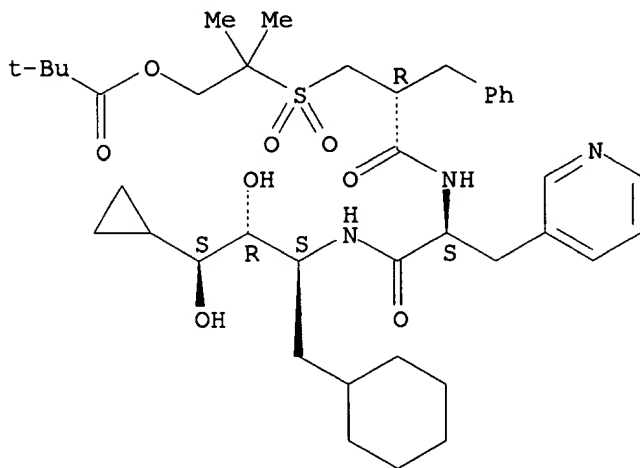
IT 156589-02-5P 156712-44-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 156589-02-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(S*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

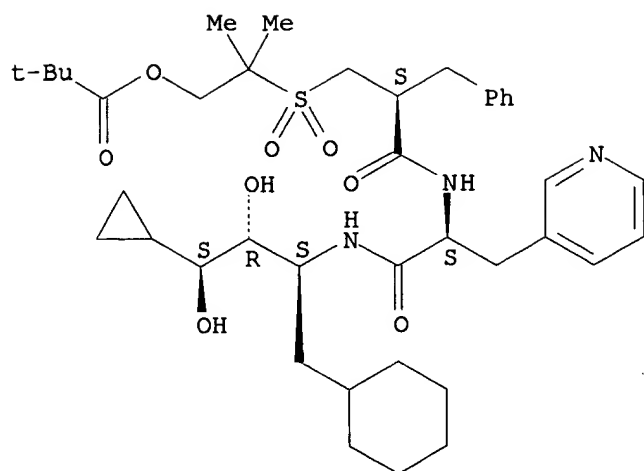
Absolute stereochemistry.



RN 156712-44-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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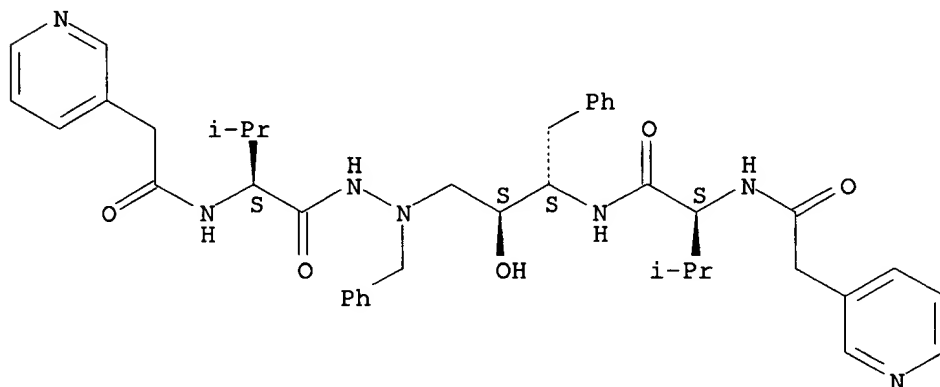
AB

IT

RN

CN

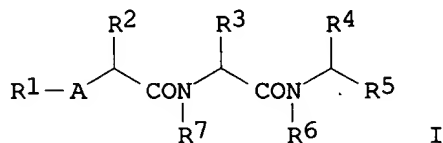
Ab



126 ANSWER 140 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 X ACCESSION NUMBER: 1994:410003 CAPLUS
 DOCUMENT NUMBER: 121:10003
 TITLE: Preparation of peptides by reaction of olefinic alcohol and enol ether for treatment of tachypnea and myocardial reperfusion injury.
 INVENTOR(S): Itsumi, Keiji; Kei, Seihaku; Fukami, Jikiki; Hashihon, Sanashi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 131 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05208914	A2	19930820	JP 1992-233604	19920901
US 5430022	A	19950704	US 1993-86094	19930706
US 5656604	A	19970812	US 1995-422944	19950417
PRIORITY APPLN. INFO.:			US 1991-753997	A 19910903
			GB 1990-10740	A 19900514
			GB 1990-26254	A 19901203
			GB 1991-4064	A 19910227
			US 1991-696701	A2 19910507
			US 1992-845056	B1 19920303
			US 1993-86094	A3 19930706

OTHER SOURCE(S): MARPAT 121:10003
 GI



AB Title compds. I [R1 = H, acyl; R2 = alkyl, (un)substituted aralkyl, cycloalkylalkyl, (un)substituted heterocyclalkyl; R3 = (un)substituted heterocyclalkyl, (un)substituted aralkyl; R4 = H, (un)substituted alkyl; R5 = carboxy, (un)protected carboxy, (un)protected carboxyalkyl; R6 = H, (un)substituted alkyl; R7 = H, alkyl; A = O, NH, alkylimino, alkylene; with provisos], useful for the treatment of many cardiovascular injury, e.g., hypertension, are prepared Thus, a mixture of N-phenylacetyl-Leu-OH and H-D-Trp(Me)-D-Phe-OMe.HCl in DMF was stirred with ice cooling for 4.5 h to give PhCH2CO-Leu-D-Trp(Me)-D-Phe-OMe. In an in vitro study, Q-Leu-D-Trp(Me)-D-Pya-OH.HCl [Q = cyclohexylcarbonyl, Pya = 2-pyridylalanine] (also prepared) had an IC50 of 2.3+10-9 M against the binding of 125-I-endothelin-1 with pig aorta receptors.

IT 142376-13-4P 142376-83-8P

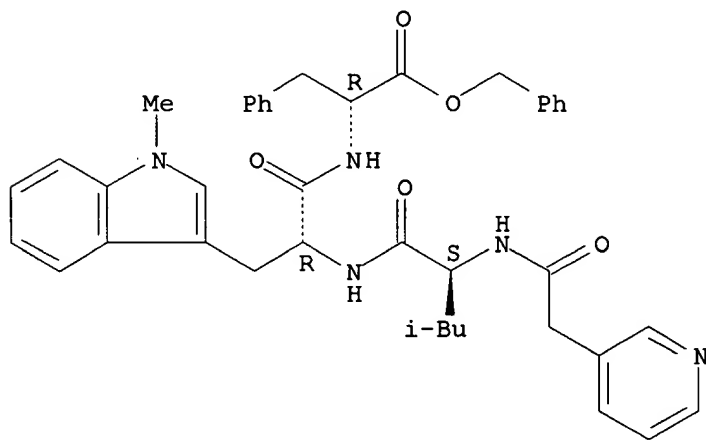
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, for treatment of tachypnea and myocardial reperfusion injury)

09/596,086

RN 142376-13-4 CAPLUS

CN D-Phenylalanine, N-[1-methyl-N-[N-(3-pyridinylacetyl)-L-leucyl]-D-tryptophyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

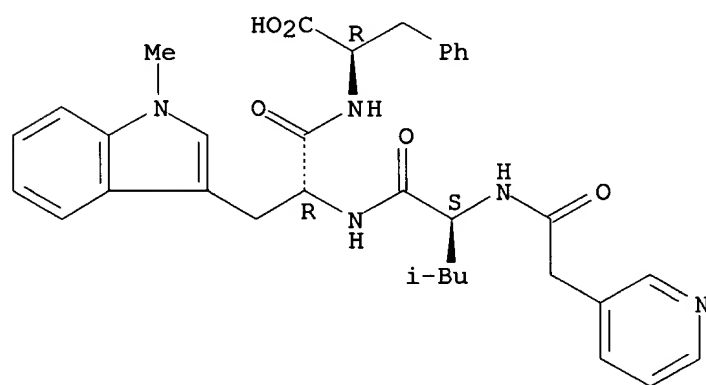
Absolute stereochemistry.



RN 142376-83-8 CAPLUS

CN D-Phenylalanine, N-[1-methyl-N-[N-(3-pyridinylacetyl)-L-leucyl]-D-tryptophyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

~~126~~ ANSWER 141 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:315165 CAPLUS

DOCUMENT NUMBER: 120:315165

TITLE: Beneficial replacement of the P1 phenylalanine side chain in HIV-1 protease inhibitors of the difluorostatone type

AUTHOR(S): Schirlin, D.; Van Dorsselaer, V.; Tarnus, C.; Taylor, D. L.; Tys, A. S.; Baltzer, S.; Weber, F.; Remy, J. M.; Brennan, T.; et al.

CORPORATE SOURCE: Marion Merrell Dow Res. Inst., Strasbourg, 67009, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(2), 241-6

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An O-benzyltyrosyl P1 side chain on HIV-1 protease inhibitors of the difluorostatone type confers increased potency and an improved cytotoxic index in infected cells. A number of carboxy or amino termini modifications are permitted, for instance, carboxy termini tertiary amides.

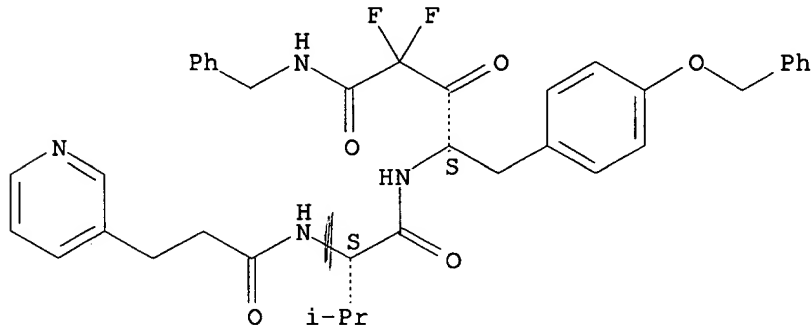
IT 155398-90-6

RL: BIOL (Biological study)
(HIV-1 protease-inhibiting activity of, antiviral activity in, structure in relation to)

RN 155398-90-6 CAPLUS

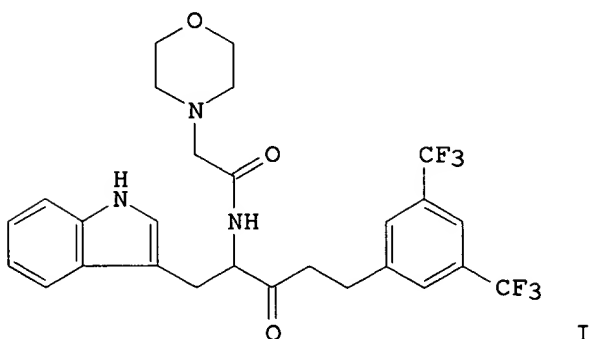
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~12/6~~ ANSWER 142 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:298471 CAPLUS
 DOCUMENT NUMBER: 120:298471
 TITLE: Preparation of heterocyclylalkanamido(indolyl)alkanone
 s and analogs as tachykinin antagonists
 INVENTOR(S): Lewis, Richard Thomas; Macleod, Angus Murray;
 Merchant, Kevin John
 PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9401402	A1	19940120	WO 1993-GB1415	19930706
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9347132	A1	19940131	AU 1993-47132	19930706
US 5612336	A	19970318	US 1995-373195	19950113
PRIORITY APPLN. INFO.:			GB 1992-14864	A 19920713
			GB 1992-22175	A 19921022
			GB 1992-26070	A 19921214
			GB 1993-4398	A 19930304
			WO 1993-GB1415	A 19930706
OTHER SOURCE(S):		MARPAT 120:298471		
GI				



AB Q1CH2CR3(NR1R2)CXYCHR7CHR4R8 [Q1 = halophenyl, naphthyl, indolyl, etc.; R1 = H, alkyl; R2 = COWR6; R3 = H, alk(en)yl; R4 = (substituted)Ph; R6 = N-containing aryl, aza(bi)cyclic group; R7,R8 = H; R7R8 = bond; W = bond, hydrocarbylene; 1 of X,Y = H and the other = OH or alkoxy; XY = O, NOH, alkoximino] were prepared Thus, N-8-Boc-L-tryptophan was converted in 6 steps to title compound I which had IC50 of <100nM against substance P binding to human NK1R in vitro.

IT 154775-05-0P 154775-19-6P 154775-20-9P
 154775-31-2P 154775-38-9P

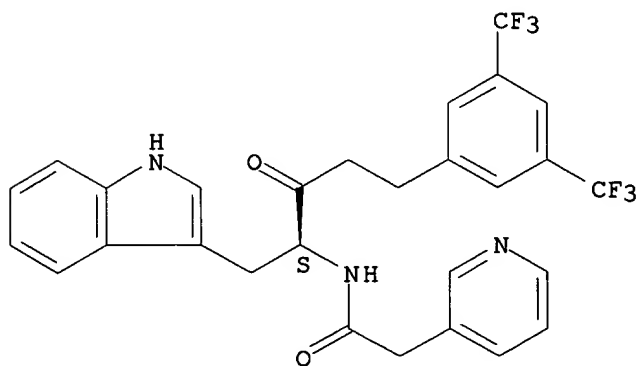
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as tachykinin antagonist)

RN 154775-05-0 CAPLUS

CN 3-Pyridineacetamide, N-[4-[3,5-bis(trifluoromethyl)phenyl]-1-(1H-indol-3-ylmethyl)-2-oxobutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



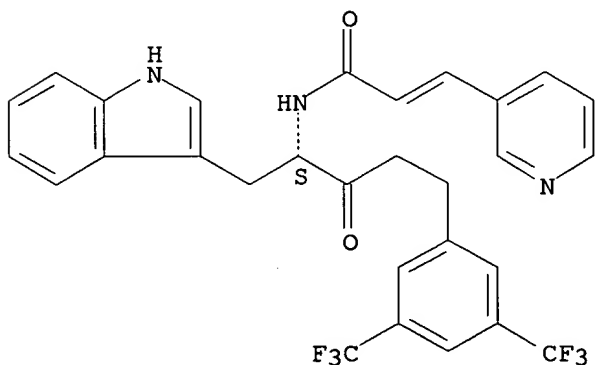
● HCl

RN 154775-19-6 CAPLUS

CN 2-Propenamide, N-[4-[3,5-bis(trifluoromethyl)phenyl]-1-(1H-indol-3-ylmethyl)-3-(3-pyridinyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

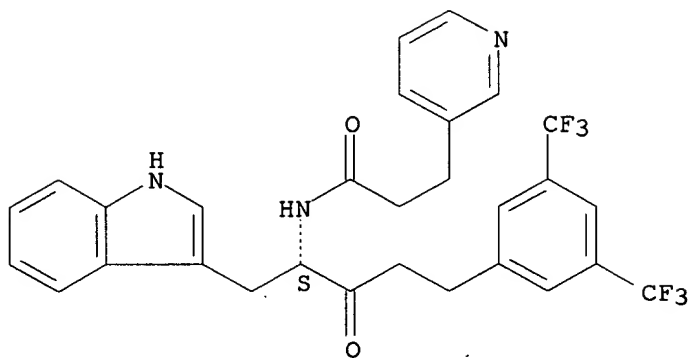


● HCl

RN 154775-20-9 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[3,5-bis(trifluoromethyl)phenyl]-1-(1H-indol-3-ylmethyl)-2-oxobutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

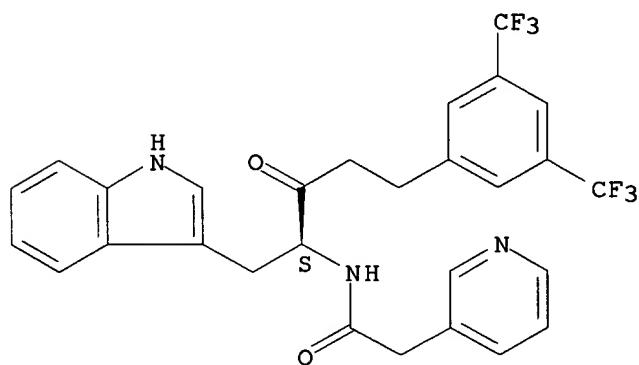


● HCl

RN 154775-31-2 CAPLUS

CN 3-Pyridineacetamide, N-[4-[3,5-bis(trifluoromethyl)phenyl]-1-(1H-indol-3-ylmethyl)-2-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154775-38-9 CAPLUS

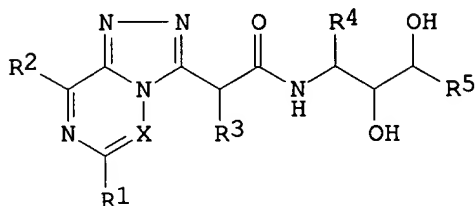
CN 2-Propenamide, N-[4-[3,5-bis(trifluoromethyl)phenyl]-1-(1H-indol-3-ylmethyl)-2-oxobutyl]-3-(3-pyridinyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

LX6 ANSWER 143 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:603443 CAPLUS
 DOCUMENT NUMBER: 119:203443
 TITLE: Preparation of triazoloazineacetamides as renin inhibitors
 INVENTOR(S): Stadler, Heinz; Vieira, Eric; Wostl, Wolfgang
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., AG, Switz.
 SOURCE: Eur. Pat. Appl., 23 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 543245	A1	19930526	EP 1992-119128	19921109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2081236	AA	19930424	CA 1991-2081236	19911023
ZA 9208736	A	19930519	ZA 1992-8736	19921112
AU 9228413	A1	19930520	AU 1992-28413	19921116
NO 9204423	A	19930520	NO 1992-4423	19921117
CN 1072413	A	19930526	CN 1992-113665	19921118
JP 05239059	A2	19930917	JP 1992-331267	19921118
BR 9204459	A	19930525	BR 1992-4459	19921119
PRIORITY APPLN. INFO.:			CH 1991-3374	A 19911119
			CH 1992-2665	A 19920828
OTHER SOURCE(S):		MARPAT 119:203443		
GI				



I

AB Title compds. (I; X = N, CH; R1 = Ph, pyridyl, isoquinolinyl; R2 = cycloalkylalkyl, alkylthioalkyl, alkylsulfonylalkyl, alkenyl, alkyl; R3 = H, alkyl, alkenyl, imidazolylmethyl, pyridylmethyl, thiazolylmethyl, PhCH2; R4 = cyclohexylmethyl, PhCH2; R5 = cycloalkyl, alkyl, heterocyclalkyl), were prepared. Thus, racemic 8-cyclopropyl-6-(3-pyridyl)- α -(3-pyridylmethyl)-S-triazolo[4,3-a]pyrazin-3-acetic acid (preparation given) was condensed with (1S,2R,3S)-3-amino-4-cyclohexyl-1-cyclopropyl 1,2-butanediol using O-benzotriazolyl-N,N,N'-tetramethyluranyl hexafluorophosphate and Et3N in MeCN to give N-[(1S,2R,3S)-1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-cyclopropyl-6-(3-pyridyl)- α -(3-pyridylmethyl)-S-triazolo[4,3-a]pyrazine-3-acetamide as a separable mixture of diastereomers. An oral aqueous suspension was prepared containing the α -(4-thiazolylmethyl) analog of the above compound I inhibited human renin with IC50 = 2.0-150 nM.

IT 150737-41-0P

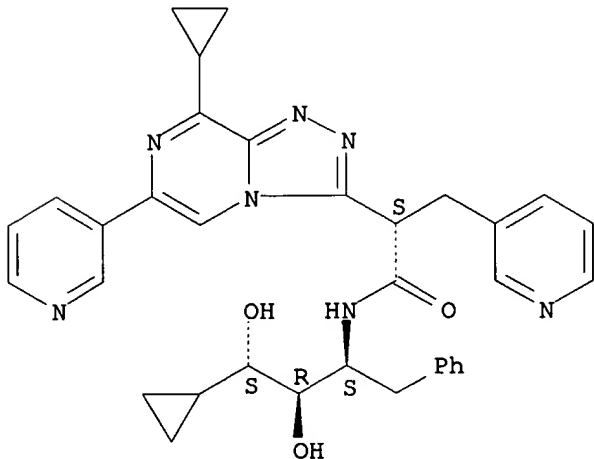
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for renin inhibitor)

09/596,086

RN 150737-41-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, 8-cyclopropyl-N-[3-cyclopropyl-2,3-dihydroxy-1-(phenylmethyl)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 150209-07-7P 150209-10-2P 150209-13-5P

150209-15-7P 150209-17-9P 150209-18-0P

150209-19-1P 150209-21-5P 150284-55-2P

150284-57-4P 150284-59-6P 150284-60-9P

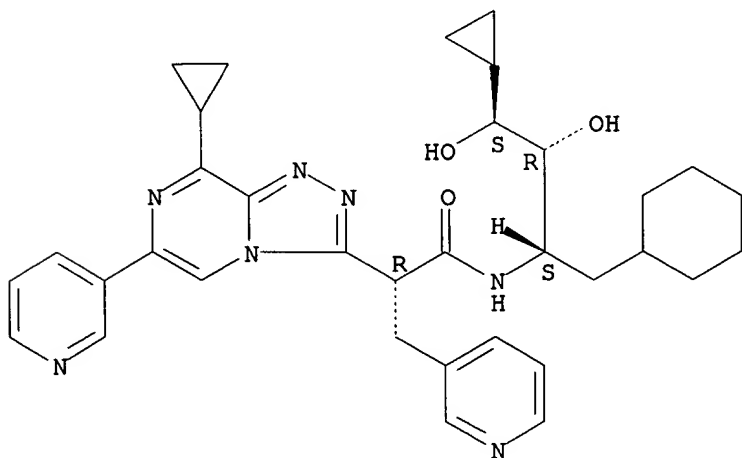
150284-61-0P 150284-62-1P 150737-40-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 150209-07-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-cyclopropyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

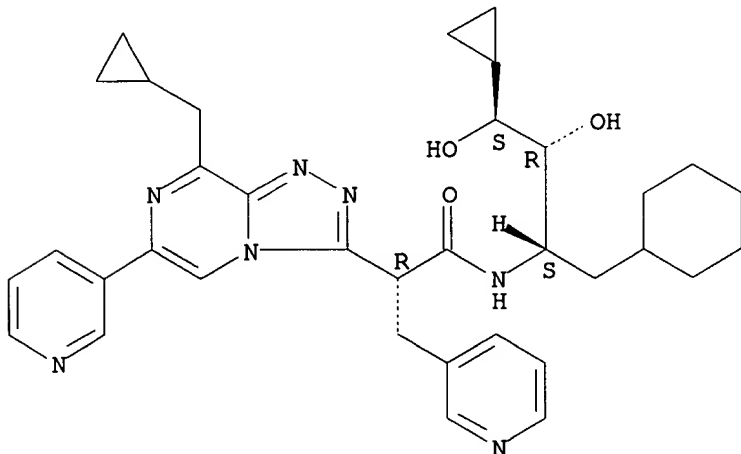
Absolute stereochemistry.



RN 150209-10-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(cyclopropylmethyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

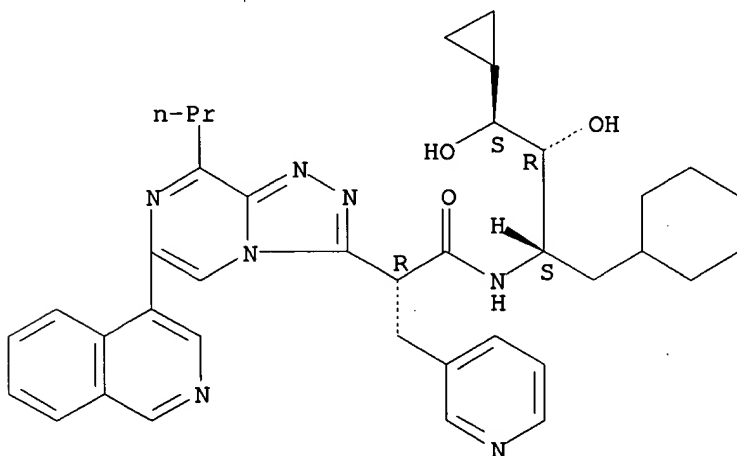
Absolute stereochemistry.



RN 150209-13-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-(4-isoquinolinyl)-8-propyl- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

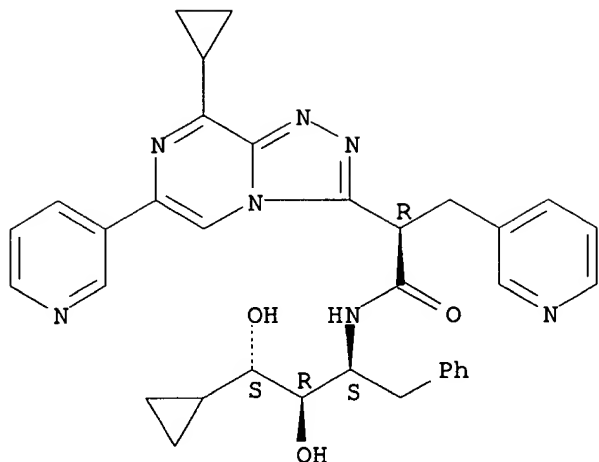
Absolute stereochemistry.



RN 150209-15-7 CAPLUS

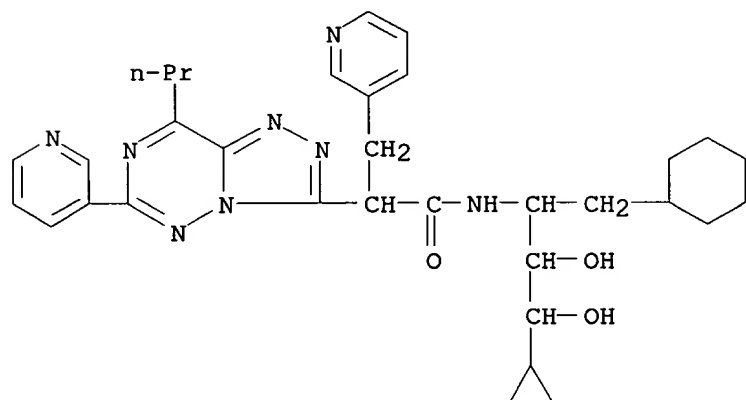
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, 8-cyclopropyl-N-[3-cyclopropyl-2,3-dihydroxy-1-(phenylmethyl)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



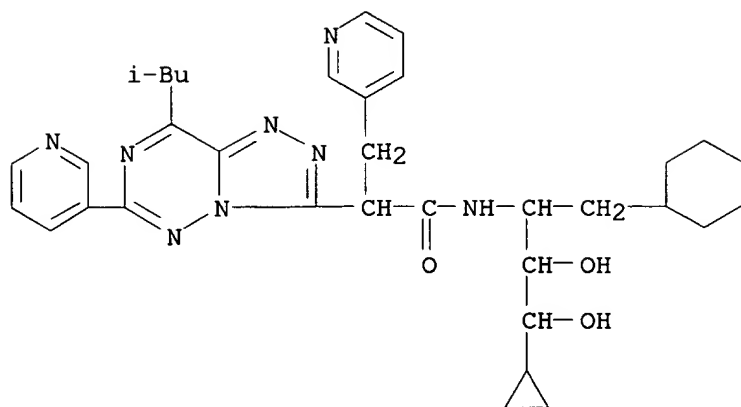
RN 150209-17-9 CAPLUS

CN 1,2,4-Triazolo[3,4-f][1,2,4]triazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)



RN 150209-18-0 CAPLUS

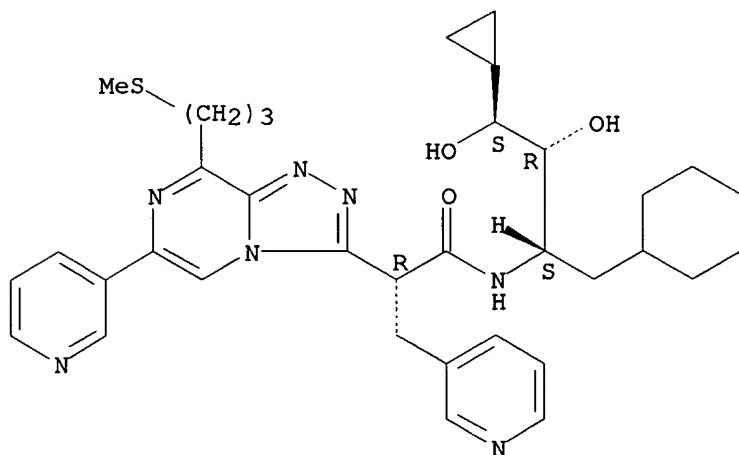
CN 1,2,4-Triazolo[3,4-f][1,2,4]triazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(2-methylpropyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)



RN 150209-19-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-[3-(methylthio)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

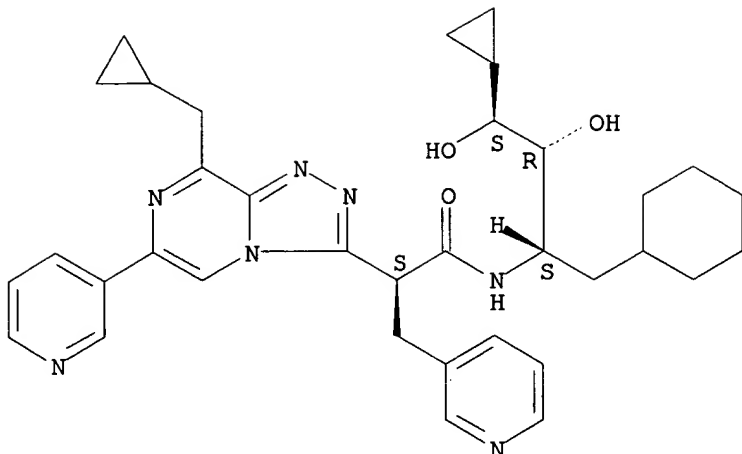
Absolute stereochemistry.



RN 150209-21-5 CAPLUS

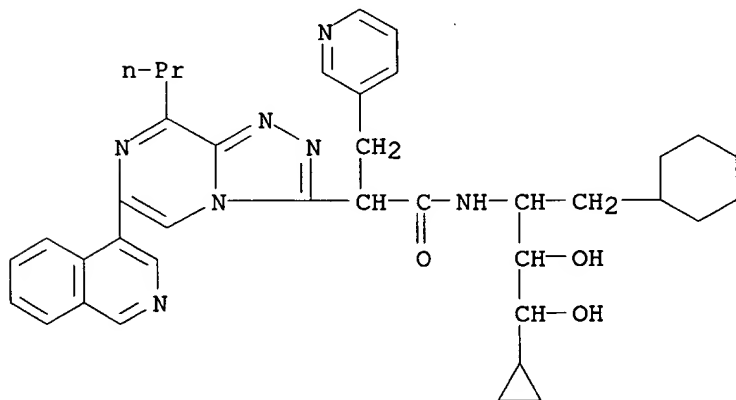
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-[3-(methylsulfonyl)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



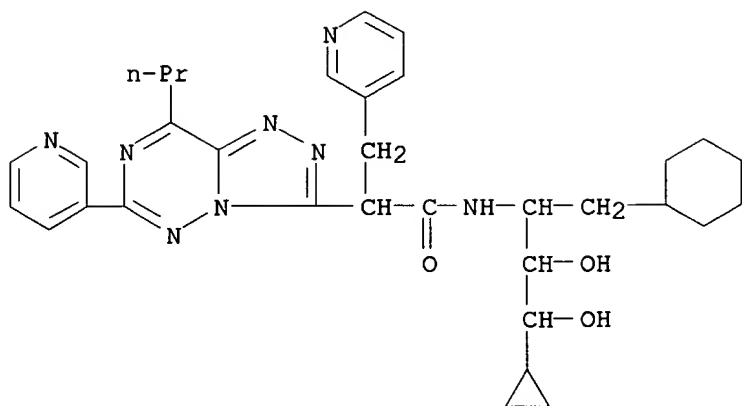
RN 150284-59-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-(4-isoquinolinyl)-8-propyl- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,4R*]]- (9CI) (CA INDEX NAME)



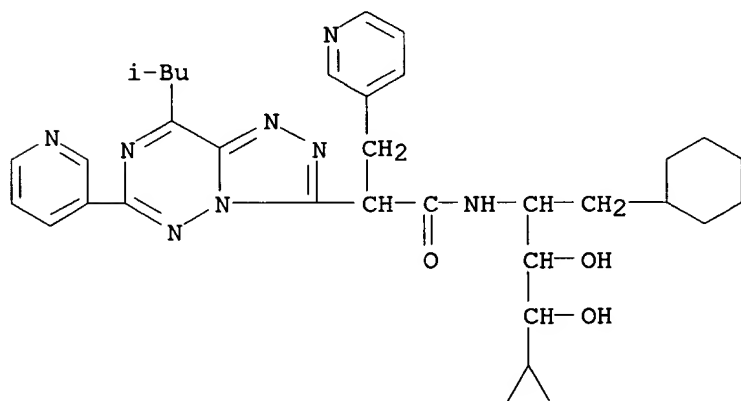
RN 150284-60-9 CAPLUS

CN 1,2,4-Triazolo[3,4-f][1,2,4]triazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)



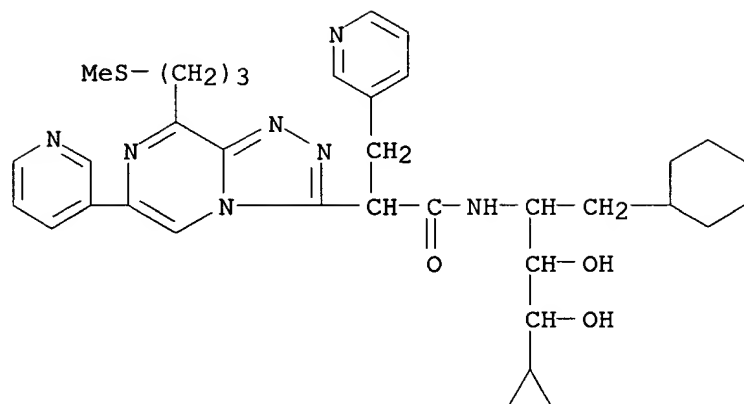
RN 150284-61-0 CAPLUS

CN 1,2,4-Triazolo[3,4-f][1,2,4]triazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(2-methylpropyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)



RN 150284-62-1 CAPLUS

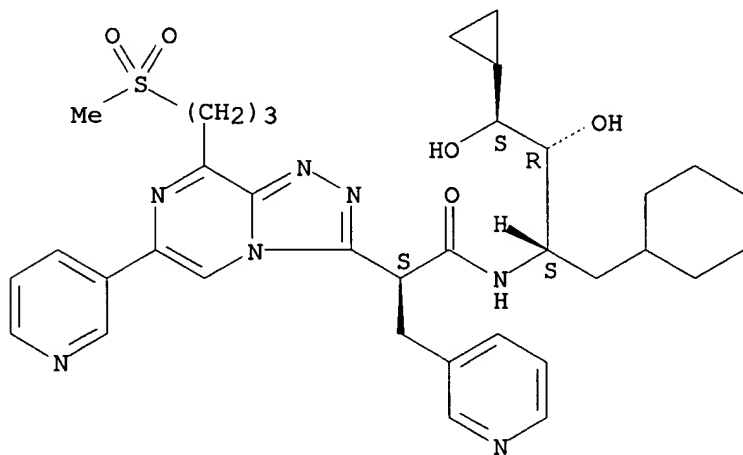
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-[3-(methylthio)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,4R*]]- (9CI) (CA INDEX NAME)



RN 150737-40-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-[3-(methylsulfonyl)propyl]-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 144 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:539787 CAPLUS

DOCUMENT NUMBER: 119:139787

TITLE: Pharmacologically active hydrazine derivatives, useful as antiviral peptide analogs, and process for their preparation

INVENTOR(S): Faessler, Alexander; Bold, Guido; Lang, Marc; Schneider, Peter

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 106 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 521827	A1	19930107	EP 1992-810490	19920625
EP 521827	B1	19960925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 143355	E	19961015	AT 1992-810490	19920625
ES 2093237	T3	19961216	ES 1992-810490	19920625
IL 102354	A1	19970110	IL 1992-102354	19920629
FI 114634	B1	20041130	FI 1992-3017	19920629
CA 2072785	AA	19930104	CA 1992-2072785	19920630
AU 9219373	A1	19930107	AU 1992-19373	19920701
AU 660469	B2	19950629		
CZ 280651	B6	19960313	CZ 1992-2062	19920701
PL 171232	B1	19970328	PL 1992-295100	19920701
SK 279706	B6	19990211	SK 1992-2062	19920701
NO 9202611	A	19930104	NO 1992-2611	19920702
NO 178541	B	19960108		
NO 178541	C	19960417		
CN 1068333	A	19930127	CN 1992-105373	19920702
CN 1054598	B	20000719		
ZA 9204914	A	19930331	ZA 1992-4914	19920702
HU 62602	A2	19930528	HU 1992-2215	19920702
HU 219915	B	20010928		
RU 2092492	C1	19971010	RU 1992-5052113	19920702
JP 05201945	A2	19930810	JP 1992-177135	19920703
JP 3187535	B2	20010711		
PRIORITY APPLN. INFO.:			CH 1991-1962	A 19910703

OTHER SOURCE(S): MARPAT 119:139787

AB Approx. 70 hydrazine-based peptide analogs R₁R₂NCR₃R₄CR₅R₆CH₂NR₇NR₈R₉ [I; R₁, R₉ = H, acyl, (un)substituted alkyl, alkenyl, or alkynyl, heterocyclyl, (un)substituted sulfamoyl, etc.; both R₁ and R₉ ≠ H; R₂, R₈ = H, groups listed for R₁; or NR₁R₂, NR₈R₉ = heterocyclyl; R₃, R₄ = H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl, (un)substituted alkenyl; or R₃R₄ = alkylene, alkylidene, benzo-condensed alkylene; R₅ = OH, R₆ = H; or R₅R₆ = oxo; R₇ = (un)substituted (cyclo)alkyl, aryl, heterocyclyl, (un)substituted alkenyl] were prepared as inhibitors of viral aspartate proteases. For example, reaction of (2R)-[1(S)-Boc-amino-2'-phenylethyl]oxirane with tert-Bu 3-benzylcarbazate, deprotection of the product with HCl in dioxane, double coupling with Boc-Val-OH, and deprotection again gave H-Val-(S,S)-NHCH(CH₂Ph)CH(OH)CH₂N(CH₂Ph)NH-Val-H as the tri-HCl salt. I inhibited the activity of HIV-1 and HIV-2 gag-proteases at 10⁻⁶ to 10⁻⁹ M in 2 described tests (no specific data).

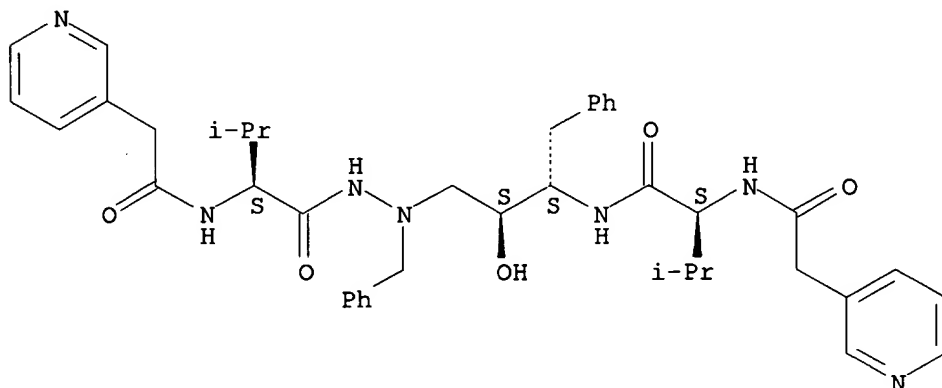
IT 149266-98-8P 149267-03-8P 149267-25-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiviral)

RN 149266-98-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)

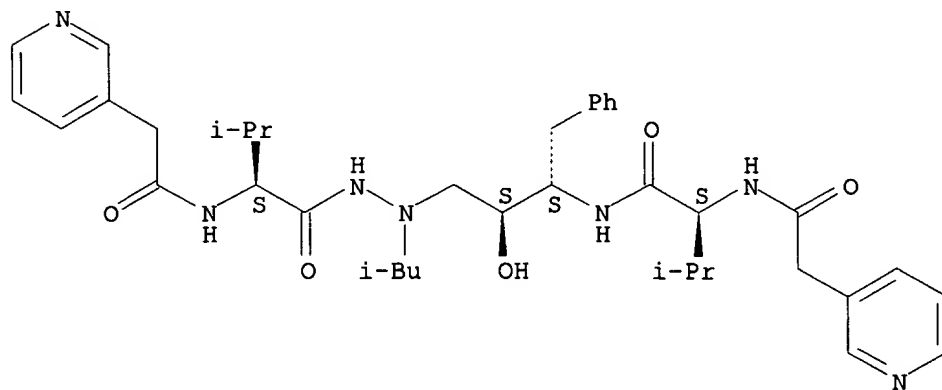
Absolute stereochemistry.



RN 149267-03-8 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]-2-(2-methylpropyl)hydrazide (9CI) (CA INDEX NAME)

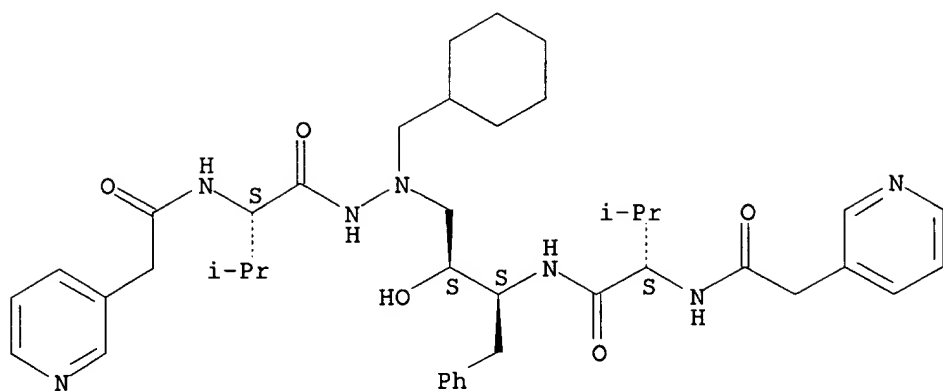
Absolute stereochemistry.



RN 149267-25-4 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 2-(cyclohexylmethyl)-2-[(2S,3S)-2-hydroxy-3-[[(2S)-3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-4-phenylbutyl]hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

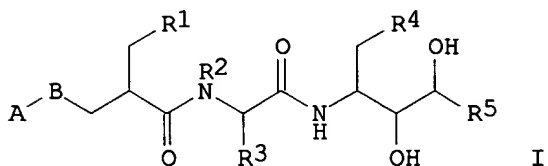


● 3 HCl

09/596,086

~~L26~~ ANSWER 145 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:539784 CAPLUS
 DOCUMENT NUMBER: 119:139784
 TITLE: Preparation of peptide analogs as renin inhibitors
 INVENTOR(S): Branca, Quirico; Heitz, Marie Paule; Neidhart, Werner;
 Stadler, Heinz; Vieira, Eric; Wostl, Wolfgang
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., AG, Switz.
 SOURCE: Eur. Pat. Appl., 50 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 509354	A2	19921021	EP 1992-105879	19920406
EP 509354	A3	19930616		
EP 509354	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
CA 2064955	AA	19921018	CA 1992-2064955	19920402
AT 195731	E	20000915	AT 1992-105879	19920406
ES 2150907	T3	20001216	ES 1992-105879	19920406
AU 9214788	A1	19921022	AU 1992-14788	19920409
AU 659832	B2	19950601		
HU 62864	A2	19930628	HU 1992-1224	19920410
NO 9201520	A	19921019	NO 1992-1520	19920415
JP 05155847	A2	19930622	JP 1992-121395	19920415
JP 2628820	B2	19970709		
US 5393875	A	19950228	US 1993-100959	19930803
PRIORITY APPLN. INFO.:			CH 1991-1146	A 19910417
			CH 1992-523	A 19920220
			US 1992-868054	B1 19920413
OTHER SOURCE(S):			MARPAT 119:139784	
GI				



AB Title compds. [I; R1 = cycloalkyl, (substituted) Ph, naphthyl, thienyl, pyridyl, quinolinyl, isoquinolinyl, PhCH2; R2 = H, Me; R3 = H, OH, alkyl, alkoxy, alkenyloxy, alkylthio, alkylthioalkyl, alkoxy carbonyl, imidazol-1-ylmethyl, 2-aminoimidazol-4-ylmethyl, pyrazol-1-ylmethyl, thiazol-2-ylmethyl, thienylmethyl, furylmethyl, aminocarbonyl; R4 = (substituted) cycloalkyl, (halo)phenyl; R5 = cycloalkyl, cycloalkylalkyl, alkyl; B = S, SO, SO2; A = X(CR8R9)nCR6R7; R6, R7, R8 = H, alkyl; R9 = H, alkyl, hydroxyalkyl, amino, alkoxy carbonylamino, benzyloxycarbonylamino; n = 0, 1; X = YCO, ZO; Y = (substituted) cycloalkylamino, sulfoalkylamino, bisalkoxyalkylamino, pyridylalkylamino, morpholinoalkylamino, pyrazinylalkylamino, alkoxy carbonylalkylamino, hydroxyalkylamino, amino, etc.; Z = H, alkyl carbonyl, PhCO, cycloalkyl carbonyl, alkylaminocarbonyl,

phenylaminocarbonyl, alkoxyalkylcarbonyl, cycloalkylcarbonyl, (substituted) aminoalkylcarbonyl], were prepared. Thus, racemic α -[[1-carbamoyl-1-methylethyl)sulfonyl)methyl]hydrocinnamic acid and (S)- α -amino-N-[(1S,2R,3S)-1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]imidazole-4-propionamide (preparation given) were coupled using hydroxybenzotriazole, O-benzotriazolyl-N,N,N',N'-tetramethyluronium hexafluorophosphate, and Et₃N in DMF to give (S)- α -[(R and S)- α -[[1-(carbamoyl-1-methylethyl)sulfonyl)methyl]hydrocinnamido]-N-[(1S,2R,3S)-1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]imidazol-4-propionamide. I inhibited human renin with IC₅₀ = 0.3-0.30 nM. Drug formulations containing specific I are given.

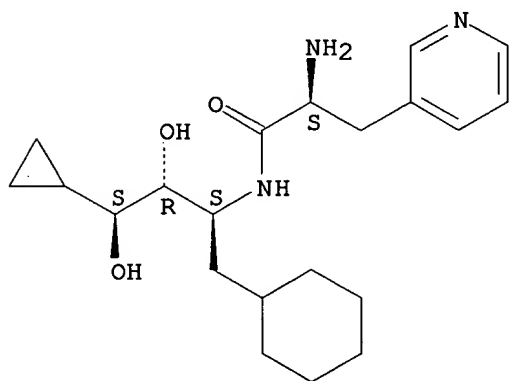
IT **149269-87-4P 149343-41-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin inhibitor)

RN 149269-87-4 CAPLUS

CN 3-Pyridinepropanamide, α -amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

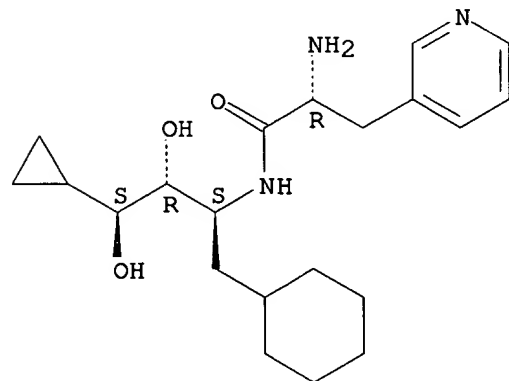
Absolute stereochemistry.



RN 149343-41-9 CAPLUS

CN 3-Pyridinepropanamide, α -amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **149268-65-5P 149343-29-3P**

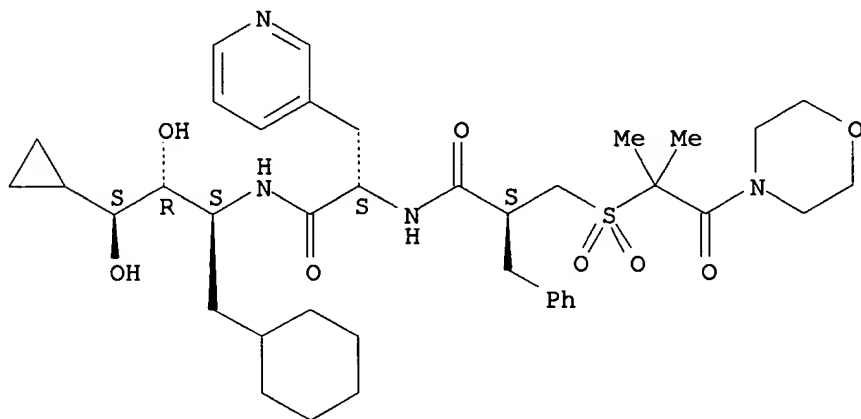
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 149268-65-5 CAPLUS

CN 3-Pyridinepropanamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]- α -[[2-[[[1,1-dimethyl-2-(4-morpholinyl)-2-oxoethyl]sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

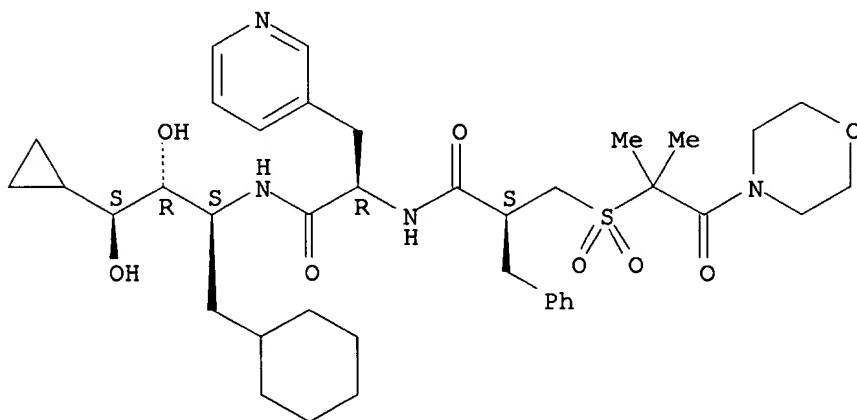
Absolute stereochemistry.



RN 149343-29-3 CAPLUS

CN 3-Pyridinepropanamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]- α -[[2-[[[1,1-dimethyl-2-(4-morpholinyl)-2-oxoethyl]sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[S*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

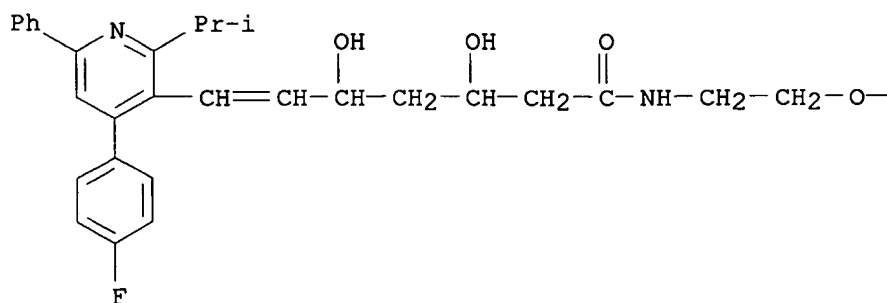


~~186~~ ANSWER 146 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:496118 CAPLUS
 DOCUMENT NUMBER: 119:96118
 TITLE: Synthesis of bile acid - drug conjugates: potential drug shuttles for liver specific targeting
 AUTHOR(S): Wess, G.; Kramer, W.; Schubert, G.; Enhnen, A.; Baringhaus, K. H.; Glombik, H.; Muellner, S.; Bock, K.; Kleine, H.; et al.
 CORPORATE SOURCE: Pharma Forsch., Hoechst AG, Frankfurt, D-6230/80, Germany
 SOURCE: Tetrahedron Letters (1993), 34(5), 819-22
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 119:96118
 GI

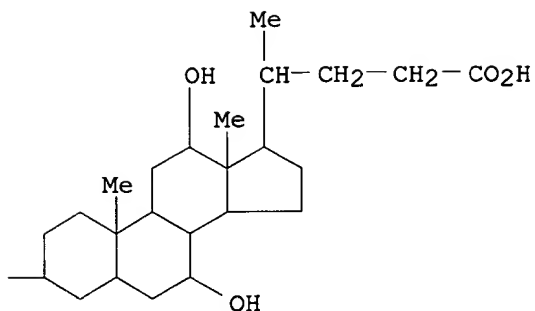
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bile acid-drug conjugate I [R = 4-(ClCH₂CH₂)₂NC₆H₄(CH₂)₃CO, R₁ = OH, n = 2] was prepared by coupling chlorambucil with amine I (R = H, R₁ = Me) by Et chloroformate and saponifying the resulting ester. Bile acid-drug conjugates I (R = Q, n = 2; R = Q₁, n = 5; R₁ = H) were also prepared. The prepared conjugates I exhibited strong affinity to sp. bile acid transport systems.
 IT **135054-25-0P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and affinity of, with specific bile acid transport systems)
 RN 135054-25-0 CAPLUS
 CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, [3β(3R,5S,6E),5β,7α,12α]- (9CI) (CA
 INDEX NAME)

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PAGE 1-B

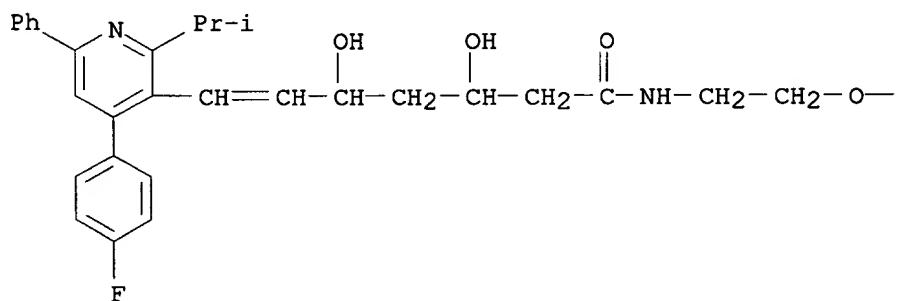
IT **135054-18-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

RN 135054-18-1 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, methyl ester, [3 β (3R,5S,6E),5 β ,7 α ,12 α]-
(9CI) (CA INDEX NAME)

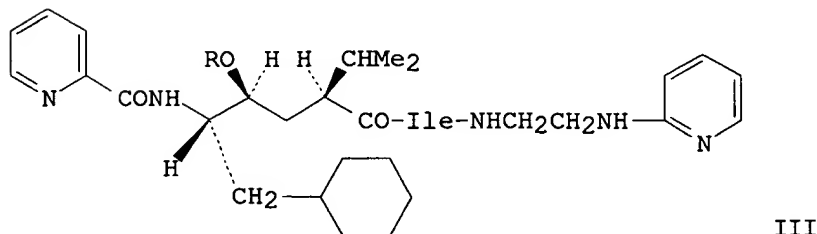
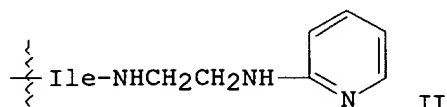
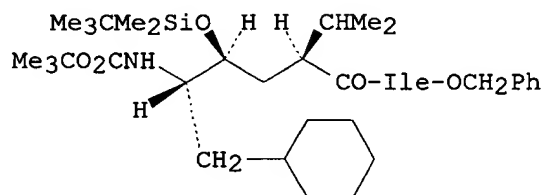
PAGE 1-A



09/596,086

L26 ANSWER 147 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:234486 CAPLUS
DOCUMENT NUMBER: 118:234486
TITLE: Preparation of phosphorus containing compounds as
inhibitors of retroviruses
INVENTOR(S): Hester, Jackson B.; Fisher, Jed F.; Thaisrivongs,
Suvit; Maggiora, Linda Louise; Sawyer, Tomi Kim
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217490	A1	19921015	WO 1992-US2238	19920327
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9217487	A1	19921102	AU 1992-17487	19920327
EP 578745	A1	19940119	EP 1992-910121	19920327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06506463	T2	19940721	JP 1992-509356	19920327
PRIORITY APPLN. INFO.:			US 1991-679508	A2 19910404
			WO 1992-US2238	A 19920327
OTHER SOURCE(S):		MARPAT 118:234486		
GI				



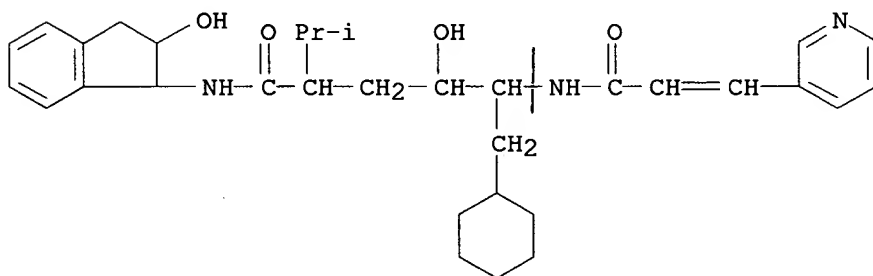
AB Phosphorus-containing peptides X-C-D-E-F-G-Z [X = H, C1-C7 alkyl, aralkyl, alkylheterocyclyl, alkylcycloalkyl, substituted acyl; C-G = independently bond, amino acid residue, dipeptide transition state analog, phosphorylated amino acid, phosphorylated dipeptide transition state analog; Z = OH, alkoxy, (substituted) amino], having at least one O-phosphate monoester or diester, parent compds. thereof, and pharmaceutically acceptable salts thereof, were prepared as inhibitors for mammalian cells infected with retroviruses. Thus, hydrogenolysis of benzyl ester I (preparation given), followed by amidation with 2-(2-aminoethylamino)pyridine gave II. Deprotection of II followed by amidation with picolinic acid gave III (R = SiMe₂CMe₃), which was desilylated and phosphorylated to give a title derivative III (R = PO₃H₂).

IT **146363-51-1P 146394-69-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HIV-1 protease inhibitory activity of)

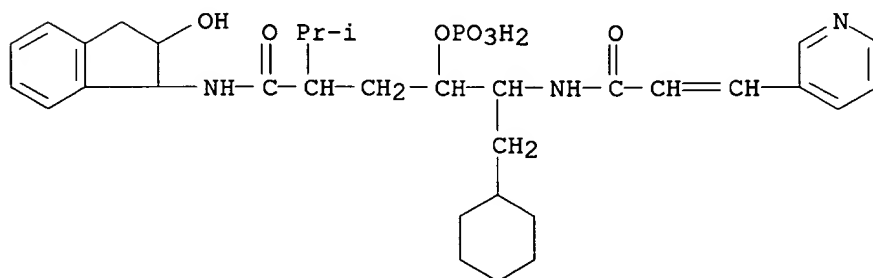
RN 146363-51-1 CAPLUS

CN Cyclohexanehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-γ-hydroxy-α-(1-methylethyl)-δ-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, [1S-[1α(αR*,γR*,δR*),2α]]-(9CI) (CA INDEX NAME)



RN 146394-69-6 CAPLUS

CN Cyclohexanehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-α-(1-methylethyl)-δ-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-γ-(phosphonooxy)-, [1S-[1α(αR*,γR*,δR*),2α]]-(9CI) (CA INDEX NAME)

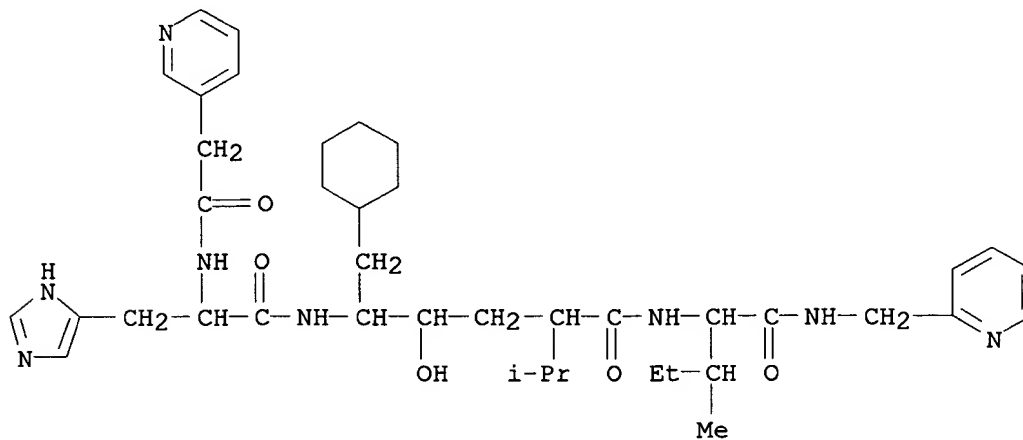


IT **136419-18-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as HIV-1 protease inhibitor)

RN 136419-18-6 CAPLUS

CN 3-Pyridineacetamide, N-[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methyl-4-[[[2-methyl-1-[[(2-pyridinylmethyl) amino] carbonyl] butyl] amino] carbonyl] hexyl] amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-, [1S-[1R*(R*),2R*,4R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)



126 ANSWER 148 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:234430 CAPLUS

DOCUMENT NUMBER: 118:234430

TITLE: Symmetry-based inhibitors of HIV protease.

Structure-activity studies of acylated
2,4-diamino-1,5-diphenyl-3-hydroxypentane and
2,5-diamino-1,6-diphenylhexane-3,4-diol

AUTHOR(S): Kempf, Dale J.; Codacovi, Lynnmarie; Wang, Xiu Chun;
Kohlbrenner, William E.; Wideburg, Norman E.;
Saldivar, Ayda; Vasavanonda, Sudthida; Marsh, Kennan
C.; Bryant, Pamela; et al.

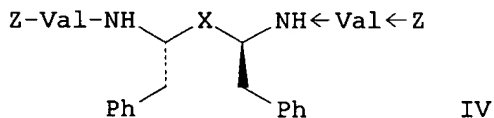
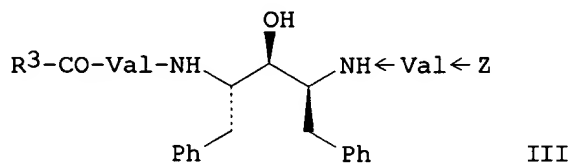
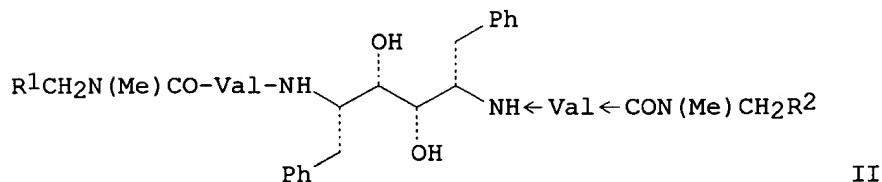
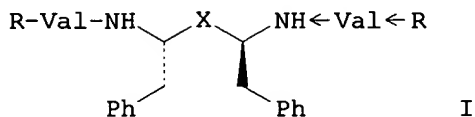
CORPORATE SOURCE: Pharm. Prod. Div., Abbott Lab., Abbott Park, IL,
60064, USA

SOURCE: Journal of Medicinal Chemistry (1993), 36(3), 320-30
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Title sym. substituted compds. I [R = (2-pyridylmethoxy)carbonyl, [2-(4-morpholinyl)ethoxy]carbonyl, trans-3-(2-pyridyl)acryloyl, (2-pyridylmethyl)methylamino]carbonyl, [(2-pyridylmethyl)methylamino]sulfonyl, etc.; X = (R,R)-CH(OH)CH(OH), (R,S)-CH(OH)CH(OH), (S,S)-CH(OH)CH(OH), CH(OH)] were prepared as inhibitors of human immunodeficiency virus (HIV) protease, the enzyme responsible for maturation of HIV. Unsym. substituted HIV protease inhibitors II (R₁ = 2-pyridyl, R₂ = 3-pyridyl, 4-thiazolyl, 2-thiazolyl; R₁ = 2-pyridyl, 2-thiazolyl, 4-thiazolyl, R₂ = 2-aminothiazol-4-yl) and unsym. substituted mono-ol inhibitors III [Z =

benzyloxycarbonyl; R3 = PhCH2O, 2-pyridylmethoxy, 3-pyridylmethoxy, 4-pyridylmethoxy, (1-methyl-3-piperidiny)l)methoxy, (1-methyl-2-piperidiny)l)methoxy, 2-(4-morphiny)l)ethoxy, 2-(1-pyrrolidiny)l)ethoxy, 4-methyl-1-piperaziny] were also prepared. Structure-activity relationships were studied. Beginning with lead compds. IV, the effect of adding polar, heterocyclic end groups to one or both ends of the sym. or pseudosym. inhibitors was probed. Aqueous solubility was enhanced > 1000-fold while maintaining potent inhibition of purified HIV-1 protease and anti-HIV activity in vitro. Pharmacokinetic studies in rats indicated a substantial difference in the absorption properties of mono-ol-based and diol-based inhibitors. The oral bioavailability of inhibitor I [R = (2-pyridylmethoxy)carbonyl, X = CH(OH)] in rats was 19%; however, the Cmax obtained failed to exceed the anti-HIV EC50 in vitro. Substantial plasma levels of potent inhibitors of the diol class were not obtained after oral administration in rats; however, the optimal combination of aqueous solubility

and

in vitro antiviral activity of several inhibitors support their potential use in i.v. therapy.

IT 134805-80-4P 144141-71-9P 144141-72-0P
147201-61-4P

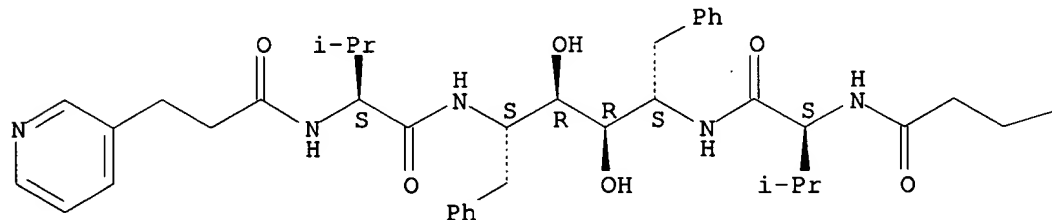
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HIV protease-inhibiting activity of)

RN 134805-80-4 CAPLUS

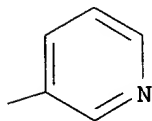
CN L-Iditol, 1,2,5,6-tetradecoxy-2,5-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridiny)l)propyl]amino]butyl]amino]-1,6-diphenyl-, [2(S),5(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



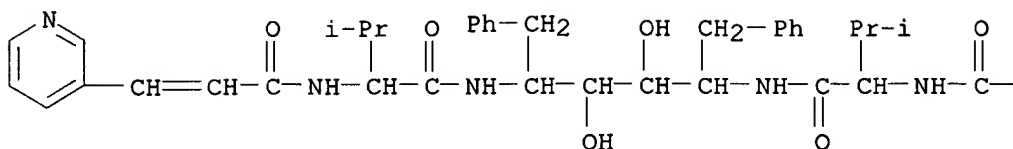
PAGE 1-B



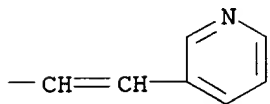
RN 144141-71-9 CAPLUS

CN L-Iditol, 1,2,5,6-tetradecoxy-2,5-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridiny)l)-2-propenyl]amino]butyl]amino]-1,6-diphenyl-, [2[S(E)],5[S(E)]]- (9CI) (CA INDEX NAME)

PAGE 1-A



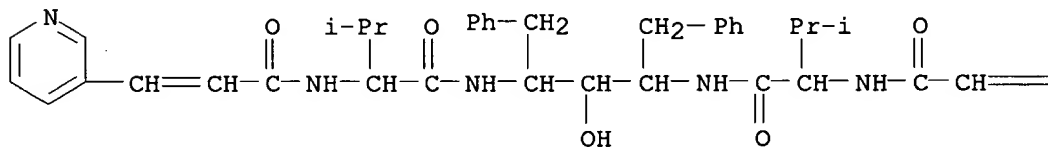
PAGE 1-B



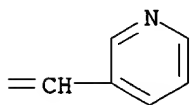
RN 144141-72-0 CAPLUS

CN L-Arabinitol, 1,2,4,5-tetradecoxy-2,4-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]amino]-1,5-diphenyl-, [2[S(E)],5[S(E)]]-(9CI) (CA INDEX NAME)

PAGE 1-A



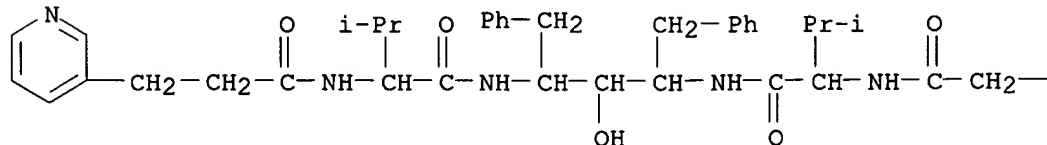
PAGE 1-B

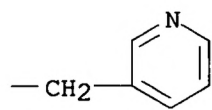


RN 147201-61-4 CAPLUS

CN L-Arabinitol, 1,2,4,5-tetradecoxy-2,4-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-1,5-diphenyl-, [2(S),4(S)]-(9CI) (CA INDEX NAME)

PAGE 1-A





~~186~~ ANSWER 149 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:192283 CAPLUS
 DOCUMENT NUMBER: 118:192283
 TITLE: amino acid derivatives as HIV-1 protease inhibitors
 and methods for their synthesis
 INVENTOR(S): Kempf, Dale J.; Codacovi, Lynn M.; Norbeck, Daniel W.;
 Plattner, Jacob J.; Sham, Hing L.; Wittenberger,
 Steven J.; Zhao, Chen
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: Eur. Pat. Appl., 154 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 486948	A2	19920527	EP 1991-119464	19911104
EP 486948	A3	19930825		
EP 486948	B1	20001004		
R: AT, BE, DE, DK, FR, GB, GR, LU, NL, SE				
EP 997459	A1	20000503	EP 2000-101297	19911104
R: AT, BE, DE, DK, FR, GB, GR, LU, NL, SE				
IL 99952	A1	20000629	IL 1991-99952	19911104
AT 196761	E	20001015	AT 1991-119464	19911104
IL 133409	A1	20021201	IL 1991-133409	19911104
IL 148516	A1	20030917	IL 1991-148516	19911104
AU 9187715	A1	19920521	AU 1991-87715	19911108
AU 650491	B2	19940623		
CA 2055670	AA	19920521	CA 1991-2055670	19911115
CA 2055670	C	20030610		
CH 684696	A	19941130	CH 1991-3384	19911119
CH 688551	A	19971114	CH 1994-3618	19911119
CH 689001	A	19980715	CH 1997-2338	19911119
JP 04308574	A2	19921030	JP 1991-354231	19911120
JP 3207901	B2	20010910		
ES 2070660	A1	19950601	ES 1991-2579	19911120
ES 2070660	B1	19960101		
US 5354866	A	19941011	US 1993-121673	19930914
US 5541334	A	19960730	US 1995-409380	19950323
US 5597926	A	19970128	US 1995-409767	19950323
US 5616714	A	19970401	US 1995-410260	19950324
US 5648497	A	19970715	US 1995-410623	19950324
US 5837873	A	19981117	US 1995-410162	19950324
US 5539122	A	19960723	US 1995-410996	19950327
US 5552558	A	19960903	US 1995-411032	19950327
US 5696270	A	19971209	US 1995-411140	19950327
US 5580984	A	19961203	US 1995-412253	19950328
US 5679797	A	19971021	US 1995-412244	19950328
US 5583232	A	19961210	US 1995-412821	19950329
US 5597927	A	19970128	US 1995-412438	19950329
US 5674882	A	19971007	US 1995-413136	19950329
US 5583233	A	19961210	US 1995-413290	19950330
US 5625072	A	19970429	US 1995-415827	19950403
US 5591860	A	19970107	US 1995-416272	19950404
US 5597928	A	19970128	US 1995-416607	19950404
US 5608072	A	19970304	US 1995-416259	19950404

US 5565418	A	19961015	US 1995-417304	19950405
US 5659044	A	19970819	US 1995-417165	19950405
US 5659045	A	19970819	US 1995-417295	19950405
US 5616720	A	19970401	US 1995-418056	19950406
US 5635523	A	19970603	US 1995-417879	19950406
US 5892052	A	19990406	US 1995-418031	19950406
US 5554783	A	19960910	US 1995-418978	19950407
US 5541206	A	19960730	US 1995-423387	19950425
US 6531610	B1	20030311	US 2000-619785	20000720
GR 3035148	T3	20010430	GR 2000-402836	20001227
JP 2001354663	A2	20011225	JP 2001-151585	20010521
JP 3569240	B2	20040922		
US 2003195362	A1	20031016	US 2003-360325	20030207
US 6667404	B2	20031223		

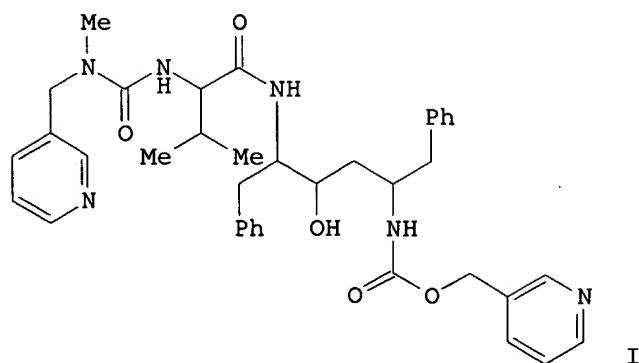
PRIORITY APPLN. INFO.:

US 1990-616170	A	19901120
US 1991-746020	A	19910815
US 1991-777626	A	19911023
US 1994-270210		19940823
US 1983-355945	B2	19830523
US 1989-355945	B2	19890523
US 1989-405604	B2	19890908
US 1989-456124	B2	19891222
US 1990-518730	A2	19900509
EP 1991-119464	A3	19911104
IL 1991-133409	A3	19911104
IL 1991-99952	A3	19911104
JP 1991-354231	A3	19911120
US 1992-998114	B2	19921229
US 1993-121673	A3	19930914
US 1993-158587	B3	19931202
US 1995-418031	A3	19950406
US 1998-207881	A3	19981208
US 2000-619785	A3	20000720

OTHER SOURCE(S):

CASREACT 118:192283; MARPAT 118:192283

GI



AB Certain 2-alkoxy-1,4-butanediamine derivs. are claimed. Specific compds. such as (2S,3S,5S)-2-[N-[N-[N-methyl-N-[(2-pyridyl)methyl]amino]carbonyl]valinyl]amino]-5-[N-[(3-pyridinyl)methoxycarbonyl]amino]-1,6-diphenyl-3-

hydroxyhexane I, their salts, and prodrug forms thereof are claimed. The use of such compds. for the manufacture of pharmaceuticals for the treatment of HIV infections and their use for the inhibition of HIV protease are claimed. I in vivo was an HIV-1 protease inhibitor and it was active against HIV-13b.

IT **144141-71-9P 144141-72-0P 144142-92-7P**

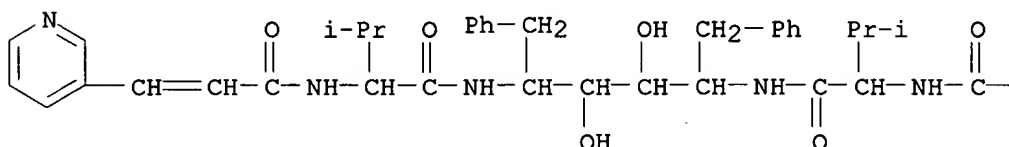
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as HIV-1 protease inhibitor)

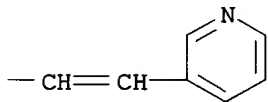
RN 144141-71-9 CAPLUS

CN L-Iditol, 1,2,5,6-tetradecoxy-2,5-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]amino]-1,6-diphenyl-, [2[S(E)],5[S(E)]]-(9CI) (CA INDEX NAME)

PAGE 1-A



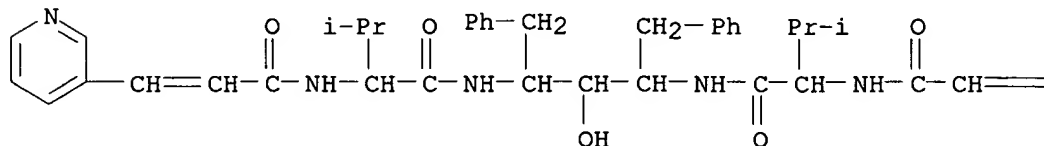
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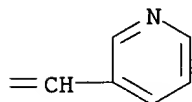
RN 144141-72-0 CAPLUS

CN L-Arabinitol, 1,2,4,5-tetradecoxy-2,4-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]amino]-1,5-diphenyl-, [2[S(E)],5[S(E)]]-(9CI) (CA INDEX NAME)

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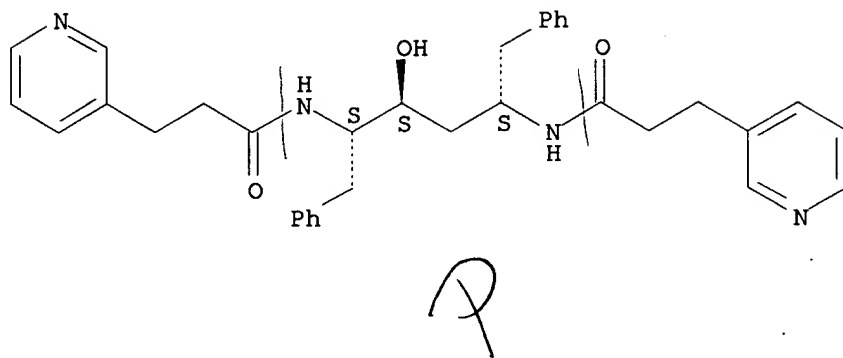
RN 144142-92-7 CAPLUS

CN 3-Pyridinepropanamide, N,N'-[2-hydroxy-1,4-bis(phenylmethyl)-1,4-

09/596,086

butanediyl]bis-, [1S-(1R*,2R*,4R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~126~~ ANSWER 150 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:160435 CAPLUS

DOCUMENT NUMBER: 118:160435

TITLE: Renin inhibitors as an example of presumptive irrelevant positive findings in the Salmonella/mammalian microsome assay (Ames test)

AUTHOR(S): Albertini, Silvio; Gocke, Elmar

CORPORATE SOURCE: Preclin. Res., F. Hoffmann-La Roche Ltd., Basel, CH-4002, Switz.

SOURCE: Mutation Research (1993), 298(4), 237-46

CODEN: MUREAV; ISSN: 0027-5107

DOCUMENT TYPE: Journal

LANGUAGE: English

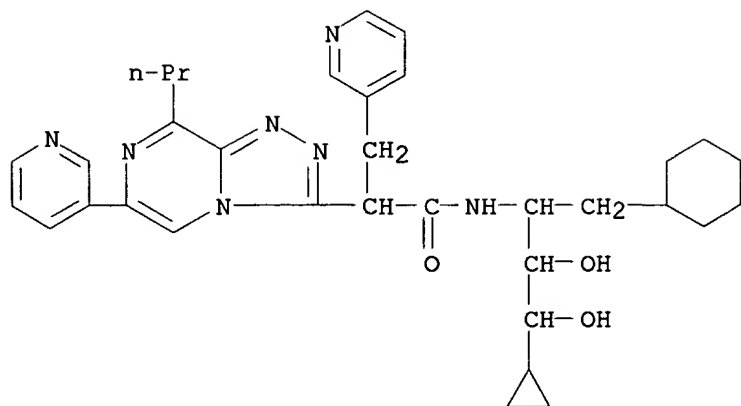
AB An increase in the number of mutant colonies in the Ames test is generally taken as a strong indication for a genotoxic (e.g., DNA damaging) property of the test compound or its metabolites. However, a few examples are known in which mechanisms usually related to some sort of growth enhancement will lead to increases in mutant frequencies of spontaneous origin. The renin inhibitor Ro 42-5892 increased the number of mutant colonies of strain TA1538 and to a lesser degree of TA98 in the standard plate incorporation assay (Ames test). Since there is no chemical basis for a 'DNA reactivity' of this compound, expts. were performed to obtain information about possible indirect mechanisms of enhancing the number of spontaneous mutant colonies. Circumstantial evidence is presented to attribute the weak activity not to an inherent genotoxic property but rather to an as yet undefined indirect effect on the expression of spontaneous mutants. Since Ro 42-5892 contains a histidine residue it was a reasonable assumption to suspect a growth enhancing property of the test compound. However, none of the strains showed an elevation of the number of revertant colonies or an increase in the d. of the background growth. In addition, structurally related non-histidine containing renin inhibitors showed absolutely no increase in the number of revertant colonies. Furthermore, no growth induction (either in liquid or under selective conditions) and no histidine cleave off by a TA1538/TA98 specific metabolism could be shown. A second line of evidence showing parallelism to growth enhancing compds. concerns the comutagenicity of histidine-containing renin inhibitors. When Ro 42-5892 was tested in combination with established mutagens, a multiplicative synergism was found. This effect was observed not only in strains TA1538 and TA98 but also in the standard Salmonella tester strains where the spontaneous mutant frequency was not increased by Ro 42-5892. Analogous effects were previously shown for free histidine, isohistidine, phenobarbital and tetracycline and in part explained by mol. mechanisms.

IT 146726-56-9, Ro 44-2453

RL: ANST (Analytical study)
(mutation from, in Ames test)

RN 146726-56-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

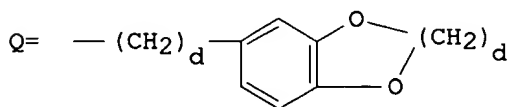


09/596,086

~~L26~~ ANSWER 151 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:651790 CAPLUS
DOCUMENT NUMBER: 117:251790
TITLE: Preparation of difluorostatone analogs as antiviral agents
INVENTOR(S): Schirlin, Daniel; Van Dorsselaer, Viviane; Tarnus, Celine
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9212123	A1	19920723	WO 1991-US9741	19911220
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9191790	A1	19920817	AU 1991-91790	19911220
AU 649766	B2	19940602		
EP 565631	A1	19931020	EP 1992-904336	19911220
EP 565631	B1	19960918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
HU 65739	A2	19940728	HU 1993-1918	19911220
HU 210647	B	19950628		
AT 143025	E	19961015	AT 1992-904336	19911220
ES 2094344	T3	19970116	ES 1992-904336	19911220
JP 3198416	B2	20010813	JP 1992-504396	19911220
CA 2098020	AA	20031021	CA 1991-2098020	19911220
ZA 9110141	A	19921028	ZA 1991-10141	19911223
IL 100477	A1	19960723	IL 1991-100477	19911223
NO 9302377	A	19930629	NO 1993-2377	19930629
NO 180334	B	19961223		
NO 180334	C	19970402		
FI 106466	B1	20010215	FI 1993-2997	19930629
US 5559140	A	19960924	US 1993-81368	19930630
US 5716973	A	19980210	US 1997-788098	19970123
PRIORITY APPLN. INFO.:				EP 1991-400005 A 19910102
				WO 1991-US9741 A 19911220
				US 1993-81368 A3 19930630
				US 1995-444322 B1 19950518
				US 1997-788098 A 19970123

OTHER SOURCE(S): MARPAT 117:251790
GI



AB Title compds. R1[CONHCX2H]xCONHCX1HCOCF2CONR5R6 [I; x = 0,1; X1 = Q, CH2Ph whose Ph ring is substituted by 1 or 2 of [(CH2)a(O)b(CH2)cR] excluding 4-HOC6H4CH2 or p-alkoxybenzyl; a = 0-3; b = 0,1; c = 0-5; d = 1, 2; X2 =

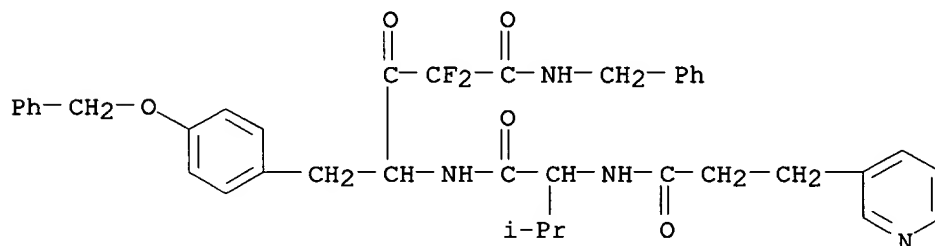
C1-6 alkyl, cyclopentyl, cyclohexyl, hydroxy C1-6 alkylene, etc.; R = CH₂CHO, hydroxy C1-6 alkylene, C1-6 alkyl, Q, etc.; R₁ = PhCH₂O, C1-6 alkoxy, C1-6 alkyl, Ph, CH₂Ph, phenethyl, fluorenylmethylenoxy, 2-isoquinolinyl, etc.; R₅, R₆ = H, C1-6 alkyl, OH, C1-6 alkoxy, etc.; R₅ ≠ R₆ = H; NR₅R₆ = various (substituted) heterocyclyl groups, e.g. 1-piperidinyl] were prepared as antivirals useful for the treatment of HIV infection and AIDS (no data). Thus, 4-PhCH₂OC₆H₄CH₂CH(NHBOC)CHOHCF₂CONHCH₂Ph (preparation given) was deprotected by CF₃CO₂H and the resultant product was sequentially N-protected by (PhOCO)₂O and oxidized by Dess-Martin periodinane to give 4-PhCH₂OC₆H₄CH₂CH(NH₂)COCF₂CONHCH₂Ph in 86% yield.

IT **144554-44-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiviral agent)

RN 144554-44-9 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

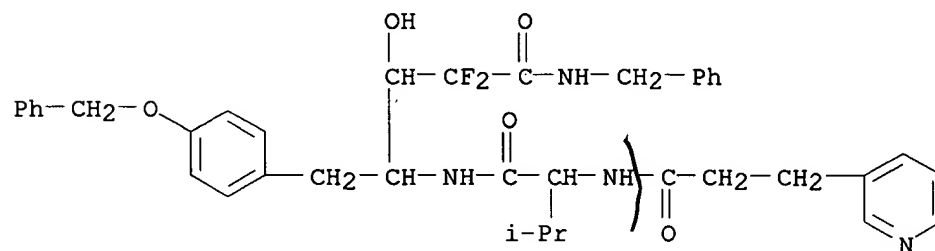


IT **144569-81-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiviral agents)

RN 144569-81-3 CAPLUS

CN Pentonamide, 2,4,5-trideoxy-2,2-difluoro-4-[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-5-[4-(phenylmethoxy)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



~~126~~ ANSWER 152 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:551398 CAPLUS
 DOCUMENT NUMBER: 117:151398
 TITLE: Preparation of nonapeptides as gonadoliberin antagonists
 INVENTOR(S): Koenig, Wolfgang; Sandow, Juergen; Kolar, Cenek
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Eur. Pat. Appl., 16 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 477499	A1	19920401	EP 1991-112817	19910730
EP 477499	B1	19940126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 100822	E	19940215	AT 1991-112817	19910730
ES 2062628	T3	19941216	ES 1991-112817	19910730
CA 2048407	AA	19920205	CA 1991-2048407	19910802
CA 2048407	C	20011023		
NO 9103020	A	19920205	NO 1991-3020	19910802
NO 309429	B1	20010129		
AU 9181548	A1	19920206	AU 1991-81548	19910802
AU 641035	B2	19930909		
ZA 9106097	A	19920429	ZA 1991-6097	19910802
IL 99062	A1	19950731	IL 1991-99062	19910802
KR 191700	B1	19990615	KR 1991-13368	19910802
JP 05148299	A2	19930615	JP 1991-219139	19910805
JP 3164844	B2	20010514		
US 5434138	A	19950718	US 1993-151056	19931112
PRIORITY APPLN. INFO.:			DE 1990-4024779	A 19900804
			EP 1991-112817	A 19910730
			US 1991-739233	B1 19910801

OTHER SOURCE(S): MARPAT 117:151398

AB Peptides X-A-B-C-Ser-D-E-F-G-Pro-H [I; X = C2-8 alkanoyl; A = D-3-(2-naphthyl)alaninyl (D-Nal), D-Phe, D-Trp all of which may be substituted on the aromatic ring; B = (substituted) D-Phe; C = D-3-(3-pyridyl)alaninyl (D-Pal), (substituted) D-Phe, -D-Trp; D = Tyr, His; E = D-Ser(R1); R1 = glycosyl group; F = Leu, Trp, Phe; G = Ser(R1); H, Gly-NH₂, D-Ala-NH₂, azaGly-NH₂] were prepared as gonadoliberin antagonists which inhibit testosterone and estrogen biosynthesis. Thus, Ac-D-Nal-D-p-Cl-Phe-D-Pal-Ser-Tyr-D-Ser(Rha)-Leu-Ser(Rha)-Pro-D-Ala-NH₂ (II) (Rha = rhamnosyl) was prepared via standard solution phase peptide synthesis

starting from Fmoc-Pro-OH and H-D-Ala-NH₂.HCl using the appropriate protected amino acids. II at 60 µg/24 h via minipump infusion in rats inhibited testosterone synthesis.

IT 142994-37-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

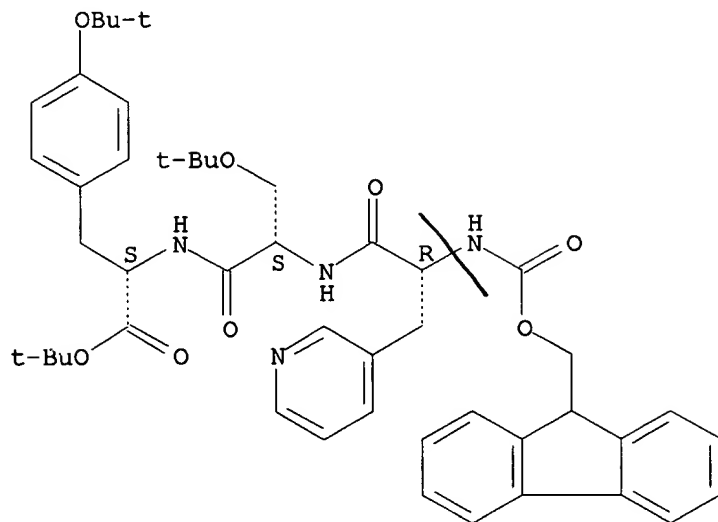
(preparation and deprotection of, in preparation of gonadoliberin antagonist)

RN 142994-37-4 CAPLUS

CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[O-(1,1-dimethylethyl)-N-[N-(9H-fluoren-9-ylmethoxy)carbonyl]-3-(3-pyridinyl)-D-alanyl]-L-seryl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT **142994-22-7P**

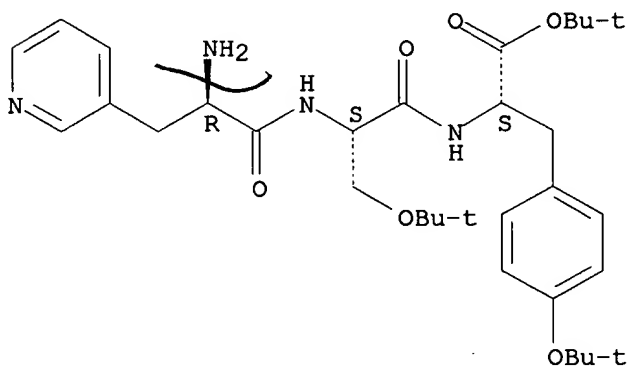
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and peptide coupling of, in preparation of gonadoliberein antagonist)

RN 142994-22-7 CAPLUS

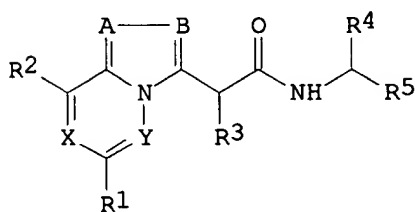
CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[O-(1,1-dimethylethyl)-N-[3-(3-pyridinyl)-D-alanyl]-L-seryl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 153 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:531556 CAPLUS
 DOCUMENT NUMBER: 117:131556
 TITLE: Preparation of heterocyclic amino acid derivatives as
 renin inhibitors
 INVENTOR(S): Branca, Quirico; Heitz, Marie Paule; Mueller, Marcel;
 Neidhart, Werner; Stadler, Heinz; Vieira, Eric; Wostl,
 Wolfgang
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 30 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 464572	A2	19920108	EP 1991-110400	19910624
EP 464572	A3	19921007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2044564	AA	19911229	CA 1991-2044564	19910613
ZA 9104808	A	19920325	ZA 1991-4808	19910621
AU 9179278	A1	19920102	AU 1991-79278	19910624
AU 642021	B2	19931007		
HU 61299	A2	19921228	HU 1991-2097	19910624
JP 04230380	A2	19920819	JP 1991-180581	19910626
NO 9102537	A	19911230	NO 1991-2537	19910627
FI 9103179	A	19911229	FI 1991-3179	19910628
BR 9102730	A	19920204	BR 1991-2730	19910628
US 5278161	A	19940111	US 1992-971787	19921105
PRIORITY APPLN. INFO.:			CH 1990-2159	A 19900628
			US 1991-718071	B1 19910620
OTHER SOURCE(S):	MARPAT 117:131556			
GI				



I

AB The title compds. [I; R1 = Ph, pyridyl, thienyl; R2 = alkyl, aralkyl; R3 = H, alkyl, imidazolylmethyl, etc.; R4 = cyclohexylmethyl, benzyl, isobutyl; R5 = hydroxyalkyl; A, B, X, Y = N, CH; with provisos] and their stereoisomers and pharmaceutically acceptable salts, useful for treatment of high blood pressure and heart insufficiency, were prepared
 8-Propyl-6-(3-pyridyl)- α -(3-pyridyl)-s-triazolo[4,3-a]pyrazine-3-acetic acid (preparation given) was condensed with 3-amino-4-cyclohexyl-1-cyclopropyl-1,2-butanediol to give I [A, B, X = N; Y = CH; R1 = R3 = 3-pyridyl, R2 = Pr, R4 = 1,2-dihydroxy-2-cyclopropylethyl, R5 =

cyclohexylmethyl] (II). II had an IC₅₀ of 61 nmol/L against renin in vitro. A solution for injection was prepared containing II.

IT 142477-79-0P 142477-83-6P 142477-85-8P

142477-87-0P 142477-89-2P 142477-94-9P

142477-96-1P 142477-97-2P 142478-04-4P

142478-05-5P 142478-07-7P 142561-16-8P

142561-18-0P 142561-20-4P 142561-22-6P

142561-24-8P 142561-25-9P 142561-29-3P

142561-30-6P 142562-10-5P 142630-69-1P

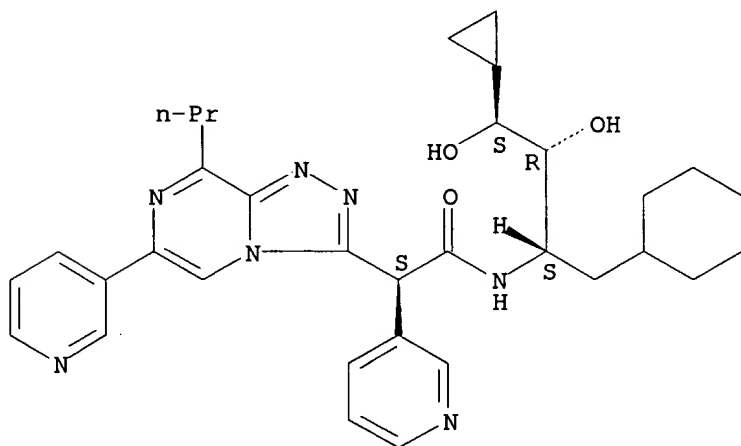
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 142477-79-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl- α ,6-di-3-pyridinyl-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

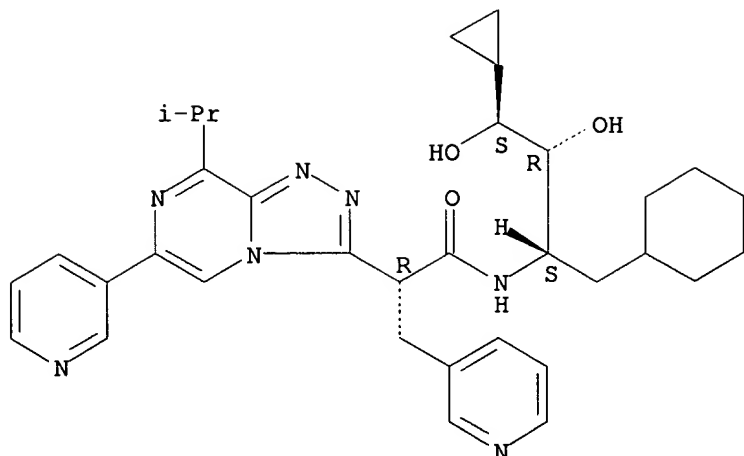
Absolute stereochemistry.



RN 142477-83-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(1-methylethyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

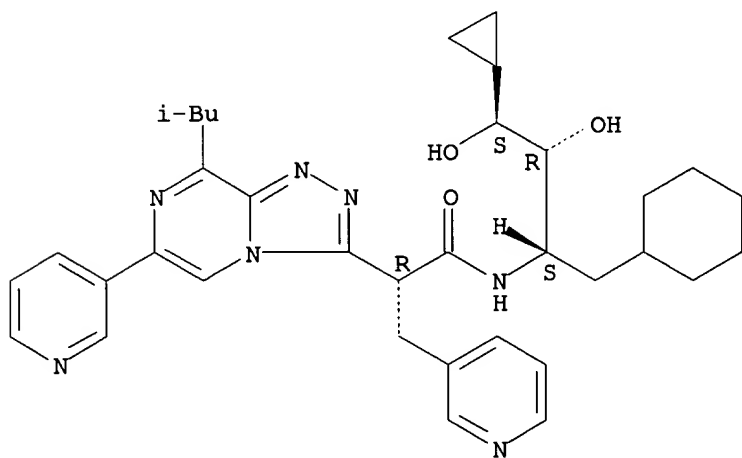
Absolute stereochemistry.



RN 142477-85-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(2-methylpropyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

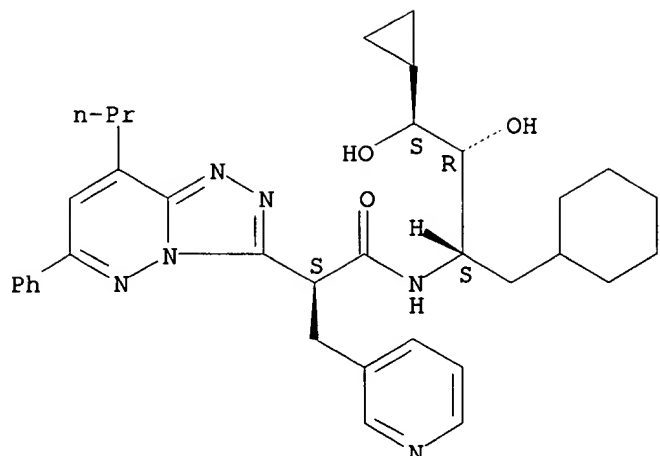
Absolute stereochemistry.



RN 142477-87-0 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-phenyl-8-propyl- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

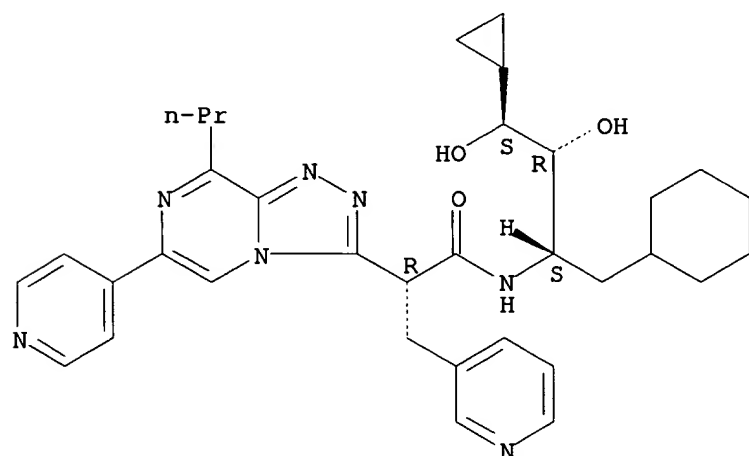
Absolute stereochemistry.



RN 142477-89-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(4-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

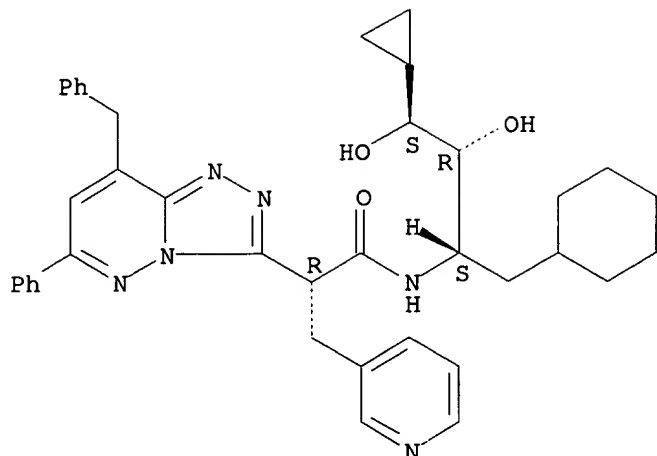
Absolute stereochemistry.



RN 142477-94-9 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-phenyl-8-(phenylmethyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

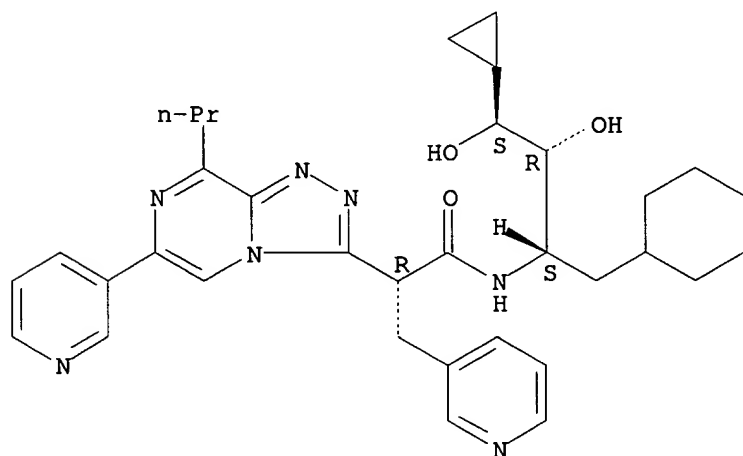
Absolute stereochemistry.



RN 142477-96-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

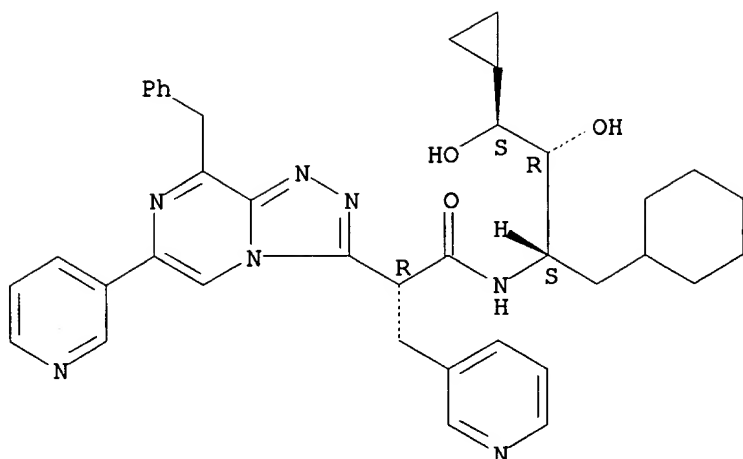
Absolute stereochemistry.



RN 142477-97-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(phenylmethyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

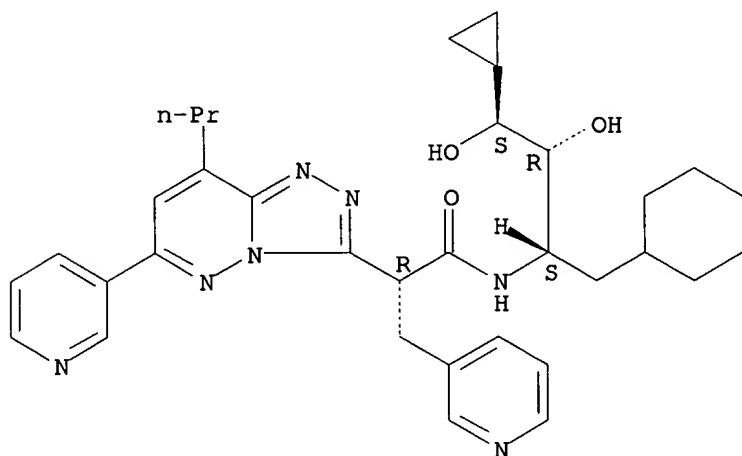
Absolute stereochemistry.



RN 142478-04-4 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

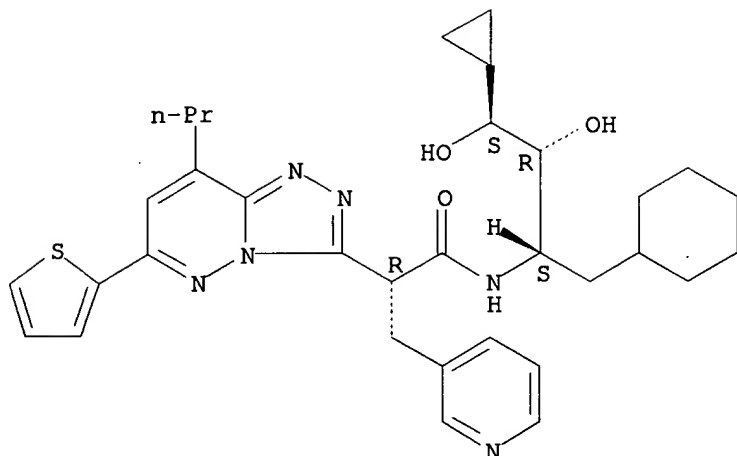
Absolute stereochemistry.



RN 142478-05-5 CAPLUS

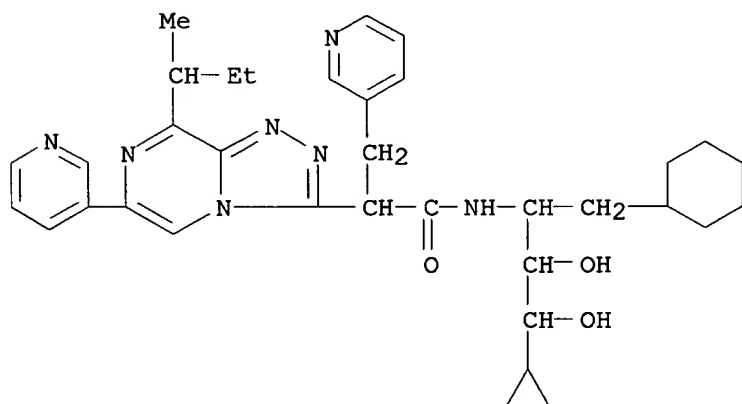
CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl- α -(3-pyridinylmethyl)-6-(2-thienyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142478-07-7 CAPLUS

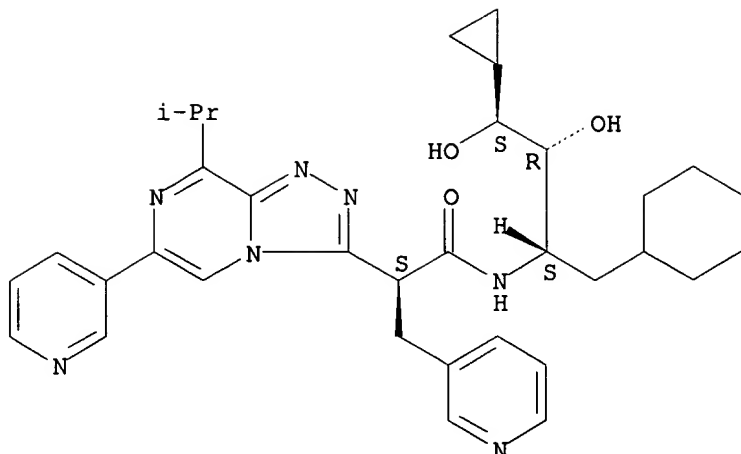
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(1-methylpropyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 142561-16-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(1-methylethyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

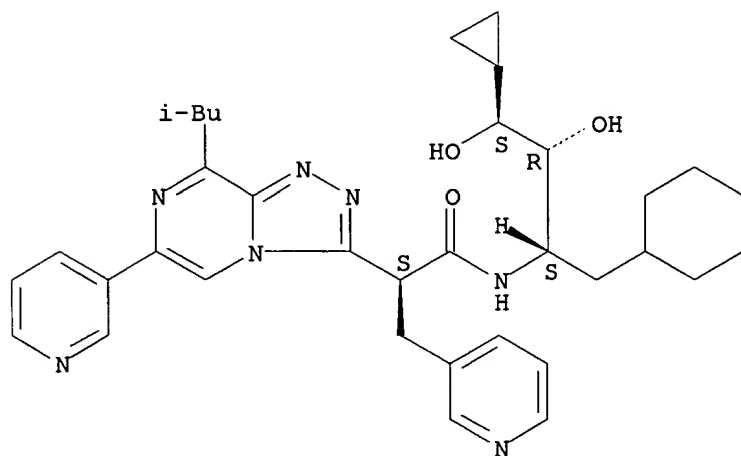
Absolute stereochemistry.



RN 142561-18-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(2-methylpropyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

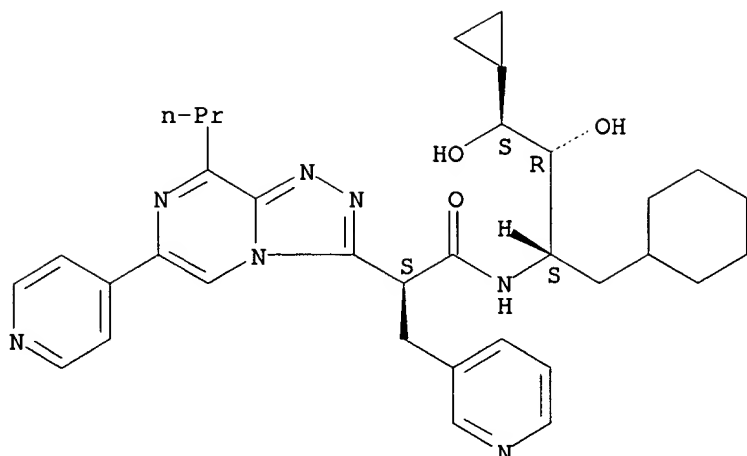
Absolute stereochemistry.



RN 142561-20-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(4-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

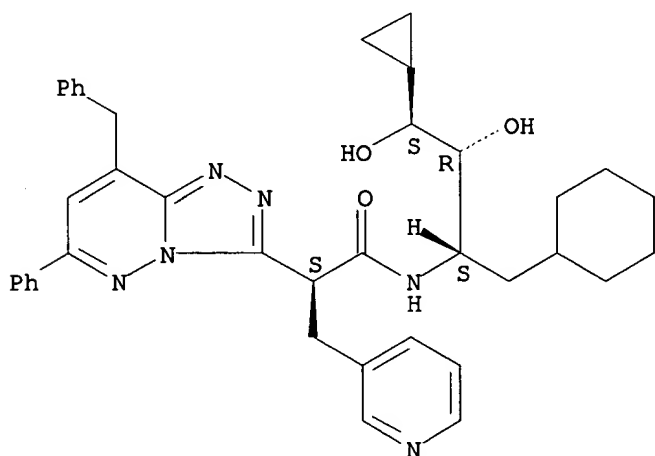
Absolute stereochemistry.



RN 142561-22-6 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-phenyl-8-(phenylmethyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

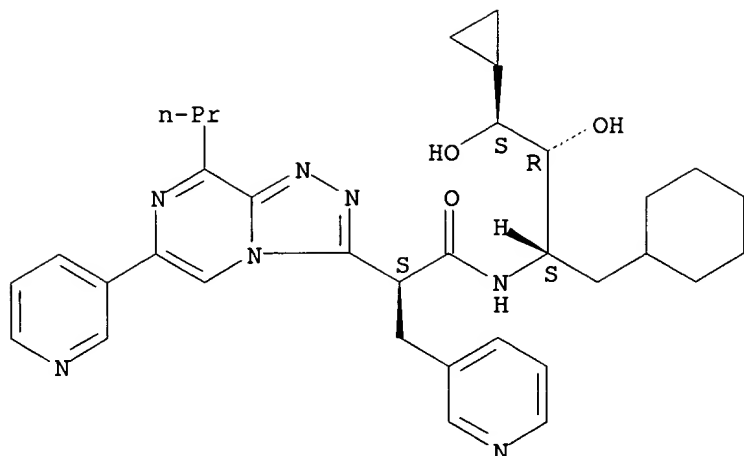
Absolute stereochemistry.



RN 142561-24-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

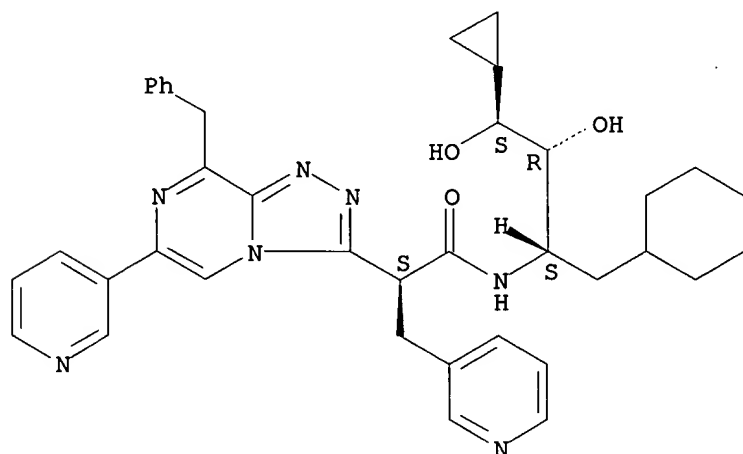
Absolute stereochemistry.



RN 142561-25-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-(phenylmethyl)-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

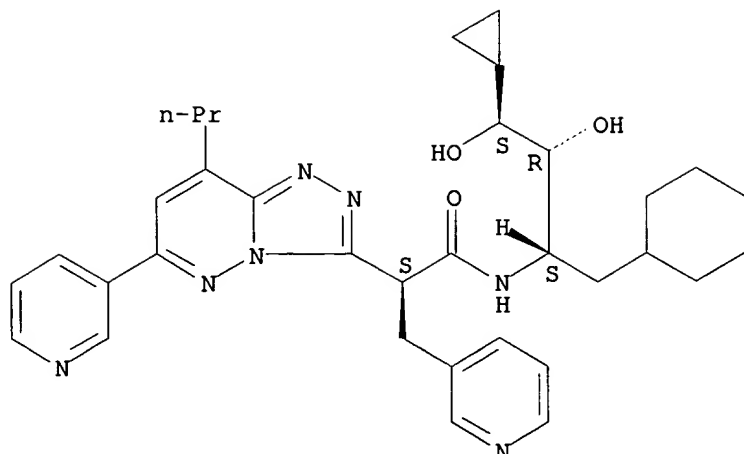
Absolute stereochemistry.



RN 142561-29-3 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

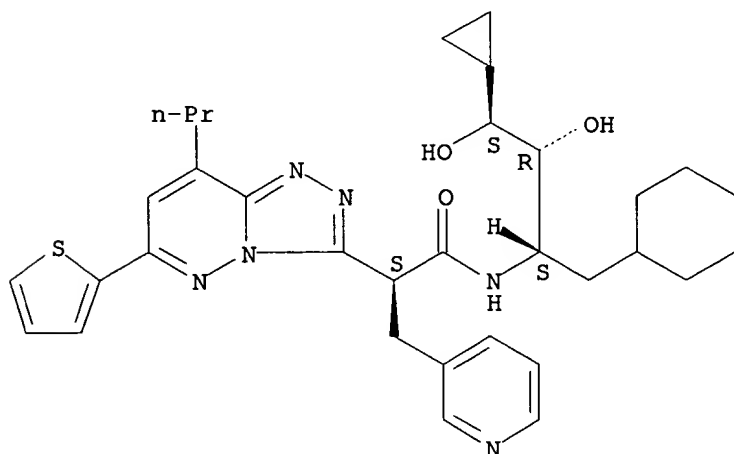
Absolute stereochemistry.



RN 142561-30-6 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl- α -(3-pyridinylmethyl)-6-(2-thienyl)-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

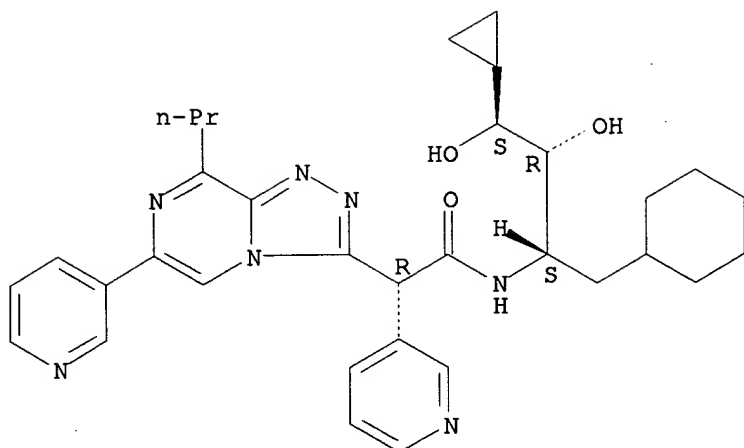
Absolute stereochemistry.



RN 142562-10-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-8-propyl- α ,6-di-3-pyridinyl-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

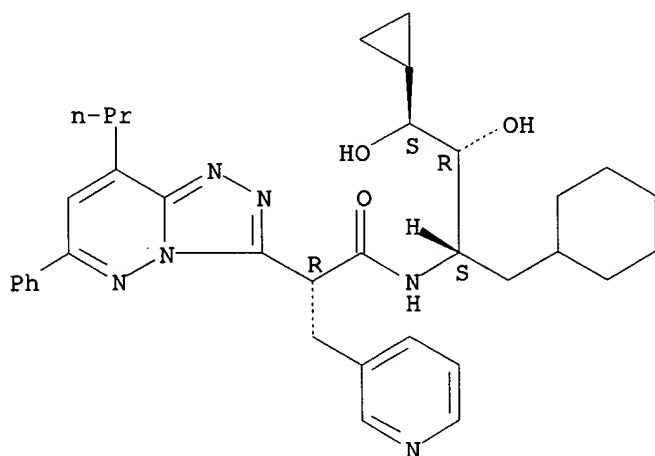
Absolute stereochemistry.



RN 142630-69-1 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazine-3-acetamide, N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-6-phenyl-8-propyl- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

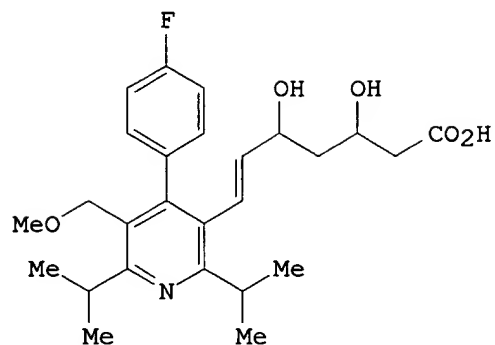
Absolute stereochemistry.



~~L26~~ ANSWER 154 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:531084 CAPLUS
 DOCUMENT NUMBER: 117:131084
 TITLE: Preparation of 7-[4-(4-fluorophenyl)-2,6-diisopropyl-5-methoxymethylpyrid-3-yl]-3,5-dihydroxy-6-heptenoate isomers as HMG-CoA reductase inhibitors
 INVENTOR(S): Angerbauer, Rolf; Fey, Peter; Huebsch, Walter; Philipps, Thomas; Bischoff, Hilmar; Petzinna, Dieter; Schmidt, Delf
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 10 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4040026	A1	19920617	DE 1990-4040026	19901214
US 5177080	A	19930105	US 1991-798675	19911126
CZ 282642	B6	19970813	CZ 1991-3602	19911127
SK 280115	B6	19990806	SK 1991-3602	19911127
NO 9104696	A	19920615	NO 1991-4696	19911129
NO 177140	B	19950418		
NO 177140	C	19950726		
EP 491226	A1	19920624	EP 1991-120745	19911203
EP 491226	B1	19960814		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 141261	E	19960815	AT 1991-120745	19911203
ES 2091852	T3	19961116	ES 1991-120745	19911203
JP 04308573	A2	19921030	JP 1991-349473	19911209
JP 2786363	B2	19980813		
CA 2057444	AA	19920615	CA 1991-2057444	19911211
CA 2057444	C	19980526		
AU 9189615	A1	19920618	AU 1991-89615	19911211
AU 652977	B2	19940915		
IL 100327	A1	19951208	IL 1991-100327	19911211
FI 9105854	A	19920615	FI 1991-5854	19911212
FI 101069	B1	19980415		
ZA 9109833	A	19920930	ZA 1991-9833	19911213
HU 61282	A2	19921228	HU 1991-3945	19911213
RU 2026290	C1	19950109	RU 1991-5010264	19911213
PL 169757	B1	19960830	PL 1991-292764	19911213
KR 192625	B1	19990615	KR 1991-22918	19911213
CN 1034073	B	19970219	CN 1991-107973	19911214
CN 1113485	A	19951220	CN 1995-106818	19950526
CN 1092641	B	20021016		
CN 1329888	A	20020109	CN 2000-127089	20000908
PRIORITY APPLN. INFO.:			IT 1991-MI2125	19910731
			DE 1990-4040026	A 19901214

OTHER SOURCE(S): MARPAT 117:131084
 GI



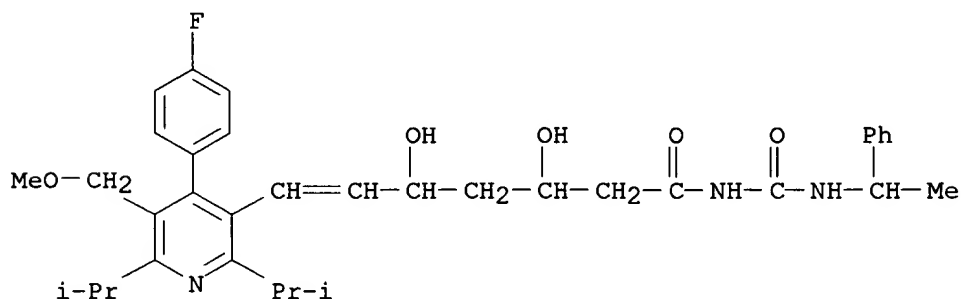
AB The title compound (I) and its salts and isomers were prepared as HMG-CoA reductase inhibitors (no data). Thus, erythro-I Me ester was kept 72 h with (R)-1-phenylethylamine at 40° to give a mixture of diastereomeric amides which were hydrolyzed sep. with 1 N HCl in EtOH to give, after salification with NaOH, (+)- and (-)-erythro-I Na salts. The (+)-isomer is preferred as an HMG-CoA reductase inhibitor.

IT **143222-03-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amide hydrolysis of)

RN 143222-03-1 CAPLUS

CN 6-Heptenamide, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-N-[(1-phenylethyl)amino]carbonyl]-, [3R-[1(R*),3R*,5S*]]- (9CI) (CA INDEX NAME)



~~126~~ ANSWER 155 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:449261 CAPLUS

DOCUMENT NUMBER: 117:49261

TITLE: Preparation of peptides having endothelin antagonist activity and pharmaceutical compositions comprising them.

INVENTOR(S): Hemmi, Keiji; Neya, Masahiro; Fukami, Naoki; Hashimoto, Masashi; Tanaka, Hirokazu; Kayakiri, Natsuko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 179 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

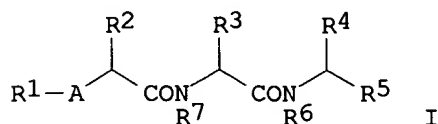
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 457195	A2	19911121	EP 1991-107554	19910509
EP 457195	A3	19921119		
EP 457195	B1	19980415		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9103417	A	19920226	ZA 1991-3417	19910506
US 5284828	A	19940208	US 1991-696701	19910507
AU 9176446	A1	19911114	AU 1991-76446	19910509
AU 644648	B2	19931216		
AT 165100	E	19980515	AT 1991-107554	19910509
CA 2042442	AA	19911115	CA 1991-2042442	19910513
FI 9102328	A	19911115	FI 1991-2328	19910513
NO 9101854	A	19911115	NO 1991-1854	19910513
CN 1057269	A	19911225	CN 1991-103919	19910513
RU 2092491	C1	19971010	RU 1991-4895608	19910513
HU 57233	A2	19911128	HU 1991-1619	19910514
JP 04244097	A2	19920901	JP 1991-206614	19910514
US 5430022	A	19950704	US 1993-86094	19930706
US 5656604	A	19970812	US 1995-422944	19950417
PRIORITY APPLN. INFO.:				
			GB 1990-10740	A 19900514
			GB 1990-26254	A 19901203
			GB 1991-4064	A 19910227
			US 1991-696701	A2 19910507
			US 1991-753997	B2 19910903
			US 1992-845056	B1 19920303
			US 1993-86094	A3 19930706

OTHER SOURCE(S): MARPAT 117:49261

GI



AB The title compds. [I; R1 = H, acyl; R2 = alkyl, aralkyl; R3 = (substituted) heterocyclalkyl, (substituted) aralkyl; R4, R6 = H, (substituted) alkyl; R5 = (protected) carboxy, (protected) carboxyalkyl;

R7 = H, alkyl; A = O, NH, alkylimino, alkylene; with provisos] were prepared
 A mixture of Q-Leu-OH [Q = PhCH₂CO], H-D-Trp(Me)-D-Phe-OMe.HCl, and HOBT in
 DMF was treated with WSCD under ice-bath cooling for 4.5 h, the mixture was
 concentrated and a solution of the residue in EtOAc was successively washed

with

0.5 N HCl, saturated aqueous NaHCO₃, and brine to give

Q-Leu-D-Trp(Me)-D-Phe-OMe.

In an assay using porcine aorta tissue Q1-L-Leu-D-Trp(Me)-D-Pya-OEt [Q1 =
 cyclohexylcarbonyl, Pya = 3-(2-pyridyl)alanine residue; preparation given] had
 an IC₅₀ of 2.3+10⁻⁹ M against 125I-endothelin.

IT **142376-13-4P 142376-83-8P**

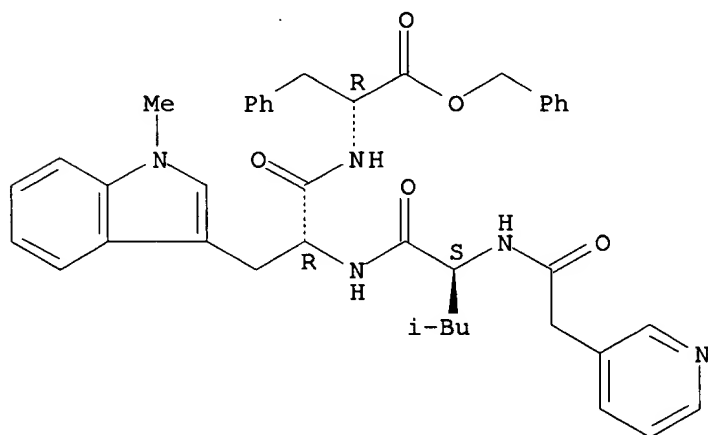
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)

(preparation of, as endothelin antagonist)

RN 142376-13-4 CAPLUS

CN D-Phenylalanine, N-[1-methyl-N-[N-(3-pyridinylacetyl)-L-leucyl]-D-
 tryptophyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

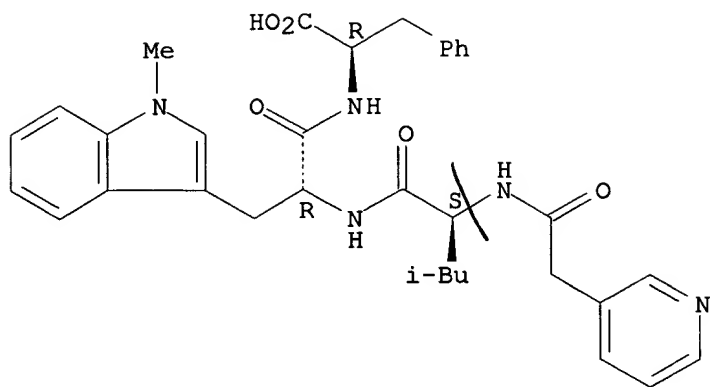
Absolute stereochemistry.



RN 142376-83-8 CAPLUS

CN D-Phenylalanine, N-[1-methyl-N-[N-(3-pyridinylacetyl)-L-leucyl]-D-
 tryptophyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

126 ANSWER 156 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:194884 CAPLUS
 DOCUMENT NUMBER: 116:194884
 TITLE: 1,4-Diamino-2,3-dihydroxybutanes
 INVENTOR(S): Jadhav, Prabhakar Kondaji; McGee, Lawrence Ray;
 Shenvi, Ashok; Hodge, Carl Nicholas
 PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
 SOURCE: PCT Int. Appl., 244 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118866	A2	19911212	WO 1991-US3852	19910531
WO 9118866	A3	19920430		
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, NO, PL, NO, PL, RO, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2084087	AA	19911202	CA 1991-2084087	19910531
EP 532693	A1	19930324	EP 1991-912877	19910531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9106540	A	19930525	BR 1991-6540	19910531
HU 64738	A2	19940228	HU 1992-3505	19910531
JP 07502970	T2	19950330	JP 1991-512068	19910531
EP 665215	A1	19950802	EP 1995-101007	19910531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9104194	A	19930224	ZA 1991-4194	19910603
NO 9204615	A	19930129	NO 1992-4615	19921130
PRIORITY APPLN. INFO.:			US 1990-531971	A 19900601
			EP 1991-912877	A3 19910531
			US 1991-714042	A 19910531
			WO 1991-US3852	W 19910531

OTHER SOURCE(S): CASREACT 116:194884; MARPAT 116:194884

AB The title compds. were prepared by 3 methods: (1) reductive coupling of aldehydes with Coulton's reagent, [V2Cl3(THF)6]2[Zn2Cl6]; (2) reductive coupling of aldehydes with a catalyst obtained from VCl3(THF)3 and Zn-Cu; (3) from D-mannitol via cuprate addition. Thus, N-(tert-butoxycarbonyl)-L-phenylalanine reacted with N-methylmorpholine, iso-Bu chloroformate, MeNHOMe·HCl, and Et3N in CHCl3, and the product was reduced with LiAlH4 in Et2O to give PhCH2CH(NHBoc)CHO (I, Boc = CO2CMe3). Treatment of I with Coulton's reagent in CH2Cl2-DMF gave (all-S)-PhCH2CH(NHBoc)CH(OH)CH(OH)CH(NHBoc)CH2Ph (II), which was treated with 4N HCl in dioxane to remove the Boc groups. II protected MT-2 cells against strains of HIV with an IC50 of 10 mg/mL.

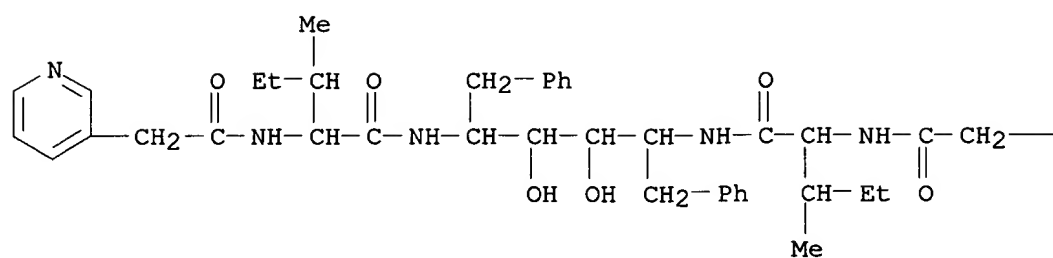
IT 140196-64-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antiviral activity of)

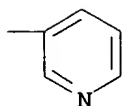
RN 140196-64-1 CAPLUS

CN 3-Pyridineacetamide, N,N'-[[2,3-dihydroxy-1,4-bis(phenylmethyl)-1,4-butanediyl]bis[imino[1-(1-methylpropyl)-2-oxo-2,1-ethanediyl]]]bis- (9CI)
 (CA INDEX NAME)

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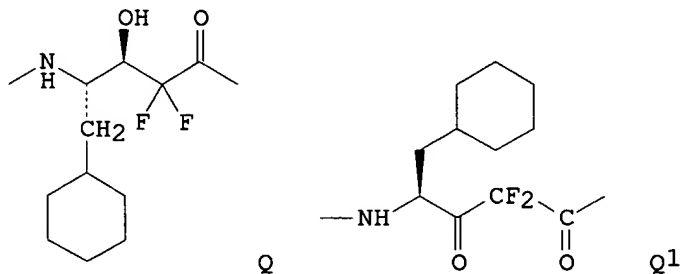
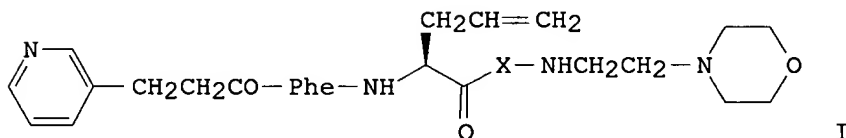
PAGE 1-B



~~126~~ ANSWER 157 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:174780 CAPLUS
 DOCUMENT NUMBER: 116:174780
 TITLE: Preparation of peptide morpholinoethylamides as
 renin-inhibiting peptides.
 INVENTOR(S): Doherty, Annette M.; Sircar, Ila
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA
 SOURCE: U.S., 5 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5071837	A	19911210	US 1990-621138	19901128
WO 9209624	A1	19920611	WO 1991-US8369	19911108
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9190658	A1	19920625	AU 1991-90658	19911108
PRIORITY APPLN. INFO.:			US 1990-621138	A 19901128
			WO 1991-US8369	A 19911108

GI



AB Peptides [I; X = Q (II), Q1] and their pharmaceutically acceptable salts, renin inhibitors and therefore are useful as antihypertensives (no data), are prepared N-[2-(3-Pyridinyl)ethylcarbonyl]phenylalanine was condensed with H₂NCH(CH₂CH:CH₂)CO-Q-NHCH₂CH₂-M [M = morpholino] in DMF containing 1-hydroxy-1H-benzotriazole to give II.

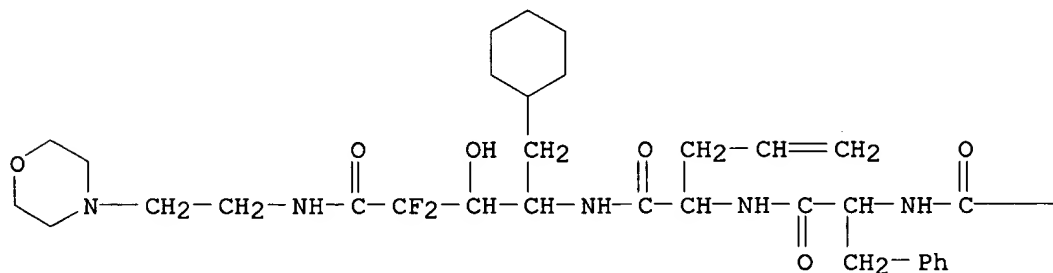
IT **137302-70-6P 137302-78-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as renin inhibitor)

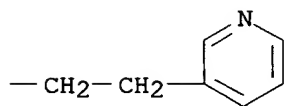
RN 137302-70-6 CAPLUS

CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-4-[[4,5-didehydro-N-[N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl]-L-norvalyl]amino]-2,2-difluoro-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

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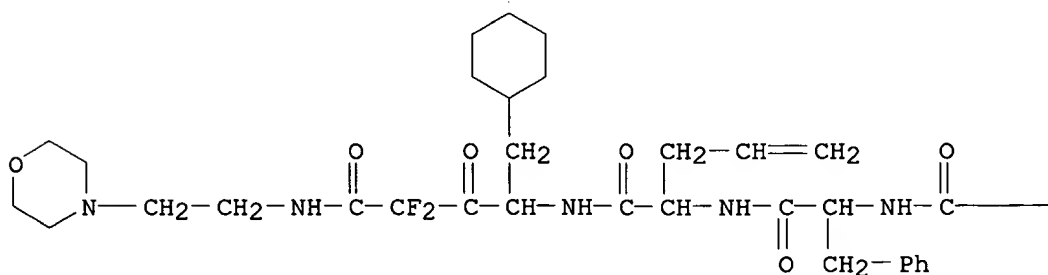
PAGE 1-B

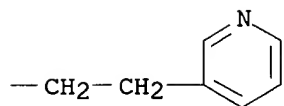


RN 137302-78-4 CAPLUS

CN L-Norvalinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3,3-difluoro-4-[[2-(4-morpholinyl)ethyl]amino]-2,4-dioxobutyl]-4,5-didehydro-, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A

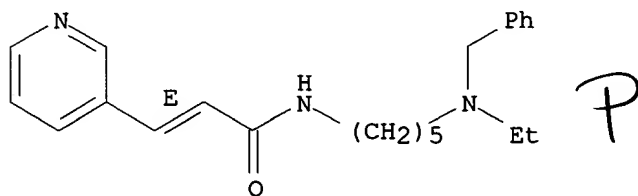




09/596,086

126 ANSWER 158 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:173656 CAPLUS
DOCUMENT NUMBER: 116:173656
TITLE: Central cholinergic agents. II. Synthesis and
acetylcholinesterase inhibitory activities of
N-[ω-[N-alkyl-N-(phenylmethyl)amino]alkyl]-3-
arylpropenamides
AUTHOR(S): Ishihara, Yuji; Kato, Koki; Goto, Giichi
CORPORATE SOURCE: Chem. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, 532,
Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(12),
3236-43
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of the title compds., e.g., o-O2NC6H4CH:CHCON(Ac)(CH2)5NEtCH2Ph,
were prepared and tested for their inhibitory activities on
acetylcholinesterase. Some in the series were potent inhibitors. The
structure-activity relationships were discussed in detail.
IT 140134-50-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acetylcholinesterase inhibitory activity of)
RN 140134-50-5 CAPLUS
CN 2-Propenamide, N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-,
monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

09/596,086

~~L26~~ ANSWER 159 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:42024 CAPLUS

DOCUMENT NUMBER: 116:42024

TITLE: Design and synthesis of potent, selective, and orally active fluorine-containing renin inhibitors

AUTHOR(S): Doherty, Annette M.; Sircar, Ila; Kornberg, Brian E.;
Quin, John, III; Winters, R. Thomas; Kaltenbronn,
James S.; Taylor, Michael D.; Batley, Brian L.;
Rapundalo, Stephen R.; et al.

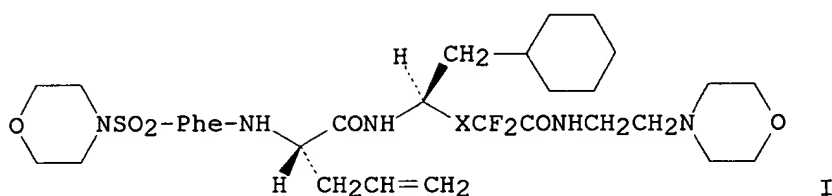
CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann
Arbor, MI, 48106-1047, USA

SOURCE: Journal of Medicinal Chemistry (1992), 35(1), 2-14
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of primate renin inhibitors, e.g. I [X = (R)-CHOH, CO], containing difluorocarbonol and difluoroketone groups at the P1-P1' position have been synthesized and studied both in vitro and in vivo. In vitro, the compds. were evaluated as inhibitors of monkey renin and the closely related aspartic proteinase, cathepsin D (bovine), as a measure of enzyme selectivity. Interestingly, the difluoroketone derivs. showed greatly reduced selectivity compared with the corresponding alcs. However, selectivity could be enhanced by judicious choice of other substituents. Sites influencing selectivity included not only P2, which is well known to strongly affect selectivity, but also the P4, P1-P1', and P2' sites. These results make possible the design of inhibitors with a greater selectivity for either renin vs. cathepsin D. In vivo several of the compds. in the difluoroketone series have shown good oral activity in the salt-depleted normotensive cynomolgus monkey model.

IT 137302-70-6P 137302-78-4P 137302-79-5P

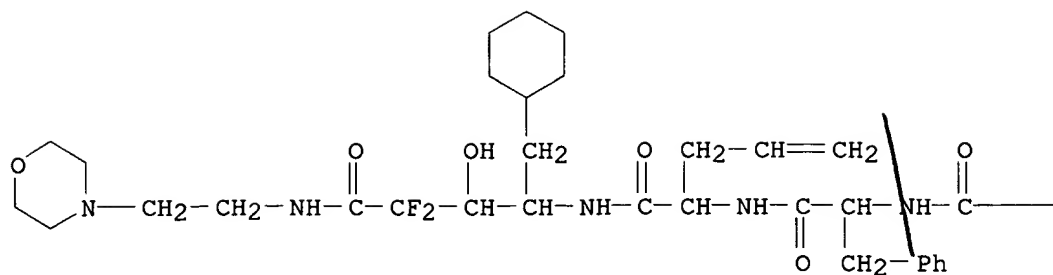
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and renin and cathepsin D inhibitory activity of)

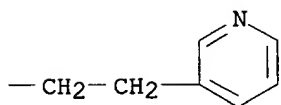
RN 137302-70-6 CAPLUS

CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-4-[[4,5-didehydro-N-[N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl]-L-norvalyl]amino]-2,2-difluoro-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



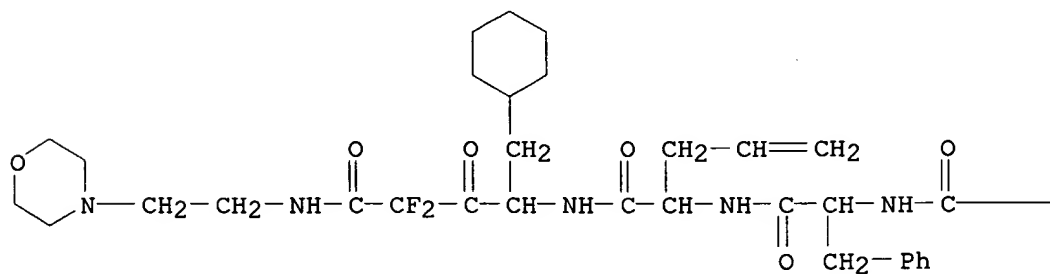
PAGE 1-B



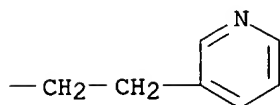
RN 137302-78-4 CAPLUS

CN L-Norvalinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3,3-difluoro-4-[[2-(4-morpholinyl)ethyl]amino]-2,4-dioxobutyl]-4,5-didehydro-, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A



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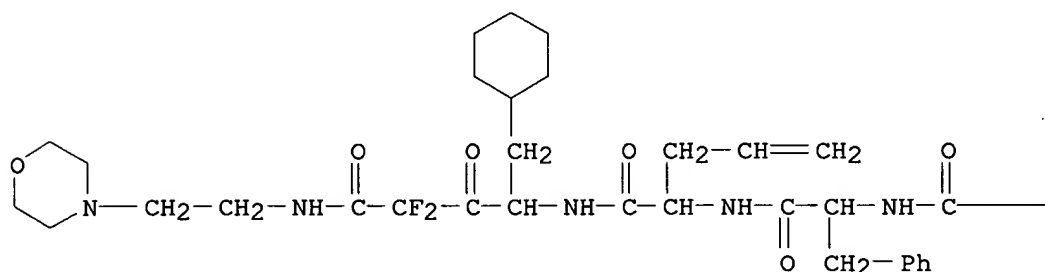
RN 137302-79-5 CAPLUS
 CN L-Norvalinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[(1S)-1-(cyclohexylmethyl)-3,3-difluoro-4-[[2-(4-morpholinyl)ethyl]amino]-2,4-dioxobutyl]-4,5-didehydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

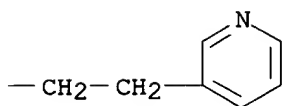
CRN 137302-78-4

CMF C39 H52 F2 N6 O6

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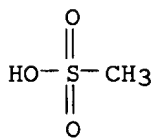
PAGE 1-B



CM 2

CRN 75-75-2

CMF C H4 O3 S



IT **137302-71-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, oxidation, and renin and cathepsin D inhibitory activity of)

RN 137302-71-7 CAPLUS

CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-4-[[4,5-didehydro-N-[N-[1-

09/596,086

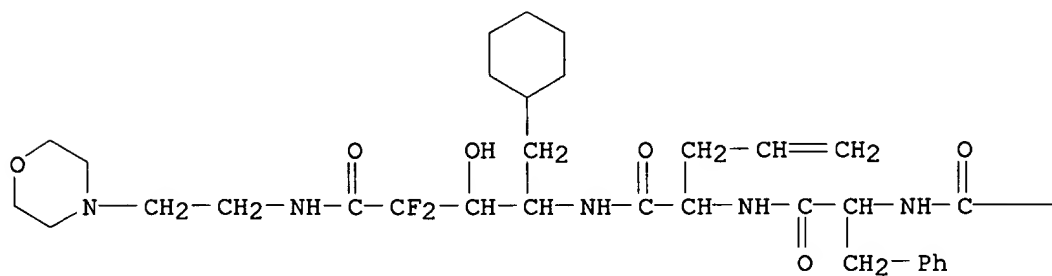
oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl]-L-norvalyl]amino]-2,2-difluoro-
N-[2-(4-morpholinyl)ethyl]-, dimethanesulfonate (salt) (9CI) (CA INDEX
NAME)

CM 1

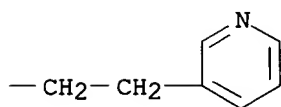
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CMF C39 H54 F2 N6 O6

PAGE 1-A



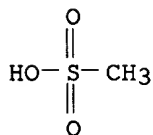
PAGE 1-B



CM 2

CRN 75-75-2

CMF C H4 O3 S



09/596,086

126 ANSWER 160 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:574639 CAPLUS

DOCUMENT NUMBER: 115:174639

TITLE: Peptides for treating HIV (human immunodeficiency virus) and other retroviruses

INVENTOR(S): Heinrikson, Robert Leroy; Tomasselli, Alfredo Guiseppe; Sawyer, Tomi Kim; Schostarez, Heinrich Josef; Thaisrivongs, Suvit; Hester, Jackson B., Jr.; Hui, John On Ting; Tarpley, William Gary; Ten Brink, Ruth Elizabeth

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

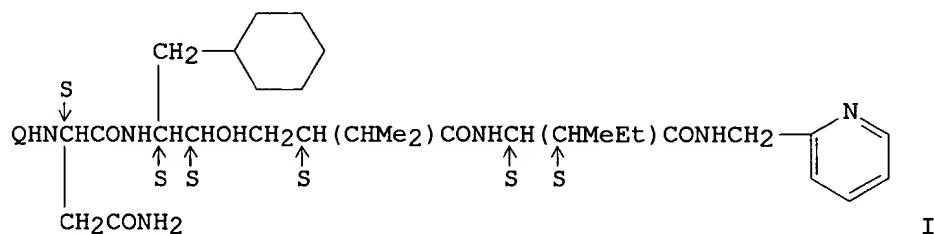
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106561	A1	19910516	WO 1990-US5818	19901016
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2066644	AA	19910428	CA 1990-2066644	19901016
AU 9066334	A1	19910531	AU 1990-66334	19901016
EP 497835	A1	19920812	EP 1990-915950	19901016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05501879	T2	19930408	JP 1990-515011	19901016
PRIORITY APPLN. INFO.:			US 1989-428291	A2 19891027
			WO 1990-US5818	A 19901016

OTHER SOURCE(S): MARPAT 115:174639

GI



AB Title compds. XY8D9E10F11G12Z [X = (CH₂)pAr, (CH₂)pHet, R₅CH₂O₂C, R₅O₂C, etc.; Y₈ = bond, divalent group NHCMe₂CH₂CO, etc.; D₉ = divalent group NR₄CH(CHR₄R₇)CO, etc.; E10F11 = divalent group NH-(S)-CH(CH₂R₁)CH(OH)CH₂CHR₁₁CO, etc.; G12 = bond, NHCH₂CO, etc.; Z = OR₁₀, NR₄R₁₄, C₄-8 cyclic amino, etc.; R₁ = H, C₁-5 alkyl, C₃-7 cycloalkyl, Ar, Het, etc.; R₄ = H, C₁-5 alkyl, (CH₂)pAr, (CH₂)pHet, etc.; R₅ = C₁-6 alkyl, C₃-7 cycloalkyl, Ar, Het, etc.; R₇ = H, C₁-5 alkyl, OH, MeS, NH₂, etc.; R₁₀ = H, C₁-5 alkyl, C₃-7 cycloalkyl, etc.; R₁₁ = R, R₂; R₁₄ = H, C₁-10 alkyl, (CH₂)nR₁₈, (CH₂)nR₁₉, etc.; R = (CH₂)nCHMe₂, (CH₂)nCH₂CHMe₂, (CH₂)nPh, (CH₂)n-C₃-7 cycloalkyl; R₂ = H, CHR₃R₄; R₃ = H, OH, C₁-5 alkyl, etc.; R₁₈ = NH₂, mono- or di(C₁-3 alkyl)amino, C₄-7 cyclic amino, etc.;

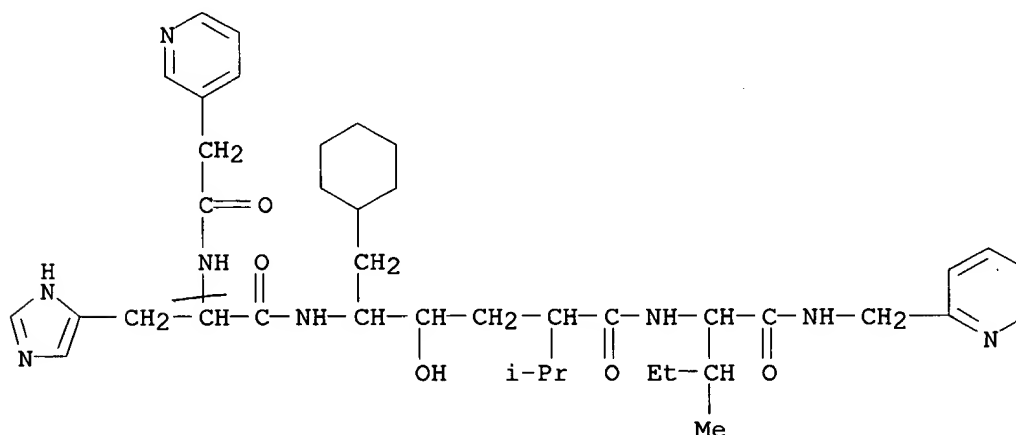
R19 = Ar, Het, C3-7 cycloalkyl, OH, SH, etc.; Ar = (substituted) Ph, (substituted) naphthyl; Het = (substituted) 5- or 6-membered heterocyclic ring containing 1-3 atoms selected from N, O, S which may be fused to another ring; n = 0-5; p = 0-2; with provisos] were used as retrovirus inhibitors. Thus, 1,5-naphthalenediol and Me(OCH₂CH₂)₃OTs (Ts = tosyl; preparation given) in DMF were treated with NaH and heated to 50° for 1 h. Then BrCH₂CO₂Me was added to give 5-[Me(OCH₂CH₂)₃O]C₁₀H₆-1-OCH₂CO₂Me, which was hydrolyzed to its acid. This was condensed with I (Q = H) (preparation given) to give title compound I [Q = 5-[Me(OCH₂CH₂)₃O]C₁₀H₆-1-OCH₂CO₂] as the pyridine N-oxide. The K_i values for HIV protease inhibition by 66 title compds. are given.

IT **136419-18-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as human immunodeficiency virus inhibitor)

RN 136419-18-6 CAPLUS

CN 3-Pyridineacetamide, N-[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methyl-4-[[[2-methyl-1-[[2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]hexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-, [1S-[1R*(R*),2R*,4R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)

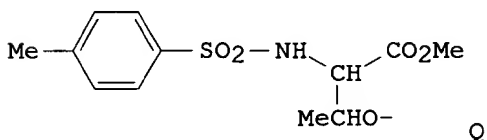


09/596,086

~~L26~~ ANSWER 161 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:559804 CAPLUS
 DOCUMENT NUMBER: 115:159804
 TITLE: Preparation of 4-amino-3-hydroxybutyric acid
 residue-containing peptides as renin inhibitors
 INVENTOR(S): Bender, Wolfgang; Kinast, Guenther; Knorr, Andreas;
 Stasch, Johannes Peter
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 116 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 412350	A2	19910213	EP 1990-114115	19900724
EP 412350	A3	19920325		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4004820	A1	19910425	DE 1990-4004820	19900216
US 5095006	A	19920310	US 1990-553493	19900713
CA 2022692	AA	19910206	CA 1990-2022692	19900803
AU 9060193	A1	19910207	AU 1990-60193	19900803
HU 54386	A2	19910228	HU 1990-4886	19900803
JP 03081256	A2	19910405	JP 1990-206686	19900803
DD 299191	A5	19920402	DD 1990-343239	19900803
ZA 9006125	A	19920826	ZA 1990-6125	19900803
US 5242903	A	19930907	US 1991-771077	19911002
PRIORITY APPLN. INFO.:			DE 1989-3926021	A 19890805
			DE 1990-4004820	A 19900216
			US 1990-553493	A3 19900713

OTHER SOURCE(S): MARPAT 115:159804
 GI



AB X-A-B-D-E-CO-CH[(CH₂)_mR₁]CH(OH)-L [I; X = EtOCOCHPhO, Q, OH, alkoxy, PhCH₂O, (substituted) amino, etc.; A, B, D, E = bond, retro-amino acid residue, e.g., retro-D-Phe; etc.; m = 0, 1, 2; L = (substituted) aminomethyl, (N-substituted) pyrrolidin-2-yl; R₁ = (cyclo)alkyl, (substituted) aryl] were prepared E.g., PhCH₂CH₂CO₂CH₂Ph (preparation given)
 in THF containing (Me₂CH)₂NH and BuLi was treated with OCHCH₂Q₁ [Q₁ = phthalimido] 60 min at -70° to give, after work-up, I [X = PhCH₂O, R₁ = Ph, m = 1, L = CH₂Q₁, A = B = D = E = bond]. I [X = PhCH₂O, R₁ = Ph, m = 1, L = CH₂Q₁, A = retro-D-Phe, B = retro-D-His, D = E = bond] (preparation given) at 0.05 mg/mL showed 100% inhibition of plasma renin. The IC₅₀ values of I ranged from 10⁻⁴ to 10⁻⁹M.

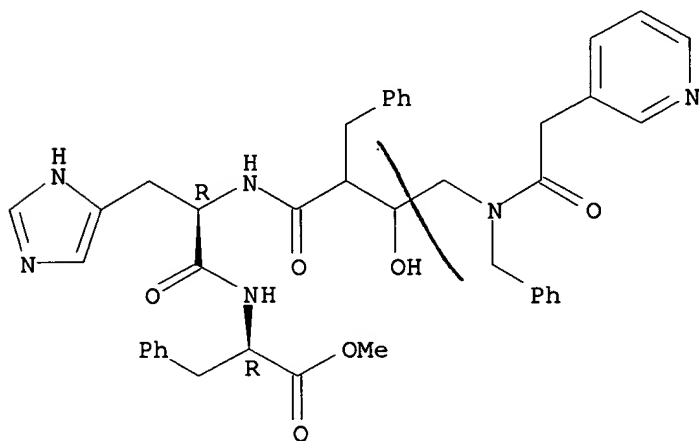
IT **136159-22-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 136159-22-3 CAPLUS

CN D-Phenylalanine, N-[N-[3-hydroxy-1-oxo-2-(phenylmethyl)-4-[(phenylmethyl)(3-pyridinylacetyl)amino]butyl]-D-histidyl]-, methyl ester (9CI) (CA INDEX NAME)

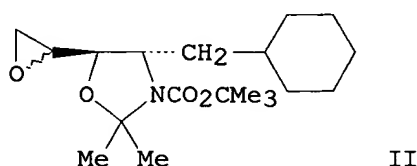
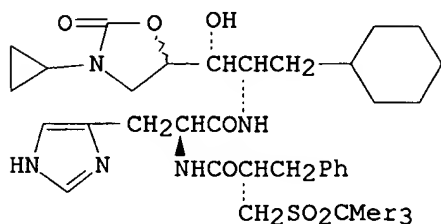
Absolute stereochemistry.



09/596,086

L26 ANSWER 162 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:472226 CAPLUS
 DOCUMENT NUMBER: 115:72226
 TITLE: Amino acid derivatives
 INVENTOR(S): Branca, Quirico; Neidhart, Werner; Ramuz, Henri;
 Stadler, Heinz; Wostl, Wolfgang
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 71 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 416373	A2	19910313	EP 1990-116088	19900822
EP 416373	A3	19920527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2023099	AA	19910305	CA 1990-2023099	19900810
AU 9061360	A1	19910307	AU 1990-61360	19900827
AU 646640	B2	19940303		
ZA 9006856	A	19910626	ZA 1990-6856	19900828
HU 58060	A2	19920128	HU 1990-5676	19900829
JP 03099047	A2	19910424	JP 1990-228473	19900831
NO 9003832	A	19910305	NO 1990-3832	19900903
US 5688946	A	19971118	US 1994-277111	19940719
PRIORITY APPLN. INFO.:			CH 1989-3192	A 19890904
			CH 1990-2336	A 19900712
			US 1990-571689	B1 19900823
OTHER SOURCE(S):		MARPAT 115:72226		
GI				



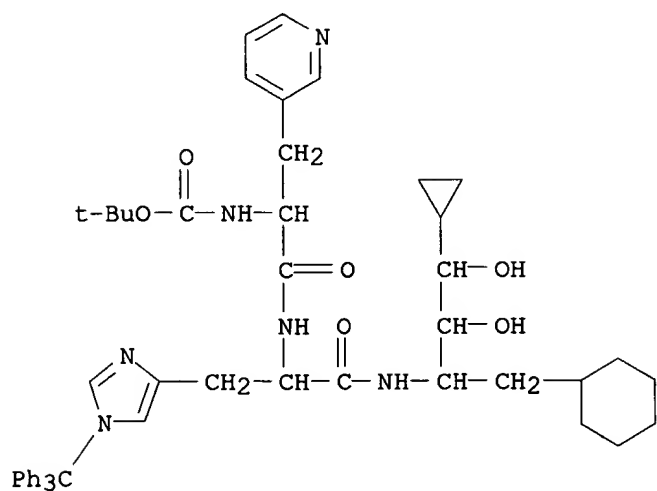
AB Amino acid derivs. RCONR₁CH(CH₂R₂)CONHCHR₃CHR₄CR₅R₆R₇ (R-R₇ = substituents) were prepared for use as antihypertensives and renin inhibitors. Thus, amide I was prepared from epoxide II, H-His-OMe.2HCl, and (S)-PhCH₂CH(CO₂H)CH₂SO₂CMe₃ in 5 steps. I had a renin-inhibiting ED₅₀ of 0.0009 μM/L.

IT **134364-19-5 134453-94-4**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (deprotection of)

RN 134364-19-5 CAPLUS

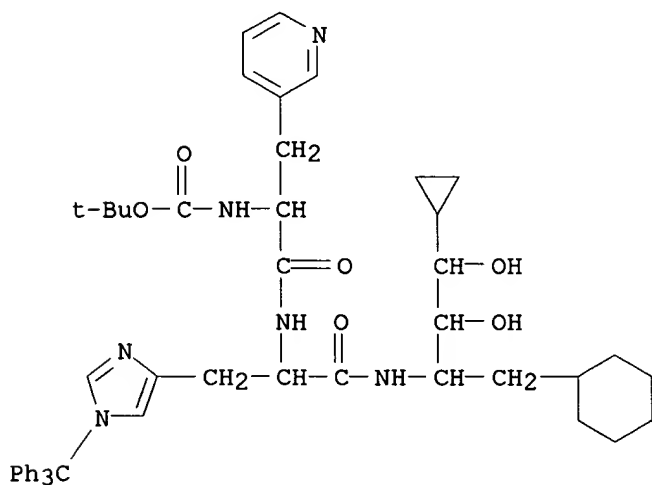
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-1-

(triphenylmethyl)-, [1S-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)



RN 134453-94-4 CAPLUS

CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-D-alanyl-
N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-1-
(triphenylmethyl)-, [1S-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)

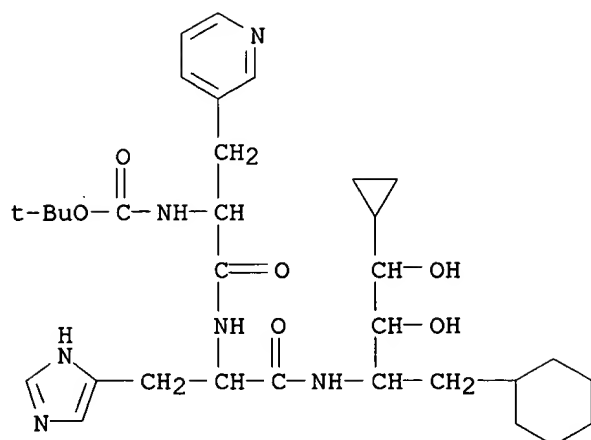


IT 134364-20-8P 134453-95-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

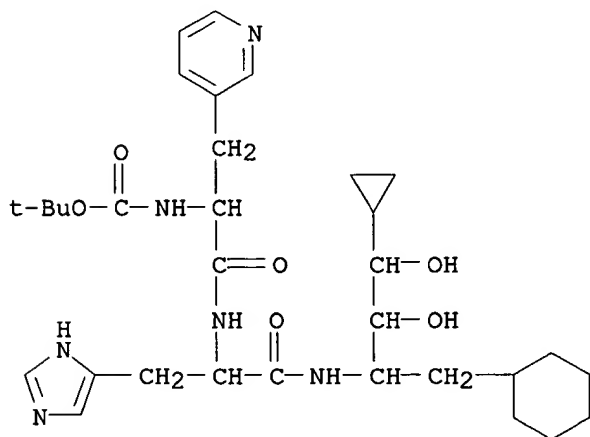
RN 134364-20-8 CAPLUS

CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-
N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-,
[1S-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)



RN 134453-95-5 CAPLUS

CN L-Histidinamide, N-[(1,1-dimethylethoxy) carbonyl]-3-(3-pyridinyl)-D-alanyl-
N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-,
[1S-(1R*,2S*,3R*)]-(9CI) (CA INDEX NAME)



09/596,086

L26 ANSWER 163 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:472019 CAPLUS

DOCUMENT NUMBER: 115:72019

TITLE: Bile acid derivatives, a process for their production and their use as medicines

INVENTOR(S): Kramer, Werner; Wess, Guenther

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 90 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

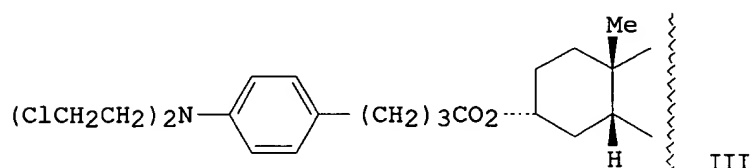
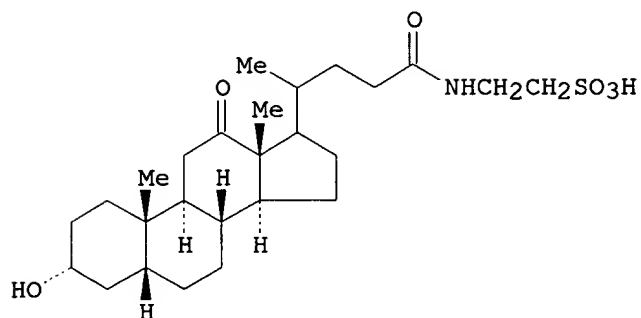
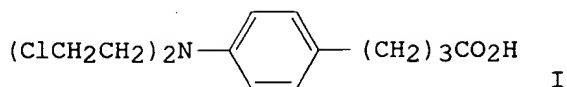
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417725	A2	19910320	EP 1990-117470	19900911
EP 417725	A3	19920318		
EP 417725	B1	19970423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3930696	A1	19910328	DE 1989-3930696	19890914
AT 152117	E	19970515	AT 1990-117470	19900911
ES 2100858	T3	19970701	ES 1990-117470	19900911
IL 95668	A1	19950330	IL 1990-95668	19900912
FI 105155	B1	20000630	FI 1990-4497	19900912
CA 2025294	AA	19910315	CA 1990-2025294	19900913
CA 2025294	C	20011127		
NO 9003999	A	19910315	NO 1990-3999	19900913
NO 303450	B1	19980713		
AU 9062441	A1	19910321	AU 1990-62441	19900913
AU 637822	B2	19930610		
JP 03109396	A2	19910509	JP 1990-241338	19900913
JP 2568306	B2	19970108		
ZA 9007300	A	19910626	ZA 1990-7300	19900913
HU 56115	A2	19910729	HU 1990-5893	19900913
HU 213395	B	19970630		
KR 166962	B1	19990115	KR 1990-14542	19900914
US 5462933	A	19951031	US 1994-208192	19940310
US 5646272	A	19970708	US 1995-476941	19950607
US 5668126	A	19970916	US 1995-475689	19950607
JP 08092276	A2	19960409	JP 1995-254511	19950907
JP 2642089	B2	19970820		
JP 08092277	A2	19960409	JP 1995-254512	19950907
JP 2642090	B2	19970820		

PRIORITY APPLN. INFO.:

DE 1989-3930696	A	19890914
US 1990-581390	B1	19900912
US 1991-806799	B1	19911212
US 1994-208192	A3	19940310

OTHER SOURCE(S): MARPAT 115:72019

GI



AB Bile acid derivs. W-X-G [G = bile acid residue in free acid, ester, amide, or salt form; W = pharmacol. active residue, e.g. peptide, antibiotic, antiviral substance, renin inhibitor, substance for treatment of diabetes; X = direct bond or a bivalent group, e.g. O, S, SO, SO₂, CO₂, NR₁ (R₁ = H, C₁-8 alkyl, acyl, etc.), CONR₁, OP(O)(OR₂)O (R₂ = H, C₁-8 alkyl, etc.), P(O)(OR₂)O, OP(O)(OR₂)NR; NR₁P(O)(OR₂)NR₁, SS, OSO₃, SO₂NR₁, NR₁CONR₁, CO₂, O₂CNR₁, O₂C(CH₂O)_n (n = 1-16), NR₁CO(CH₂)_n, O(CH₂O)_n, NR₁(CH₂)_n, R₂NCOMCONR₂ [M = (CH₂)_m (m = 0-6), (C:C)_p (p = 1, 2, 3), C.tplbond.C], O₂CMCO₂, NR₂COMCO₂, O₂C(CH₂)_mO(CH₂)_nO] were prepared. Thus, chlorambucil (I) was chlorinated with oxalyl chloride to give the acid chloride, which was treated with bile acid derivative II to give conjugate III. Extensive biol. data are given; for example, III inhibited bile acid binding to binding proteins of liver cells, e.g. 93.2% inhibition for the binding protein in mitochondria.

IT **135054-25-0P 135054-26-1P 135097-12-0P**

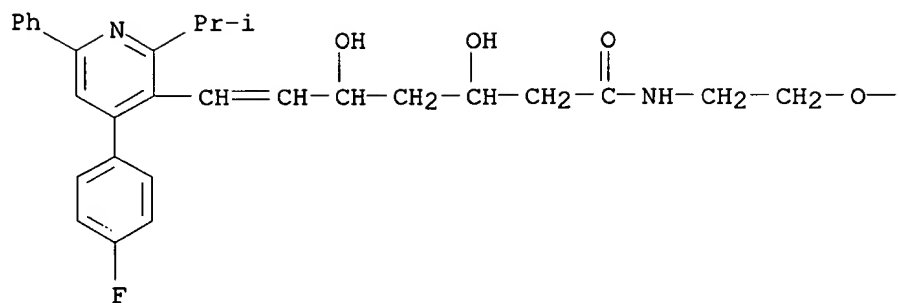
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of)

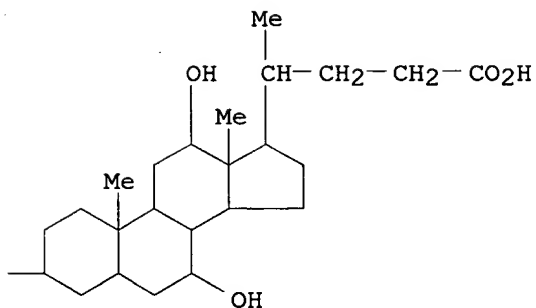
RN 135054-25-0 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, [3β(3R,5S,6E),5β,7α,12α]- (9CI) (CA INDEX NAME)

PAGE 1-A



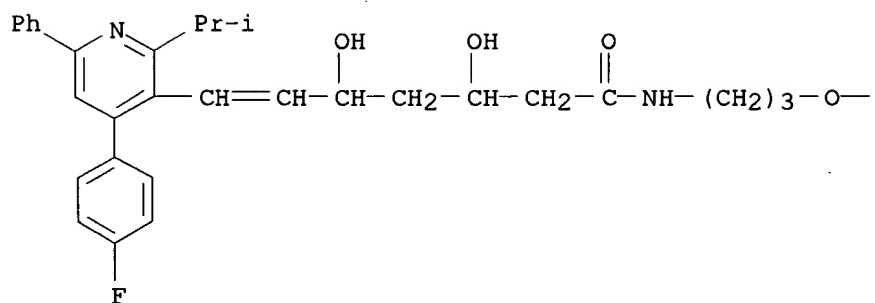
PAGE 1-B



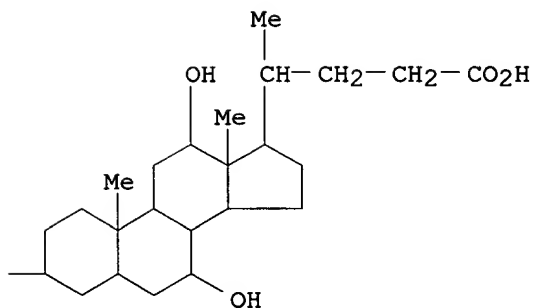
RN 135054-26-1 CAPLUS

CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3 β (3R,5S,6E),5 β ,7 α ,12 α]- (9CI) (CA INDEX NAME)

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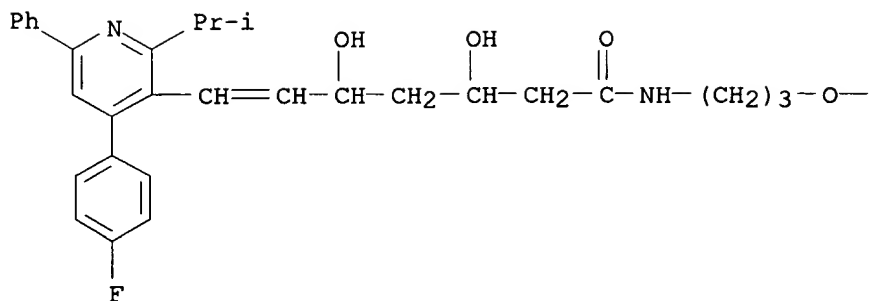
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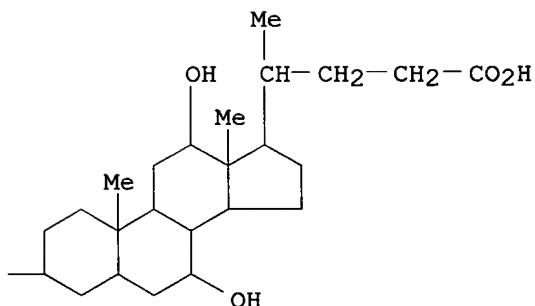
RN 135097-12-0 CAPLUS

CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3 α (3R,5S,6E),5 β ,7 α ,12 α]- (9CI) (CA INDEX NAME)

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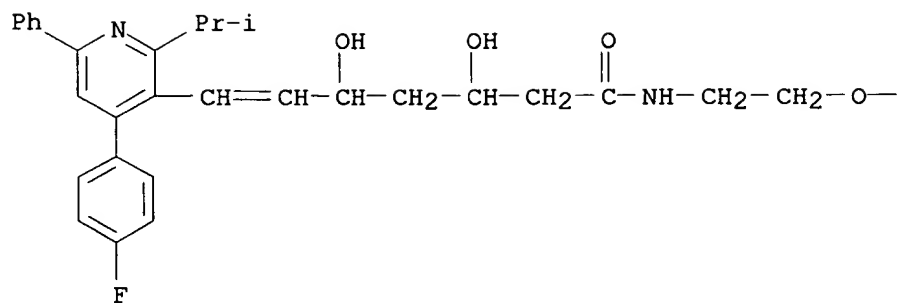
IT 135054-18-1P 135054-19-2P 135079-56-0P
135097-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrolysis of)

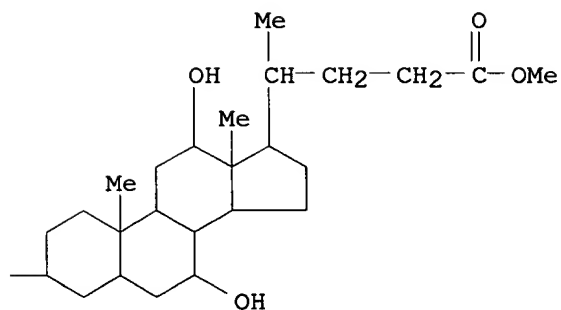
RN 135054-18-1 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, methyl ester, [3 β (3R,5S,6E),5 β ,7 α ,12 α]-
(9CI) (CA INDEX NAME)

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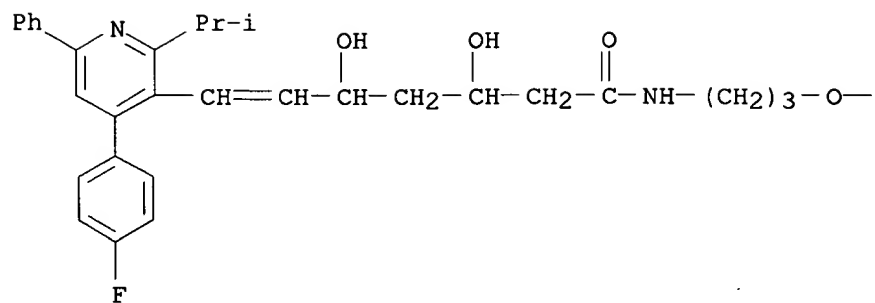
PAGE 1-B



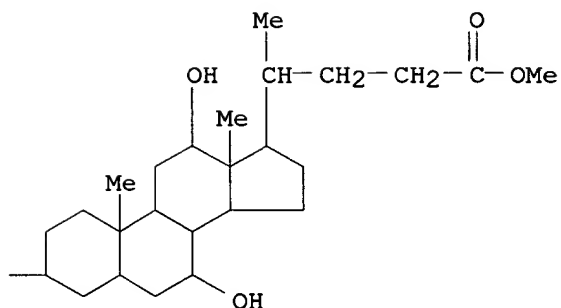
RN 135054-19-2 CAPLUS

CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3 β (3R,5S,6E),5 β ,7 α ,12 α]-
(9CI) (CA INDEX NAME)

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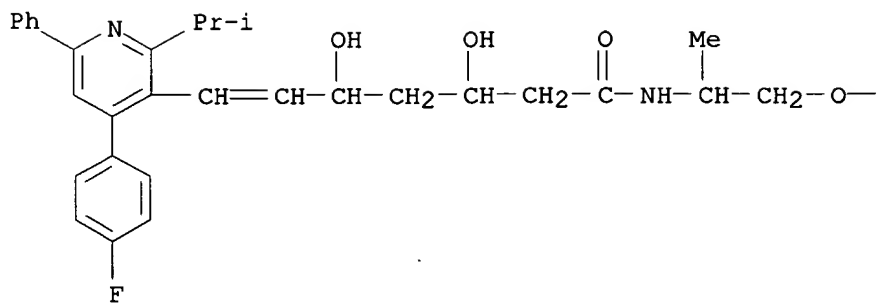
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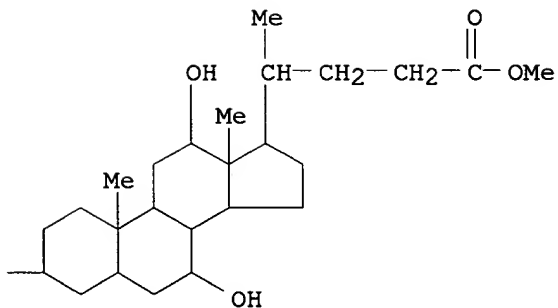
RN 135079-56-0 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3 β (3R,5S,6E),5 β ,7 α ,12 α]-
(9CI) (CA INDEX NAME)

PAGE 1-A



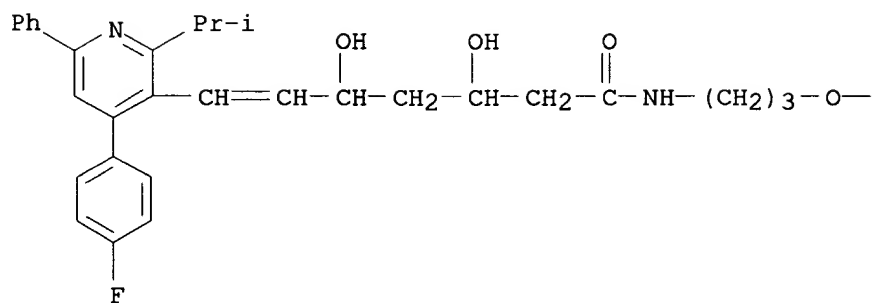
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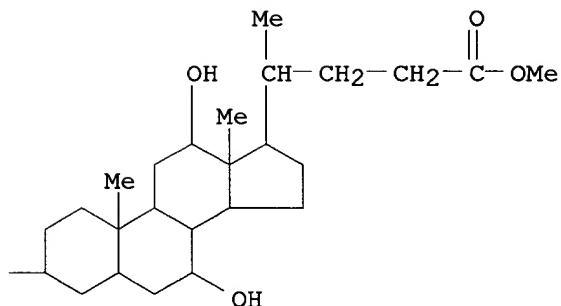
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CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3 α (3R,5S,6E),5 β ,7 α ,12 α]-
(9CI) (CA INDEX NAME)

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IT 135054-27-2P 135054-34-1P 135054-35-2P

135054-36-3P 135054-42-1P 135054-43-2P

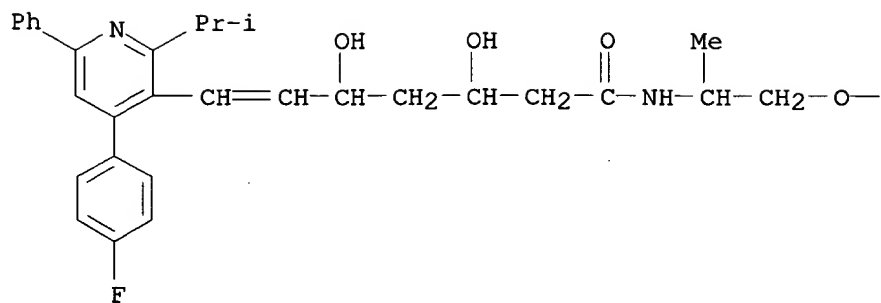
135054-44-3P 135097-14-2P 135097-15-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

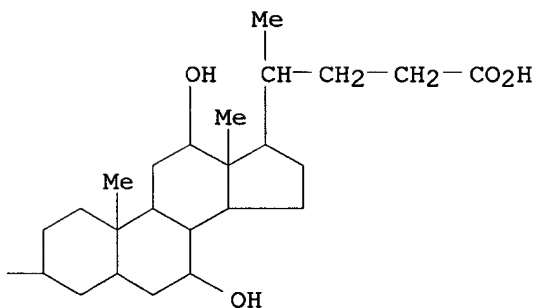
RN 135054-27-2 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3 β (3R,5S,6E),5 β ,7 α ,12 α]- (9CI) (CA
INDEX NAME)

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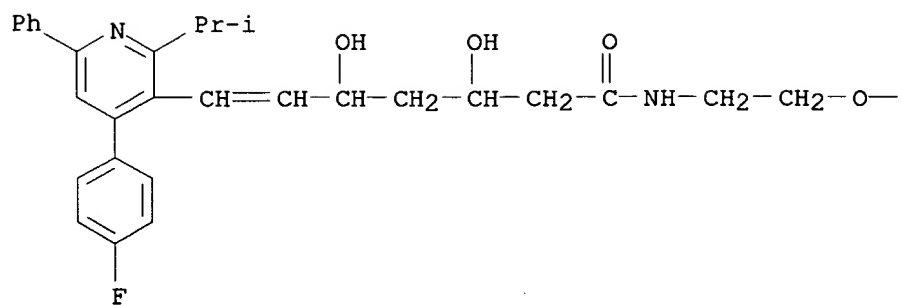
PAGE 1-B



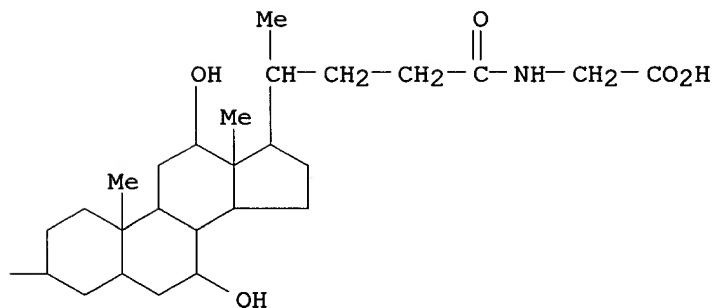
RN 135054-34-1 CAPLUS

CN Glycine, N-[[[3 β (3R, 5R, 6E), 5 β , 7 α , 12 α]-3-[2-[[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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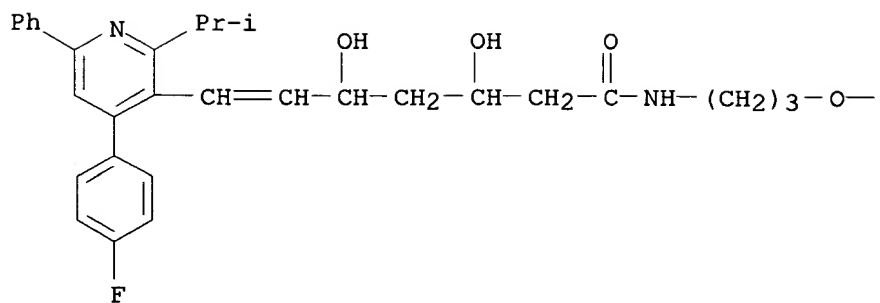
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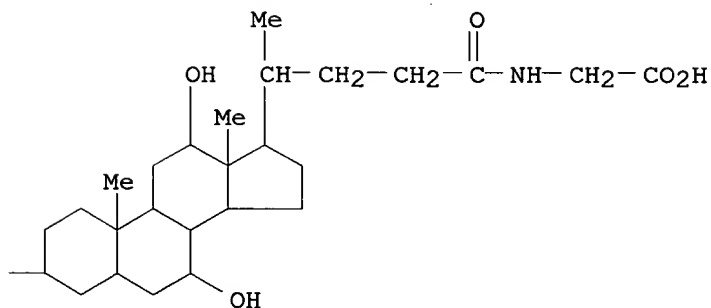
RN 135054-35-2 CAPLUS

CN Glycine, N-[[[3β-(3R,5R,6E),5β,7α,12α]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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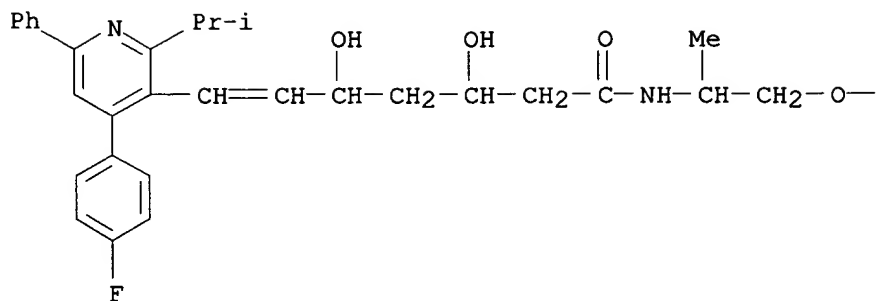
PAGE 1-B



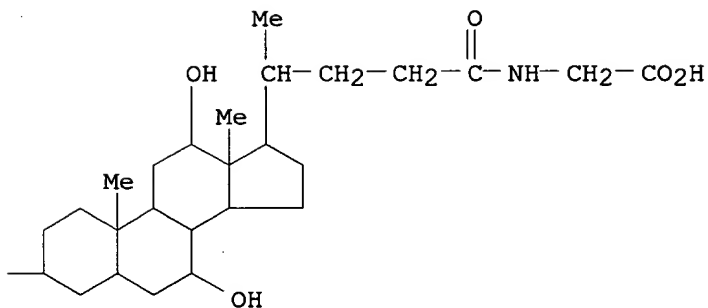
RN 135054-36-3 CAPLUS

CN Glycine, N-[[[3β(3R,5R,6E),5β,7α,12α]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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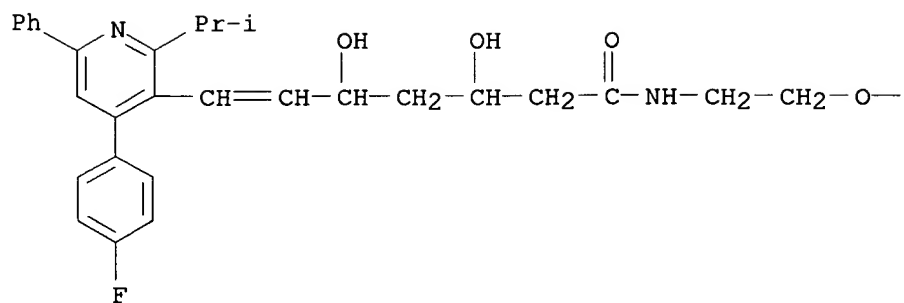
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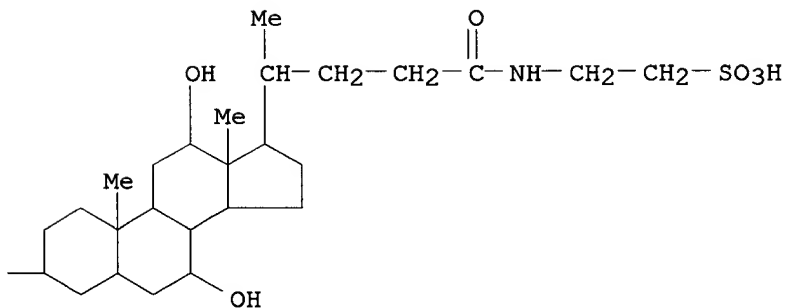
RN 135054-42-1 CAPLUS

CN Ethanesulfonic acid, 2-[[[3β(3R,5R,6E),5β,7α,12α]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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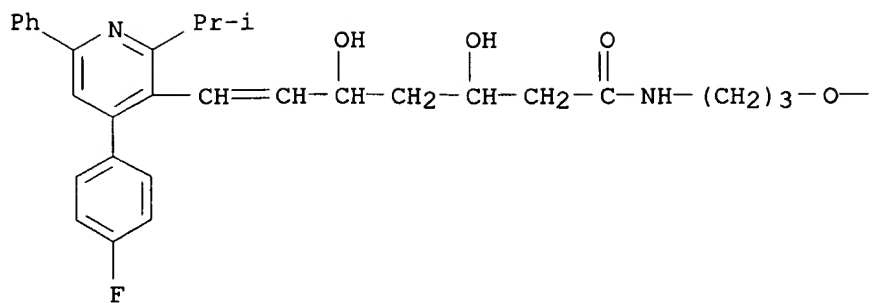
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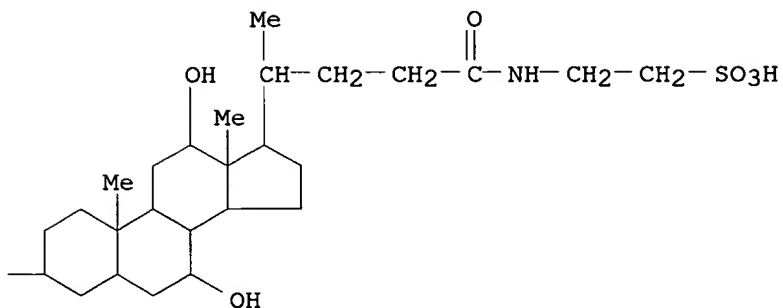
RN 135054-43-2 CAPLUS

CN Ethanesulfonic acid, 2-[[[3β(3R,5R,6E),5β,7α,12α]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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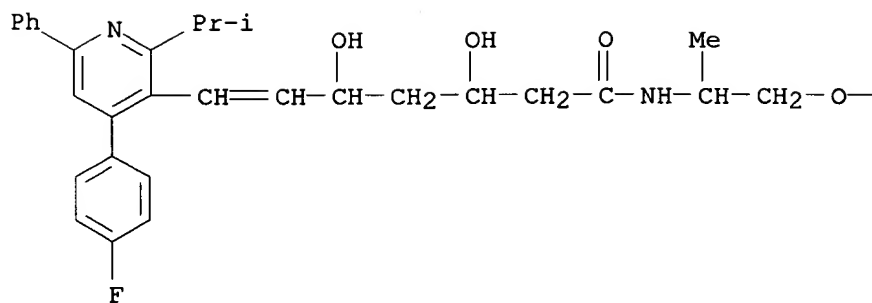
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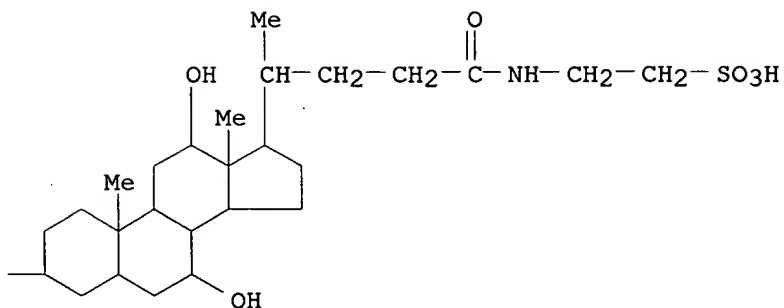
RN 135054-44-3 CAPLUS

CN Ethanesulfonic acid, 2-[[[3 β (3R,5R,6E),5 β ,7 α ,12 α]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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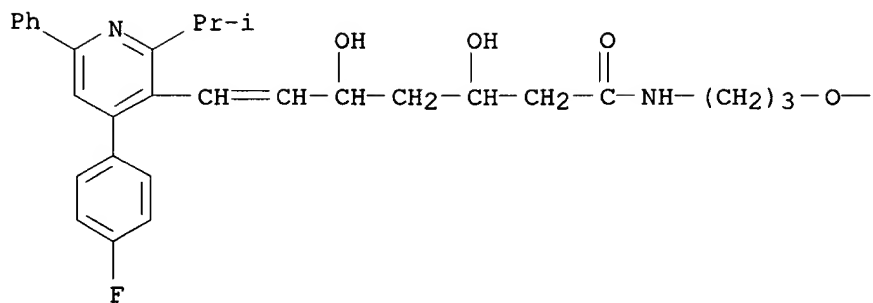
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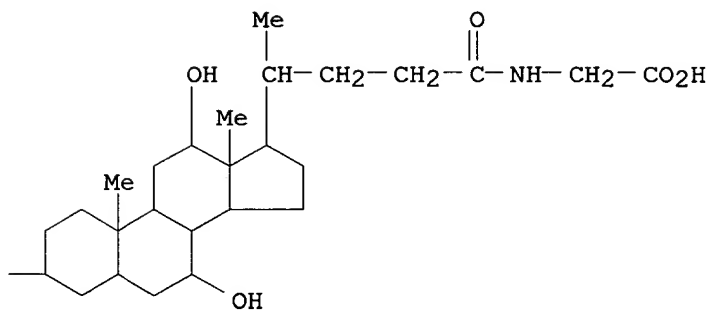
RN 135097-14-2 CAPLUS

CN Glycine, N-[[[3 α (3R,5S,6E),5 β ,7 α ,12 α]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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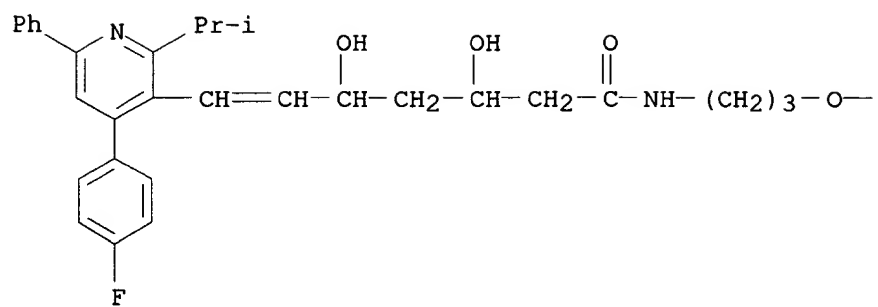
PAGE 1-B



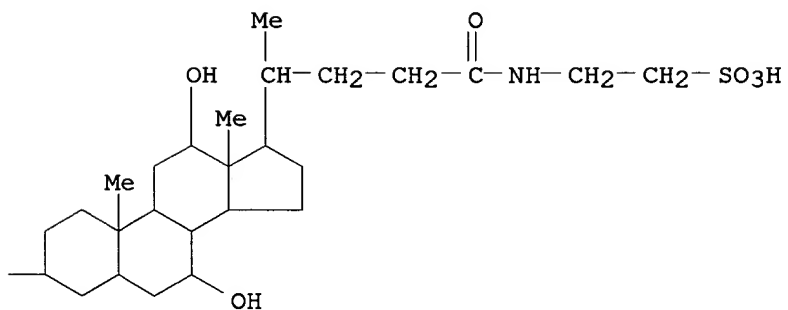
RN 135097-15-3 CAPLUS

CN Ethanesulfonic acid, 2-[[[3 α (3R,5S,6E),5 β ,7 α ,12 α]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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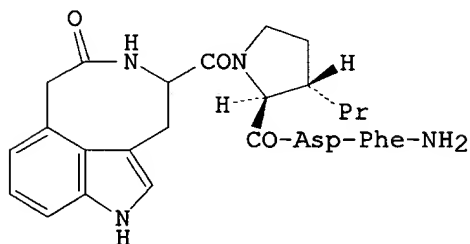


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~~126~~ ANSWER 164 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:450308 CAPLUS
 DOCUMENT NUMBER: 115:50308
 TITLE: Preparation of tetrapeptide type-B CCK receptor ligands
 INVENTOR(S): Chung, John Y. L.; Tufano, Michael D.; May, Paul D.; Shiosaki, Kazumi; Nadzan, Alex M.; Garvey, David S.; Shue, Youe Kong; Brodie, Mark S.; Holladay, Mark W.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: Eur. Pat. Appl., 101 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 405506	A1	19910102	EP 1990-112261	19900627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2020065	AA	19901231	CA 1990-2020065	19900628
JP 03068597	A2	19910325	JP 1990-174287	19900630
PRIORITY APPLN. INFO.:			US 1989-375107	A 19890630
			US 1990-531771	A 19900606
OTHER SOURCE(S):	MARPAT 115:50308			
GI				



I

AB Type B-cholecystokinin (CCK) tetrapeptide agonists A-B-C-D [A = functionalized acetyl, RCO, R = heterotricyclic, carbotricyclic; B = functionalized aminopropionyl residue; A-B = functionalized piperazinedionyl, functionalized 5-amino-3-aza-4-oxohexanoyl; C = NR₁CH(CH₂R₂)CO, R₁ = H, lower alkyl, R₂ = CO₂H, tetrazolyl; B-C = bridged Ala-Asp residue or bridged tetrazolylalanine-Ala residue; D = functionalized ethylamino, functionalized tetrahydroisoquinolyl, functionalized piperazinon-1-yl, dehydrophenylalanine derivative; C-D = functionalized succinimidyl] and pharmaceutically acceptable salts thereof are prepared for treating a variety of disorders, including central nervous system disorders. Thus tetrapeptide I, prepared by solution coupling, possess affinity and selectivity for the cortical CCK receptor and stimulated calcium mobilization at CCK-B receptors on small cell lung cancer cell lines.

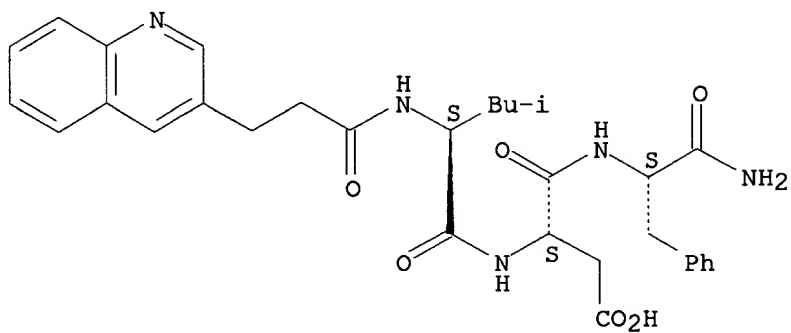
IT **134674-95-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as potential cholecystokinin agonist)

RN 134674-95-6 CAPLUS

09/596,086

CN L-Phenylalaninamide, N-[1-oxo-3-(3-quinolinyl)propyl]-L-leucyl-L- α -
aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~L26~~ ANSWER 165 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:450304 CAPLUS

DOCUMENT NUMBER: 115:50304

TITLE: Preparation of amino acid and peptide derivatives and related compounds as retroviral protease inhibitors

INVENTOR(S): Kempf, Dale J.; Norbeck, Daniel W.; Erickson, John W.; Codacovi, Lynn M.; Sham, Hing Leung; Plattner, Jacob J.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: Eur. Pat. Appl., 193 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

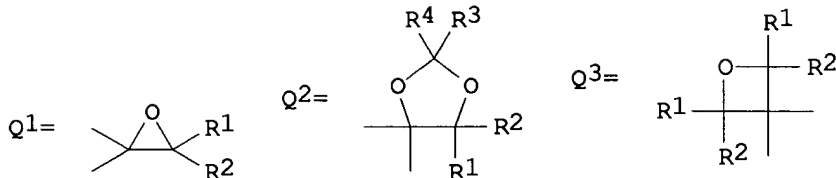
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 402646	A1	19901219	EP 1990-109319	19900517
EP 402646	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5142056	A	19920825	US 1990-518730	19900509
EP 839798	A2	19980506	EP 1997-119700	19900517
EP 839798	A3	19981028		
EP 839798	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 168677	E	19980815	AT 1990-109319	19900517
ES 2119737	T3	19981016	ES 1990-109319	19900517
AT 302180	E	20050915	AT 1997-119700	19900517
AU 9055711	A1	19901129	AU 1990-55711	19900518
AU 645493	B2	19940120		
IL 94444	A1	19990312	IL 1990-94444	19900520
CA 2017252	AA	19901123	CA 1990-2017252	19900522
CA 2017252	C	20010828		
JP 03128335	A2	19910531	JP 1990-133684	19900523
JP 2963910	B2	19991018		
US 5354866	A	19941011	US 1993-121673	19930914
US 5541334	A	19960730	US 1995-409380	19950323
US 5597926	A	19970128	US 1995-409767	19950323
US 5670675	A	19970923	US 1995-409365	19950323
US 5616714	A	19970401	US 1995-410260	19950324
US 5648497	A	19970715	US 1995-410623	19950324
US 5837873	A	19981117	US 1995-410162	19950324
US 5539122	A	19960723	US 1995-410996	19950327
US 5552558	A	19960903	US 1995-411032	19950327
US 5696270	A	19971209	US 1995-411140	19950327
US 5580984	A	19961203	US 1995-412253	19950328
US 5679797	A	19971021	US 1995-412244	19950328
US 5583232	A	19961210	US 1995-412821	19950329
US 5597927	A	19970128	US 1995-412438	19950329
US 5674882	A	19971007	US 1995-413136	19950329
US 5583233	A	19961210	US 1995-413290	19950330
US 5625072	A	19970429	US 1995-415827	19950403
US 5591860	A	19970107	US 1995-416272	19950404
US 5597928	A	19970128	US 1995-416607	19950404
US 5608072	A	19970304	US 1995-416259	19950404
US 5565418	A	19961015	US 1995-417304	19950405
US 5659044	A	19970819	US 1995-417165	19950405

US 5659045	A	19970819	US 1995-417295	19950405
US 5616720	A	19970401	US 1995-418056	19950406
US 5635523	A	19970603	US 1995-417879	19950406
US 5892052	A	19990406	US 1995-418031	19950406
US 5554783	A	19960910	US 1995-418978	19950407
US 5541206	A	19960730	US 1995-423387	19950425
HK 1012337	A1	20000505	HK 1998-113371	19981215
US 6531610	B1	20030311	US 2000-619785	20000720
PRIORITY APPLN. INFO.:			US 1989-355945	A 19890523
			US 1989-405604	A 19890908
			US 1989-456124	A 19891222
			US 1990-518730	A 19900509
			US 1983-355945	B2 19830523
			EP 1990-109319	A3 19900517
			US 1990-616170	B2 19901120
			US 1991-746020	B2 19910815
			US 1991-777626	A1 19911023
			US 1992-880729	B1 19920508
			US 1992-998114	B2 19921229
			US 1993-164979	B1 19930207
			US 1993-121673	A3 19930914
			US 1993-158587	B3 19931202
			US 1994-270210	A3 19940823
			US 1994-358648	A3 19941219
			US 1995-418031	A3 19950406
			US 1998-207881	A3 19981208

OTHER SOURCE(S): MARPAT 115:50304
GI



AB A-X-B [A,B = substituted amino, carbonyl, imino, alkyl, acyl, heterocyclyl, heterocyclylalkyl; X = CO, CHNR₁R₂, CHNHOR₁, C(OH)CO₂H, CH(OH), P(O)H, NOR₁, SO, SO₂, CH(OH)CHSH, CHSH, CH₂SO₂CH₂, P(O)OR₁, CH₂SOCH₂, Q₁, Q₂, Q₃, etc.; R₁,R₂ = H, alkyl, hydroxyalkyl, alkoxyalkyl; R₃,R₄ = H, alkyl, alkoxyalkyl], were prepared Thus, (2S,3R,4S,5S)-2,5-diamino-3,4-dihydroxy-1,6-diphenylhexane (preparation given) in dioxane was treated with N-[(benzyloxycarbonylvalyl)oxy]succinimide (preparation given) to give (2S,3R,4S,5S)-2,5-bis[(benzyloxycarbonylvalyl)amino]-3,4-dihydroxy-1,6-diphenylhexane. The latter inhibited HIV-13B in H9 cells with IC₅₀ = 0.015-0.027 μ M.

IT **134805-70-2P 134805-80-4P 134806-00-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

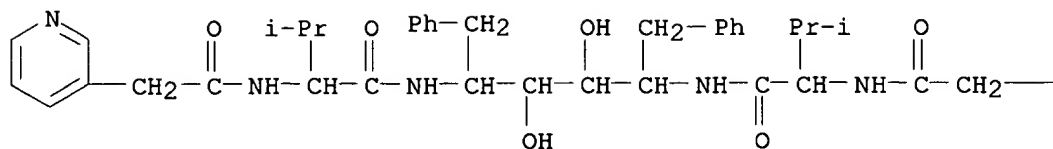
(preparation of, as retroviral protease inhibitor)

RN 134805-70-2 CAPLUS

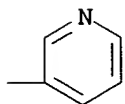
CN L-Iditol, 1,2,5,6-tetradeoxy-2,5-bis[[3-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]butyl]amino]-1,6-diphenyl-, [2(S),5(S)]- (9CI) (CA

INDEX NAME)

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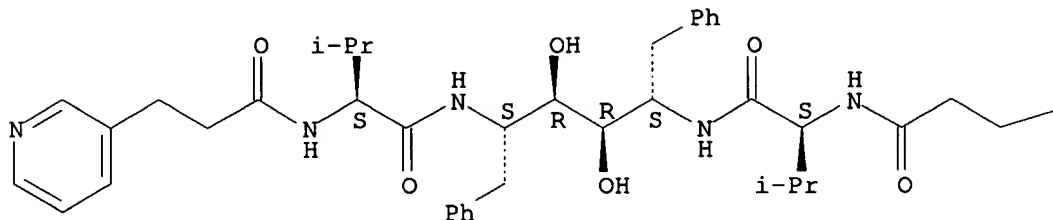


RN 134805-80-4 CAPLUS

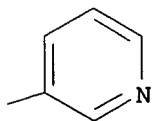
CN L-Iditol, 1,2,5,6-tetradecoxy-2,5-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-1,6-diphenyl-, [2(S),5(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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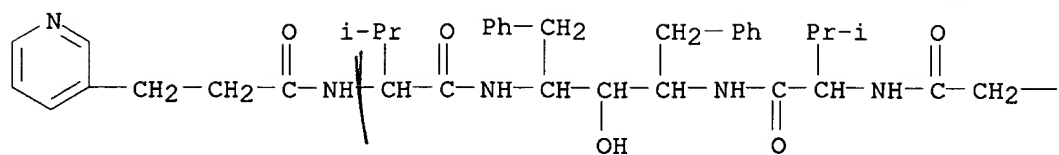
PAGE 1-B



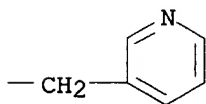
RN 134806-00-1 CAPLUS

CN Pentitol, 1,2,4,5-tetradecoxy-2,4-bis[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-1,5-diphenyl- (9CI) (CA INDEX NAME)

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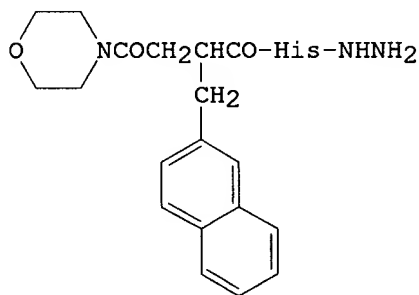
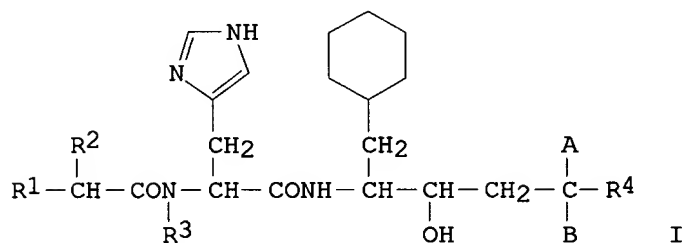


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126 ANSWER 166 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:247790 CAPLUS
 DOCUMENT NUMBER: 114:247790
 TITLE: Preparation of peptide analogs as renin inhibitors
 INVENTOR(S): Uchida, Itsuo; Shibata, Saizo; Yamada, Yasuki;
 Ikemoto, Yukinari; Iwata, Kunio; Ikegami, Kiyoteru;
 Nakamura, Ikuro
 PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan; Yoshitomi Pharmaceutical
 Industries, Ltd.
 SOURCE: Eur. Pat. Appl., 92 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 396065	A1	19901107	EP 1990-108163	19900428
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CA 2015827	AA	19901102	CA 1990-2015827	19900501
JP 03204860	A2	19910906	JP 1990-111713	19900501
PRIORITY APPLN. INFO.:			JP 1989-112245	A 19890502
			JP 1989-278490	A 19891027
OTHER SOURCE(S):		MARPAT 114:247790		
GI				



AB The title compds. [I; R1 = NH₂, alkoxycarboxamido, morpholinocarbonylmethyl (Q), etc.; R2 = (substituted) aralkyl; R3 = H, alkyl; R4 = alkyl; A = OH and B = H, or AB = CO], were prepared 4 M HCl-dioxane and isopentyl nitrite were added sequentially to a solution of histidine hydrazide derivative II in DMF, the mixture was stirred 30 min at

-20°, cooled to -30°, and neutralized with Et₃N;
 1-cyclohexyl-2-amino-3,5-dihydroxy-6-methylheptane in DMF was added, and
 the resulting mixture was stirred at 0° for 48 h to give I [A = OH, R₁
 = Q, R₂ = 2-naphthylmethyl, R₃ = B = H, R₄ = Me₂CH]. The latter showed
 IC₅₀ = 5.3 + 10⁻¹⁰ M against human renin.

IT **133999-23-2P**

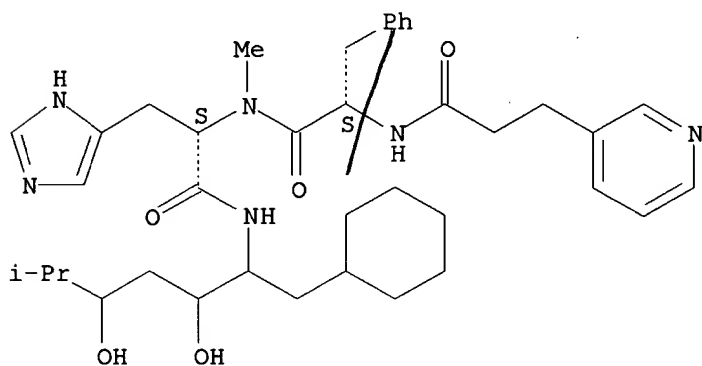
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 133999-23-2 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-
 (cyclohexylmethyl)-2,4-dihydroxy-5-methylhexyl]-N α -methyl- (9CI)
 (CA INDEX NAME)

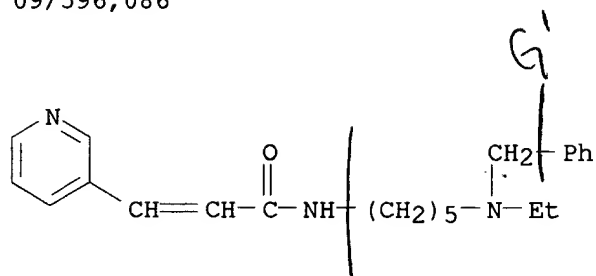
Absolute stereochemistry.



~~186~~ ANSWER 167 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:81261 CAPLUS
 DOCUMENT NUMBER: 114:81261
 TITLE: Preparation of N-(ω-
 aralkylaminoalkyl)carboxamides as cholinesterase
 inhibitors
 INVENTOR(S): Goto, Giichi; Nagaoka, Akinobu; Ishihara, Yuji
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02212459	A2	19900823	JP 1989-34654	19890213
JP 2730135	B2	19980325		
PRIORITY APPLN. INFO.:			JP 1989-34654	19890213

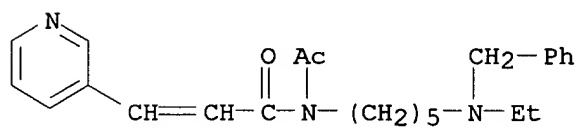
OTHER SOURCE(S): MARPAT 114:81261
 AB RACONR4(CH2)nNR1CHR2R3 [I; R = (un)substituted (hetero)cycllyl; R1 = H, lower alkyl; R2 = (un)substituted aryl; R3 = H, lower alkyl, (un)substituted aryl; R4 = H, lower alkyl, acyl; A = (CH2)1, CR5:CR6; R5, R6 = H, lower alkyl (un)substituted phenyl; 1 = 0, 1, 2; except I in which AR = (CH2)1C6H4X, CH:CHC6H4X] (II) or their salts, their prepsns. by treatment of RACONR4 (Z = OH, reactive derivative of carboxylic acid) with R4NH(CH2)nNR1CHR2R3 or their salts or by alkylation or acylation of I (R4 = H) or their salts, and cholinesterase inhibitors containing II or their salts, useful as cerebral function enhancing agents for treatment of senile dementia, Alzheimer disease, Huntington's chorea, etc., are claimed. A DMF solution of 0.8 g PhCH2NEt(CH2)5NH2, prepared by reductive decomposition of 2-[5-(N-benzyl-N-ethylamino)pentyl]-1H-isoindole-1,3-(2H)-dione hydrochloride by H2NNH2.H2O, 0.85 g 3,4-dihydro-6,7-dimethoxynaphthalene-2-carboxylic acid, and Et3N was treated with di-Et cyanophosphonate at 0° for 1 h to give 1.5 g II (AR = 6,7-dimethoxy-3,4-dihydro-2-naphthyl, R1 = Et, R2 = Ph, R3 = R4 = H). A composition containing similarly prepared I (AR = 3,4-dihydro-6,7-dimethoxy-2-naphthyl, R1 = Et, R2 = 2-MeOC6H4, R3 = R4 = H) (III) 1, lactose 197, corn starch 50, and Mg stearate was made into 2000 tablets. III inhibited acetylcholinesterase in S1 fraction from rat cerebral cortex homogenate at IC50 of 0.24 μM, vs. 0.22 μM for physostigmine.
 IT **131334-22-0P 131334-28-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cholinesterase inhibitor for enhancement of cerebral function)
 RN 131334-22-0 CAPLUS
 CN 2-Propenamide, N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 131334-28-6 CAPLUS

CN 2-Propenamide, N-acetyl-N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

126 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:43541 CAPLUS

DOCUMENT NUMBER: 114:43541

TITLE: 1,2,4-Triazolo[4,3-a]pyrazine derivatives with human renin inhibitory activity. 3. Synthesis and biological properties of aminodeoxystatine and difluorostatone derivatives

AUTHOR(S): Bradbury, Robert H.; Rivett, Janet E.

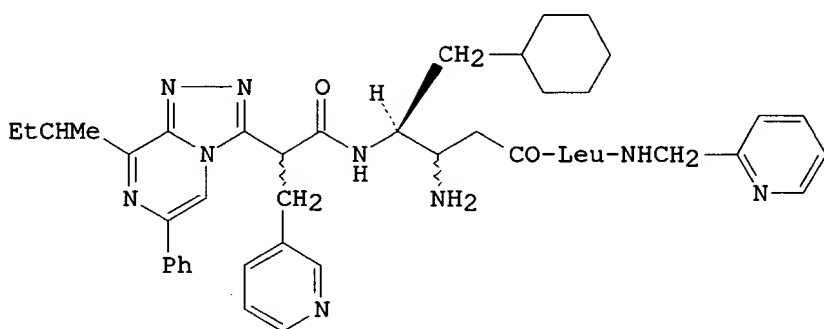
CORPORATE SOURCE: Dep. Chem., ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (1991), 34(1), 151-7
CODEN: JMCMAR; ISSN: 0022-2623

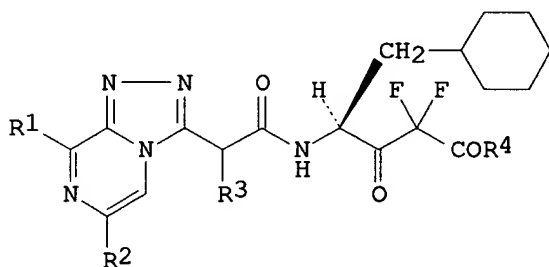
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB Two series of 1,2,4-triazolo[4,3-a]pyrazine derivs., I and II [R1 = CHMeEt, R2 = Ph, R3 = H, R4 = Leu-NHCH2R5, NHCH2CH2CHMe2; R5 = 2-pyridyl; R1 = Pr, R2 = 3-pyridyl; R3 = H, 3-pyridylmethyl, R4 = NHCH2CH2CHMe2; R3 = H, R4 = NHet, (S)-NHCH2CHMeEt], with human renin inhibitory activity were prepared which incorporate the transition-state mimetics (3S,4S)- and (3R,4S)-5-cyclohexyl-3,4-diaminopentanoic acid, and (4S)-4-amino-5-cyclohexyl-2,2-difluoro-3-oxopentanoic acid. Several compds. in these series were highly potent inhibitors of partially purified human renin. II (R1 = Pr, R2 = 3-pyridyl, R3 = H, R4 = NHCH2CH2CHMe2, NHet) contain no natural amino acid fragments and have mol. wts. which compare well with those of previously reported inhibitors of nanomolar in vitro potency. When administered i.v. to anesthetized, sodium-depleted marmosets at doses of 3 mg/kg, compds. (S,S,S)-I and II (R1 = Pr, R2 = 3-pyridyl, R3 = H, R4 = NHCH2CH2CHMe2) caused a marked reduction in mean arterial pressure, but in the same animal model at 30 mg/kg oral activity was not seen.

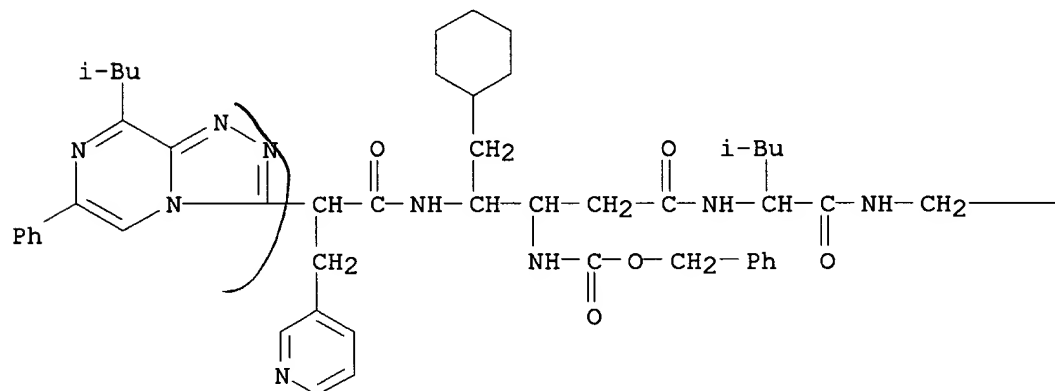
IT 130405-91-3P 130466-61-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and catalytic hydrogenolysis of)

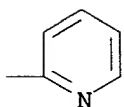
RN 130405-91-3 CAPLUS

CN Carbamic acid, [3-cyclohexyl-2-[[2-[8-(2-methylpropyl)-6-phenyl-1,2,4-
triazolo[4,3-a]pyrazin-3-yl]-1-oxo-3-(3-pyridinyl)propyl]amino]-1-[2-[[3-
methyl-1-[[2-(pyridinylmethyl)amino]carbonyl]butyl]amino]-2-
oxoethyl]propyl]-, phenylmethyl ester, [1S-[1R*(R*),2R*(S*)]]- (9CI) (CA
INDEX NAME)

PAGE 1-A



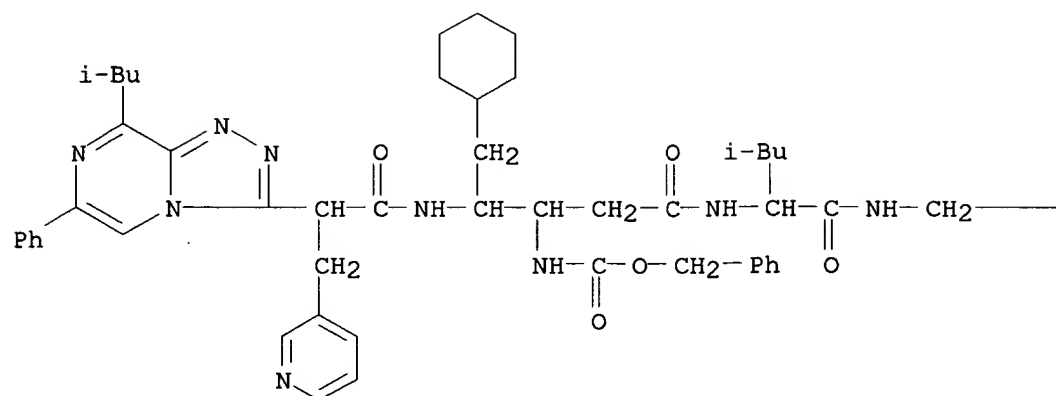
PAGE 1-B



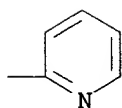
RN 130466-61-4 CAPLUS

CN Carbamic acid, [3-cyclohexyl-2-[[2-[8-(2-methylpropyl)-6-phenyl-1,2,4-
triazolo[4,3-a]pyrazin-3-yl]-1-oxo-3-(3-pyridinyl)propyl]amino]-1-[2-[[3-
methyl-1-[[2-(pyridinylmethyl)amino]carbonyl]butyl]amino]-2-
oxoethyl]propyl]-, phenylmethyl ester, [1S-[1R*(R*),2R*(R*)]]- (9CI) (CA
INDEX NAME)

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PAGE 1-B



126 ANSWER 169 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:572760 CAPLUS
 DOCUMENT NUMBER: 113:172760
 TITLE: Preparation of N-(heterocyclyldihydroxyalkyl)peptide amides and analogs as renin inhibitors
 INVENTOR(S): Henning, Rainer; Urbach, Hansjoerg; Ruppert, Dieter; Schoelkens, Bernward
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Ger. Offen., 18 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3839559	A1	19900531	DE 1988-3839559	19881124
EP 370454	A2	19900530	EP 1989-121499	19891121
EP 370454	A3	19901024		
EP 370454	B1	19940420		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 104678	E	19940515	AT 1989-121499	19891121
ES 2054989	T3	19940816	ES 1989-121499	19891121
JP 02193968	A2	19900731	JP 1989-302296	19891122
FI 93645	B	19950131	FI 1989-5568	19891122
FI 93645	C	19950510		
DK 8905895	A	19900525	DK 1989-5895	19891123
NO 8904663	A	19900525	NO 1989-4663	19891123
NO 177351	B	19950522		
NO 177351	C	19950830		
HU 52524	A2	19900728	HU 1989-6173	19891124
HU 204262	B	19911230		
ZA 8908990	A	19900829	ZA 1989-8990	19891124
AU 8945475	A1	19900913	AU 1989-45475	19891124
AU 628109	B2	19920910		
US 5360791	A	19941101	US 1993-1221	19930106
PRIORITY APPLN. INFO.:			DE 1988-3839559	A 19881124
			EP 1989-121499	A 19891121
			US 1989-440109	B1 19891122
			US 1992-899122	B1 19920618

OTHER SOURCE(S): CASREACT 113:172760; MARPAT 113:172760

AB ABNR1CH[(CH2)mR2]CH(OR3)CH(OR4)(CH2)nD [A = R6GECHR5CO; B = amino acid residue; D = heterocyclyl; E = CH2, NR9; G = S, SO, SO2, O, CO, CS, bond; R1,R9 = H, alkyl; R2 = H, alkyl, cycloalkyl, (un)substituted Ph; R3,R4 = H, alkyl, alkanoyl, Ph, Bz, etc.; R5 = (un)substituted aryl, aralkyl, thienyl, pyridyl, etc.; R6 = H, alkyl, cycloalkyl, alkoxy, aryl, etc.; m, n = 0-5] were prepared as renin inhibitors (no data). Thus, (2RS,3R,4S)-R2CH2CH(NHR11)CH(OR3)CH(OR4)CH2R10 (I; R2 = cyclohexyl, R3 = SiMe2CMe3, R4R10 = bond, R11 = CO2CMe3) was added to a solution of 2-picoline in THF which had been treated with BuLi and the whole stirred 10 h to give, after deprotection, (3S,4R,5S)-I (R2 = cyclohexyl, R3 = R4 = R11 = H, R10 = 2-pyridylmethyl) which was stirred 2 h with aqueous HCl in DMF and the product stirred 24 h with Iva-Phe-His(DNP)-OH [Iva = isovaleryl, DNP = 2,4-(O2N)C6H3] in DMF containing DCC, 1-hydroxybenzotriazole, and N-ethylmorpholine to give, after deprotection, (3S,4R,5S)-I (R2 = cyclohexyl, R3 = R4 = H, R11 = Iva-Phe-His, R10 = 2-pyridylmethyl).

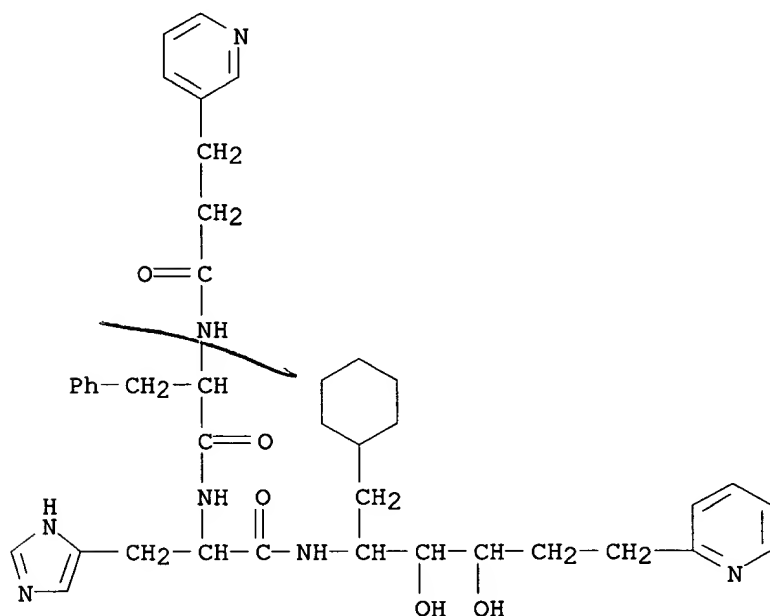
IT 129765-93-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 129765-93-1 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-(2-pyridinyl)pentyl]-, [1S-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)



LX6 ANSWER 170 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:532799 CAPLUS

DOCUMENT NUMBER: 113:132799

TITLE: 1,2,4-Triazolo[4,3-a]pyrazine derivatives with human renin inhibitory activity. 1. Synthesis and biological properties of alkyl alcohol and statine derivatives

AUTHOR(S): Roberts, David A.; Bradbury, Robert H.; Brown, David; Faull, Alan; Griffiths, David; Major, John S.; Oldham, Alec A.; Pearce, Robert J.; Ratcliffe, Arnold H.; et al.

CORPORATE SOURCE: Dep. Chem., ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (1990), 33(9), 2326-34
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:132799

GI For diagram(s), see printed CA Issue.

AB A series of 1,2,4-triazolo[4,3-a]pyrazine derivs. with human renin inhibitory activity which incorporate (1S,2S)-2-amino-1,3-dicyclohexyl-1-hydroxypropane, statine, and (3S,4S)-4-amino-5-cyclohexyl-3-hydroxypentanoic acid transition-state mimetics have been prepared. Structure-activity relationships for renin inhibitory activity in the series are consistent with the 2-[8-isobutyl-6-phenyl-1,2,4-triazolo[4,3-a]pyrazin-3-yl]-3-(3-pyridyl)propionic acid moiety acting as a non-peptidic replacement for the P4-P2 (Pro-Phe-His) residues of the natural substrate angiotensinogen. Compds. I [R = cyclohexyl, CHMe2, R1 = CH2C6H4CH2NH2-3; R = cyclohexyl, R1 = (S)-(CH2)4CH(NH2)CO2H] were potent inhibitors of partially purified human renin (IC50 values 1.7, 6.8, and 3.7 nM, resp.), and also effectively lowered blood pressure in anesthetized, sodium depleted marmosets following i.v. administration. On oral administration however, no blood pressure lowering activity could be detected, and absorption studies in bile duct cannulated rats indicate that this may be due primarily to poor oral absorption, rather than rapid biliary excretion.

IT 128972-41-8P 128972-42-9P 128999-54-2P

129096-84-0P 129096-85-1P

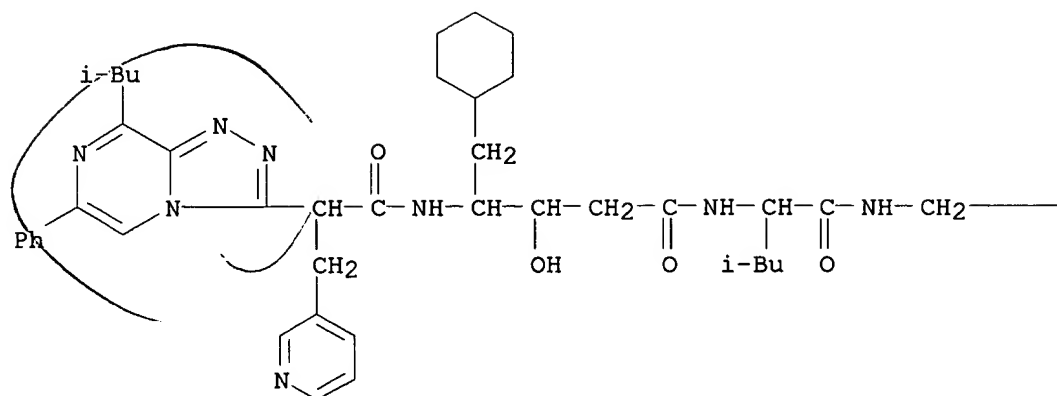
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and renin inhibitory activity of)

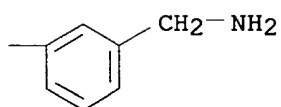
RN 128972-41-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[4-[[1-[[[3-(aminomethyl)phenyl]methyl]amino]carbonyl]-3-methylbutyl]amino]-1-(cyclohexylmethyl)-2-hydroxy-4-oxobutyl]-8-(2-methylpropyl)-6-phenyl- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2R*,4(R*)]]- (9CI) (CA INDEX NAME)

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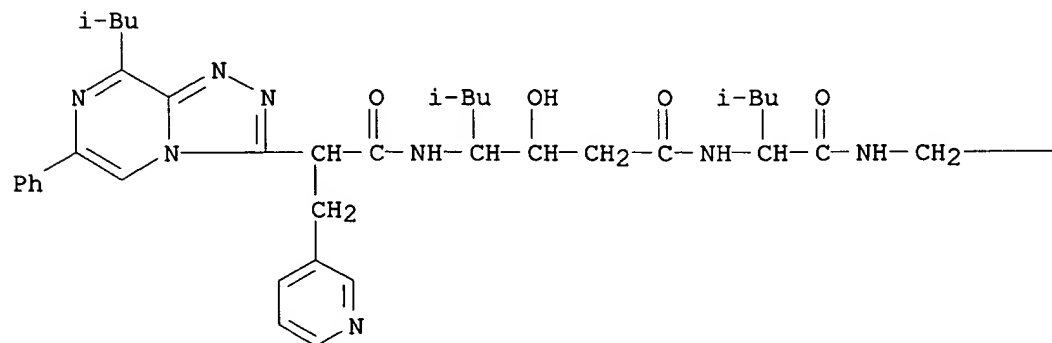
PAGE 1-B

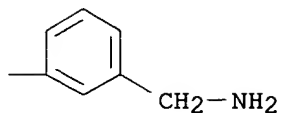


RN 128972-42-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[4-[[[1-[[[3-(aminomethyl)phenyl]methyl]amino]carbonyl]-3-methylbutyl]amino]-2-hydroxy-1-(2-methylpropyl)-4-oxobutyl]-8-(2-methylpropyl)-6-phenyl- α -(3-pyridinylmethyl)-, [1S-[1R*(S*),2R*,4(R*)]]- (9CI) (CA INDEX NAME)

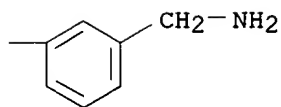
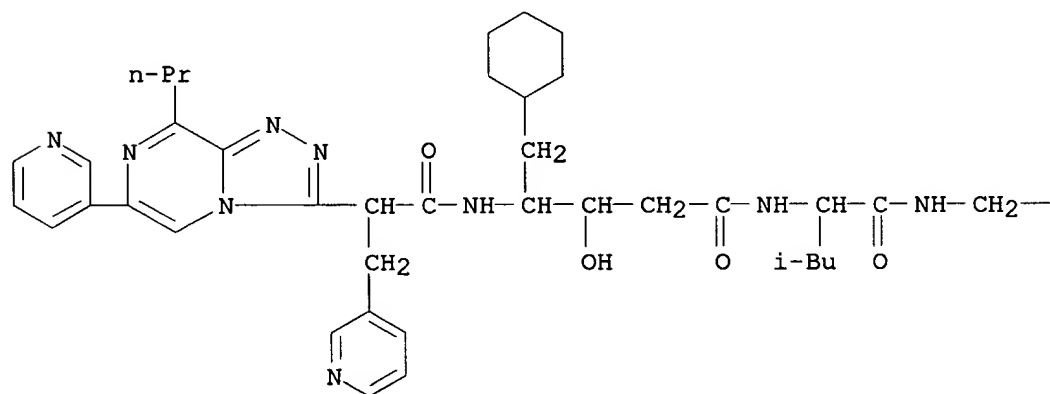
PAGE 1-A





RN 128999-54-2 CAPLUS

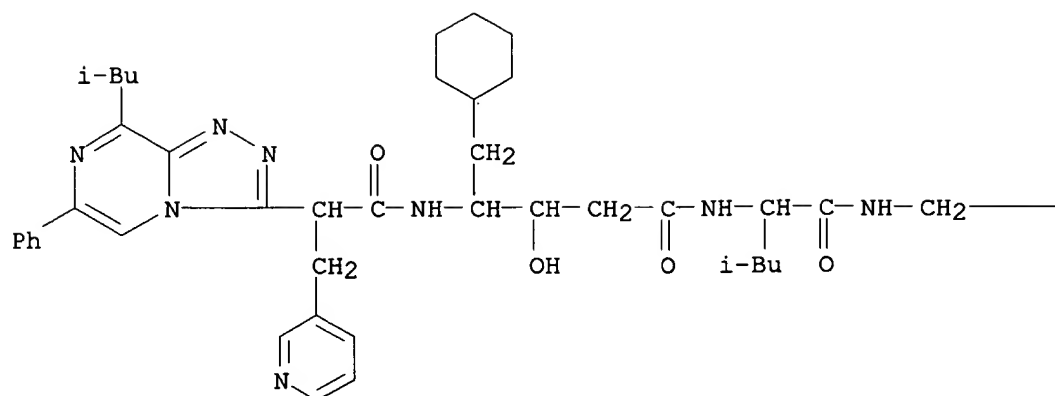
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[4-[[1-[[[3-(aminomethyl)phenyl]methyl]amino]carbonyl]-3-methylbutyl]amino]-1-(cyclohexylmethyl)-2-hydroxy-4-oxobutyl]-8-propyl-6-(3-pyridinyl)- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2R*,4(R*)]]- (9CI) (CA INDEX NAME)



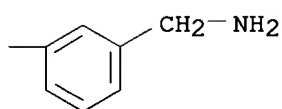
RN 129096-84-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[4-[[1-[[[3-(aminomethyl)phenyl]methyl]amino]carbonyl]-3-methylbutyl]amino]-1-(cyclohexylmethyl)-2-hydroxy-4-oxobutyl]-8-(2-methylpropyl)-6-phenyl- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2R*,4(R*)]]- (9CI) (CA INDEX NAME)

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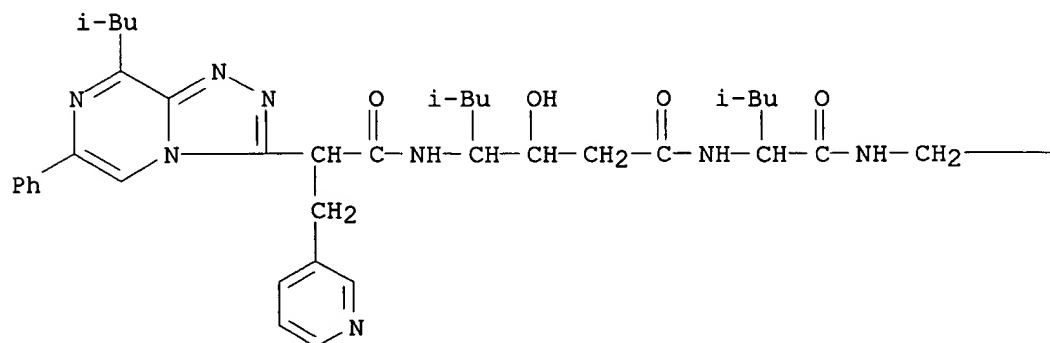
PAGE 1-B

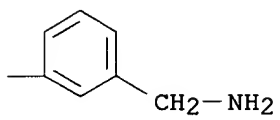


RN 129096-85-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine-3-acetamide, N-[4-[[1-[[[3-(aminomethyl)phenyl]methyl]amino]carbonyl]-3-methylbutyl]amino]-2-hydroxy-1-(2-methylpropyl)-4-oxobutyl]-8-(2-methylpropyl)-6-phenyl- α -(3-pyridinylmethyl)-, [1S-[1R*(R*),2R*,4(R*)]]- (9CI) (CA INDEX NAME)

PAGE 1-A





126 ANSWER 171 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:441323 CAPLUS

DOCUMENT NUMBER: 113:41323

TITLE: Preparation of peptide-like amino acid derivatives as antihypertensives and pharmaceutical compositions containing them

INVENTOR(S): Branca, Quirico; Neidhart, Werner; Ramuz, Henri; Stadler, Heinz; Wostl, Wolfgang

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 332008	A2	19890913	EP 1989-103416	19890227
EP 332008	A3	19920408		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1328333	A1	19940405	CA 1989-591212	19890216
ZA 8901464	A	19891227	ZA 1989-1464	19890224
DK 8900968	A	19890905	DK 1989-968	19890228
AU 8930797	A1	19890907	AU 1989-30797	19890228
AU 617429	B2	19911128		
HU 50104	A2	19891228	HU 1989-992	19890301
FI 8901006	A	19890905	FI 1989-1006	19890302
JP 02003646	A2	19900109	JP 1989-48693	19890302
JP 08009585	B4	19960131		
NO 8900921	A	19890905	NO 1989-921	19890303
US 5134123	A	19920728	US 1989-318576	19890303
US 5256645	A	19931026	US 1992-872736	19920422
US 5389616	A	19950214	US 1993-99028	19930729
PRIORITY APPLN. INFO.:			CH 1988-820	A 19880304
			CH 1988-3469	A 19880916
			CH 1988-4824	A 19881228
			US 1989-318576	A3 19890303
			US 1992-872736	A3 19920422

OTHER SOURCE(S): MARPAT 113:41323

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, Me; R2 = Et, Pr, heterocyclyl, Me3CO; R3 = Me2CHCH2, cyclohexylmethyl, Ph, CH2O; R4, R5 = H, (substituted) alkanoyl, (cyclic) protecting group; R6 = aralkyl, arylalkenyl; A = substituted alkanoyl, etc.], useful as antihypertensives, were prepared Aminohexanediol II (R = H) (preparation given) was condensed with FMOC-His-OH (FMOC = fluorenylmethoxycarbonyl) followed by deprotection to give II (R = Q), which was condensed with HO2CCH(CH2Ph)CH2COCMe3 to give I [R1 = R4 = R5 = H, R2 = 1H-imidazol-4-yl, R3 = cyclohexylmethyl, A = COCH(CH2Ph)CH2COCMe3]. This showed an IC50 of 0.024 µM against renin in vitro.

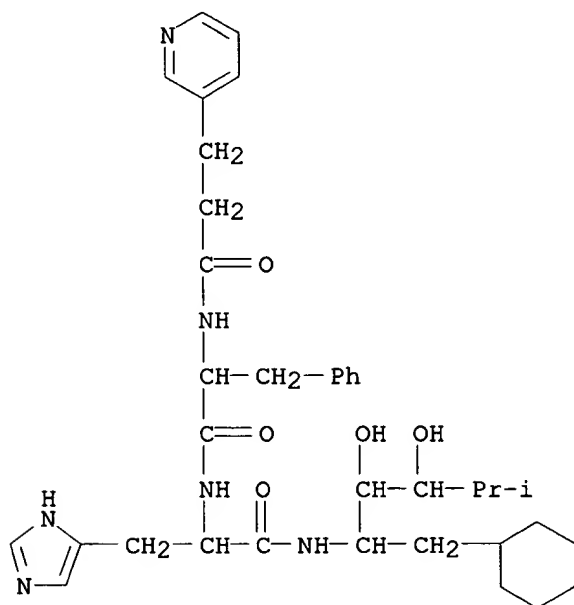
IT 126259-87-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antihypertensive)

RN 126259-87-8 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-

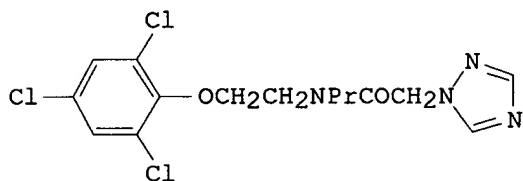
(cyclohexylmethyl)-2,3-dihydroxy-4-methylpentyl]-, [1S-(1R*,2S*,3R*)]-
(9CI) (CA INDEX NAME)



186 ANSWER 172 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:423924 CAPLUS
 DOCUMENT NUMBER: 113:23924
 TITLE: Preparation of substituted heteroaralkyl,
 heteroaralkenyl or halomethyl fungicides
 INVENTOR(S): Spatz, David M.
 PATENT ASSIGNEE(S): Chevron Research Co., USA
 SOURCE: U.S., 12 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4892952	A	19900109	US 1982-443009	19821119
PRIORITY APPLN. INFO.:			US 1982-443009	19821119
OTHER SOURCE(S):	MARPAT	113:23924		

GI



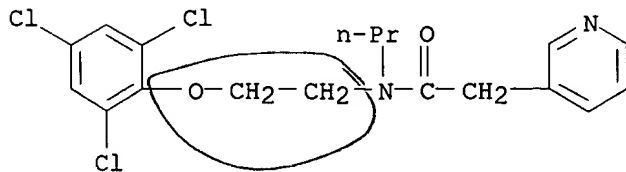
AB Title compds. $RXCH_2CH_2NR_1C(:Z)Y$ [R = (substituted Ph; R_1 = alkyl; Y = N-heterocyclalkenyl, CH_2W ; W = F, Cl, Br, iodo, N-heterocyclalkyl; X, Z = S, O] were prepared and used as plant fungicides. To a hot solution of K_2CO_3 and 1,2,4-triazole in MeCN was added 2,4,6- $Cl_3C_6H_2OCH_2CH_2NPrCOCH_2Br$ (preparation given), and the system was heated to reflux and maintained 18 h to give the triazole I. I at 625 ppm on 10- to 14-day-old rice seedlings showed 91% and 97% fungicidal activity against tomato early blight and bean powdery mildew, resp.

IT **99914-35-9P 127657-28-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as fungicide)

RN 99914-35-9 CAPLUS

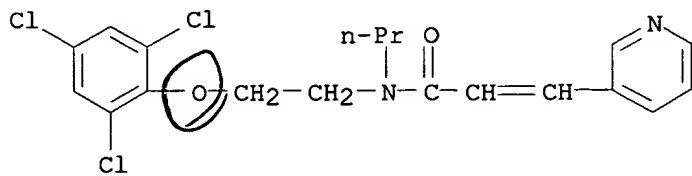
CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI)
 (CA INDEX NAME)



RN 127657-28-7 CAPLUS

09/596,086

CN 2-Propenamide, N-propyl-3-(3-pyridinyl)-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



126 ANSWER 173 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:217541 CAPLUS
 DOCUMENT NUMBER: 112:217541
 TITLE: Preparation and testing of peptide analogs as renin inhibitors
 INVENTOR(S): Branca, Quirico; Edenhofer, Albrecht; Gutknecht, Eva Maria; Neidhart, Werner; Ramuz, Henri; Wostl, Wolfgang
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 74 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 310918	A2	19890412	EP 1988-115878	19880927
EP 310918	A3	19901212		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8805232	A	19890407	DK 1988-5232	19880920
ZA 8807322	A	19890628	ZA 1988-7322	19880929
AU 8823307	A1	19890608	AU 1988-23307	19880930
AU 627156	B2	19920820		
HU 49322	A2	19890928	HU 1988-5114	19881003
NO 8804434	A	19890407	NO 1988-4434	19881005
FI 8804598	A	19890407	FI 1988-4598	19881006
JP 02256658	A2	19901017	JP 1988-252886	19881006
US 5250517	A	19931005	US 1992-879522	19920504
PRIORITY APPLN. INFO.:			CH 1987-3903	A 19871006
			US 1988-254003	B1 19881005

OTHER SOURCE(S): MARPAT 112:217541

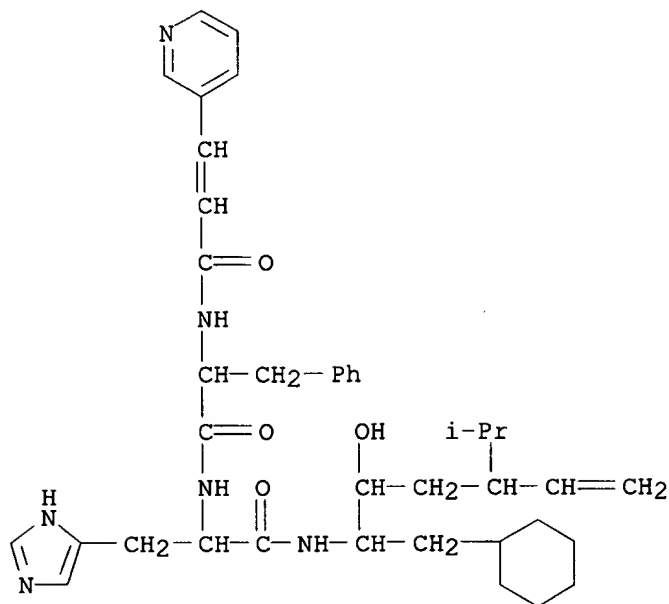
AB ANR1CH(CH2R2)CONHCHR3CH(OH)CH2CHR4CHMe2 [I; R1 = H, Me; R2 = Et, Pr, imidazol-4-yl; R3 = Me2CHCH2, cyclohexylmethyl, PhCH2; R4 = Ph, furyl, vinyl, Et, 1,2-dihydroxyethyl; A = 2-(2-pyridyl)benzoyl, β -naphthylsuccinylmonoethyl ester residue, 2-indolocetyl, etc.], useful as renin inhibitors, were prepared BOC-Phe-OMe was hydrogenated over Rh/Al2O3 and the product in PhMe was reduced with (Me2CHCH2)2AlH at -75 to -70° to give 2-tert-butoxycarbonylamino-3-cyclohexylpropionaldehyde. The latter in Et2O was added to a -60° solution of H2C:CHCH(CHMe2)CH2MgBr in Et2O and the mixture was stirred 21 h to give (α S, β S)- β -tert-butoxycarbonylamino- α -[(S)-2-isopropyl-3-butenyl]cyclohexanepropanol (together with the R-isomer). The latter was deprotected with HCl in dioxane and coupled successively with FMOC-His(FMOC)-OH and BOC-Phe-OH to give tert-Bu [(S)- α -[(S)-1-[[1S; 2S; 4S)-1-(cyclohexylmethyl)-2-hydroxy-4-isopropyl-5-hexenyl]carbamoyl]-2-imidazole-4-ylethyl]carbamoyl]phenethyl]carbonate. I inhibited human renin with IC50's of 0.0003-0.1400 μ mol/L.

IT 125468-32-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrogenation of, in preparation of renin inhibitor)

RN 125468-32-8 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-4-(1-methylethyl)-5-hexenyl]-, [1S-(1R*,2R*,4R*)]-(9CI) (CA INDEX NAME)

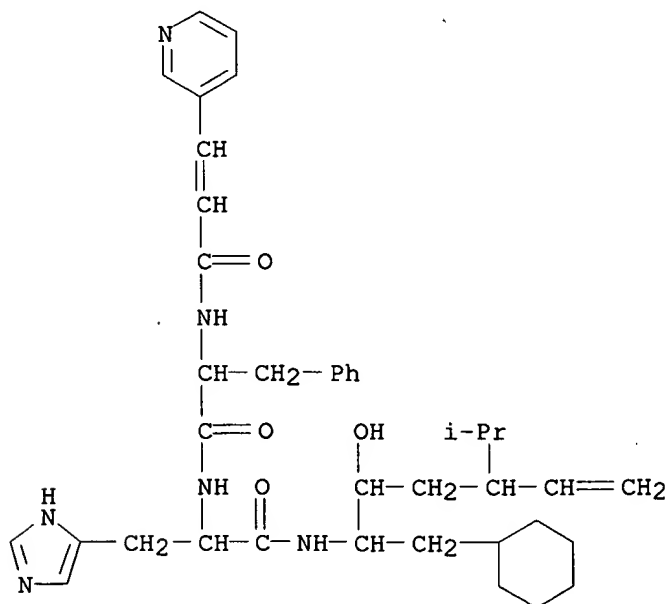


IT 125468-32-8P 125468-37-3P 125468-60-2P
125468-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antihypertensive)

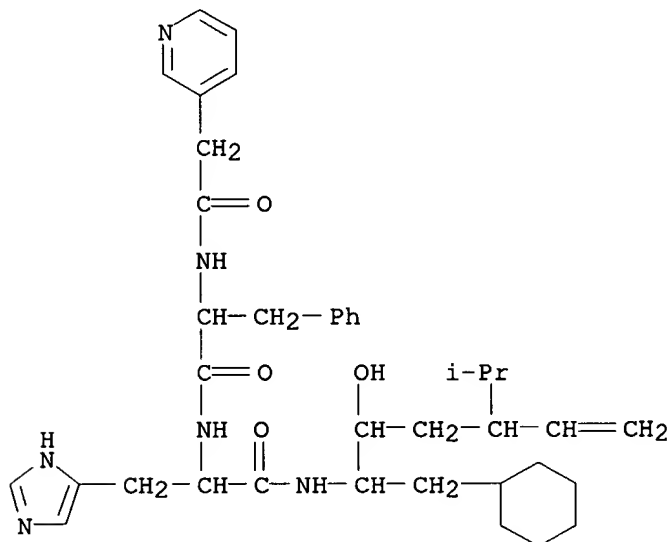
RN 125468-32-8 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-4-(1-methylethyl)-5-hexenyl]-, [1S-(1R*,2R*,4R*)]- (9CI) (CA INDEX NAME)



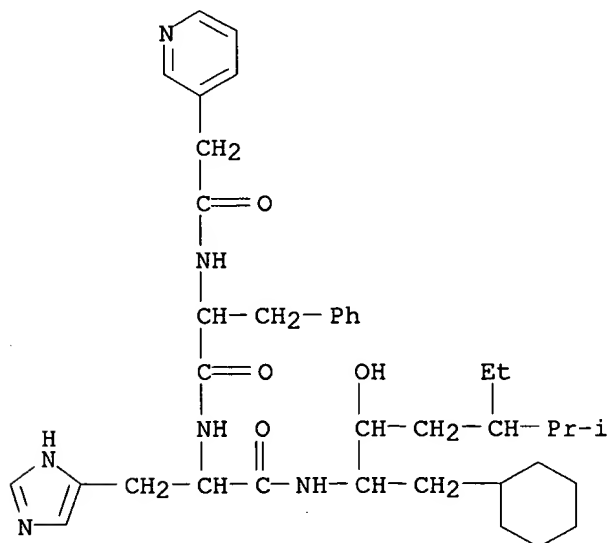
RN 125468-37-3 CAPLUS

CN L-Histidinamide, N-(3-pyridinylacetyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-4-(1-methylethyl)-5-hexenyl]-, [1S-(1R*,2R*,4R*)]-(9CI) (CA INDEX NAME)



RN 125468-60-2 CAPLUS

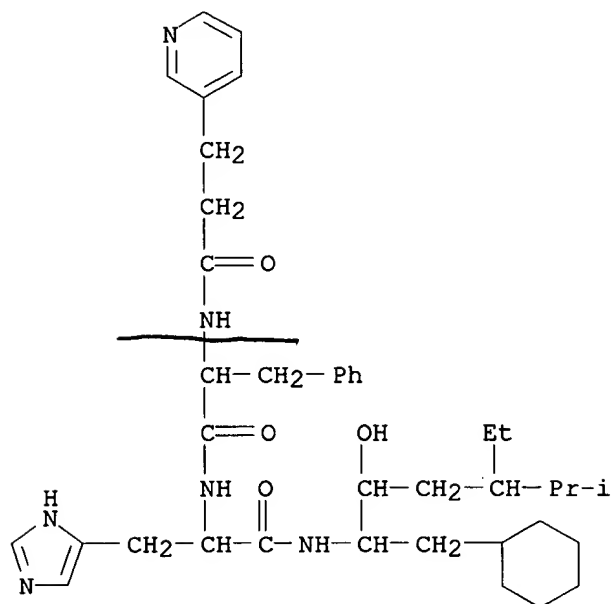
CN L-Histidinamide, N-(3-pyridinylacetyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-4-ethyl-2-hydroxy-5-methylhexyl]-, [1S-(1R*,2R*,4R*)]-(9CI) (CA INDEX NAME)



RN 125468-68-0 CAPLUS

CN L-Histidinamide, N-[1-oxo-3-(3-pyridinyl)propyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-4-ethyl-2-hydroxy-5-methylhexyl]-, [1S-(1R*,2R*,4R*)]-(9CI) (CA INDEX NAME)

(9CI) (CA INDEX NAME)



~~L26~~ ANSWER 174 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:119444 CAPLUS

DOCUMENT NUMBER: 112:119444

TITLE: Renin inhibiting peptides that contain amino and hydroxy dicarboxylic acids

INVENTOR(S): Thaisrivongs, Suvit

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

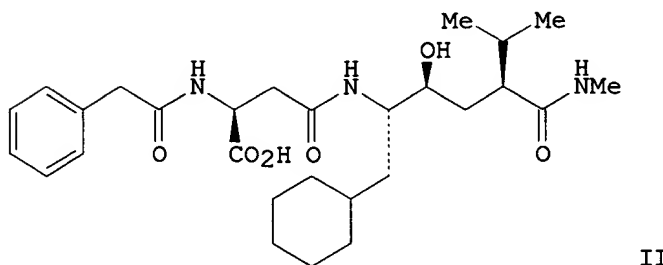
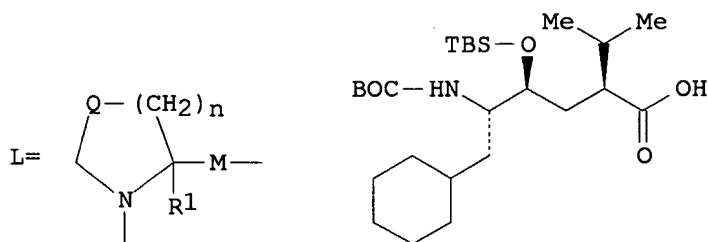
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8904833	A1	19890601	WO 1988-US3436	19881011
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8928067	A1	19890614	AU 1989-28067	19881011
AU 617740	B2	19911205		
EP 394311	A1	19901031	EP 1989-900393	19881011
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03500886	T2	19910228	JP 1989-500117	19881011
DK 9001195	A	19900514	DK 1990-1195	19900514
NO 9002180	A	19900515	NO 1990-2180	19900515
PRIORITY APPLN. INFO.:			US 1987-121270	A1 19871116
			WO 1988-US3436	A 19881011

GI



AB The peptides: ABDVCH(CO₂H)(CH₂)_mCONHCH(X)CH₂R₂ [A = H, C1-5 alkyl, R₃O(CH₂)_qCO, R₃(CH₂)_qO₂C, R₁₂N(CH₂)_nCO, R₃SO₂(CH₂)_nCO, R₃S(CH₂)_qCO, etc.; B = absent, L; D = absent, OCH(CHR₁R₄)CO, NCH(CHR₁R₄)CO; V = O, NR₁; X =

CH(OH)CH(OH)CH₂R₇, ECR₁R₄COR₈Z, JCK₁K₂COR₈Z; E = substituted C₁-2 alkylene, CO, CH₂NH, CH₂O, R₇(O)GR₉; G = OH, NH₂; J = CH(OH), CHNH₂, CO; K₁, K₂ = H, F, Cl; M = CO, CH; O = CH₂, CH(OH), O, S; Z = OR₅, NR₁R₅; R₁ = H, C₁-5 alkyl; R₂ = R₁, C₁-7 alkyl, (un)substituted aryl, Het, (CH₂)_pOH, (CH₂)_pNH₂; R₃ = C₁-5 alkyl, C₃-7 cycloalkyl, (un)substituted aryl, Het; R₄ = H, C₁-5 alkyl, C₃-7 cycloalkyl, (un)substituted aryl, Het, 1- or 2-adamantyl, etc.; R₅ = H, C₁-10 alkyl, (un)substituted aryl, (CH₂)_nR₆, Het, etc.; R₆ = OH, NH₂, CO₂H, SO₃H, polyhydroxylated alkyl, guanidyl, (un)substituted aryl, Het; R₇ = azido, cyano, C₁-6 (cyclo)alkyl, aryl, Het; R₈ = absent, NCH(CHR₁R₄)CO; R₉ = O, NH, CH₂; Het = 5- or 6-membered (un)substituted (fused) heterocyclyl with 1-3 heteroatoms; m = 1, 2; n, q = 1-5; p = 0-5] and their protected forms and pharmaceutically acceptable salts were prepared as renin inhibitors (no data) by solution phase amino acid coupling or amidation reactions of aliphatic carboxylic acids carrying (protected) alc. and amino groups, e.g., I (BOC = tert-butoxycarbonyl, TBS = tert-butyldimethylsilyl). Thus, I was amidated with MeNH₂.HCl in CH₂Cl₂ in the presence of (Me₂CH)₂NEt and (EtO)₂P(O)CN, and BOC was removed. The resulting amidoamine was coupled with N-(phenylacetyl)-α-benzylaspartate (preparation given) and the protecting groups were removed to give II.

IT **124322-15-2P**

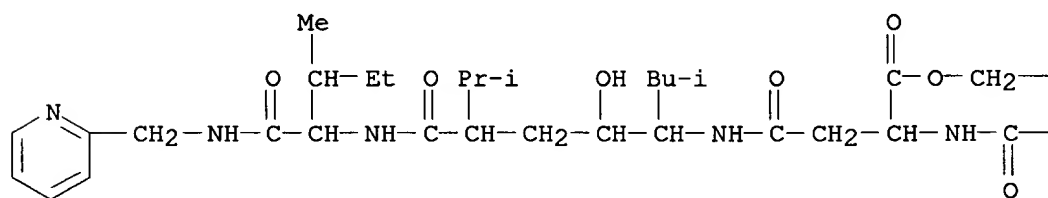
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of, in preparation of antihypertensive)

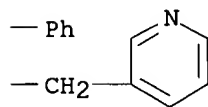
RN 124322-15-2 CAPLUS

CN L-Asparagine, N-[2-hydroxy-5-methyl-1-(2-methylpropyl)-4-[[[2-methyl-1-[[[2-pyridinylmethyl]amino]carbonyl]butyl]amino]carbonyl]hexyl]-N₂-(3-pyridinylacetyl)-, phenylmethyl ester, [1S-[1R*,2R*,4R*(1R*,2R*)]]- (9CI)
(CA INDEX NAME)

PAGE 1-A

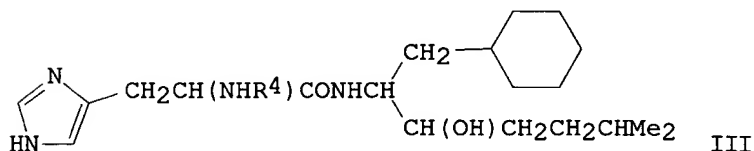
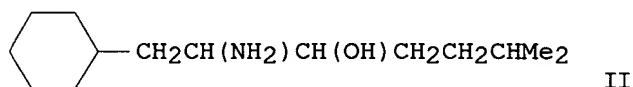
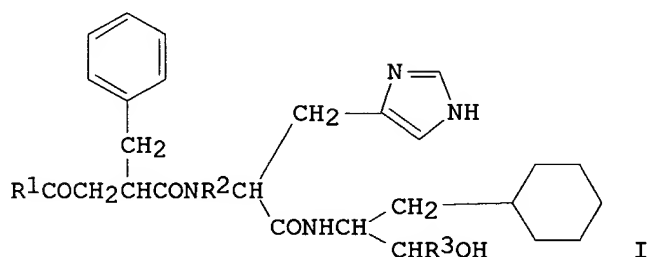


PAGE 1-B



~~L26~~ ANSWER 175 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:56713 CAPLUS
 DOCUMENT NUMBER: 112:56713
 TITLE: Preparation of N-acyl-L-histidinamide derivatives as renin inhibitors
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01131162	A2	19890524	JP 1988-259897	19881014
PRIORITY APPLN. INFO.:			GB 1987-24428	A 19871019
OTHER SOURCE(S):	MARPAT	112:56713		
GI				



AB Amino acid derivs. [I; R1 = (substituted) aryl, alkyl, heterocyclyl; R2 = H, alkyl; R3 = alkyl], effective renin inhibitors useful as antihypertensives, are prepared Ph2P(O)N3 (390 mg) and 144 mg Et3N were added to a solution of 363 mg BOC-His-OH (BOC= tert-BuO2C) and 294 mg (2S,3S)-II in DMF at 0° and stirred overnight at 25° to give 384 mg (2S,3S)-III (R4 = BOC) which was deprotected with CF3CO2H to give 275 mg (2S,3S)-III (R4 = H) (IV). Ph2P(O)N3 (0.75 g) and 0.28 g Et3N were added to a solution of 0.74 g PhCOCH2CH(CO2H)CH2Ph and 1.00 g IV in DMF under ice cooling and the solution stirred overnight at room temperature to give 1.21 g diastereomeric (2S,3S)-I (R1 = Ph, R2 = H, R3 = Me2CHCH2CH2) which was separated by silica gel thin-layer chromatog. Also prepared were 6 addnl. I, 3

of which showed plasma renin inhibitory activity with IC₅₀ of 4.5, 7.9, and 9.5 + 10⁻⁸M.

IT **124640-81-9P 124751-67-3P**

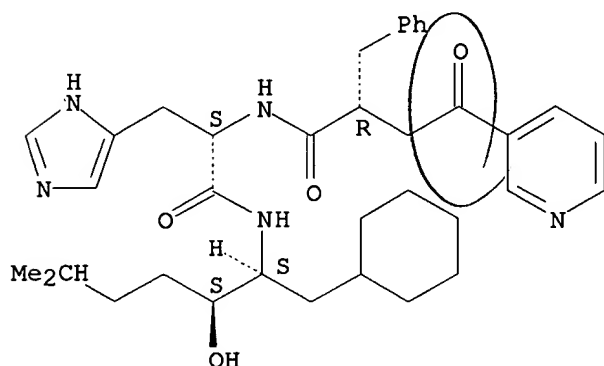
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 124640-81-9 CAPLUS

CN 3-Pyridinebutanamide, N-[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-γ-oxo-α-(phenylmethyl)-, [1S-[1R*[R*(S*)],2R*]]- (9CI) (CA INDEX NAME)

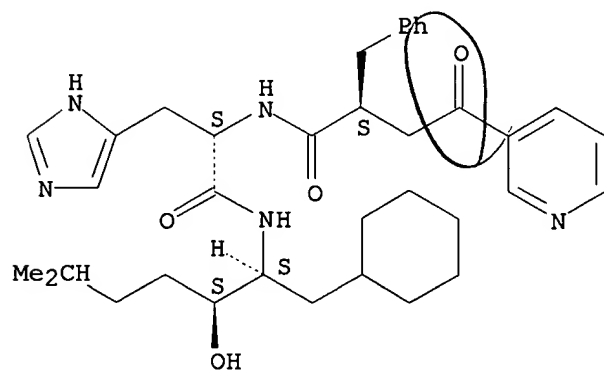
Absolute stereochemistry.



RN 124751-67-3 CAPLUS

CN 3-Pyridinebutanamide, N-[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-γ-oxo-α-(phenylmethyl)-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 176 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1989:632590 CAPLUS

DOCUMENT NUMBER:

111:232590

TITLE:

Heterocyclic mercaptopropanamide derivatives as oral analgesics

INVENTOR(S):

Mimura, Tetsutaro; Nakamura, Yukihiisa; Nishino, Junko; Sawayama, Tadahiro; Sasagawa, Takashi; Deguchi, Takashi; Nakamura, Hideo

PATENT ASSIGNEE(S):

Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01149763	A2	19890612	JP 1987-310708	19871207
PRIORITY APPLN. INFO.:			JP 1987-310708	19871207

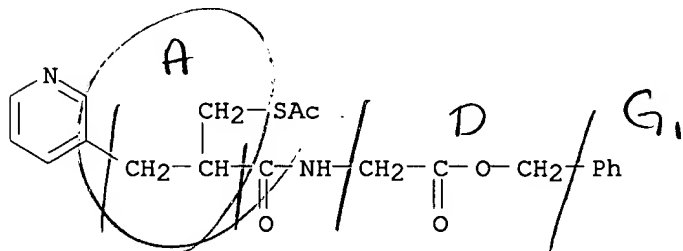
AB R1CH2CH(CH2R2)CONHCH2R3 [R1 = SH, groups forming SH in organs; R2 (un)substituted pyridyl, (N-substituted) morpholinyl, C6H4NR4R5, (CH2)nNR4R5; R3 = CO2H, groups forming CO2H in organs; R4, R5 = H, lower alkyl; R4R5 may form a ring; n = 1, 2] and their salts are prepared Thus, condensation of 25 g isonicotinaldehyde and 36.8 g di-Et malonate gave 57.5 g di-Et 4-pyridinylmethylenemalonate, which was hydrogenated over Pd/C, hydrolyzed, and then treated with HCHO and Me2NH to give 15 g 2-(4-pyridinylmethyl)acrylic acid (I). Then, 15 g I and 10 g AcSH were stirred at 50° for 15 min to give 5.5 g 2-acetylthiomethyl-3-(4-pyridinyl)propionic acid, 1.5 g of which was treated with 2.1 g glycine benzyl ester p-toluenesulfonate in presence of Et3N and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide-HCl at 0° for 0.5 h and at room temperature for 2 h to give 3.5 g benzyl [2-acetylthiomethyl-3-(4-pyridinyl)propionyl]aminoacetate (II). II showed 80.8% analgesic activity in writhing test at 200 mg/kg p.o. in rats.

IT 123829-60-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as oral analgesic)

RN 123829-60-7 CAPLUS

CN Glycine, N-[3-(acetylthio)-1-oxo-2-(3-pyridinylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



126 ANSWER 177 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1989:534747 CAPLUS
 DOCUMENT NUMBER: 111:134747
 TITLE: Preparation and testing of
 heterocyclylcarbonylglutamides and - aspartamides as
 cholecystokinin antagonists
 INVENTOR(S): Nadzan, Alex M.; Lin, Chun Wel; Kerwin, James F., Jr.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: Eur. Pat. Appl., 68 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 308885	A1	19890329	EP 1988-115462	19880921
R: ES, GR				
US 4971978	A	19901120	US 1988-234525	19880822
WO 8902431	A1	19890323	WO 1988-US3181	19880921
W: JP				
RW: BE, CH, DE, FR, GB, IT, NL, SE				
US 5128346	A	19920707	US 1990-571945	19900823
PRIORITY APPLN. INFO.:			US 1987-99866	A 19870921
			US 1988-234525	A 19880822

OTHER SOURCE(S): MARPAT 111:134747

AB ArX1X2NR3 CH[(CH2)nR4] CONR1R2 [I; R1, R2 = H, C1-8 alkyl, cycloalkyl, alkenyl, cyanoalkyl, adamantyl, carbamoylalkyl, etc.; R2R2N = morpholino, pyrrolidinyl, piperazinyl, piperidino, etc.; R3 = H, alkyl, cycloalkyl, alkenyl, (substituted) arylalkyl, heterocyclylalkyl; R4 = tetrazolyl, acyl; Ar = heterocyclyl; X1 = (CH2)n, OCH2, SCH2, NH, (substituted) alkenyl; X2 = CO, CS, SO2; m = 0-4; n = 1-3], useful as cholecystokinin (CCK) antagonists, were prepared H-Glu(OBzl)-N[(CH2)2Me]2.HCl (preparation given) and N-methylmorpholine in DMF at 0° were treated successively with indole-2-carboxylic acid, 1-hydroxybenzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide. The mixture was allowed to warm to room temperature and stirred overnight and the product was debenzylated with Pd/C/cyclohexadiene to give N-(2'-indolylcarbonyl)-L-glutamine di-N-pentylamide. I inhibit specific [125I]-Bolton-Hunter CCK-8 pancreatic receptor binding with IC50's of 5.4-820 nm.

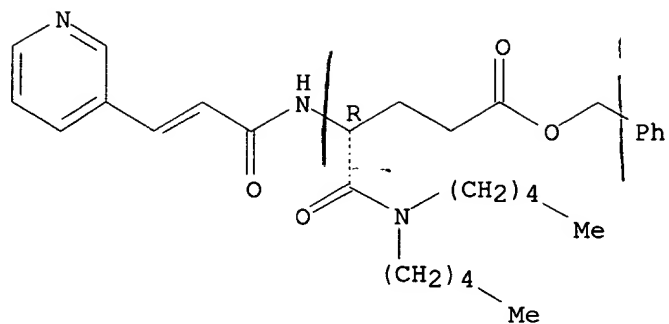
IT 122667-88-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cholecystokinin antagonist)

RN 122667-88-3 CAPLUS

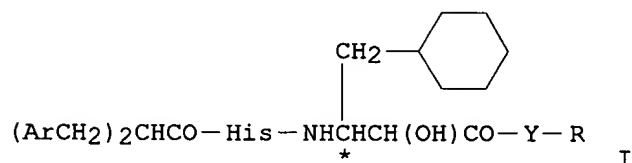
CN Pentanoic acid, 5-(dipentylamino)-5-oxo-4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

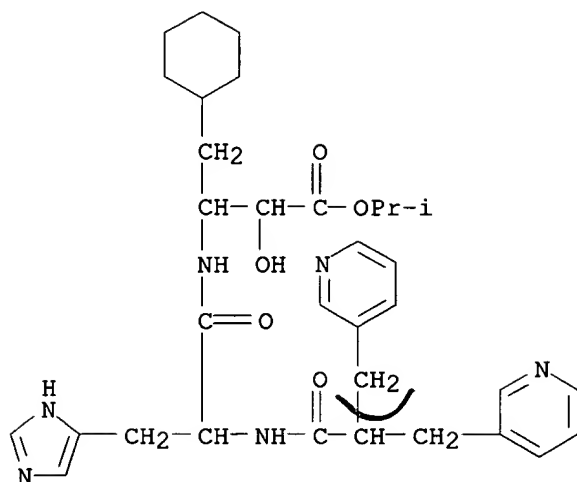


126 ANSWER 178 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1988:493619 CAPLUS
 DOCUMENT NUMBER: 109:93619
 TITLE: Preparation and testing of
 dibenzylacetylhistidylaminocyclohexylhydroxybutyrates
 as renin inhibitors
 INVENTOR(S): Iizuka, Kinji; Kamijo, Tetsuhide; Kubota, Tetsuhiro;
 Akahane, Kenji; Umeyama, Hideaki; Kiso, Yoshiaki
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 21 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 252727	A1	19880113	EP 1987-306015	19870708
R: BE, CH, DE, FR, GB, IT, LI				
JP 63022081	A2	19880129	JP 1986-164254	19860711
JP 05064948	B4	19930916		
US 4870183	A	19890926	US 1988-267612	19881102
PRIORITY APPLN. INFO.:			JP 1986-164254	A 19860711
			US 1987-71822	A1 19870710
OTHER SOURCE(S):	MARPAT 109:93619			
GI				

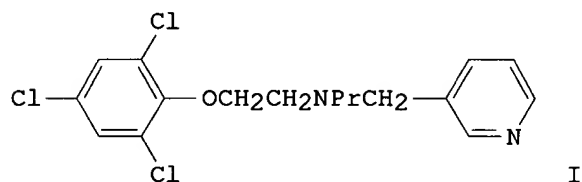


- AB The title compds. [I; Ar = (substituted) Ph, pyridyl, naphthyl; Y = O, NH; R = alkyl, cycloalkyl, haloalkyl] and pharmaceutically acceptable salts thereof were prepared as renin inhibitors. Bis(2-fluorobenzyl)acetic acid and (2RS,3S)-3-[N-(L-histidyl)amino]-4-cyclohexyl-2-hydroxybutyric acid iso-Pr ester-2HCl (preparation given) in DMF were treated with (PhO)2P(:O)N3 and Et3N to give (2RS,3S)-3-[N-[bis(2-fluorobenzyl)acetyl]-L-histidyl]amino-4-cyclohexyl-2-hydroxybutyric acid iso-Pr ester (II). II at 30 mg/kg orally in marmosets reduced blood pressure 5 h after administration to 85.7 mmHg, vs. 99.7 mmHg for controls.
- IT **115864-89-6P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as antihypertensive)
- RN 115864-89-6 CAPLUS
- CN Cyclohexanebutanoic acid, α -hydroxy- β -[[3-(1H-imidazol-4-yl)-1-oxo-2-[[1-oxo-3-(3-pyridinyl)-2-(3-pyridinylmethyl)propyl]amino]propyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



126 ANSWER 179 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1986:456344 CAPLUS
 DOCUMENT NUMBER: 105:56344
 TITLE: Fungicidal (trihalophenoxy or trihalophenthio)alkylaminoalkylpyridines and pyrroles
 INVENTOR(S): Spatz, David M.
 PATENT ASSIGNEE(S): Chevron Research Co. , USA
 SOURCE: U.S., 17 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4588735	A	19860513	US 1983-470824	19830228
PRIORITY APPLN. INFO.:			US 1983-470824	19830228
OTHER SOURCE(S):		CASREACT 105:56344; MARPAT 105:56344		
GI				



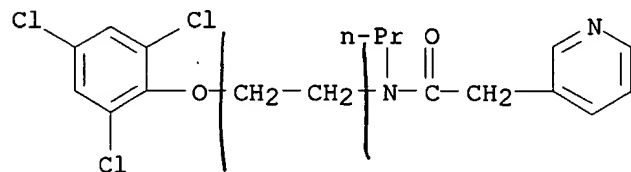
AB The title compds. RZCH₂CH₂NR₁CH₂R₂ [R = (un)substituted Ph; R₁ = alkyl, alkoxyalkyl; R₂ = N-containing heterocyclic radical; Z = O, S] are prepared as fungicides. Thus, N-(n-propyl)-N-(3-pyridylcarbonyl)ethanolamine 2,4,6-trichlorophenyl ether (preparation given) was refluxed with BH₃.Me₂S in THF, at 60°, followed by the addition of MeOH and bubbling of HCl, to give I. I (250 ppm) totally controlled powdery mildew, caused by Crysiphe polygoni, on bean.

IT 99914-35-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reduction of)

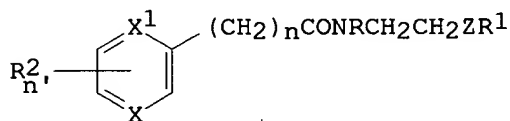
RN 99914-35-9 CAPLUS

CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI)
 (CA INDEX NAME)



126 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 X
 ACCESSION NUMBER: 1986:50794 CAPLUS
 DOCUMENT NUMBER: 104:50794
 TITLE: Carboxamide derivatives and their use as fungicides
 INVENTOR(S): Ten, Haken Pieter; Pettman, Roger Bruce
 PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V.,
 Neth.
 SOURCE: Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 152131	A2	19850821	EP 1985-200067	19850123
EP 152131	A3	19850925		
EP 152131	B1	19890823		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 45732	E	19890915	AT 1985-200067	19850123
PRIORITY APPLN. INFO.:			GB 1984-3726	A 19840213
			EP 1985-200067	A 19850123
OTHER SOURCE(S):	MARPAT 104:50794			
GI				



I

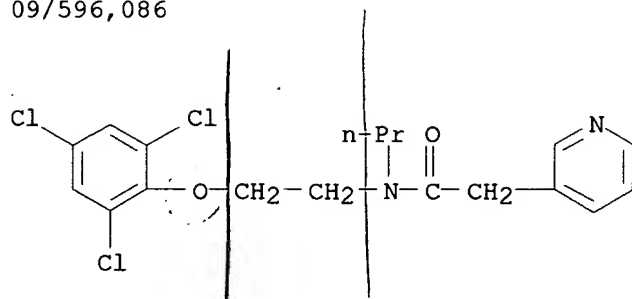
AB The title compds. I [R = substituted alkyl, aryl, aralkyl, cycloalkyl; R1 = substituted Ph; R2 = C1-4 alkyl or alkoxy; Z = O, S, SO, SO2; one of X and X1 = N, the other = N, CR3 (R3 = halo, C1-4 alkyl or alkoxy); n = 0 or 1; n' = 0-3], useful as agricultural fungicides, were prepared by amidation of the appropriate aralkylcarboxylic acid or acid halide with an amine HNRCH2CH2ZR1 (R, R1 and Z as above) in presence of an inert solvent. Fungicidal compns. (no data) contain 0.5-95% I in a suitable carrier. Thus, nicotinic acid was refluxed with SOCl2, the solution obtained was added dropwise at 0° to a solution of N-[2-(2,4,6-trichlorophenyl)ethyl]propylamine and Et3N in ether, the reaction mixture was warmed to ambient temperature, stirred for 2 h, and filtrated, and the residue triturated with cold petrol to give 60% N-nicotinoyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]propylamine (I; R = Pr, R1 = 2,4,6-Cl3C6H2, Z = O, X = N, X1 = CH, n = n' = 0) (II). II at 1 kg/ha showed >80% activity against wheat leaf spot.

IT 99914-35-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and agricultural fungicidal activity of)

RN 99914-35-9 CAPLUS

CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI)
 (CA INDEX NAME)



126 ANSWER 181 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:622860 CAPLUS

DOCUMENT NUMBER: 101:222860

TITLE: Antagonists of the luteinizing hormone releasing hormone (LHRH) with emphasis on the Trp7 of the salmon and chicken II LHRHs

AUTHOR(S): Folkers, Karl; Bowers, Cyril Y.; Shieh, Hong Ming; Liu, Yin Zeng; Xiao, Shao Bo; Tang, Pui Fun Louisa; Chu, Ji Yu

CORPORATE SOURCE: Inst. Biomed. Res., Univ. Texas, Austin, TX, 78712, USA

SOURCE: Biochemical and Biophysical Research Communications (1984), 123(3), 1221-6

CODEN: BBRC9; ISSN: 0006-291X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The sequences of 4 naturally occurring LH-RH [9034-40-6] mols differ only in positions 5, 7, and 8. Salmon and chicken II LH-RH's have Trp7; porcine/ovine (P/O) and chicken I LHRH's have Leu7. The receptor for P/O LH-RH might effectively bind certain antagonists with Trp7. Thirteen antagonists having Trp7 and 8 antagonists with other substitutions in position 7 were synthesized. One of the 13 antagonists with the natural Trp7, [N-Ac-D-2-Nal1,D-pClPhe2,D-3-Pal3,D-Arg6,Trp7,D-Ala10]-LH-RH [93128-12-2], not only maintained activity, but had increased potency (.apprx.58%; 90% antioviulatory activity/250 ng; rats) in comparison with the companion analog with the natural Leu7 of P/O LH-RH. The other 12 Trp7-antagonists had lower potency.

IT 93128-19-9 93128-24-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

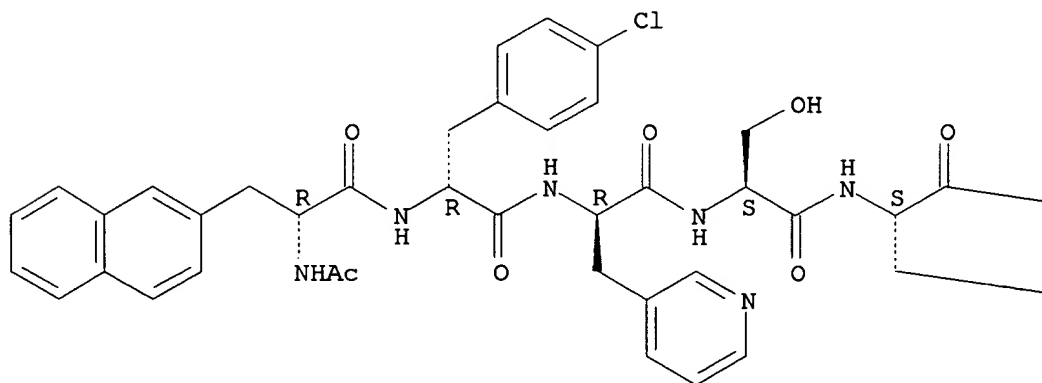
(LH-RH antagonistic activity of, structure in relation to)

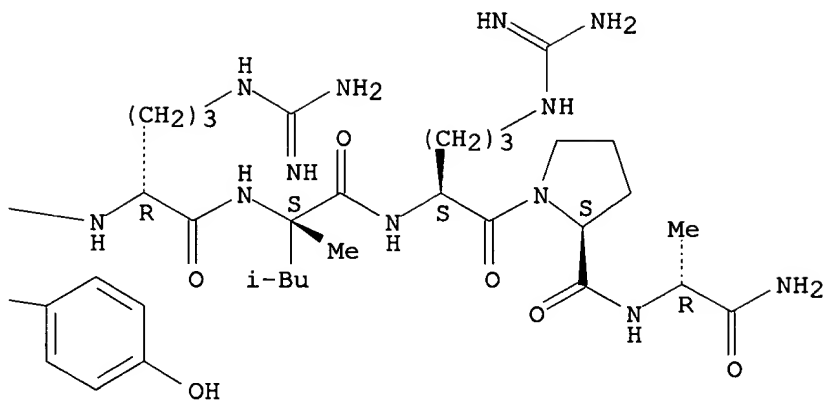
RN 93128-19-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-D-arginyl-2-methyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

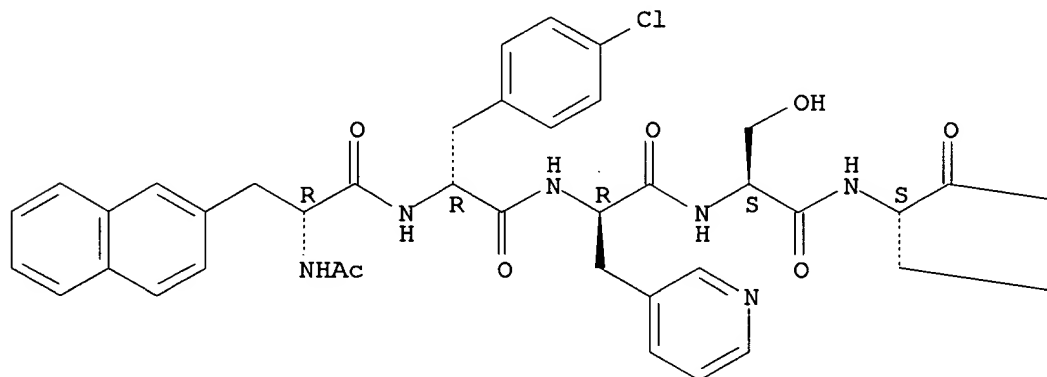




RN 93128-24-6 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-D-arginyl-2-methyl-D-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



126 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1982:19903 CAPLUS

DOCUMENT NUMBER: 96:19903

TITLE: Ring transformation of 2-furylcarbamates to 5-hydroxy-3-pyrrolin-2-ones. Effects of substitution in the benzene ring on the N-carbobenzyloxy-5-hydroxy-5-phenyl-3-pyrroline-2-one - cis- γ -ketoamide equilibrium

AUTHOR(S): Yakushijin, Kenichi; Kozuka, Masamichi; Morishita, Takayuki; Furukawa, Hiroshi

CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, 468, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(9), 2420-30

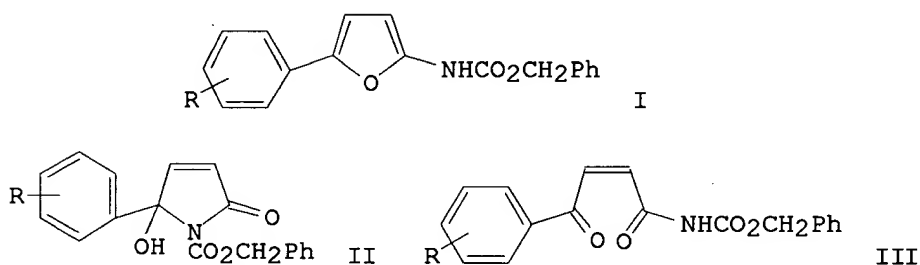
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 96:19903

GI



AB In the ring transformation of 2-furylcarbamates I (R = H, p-MeO, p-Me, m-Cl, m-NO₂, o-Cl, etc.) to 5-hydroxy-3-pyrrolin-2-ones (II) by irradiation in the presence of oxygen, there is an equilibrium between II and the ketoamides III; this equilibrium was studied by NMR spectroscopy. The presence of electron-withdrawing groups in the benzene ring selectively stabilized the hydroxypyrrolinone tautomer, while that of electron-releasing groups had the opposite effect. Thus, the ring-chain tautomerism depends on the electronic and/or steric factors of substituents on the benzene ring.

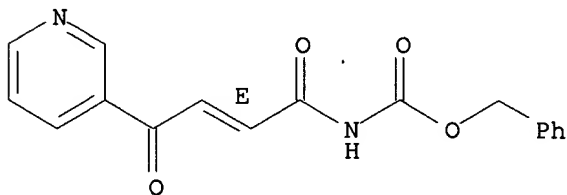
IT **80174-20-5P 80174-22-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 80174-20-5 CAPLUS

CN Carbamic acid, [1,4-dioxo-4-(3-pyridinyl)-2-butenyl]-, phenylmethyl ester, (E)- (9CI) (CA INDEX NAME)

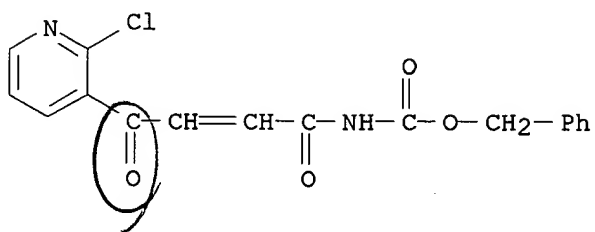
Double bond geometry as shown.



09/596,086

RN 80174-22-7 CAPLUS

CN Carbamic acid, [4-(2-chloro-3-pyridinyl)-1,4-dioxo-2-butenyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)



126 ANSWER 183 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:462786 CAPLUS

DOCUMENT NUMBER: 95:62786

TITLE: Preparation and properties of novel polyhydrazides

AUTHOR(S): Mahadevan, V.; Padma, S.; Srinivasan, M.

CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Madras, 600 036, India

SOURCE: Journal of Polymer Science, Polymer Chemistry Edition (1981), 19(6), 1409-19
CODEN: JPLCAT; ISSN: 0449-296X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Polyhydrazides (16) having aromatic and aliphatic units were prepared by low-temperature

solution polycondensation of equimolar amts. of bisketo diacid chlorides and dihydrazides in HMPT as solvent. The polyhydrazides had poor solubility and intrinsic viscosities 0.2-0.6 dL/g. X-ray diffractograms showed that the polyhydrazides having terephthalic acid dihydrazide units were more crystalline, and all the polymers had densities 1.2-1.8 g/cm³. Thermogravimetric anal. of the polyhydrazides showed initial weight losses commencing at 220-300° in both air and N.

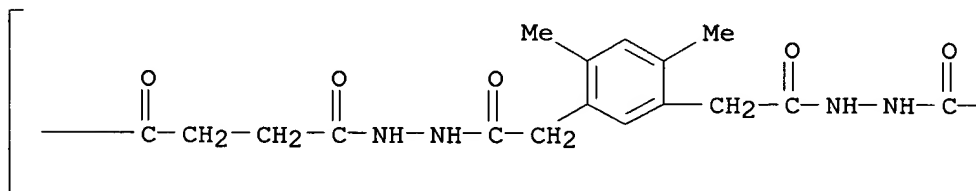
IT 78244-65-2P 78244-66-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and properties of)

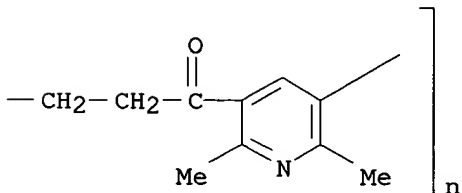
RN 78244-65-2 CAPLUS

CN Poly[(2,6-dimethyl-3,5-pyridinediyl)(1,4-dioxo-1,4-butanediyl)hydrazo(1-oxo-1,2-ethanediyl)(4,6-dimethyl-1,3-phenylene)(2-oxo-1,2-ethanediyl)hydrazo(1,4-dioxo-1,4-butanediyl)] (9CI) (CA INDEX NAME)

PAGE 1-A



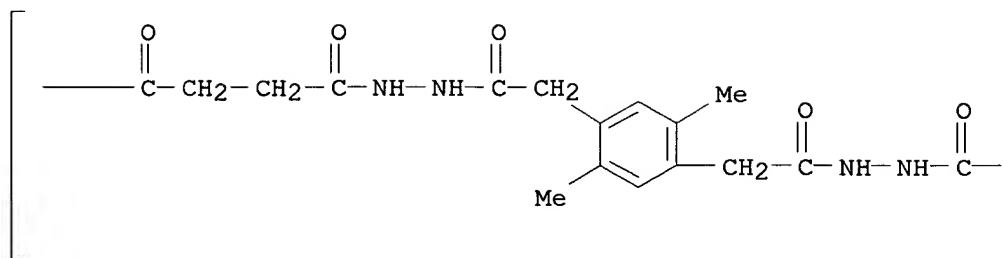
PAGE 1-B



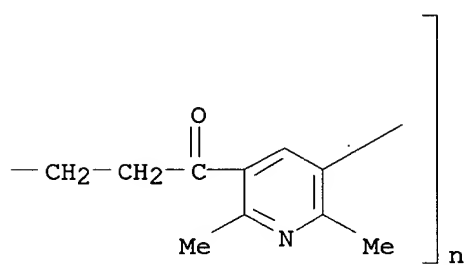
RN 78244-66-3 CAPLUS

CN Poly[(2,6-dimethyl-3,5-pyridinediyl)(1,4-dioxo-1,4-butanediyl)hydrazo(1-oxo-1,2-ethanediyl)(2,5-dimethyl-1,4-phenylene)(2-oxo-1,2-ethanediyl)hydrazo(1,4-dioxo-1,4-butanediyl)] (9CI) (CA INDEX NAME)

PAGE 1-A

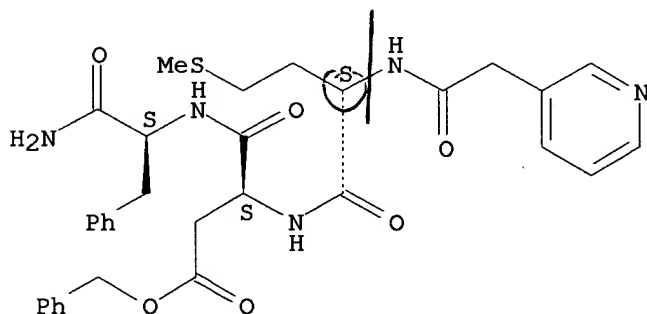


PAGE 1-B



126 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:516646 CAPLUS
DOCUMENT NUMBER: 85:116646
TITLE: Synthesis of structural analogs of tetragastrin
AUTHOR(S): Von Dungen, Adolf; Konz, Wilhelm; Hummelt, Hubert
CORPORATE SOURCE: Abt. Pharmachem., C. H. Boehringer Sohn, Ingelheim,
Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1976), (5), 860-75
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
AB A series of 61 analogs of tetragastrin [1947-37-1], some containing
heterocyclic acids in the amide linkage, were prepared by solid-or
liquid-phase methods. Seven of the compds., Trp-Met-Gly-Phe-NH2
[47801-11-6], Boc-Trp-Met-Tyr(OBzl)-Phe-NH2 [60322-40-9],
theophylline-7-ylacetyl-Met-Leu-Phe-NH2 [60058-95-9], Asp(OBzl)-Phe-NH2
[5609-55-2], nicotinoyl-Met-Asp(OBzl)-Phe-NH2 [60058-96-0],
Trp-Asp(OBzl)-Phe-NH2 [60058-97-1], and Boc-Trp-Asp-Phe-NH2 [60058-98-2]
inhibited gastric secretion in rats >40% after an intraduodenic dose of 30
mg/kg.
IT **60058-75-5p**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and gastric secretion response to)
RN 60058-75-5 CAPLUS
CN L-Phenylalaninamide, N-(3-pyridinylacetyl)-L-methionyl-L- α -aspartyl-
, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



186 ANSWER 185 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:430411 CAPLUS

DOCUMENT NUMBER: 71:30411

TITLE: Heterocycles from amino ketones. XV.
(Aminooxadiazolyl)- and (thioxotriazoliny)quinolylmet
hanes

AUTHOR(S): Kreysig, Dieter; Stroh, Hans H.; Kempter, Gerhard
CORPORATE SOURCE: Paedagogischen Hochsch. Potsdam, Potsdam-Sanssouci,
Fed. Rep. Ger.

SOURCE: Zeitschrift fuer Chemie (1969), 9(5), 187-8
CODEN: ZECEAL; ISSN: 0044-2402

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

AB 2-Methyl-3-(R1-substituted)-4-(R-substituted)quinolines (I) (where R1 = CH2CO2Et and R = Me, Ph, or p-MeC6H4) were prepared by the method of Kempter, et al. (1964) and converted into I (R1 = CH2CONHNH2), which were cyclized to I (R1 = 2-amino-1,3,4-oxadiazol-5-ylmethyl) (II). I (R1 = CH2CONHNHCSNHR2; R2 = Pr, Ph, or allyl) were similarly cyclized to give III. I (R1 = CH2CONHNHCONHR2; R2 = Et, iso-Pr, Ph, o-C6H4NO2, α-naphthyl, or β-naphthyl) could not be cyclized.

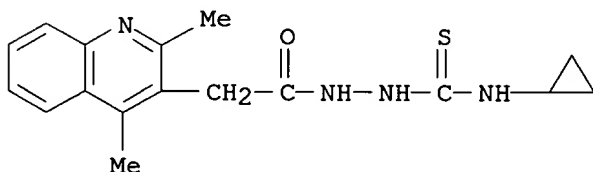
IT 22815-80-1P 22815-81-2P 22815-82-3P

22815-83-4P 22815-84-5P 22994-55-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

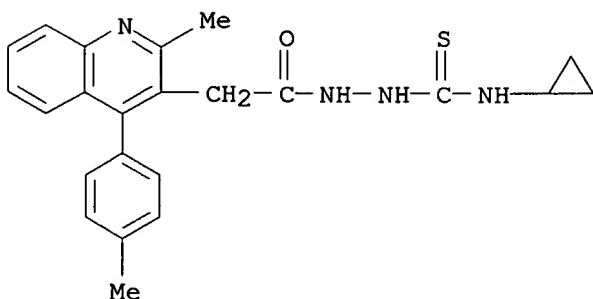
RN 22815-80-1 CAPLUS

CN Semicarbazide, 4-cyclopropyl-1-[(2,4-dimethyl-3-quinolyl)acetyl]-3-thio-
(8CI) (CA INDEX NAME)



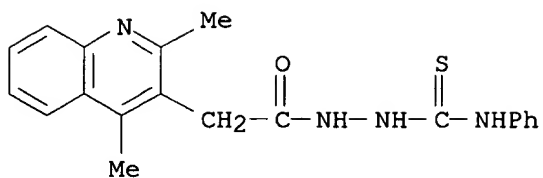
RN 22815-81-2 CAPLUS

CN Semicarbazide, 4-cyclopropyl-1-[(2-methyl-4-p-tolyl-3-quinolyl)acetyl]-3-thio-
(8CI) (CA INDEX NAME)

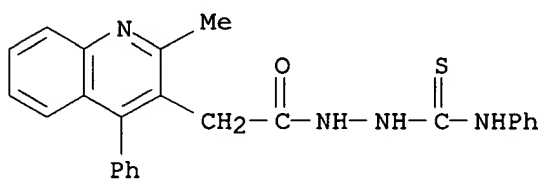


RN 22815-82-3 CAPLUS

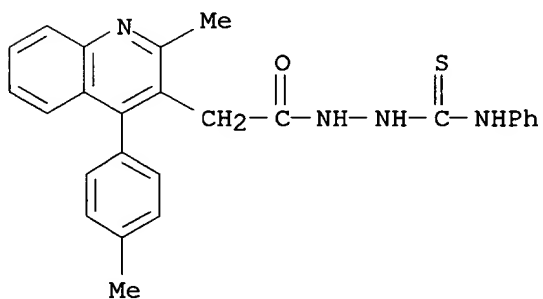
CN Semicarbazide, 1-[(2,4-dimethyl-3-quinolyl)acetyl]-4-phenyl-3-thio-
(8CI) (CA INDEX NAME)



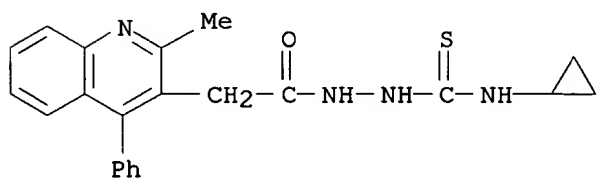
RN 22815-83-4 CAPLUS

CN Semicarbazide, 1-[(2-methyl-4-phenyl-3-quinolyl)acetyl]-4-phenyl-3-thio-
(8CI) (CA INDEX NAME)

RN 22815-84-5 CAPLUS

CN Semicarbazide, 1-[(2-methyl-4-p-tolyl-3-quinolyl)acetyl]-4-phenyl-3-thio-
(8CI) (CA INDEX NAME)

RN 22994-55-4 CAPLUS

CN Semicarbazide, 4-cyclopropyl-1-[(2-methyl-4-phenyl-3-quinolyl)acetyl]-3-thio-
(8CI) (CA INDEX NAME)

186 ANSWER 186 OF 186 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1964:82761 CAPLUS
 DOCUMENT NUMBER: 60:82761
 ORIGINAL REFERENCE NO.: 60:14467c-h
 TITLE: Hydrazine derivatives of pyridylacetic acids
 AUTHOR(S): BojarskaDahlig, Halina
 CORPORATE SOURCE: Inst. Pharm., Warsaw
 SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1964),
 83(2), 177-85
 CODEN: RTCPA3; ISSN: 0165-0513
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The title compds. were prepared by condensation of the hydrazides of 2-, 3-, and 4-pyridylacetic acids with aldehydes or ketones followed by catalytic hydrogenation of the resulting hydrazones. These compds. are active monoamine oxidase (MAO) inhibitors in vitro. The pyridylacetic acids were prepared by known methods and their esters converted to the hydrazides by heating with 40% N₂H₄.H₂O. The reaction of equimolar quantities of the hydrazide and a carbonyl compound in H₂O or EtOH gave the corresponding hydrazones (I), which were hydrogenated in ethanol over Pd-C to give the hydrazines (II). The following I were prepared as shown in the following table: pyridine, attachment, R, R₁, %yield, m.p.; 2, H, Ph, 75, 135-6°; 2, H, 3-pyridyl, 99, 140-3deg;; 2, H, 4-pyridyl, 71, 91-2°; 2, Me, 4-pyridyl, 99, 98-100°(1); 3, H, Ph, 64, 90-1°; 3, H, 2-pyridyl, 99, 43-4°(1); 3, H, 2-pyridyl, 43, 51-2°(2); 3, H, 3-pyridyl, 85, 147-8°; 3, H, 4-pyridyl, 93, 64-5°(1); 3, Me, Ph(4), 97, 148-50°; 3, Me, PhCH₂(4), 98, 127-8°; 3, Me, 2-pyridyl, 99, 147.5-48°; 3, Me, 3-pyridyl(4), 85, 182-3°; 3, Me, 4-pyridyl, 79, 156-8°(3); 4, H, Ph, 72, 69-71°(1); 4, H, 3-pyridyl(4), 33, 188-90°; 4, H, 4-pyridyl, 46, 205-7°; 4, Me, Ph(4), 73, 159.5-60°; 4, Me, 2-pyridyl, 42, 173-4°; 4, Me, 3-pyridyl, 65, 225-6°; 4, Me, 4-pyridyl, 87, 173-4°; (1) Monohydrate; (2) monoethanolate; (3) with decomposition; (4) not reduced by H over 10% Pd-C at 70-80°/40-50 atmospheric, 3-6 hrs. The following II were prepared and tested for MAO inhibition

in vitro in 10-4M solution (β -phenylethylhydrazine sulfate = 100%) as shown in tabular form: pyridine, attach ment, R, R₁, %yeild, m.p., %, inhibition; 2, H, Ph, 85, 80-2°, 85; 2, H, 3-pyridyl, 79, 145-6°, 76; 2, H, 4-pyridyl, 87 82-3°, inactive; 2, Me, 4-pyridyl 79, 88-90°, 42; 3, H, Ph, 90, 116.5-17°, 83; 3, H, 3-pyridyl, 87, 121-3°(1), 53; 3, H, 4-pyridyl, 61, 100-2°, 70; 3, Me, 2-pyridyl, 76, 135-5°, 62; 3, Me, 4-pyridyl, 86, 138-40°, 38; 4, H, Ph, 20, 119-20°, 47; 4, H, 4-pyridyl, 60, 150°(2), 49; 4, Me, 2-pyridyl, 80, 110-11°, -, 4, Me, 4-pyridyl, 57, 148.5-9.5°, 57; (1) trihydrochloride-hydrate: (2) trihydrochloride, with decomposition; Ultraviolet absorption spectra were reported for the following compds.: 1-benzyl-2-(phenylacetyl)hydrazine; 1-benzyl-2-(2-pyridylacetyl)hydrazine; 1-benzyl-2-(3-pyridylacetyl)hydrazine.

IT 98110-70-4, 3-Pyridineacetic acid, (α -methylphenethylidene)hydrazide
 (preparation of)
 RN 98110-70-4 CAPLUS
 CN 3-Pyridineacetic acid, (α -methylphenethylidene)hydrazide (7CI) (CA INDEX NAME)

